

10/553,803

=> d his

(FILE 'HOME' ENTERED AT 09:57:06 ON 15 OCT 2008)

FILE 'REGISTRY' ENTERED AT 09:57:22 ON 15 OCT 2008

L1 STRUCTURE UPLOADED
L2 50 S L1
L3 1564 S L1 SSS FUL
L4 1210301 S 46.383.1/RID
L5 1546736 S 46.156.1/RID
L6 57566 S L4 AND L5
L7 1381 S L3 AND L6
L8 183 S L3 NOT L7
L9 55956 S 110.130.1/RID
L10 178 S L8 AND L9
L11 5 S L8 NOT L10
L12 1559 S L3 NOT L11
L13 685 S L12 AND CAPLUS/LC
L14 874 S L12 NOT L13

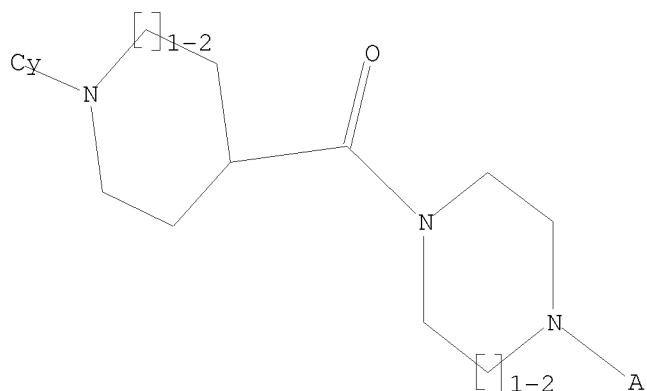
FILE 'CAPLUS' ENTERED AT 10:00:22 ON 15 OCT 2008

L15 42 S L3
L16 39 S L15 NOT (2008/SO OR 2007/SO OR 2006/SO OR 2005/SO)

=> d l1

L1 HAS NO ANSWERS

L1 STR

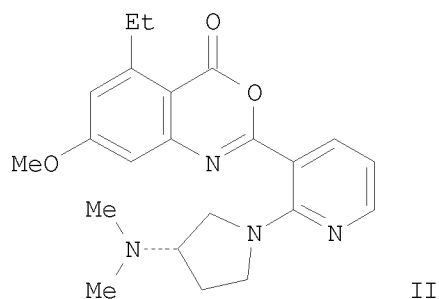
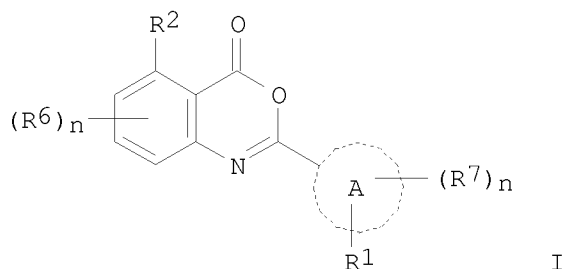


Structure attributes must be viewed using STN Express query preparation.

=> d ibib abs hitstr total

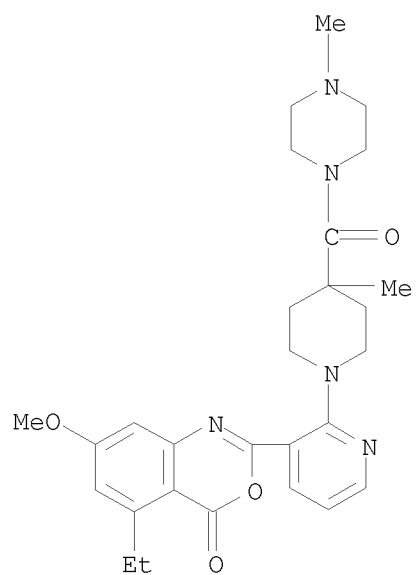
L16 ANSWER 1 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2008:380877 CAPLUS
 DOCUMENT NUMBER: 148:403232
 TITLE: Preparation of (heteroaryl)benzoxazinone derivatives
 for use as serine hydrolase inhibitors
 INVENTOR(S): Shreder, Kevin; Hu, Yi; Fraser, Allister; Kohno,
 Yasushi; Kojima, Akihiko; Ishiyama, Junichi
 PATENT ASSIGNEE(S): Activx Biosciences, Inc., USA; Kyorin Discovery
 Research Laboratories
 SOURCE: PCT Int. Appl., 141pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008036379	A2	20080327	WO 2007-US20427	20070920
WO 2008036379	A3	20080508		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
US 20080161290	A1	20080703	US 2007-903483	20070920
PRIORITY APPLN. INFO.:			US 2006-846545P	P 20060921
OTHER SOURCE(S):	MARPAT 148:403232			
GI				



- AB Title compds. I [A = heterocyclyl or heteroaryl connected to the benzoxazine core by a carbon; R1 = OR3, SR3, NO2, or NR4R5; R2 = halo, pseudohalo, alkenyl, cycloalkyl, etc.; R3 = alkyl, alkynyl, heterocyclyl, etc.; R4 and R5 independently = H, alkyl, cycloalkyl, aryl, etc. with at least one of R4 or R5 not hydrogen; or together with N which they are attached form (un)substituted heterocyclyl or heteroaryl; R6 independently = halo, OH, formyl, diaminoalkyl, etc.; R7 independently = thia, mercapto, polyhaloalkyl, etc.; n independently = 0 to 6; with provisions that when A = 3-pyridinyl and R2 = halo or Me, then R1 is not 2-phenoxy;], and their pharmaceutically acceptable salts, are prepared and disclosed as serine hydrolase inhibitors. Thus, e.g., II was prepared by heterocyclization of 2-fluoronicotinic acid with 2-amino-6-ethyl-4-methoxybenzoic acid (preparation given) followed by substitution with (S)-(-)-3-(dimethylamino)pyrrolidine. Select I were evaluated in a human sputum neutrophil elastase assay, e.g., II demonstrated an IC50 value of ≤ 15 (nM).
- IT 1015443-32-9P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of (heteroaryl)benzoxazinone derivs. for use as serine hydrolase inhibitors)
- RN 1015443-32-9 CAPLUS
- CN 4H-3,1-Benzoxazin-4-one, 5-ethyl-7-methoxy-2-[2-[4-methyl-4-[(4-methyl-1-piperazinyl)carbonyl]-1-piperidinyl]-3-pyridinyl]- (CA INDEX NAME)

10/553,803



L16 ANSWER 2 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1238701 CAPLUS

DOCUMENT NUMBER: 147:502346

TITLE: Preparation of azolecarboxamide derivatives as trkA
receptor inhibitorsINVENTOR(S): Sugasawa, Keizo; Kawaguchi, Kenichi; Matsuzawa,
Takaho; Seo, Ryushi; Harada, Hironori; Suga, Akira;
Abe, Tomoaki; Azami, Hidenori; Matsumoto, Shunichiro;
Shin, Takashi; Tanahashi, Masayuki; Watanabe, Toru

PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan

SOURCE: PCT Int. Appl., 234pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

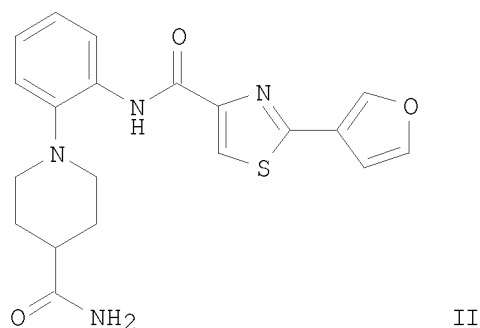
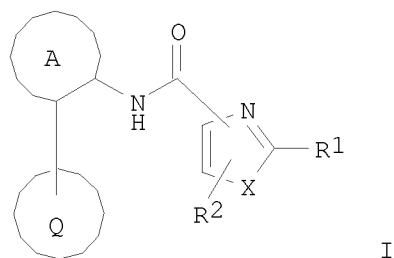
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007123269	A1	20071101	WO 2007-JP59009	20070419
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: JP 2006-115481 A 20060419

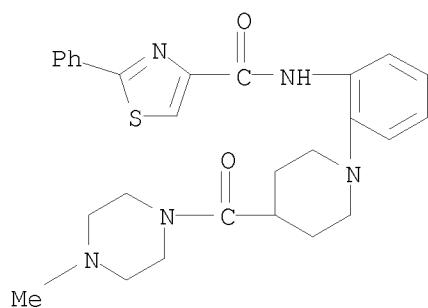
OTHER SOURCE(S): MARPAT 147:502346

GI



- AB Title compds. I [X = S or O; A = (un)substituted phenylene, (un)substituted pyridinediyl, (un)substituted pyrimidinediyl, etc.; Q = (un)substituted aliphatic mono or bi-heterocycle containing nitrogen atom; R1 = halo, alkylcarbonyl, (un)substituted alkyl, etc.; R2 = -H, halo or saturated heterocycle containing nitrogen atom] or salts thereof were prepared For example, hydrolysis of 2-(3-furyl)-1,3-thiazole-4-carboxylic acid Et ester followed by WSC·HCl mediated amidation with 1-(2-aminophenyl)-4-piperidinecarboxamide afforded compound II. In neurotropic factor receptors inhibition assays, the exemplified compound II showed the IC₅₀ value of 2.4 nM. Compds. I are claimed useful for the treatment of urinary frequency, urinary incontinence, etc.
- IT 955383-18-3P 955386-03-5P 955389-18-1P
955389-26-1P 955389-30-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azolecarboxamide derivs. as trkA receptor inhibitors)
- RN 955383-18-3 CAPLUS
- CN 4-Thiazolecarboxamide, N-[2-[4-[(4-methyl-1-piperazinyl)carbonyl]-1-piperidinyl]phenyl]-2-phenyl-, hydrochloride (1:1) (CA INDEX NAME)

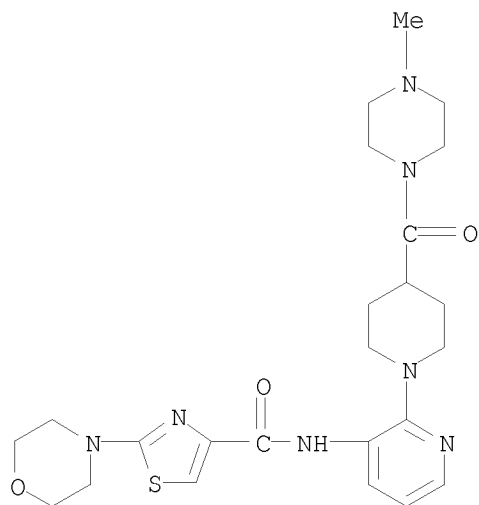
10/553,803



● HCl

RN 955386-03-5 CAPLUS

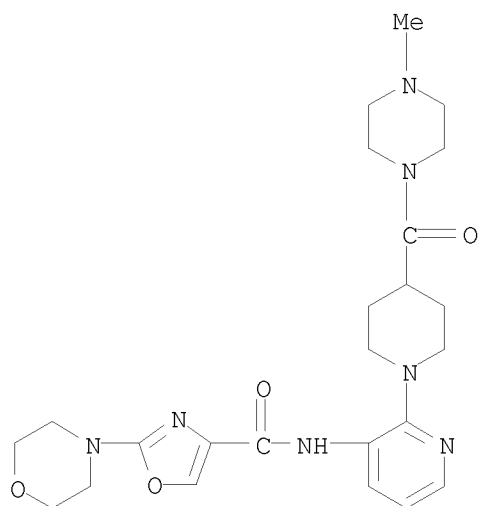
CN 4-Thiazolecarboxamide, N-[2-[4-[(4-methyl-1-piperazinyl)carbonyl]-1-piperidinyl]-3-pyridinyl]-2-(4-morpholinyl)- (CA INDEX NAME)



RN 955389-18-1 CAPLUS

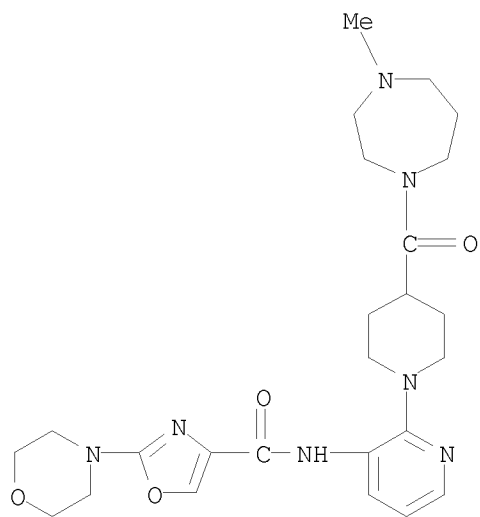
CN 4-Oxazolecarboxamide, N-[2-[4-[(4-methyl-1-piperazinyl)carbonyl]-1-piperidinyl]-3-pyridinyl]-2-(4-morpholinyl)- (CA INDEX NAME)

10/553,803



RN 955389-26-1 CAPLUS

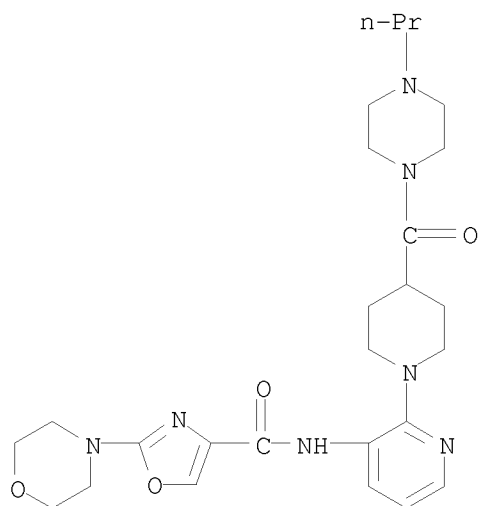
CN 4-Oxazolecarboxamide, N-[2-[4-[(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)carbonyl]-1-piperidinyl]-3-pyridinyl]-2-(4-morpholinyl)- (CA INDEX NAME)



RN 955389-30-7 CAPLUS

CN 4-Oxazolecarboxamide, 2-(4-morpholinyl)-N-[2-[4-[(4-propyl-1-piperazinyl)carbonyl]-1-piperidinyl]-3-pyridinyl]- (CA INDEX NAME)

10/553,803



REFERENCE COUNT:

31

THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 3 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1025544 CAPLUS

DOCUMENT NUMBER: 147:323017

TITLE: Preparation of aromatic compounds such as N-(2-phenoxy pyridin-5-yl) benzamides as collagen synthesis inhibitors for preventing and/or treating fibrosis

INVENTOR(S): Fukushima, Tae; Takemura, Noriaki; Tai, Kuninori; Nagao, Hitoshi; Ito, Nobuaki; Nakagawa, Takashi; Takasu, Hideki; Watanabe, Kenji; Matsumura, Shuji; Shizuta, Takuya; Sakamoto, Makoto; Suga, Keizo; Miyajima, Keisuke; Tanaka, Masanori; Sato, Hideaki; Tsutsui, Hironori; Yamada, Satoshi; Kojima, Hiroshi; Yasumura, Koichi; Oi, Naoto; Okuno, Tsuguhiro; Sugiyama, Kazuhisa; Kiyono, Kunihiro; Suzuki, Takashi; Akamatsu, Seiji; Kodama, Kenji; Yanagihara, Yasuo; Sumida, Takumi

PATENT ASSIGNEE(S): Ohtsuka Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 707pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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JP 2007231005	A	20070913	JP 2007-21396	20070131
PRIORITY APPLN. INFO.:			JP 2006-25329	A 20060202
OTHER SOURCE(S):	MARPAT 147:323017			

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I [X1 = N, CH; R1 = ZR6 (wherein Z = CO, CH(OH), etc.; R6 = 5-15 membered monocyclic, dicyclic, or tricyclic, saturated or unsatd. heterocyclic group having 1-4 N atoms, O atoms, or S atoms); R2 = H, halo or alkyl; Y = O, CO, CH(OH), alkylene, etc.; A = (un)substituted Ph or naphthyl] are prepared These compds. have an excellent effect of suppressing the generation of collagen and less side effects. They are useful for preventing and/or treating fibrosis, in particular lung fibrosis and hepatic fibrosis, and glomerulosclerosis. Thus, 4-[5-(4-trifluoromethylbenzoylamino)pyridin-2-yloxy]benzoic acid was condensed with with 1-benzylpiperazine to give compound (II). Collagen synthesis inhibitory activity was tested in human stellate cell line (LI90). For example, N-[6-[4-[4-[2-oxo-2-(4-piperonylpiperazin-1-yl)ethyl]piperidin-1-yl]phenoxy]pyridin-3-yl]-4-trifluoromethylbenzamide (III) showed IC50 of 0.0019 μ M in the above assay. A film coating tablet formulation containing III was prepared

IT 875674-04-7P 875674-05-8P 875674-17-2P
 875674-18-3P 875680-56-1P 875680-57-2P
 875680-58-3P 875680-59-4P 875680-60-7P
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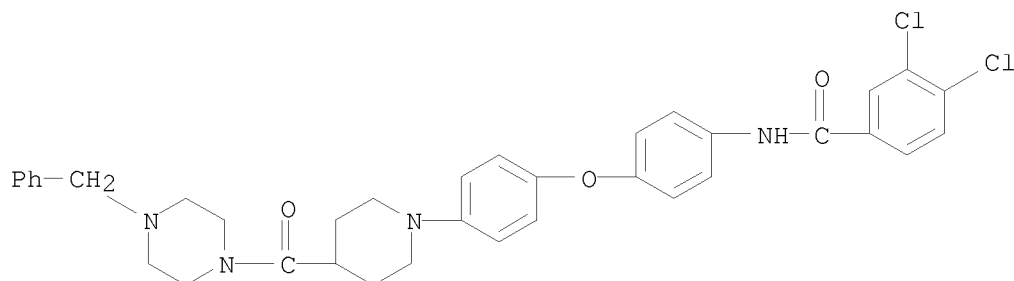
875694-98-7P 875699-36-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-(2-phenoxy-pyridin-5-yl) benzamides as collagen synthesis inhibitors for preventing and/or treating fibrosis)

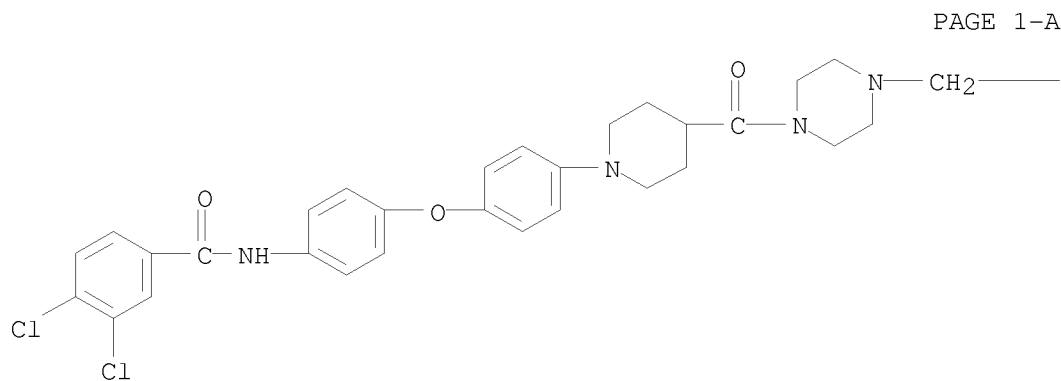
RN 875674-04-7 CAPLUS

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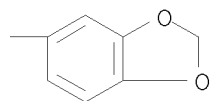


RN 875674-05-8 CAPLUS

CN Benzamide, N-[4-[4-[4-[[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]phenyl]-3,4-dichloro- (CA INDEX NAME)



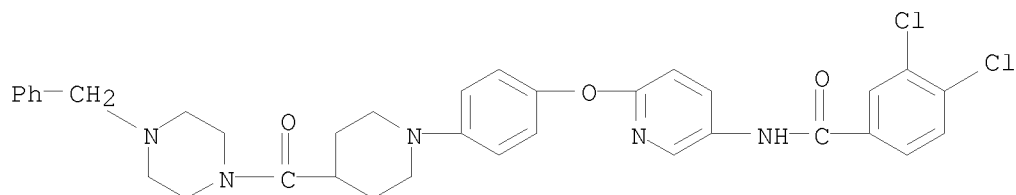
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PAGE 1-B

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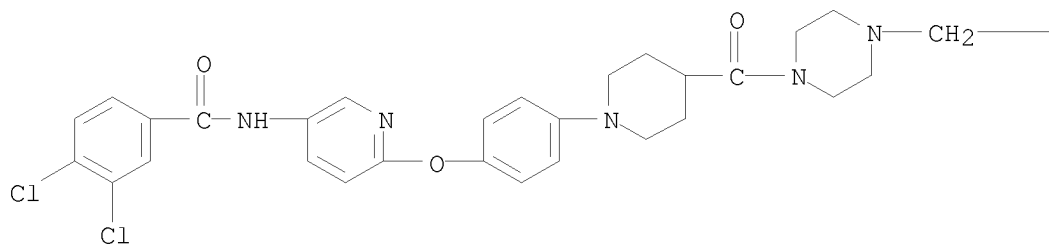
CN Benzamide, 3,4-dichloro-N-[6-[4-[4-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-3-pyridinyl]- (CA INDEX NAME)



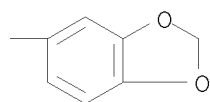
RN 875674-18-3 CAPLUS

CN Benzamide, N-[6-[4-[4-[[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-3-pyridinyl]-3,4-dichloro-
(CA INDEX NAME)

PAGE 1-A

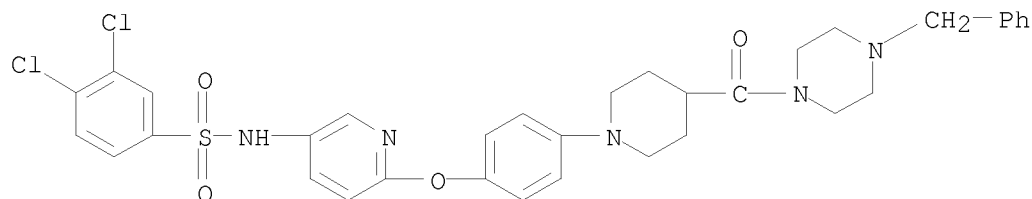


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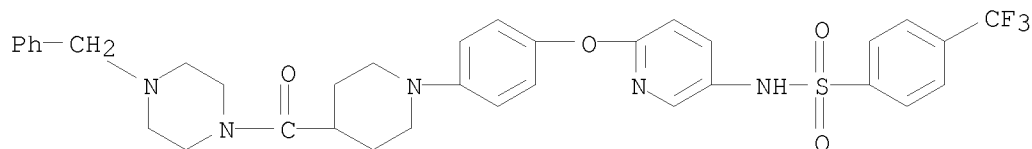
RN 875680-56-1 CAPLUS

CN Benzenesulfonamide, 3,4-dichloro-N-[6-[4-[4-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-3-pyridinyl]- (CA INDEX NAME)



RN 875680-57-2 CAPLUS

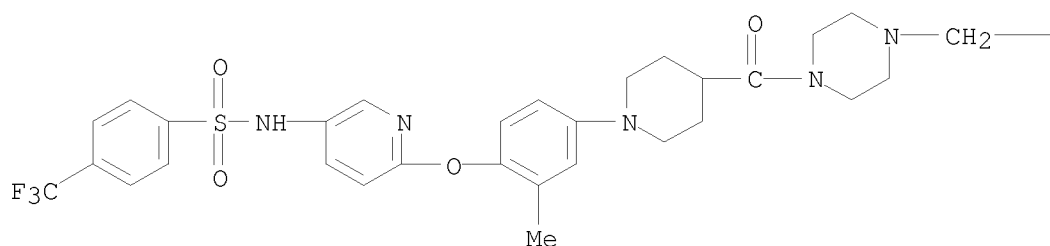
CN Benzenesulfonamide, N-[6-[4-[4-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-3-pyridinyl]-4-(trifluoromethyl)- (CA INDEX NAME)



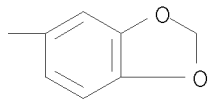
RN 875680-58-3 CAPLUS

CN Benzenesulfonamide, N-[6-[4-[4-[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-2-methylphenoxy]-3-pyridinyl]-4-(trifluoromethyl)- (CA INDEX NAME)

PAGE 1-A

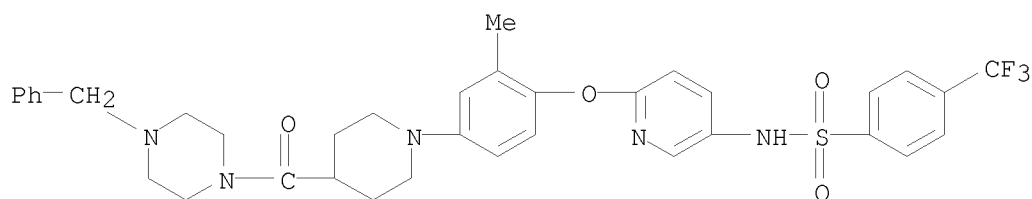


PAGE 1-B



RN 875680-59-4 CAPLUS

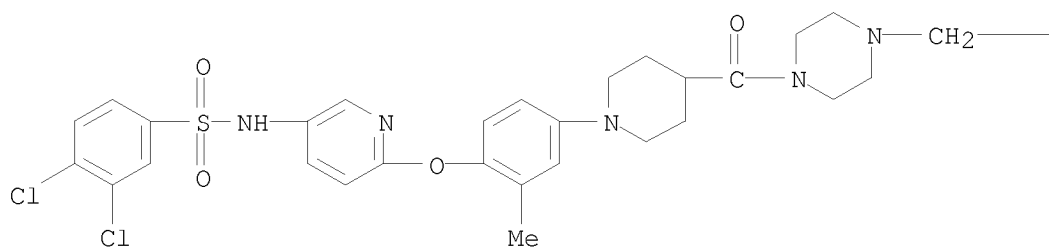
CN Benzenesulfonamide, N-[6-[2-methyl-4-[4-[4-(phenylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-3-pyridinyl]-4-(trifluoromethyl)- (CA INDEX NAME)



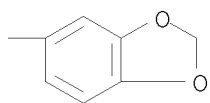
RN 875680-60-7 CAPLUS

CN Benzenesulfonamide, N-[6-[4-[4-[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-2-methylphenoxy]-3-pyridinyl]-3,4-dichloro- (CA INDEX NAME)

PAGE 1-A

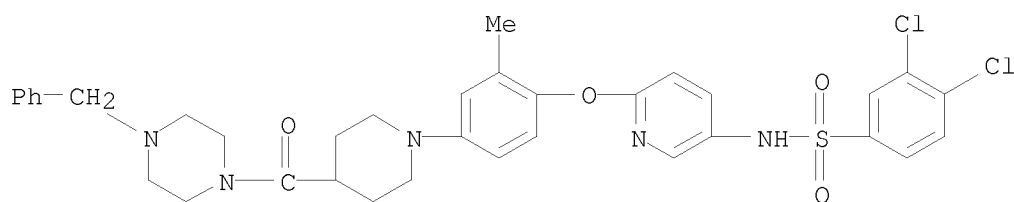


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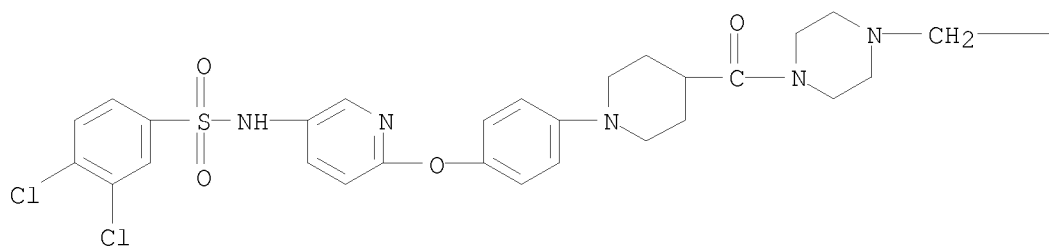
CN Benzenesulfonamide, 3,4-dichloro-N-[6-[2-methyl-4-[4-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-3-pyridinyl]-3,4-dichloro- (CA INDEX NAME)



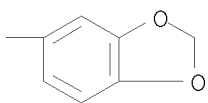
RN 875680-62-9 CAPLUS

CN Benzenesulfonamide, N-[6-[4-[4-[4-[(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-3-pyridinyl]-3,4-dichloro- (CA INDEX NAME)

PAGE 1-A



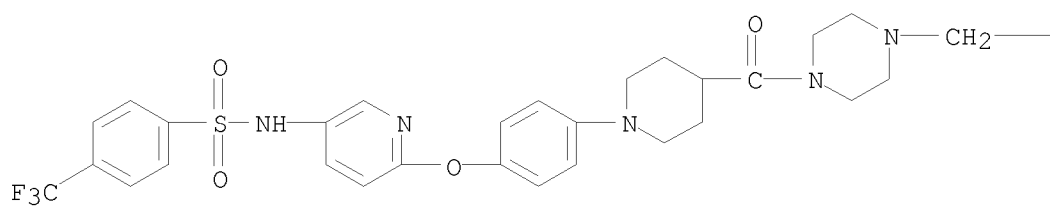
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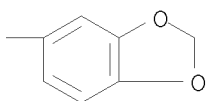
RN 875680-63-0 CAPLUS

CN Benzenesulfonamide, N-[6-[4-[4-[[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-3-pyridinyl]-4-(trifluoromethyl)- (CA INDEX NAME)

PAGE 1-A



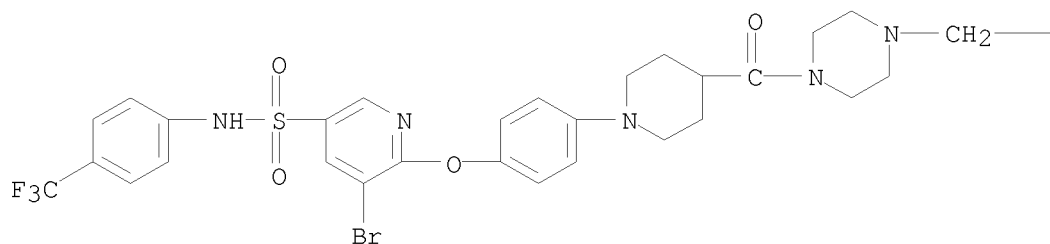
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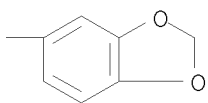


RN 875680-68-5 CAPLUS

CN 3-Pyridinesulfonamide, 6-[4-[4-[[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-5-bromo-N-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

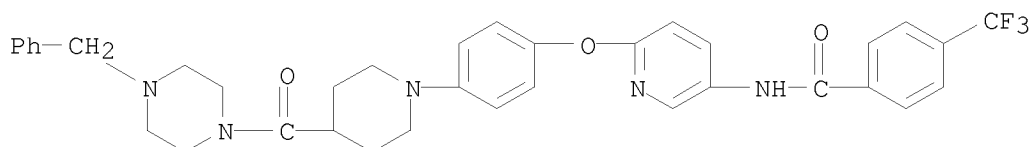
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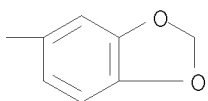
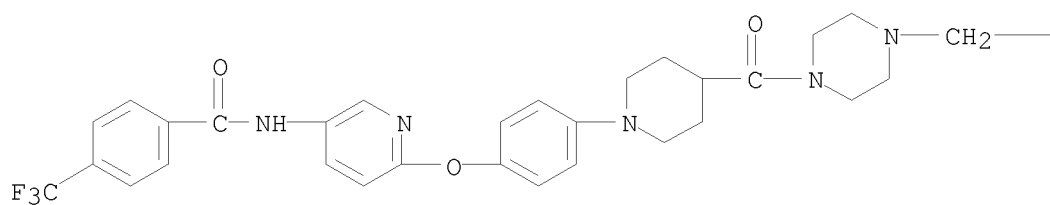
RN 875680-72-1 CAPLUS

CN Benzamide, N-[6-[4-[4-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-3-pyridinyl]-4-(trifluoromethyl)- (CA INDEX NAME)



RN 875680-73-2 CAPLUS

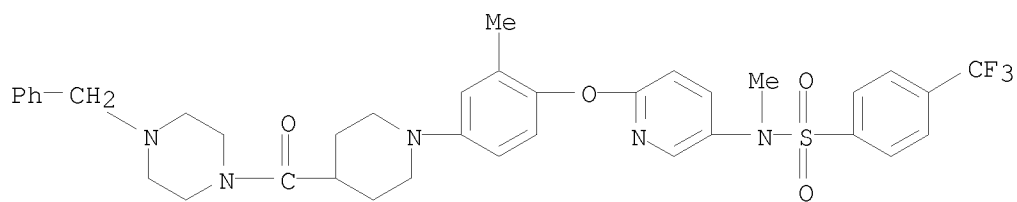
CN Benzamide, N-[6-[4-[4-[[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-3-pyridinyl]-4-(trifluoromethyl)- (CA INDEX NAME)



RN 875694-98-7 CAPLUS

CN Benzenesulfonamide, N-methyl-N-[6-[2-methyl-4-[4-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-3-pyridinyl]-4-(trifluoromethyl)- (CA INDEX NAME)

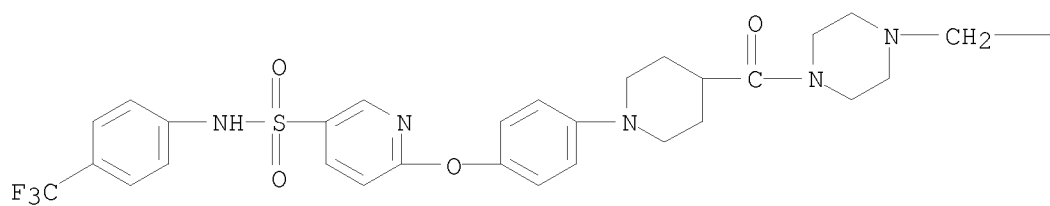
10/553,803



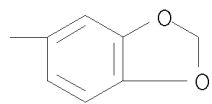
RN 875699-36-8 CAPLUS

CN 3-Pyridinesulfonamide, 6-[4-[4-[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-N-[4-(trifluoromethyl)phenyl]-
(CA INDEX NAME)

PAGE 1-A



PAGE 1-B



L16 ANSWER 4 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:644412 CAPLUS

DOCUMENT NUMBER: 147:72807

TITLE: Preparation of N-(2-phenoxy pyridin-5-yl) benzamides and their analogs for treating cancer

INVENTOR(S): Matsuyama, Hironori; Ohnishi, Kenji; Nakagawa, Takashi; Takasu, Hideki; Sakamoto, Makoto; Higuchi, Kumi; Miyajima, Keisuke; Yamada, Satoshi; Motoyama, Masaaki; Kojima, Yutaka; Yasumura, Koichi; Kodama, Takeshi; Otsuji, Shun; Kan, Keizo; Sumida, Takumi

PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 1110pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

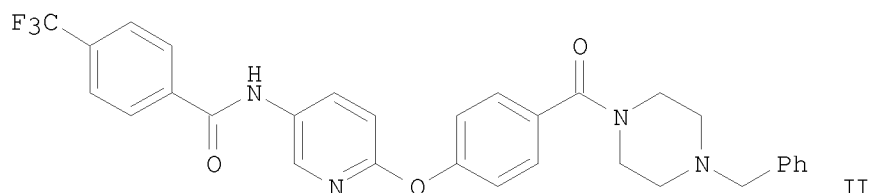
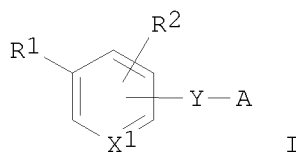
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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WO 2007066784	A2	20070614	WO 2006-JP324610	20061204
WO 2007066784	A3	20071025		
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RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
AU 2006323700	A1	20070614	AU 2006-323700	20061204
CA 2630468	A1	20070614	CA 2006-2630468	20061204
JP 2007182433	A	20070719	JP 2006-327612	20061204
EP 1957073	A2	20080820	EP 2006-834365	20061204
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
MX 200806849	A	20080611	MX 2008-6849	20080528
KR 2008070054	A	20080729	KR 2008-713451	20080604
PRIORITY APPLN. INFO.:			JP 2005-351255	A 20051205
			WO 2006-JP24610	W 20061204
			WO 2006-JP324610	W 20061204

OTHER SOURCE(S): MARPAT 147:72807

GI



AB The title compds. I [$X1 = N, CH$; $R1 = ZR6$ (wherein $Z = CO, CH(OH)$, etc.; $R6 = 5-15$ membered monocyclic, dicyclic, or tricyclic, saturated or unsatd. heterocyclic group having 1-4 N atoms, O atoms, or S atoms); $R2 = H$, halo or alkyl; $Y = O, CO, CH(OH)$, alkylene, etc.; $A = (un)substituted Ph, naphthyl$], useful as antitumor agents, were prepared and formulated. Thus, reacting 4-[5-(4-trifluoromethylbenzoylamino)pyridin-2-yloxy]benzoic acid with 1-benzylpiperazine afforded II. Compds. I were tested for anti-cancer effect on cancer cells (data given for representative compds. I).

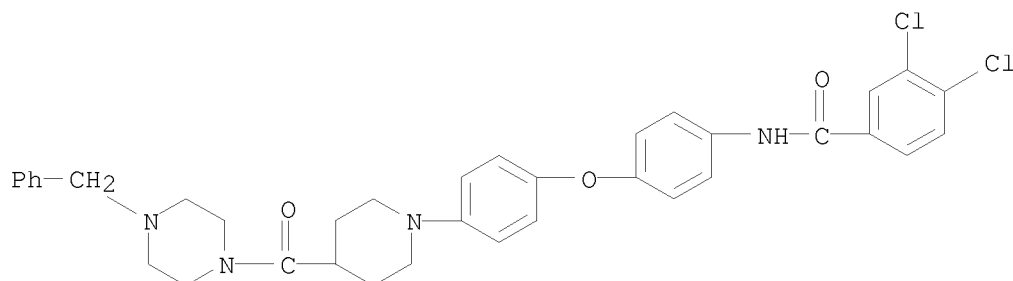
IT 875674-04-7P 875674-05-8P 875674-17-2P
 875674-18-3P 875680-56-1P 875680-57-2P
 875680-58-3P 875680-59-4P 875680-60-7P
 875680-61-8P 875680-62-9P 875680-63-0P
 875680-68-5P 875680-72-1P 875680-73-2P
 875694-98-7P 875699-36-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-(2-phenoxy-pyridin-5-yl) benzamides for treating cancer)

RN 875674-04-7 CAPLUS

CN Benzamide, 3,4-dichloro-N-[4-[4-[4-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]phenyl]- (CA INDEX NAME)



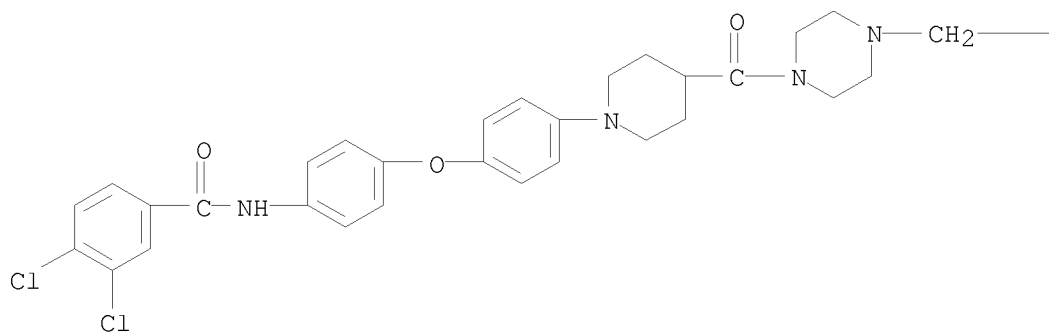
RN 875674-05-8 CAPLUS

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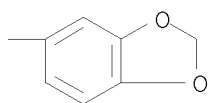
10/553,803

piperazinyl]carbonyl]-1-piperidinyl]phenoxy]phenyl]-3,4-dichloro- (CA
INDEX NAME)

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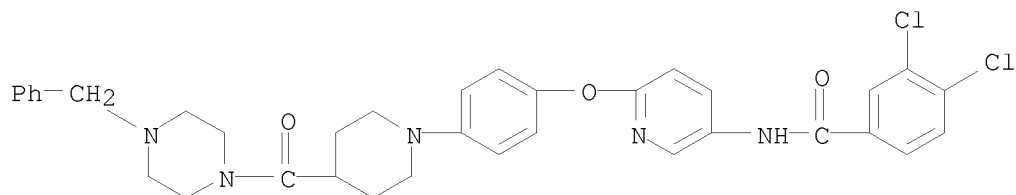


PAGE 1-B



RN 875674-17-2 CAPLUS

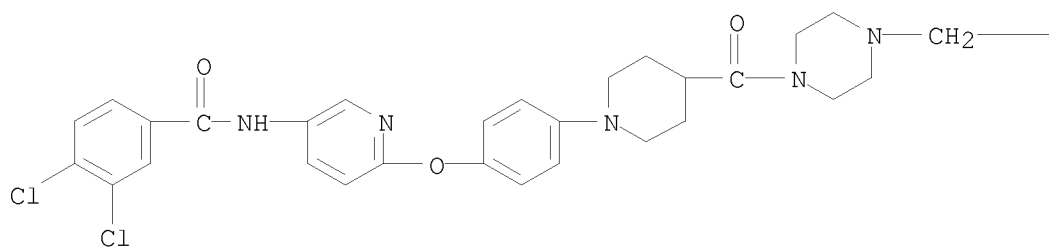
CN Benzamide, 3,4-dichloro-N-[6-[4-[4-[[4-(phenylmethyl)-1-
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NAME)



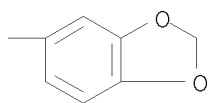
RN 875674-18-3 CAPLUS

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(CA INDEX NAME)

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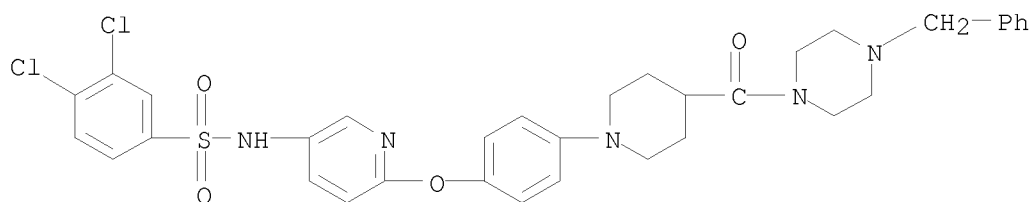


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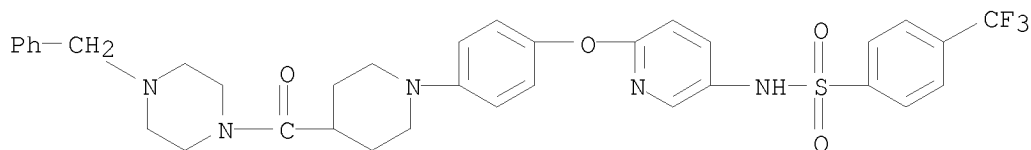
RN 875680-56-1 CAPLUS

CN Benzenesulfonamide, 3,4-dichloro-N-[6-[4-[4-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-3-pyridinyl]- (CA INDEX NAME)



RN 875680-57-2 CAPLUS

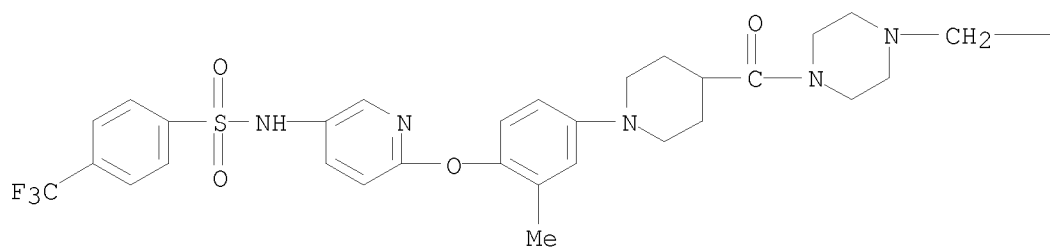
CN Benzenesulfonamide, N-[6-[4-[4-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-3-pyridinyl]-4-(trifluoromethyl)- (CA INDEX NAME)



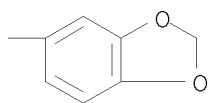
RN 875680-58-3 CAPLUS

CN Benzenesulfonamide, N-[6-[4-[4-[[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-2-methylphenoxy]-3-pyridinyl]-4-(trifluoromethyl)- (CA INDEX NAME)

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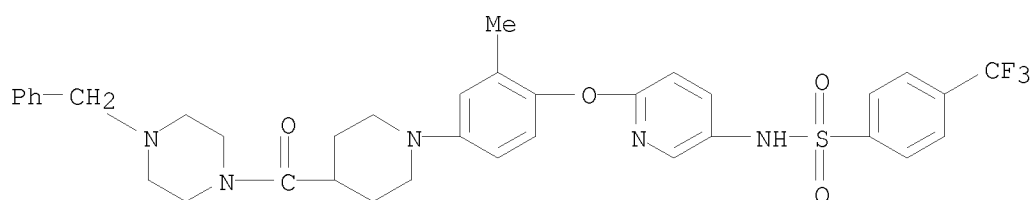


PAGE 1-B



RN 875680-59-4 CAPLUS

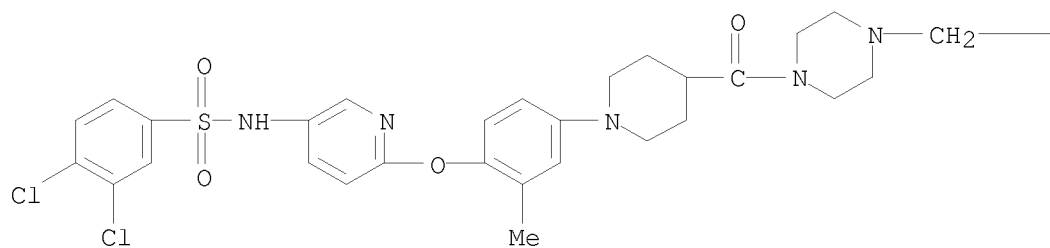
CN Benzenesulfonamide, N-[6-[2-methyl-4-[4-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-3-pyridinyl]-4-(trifluoromethyl)- (CA INDEX NAME)

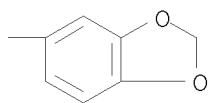


RN 875680-60-7 CAPLUS

CN Benzenesulfonamide, N-[6-[4-[4-[[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-2-methylphenoxy]-3-pyridinyl]-3,4-dichloro- (CA INDEX NAME)

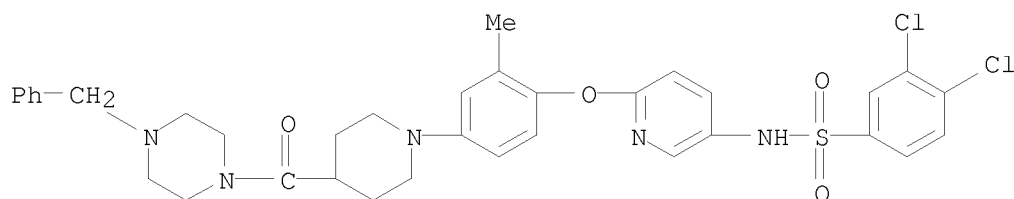
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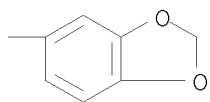
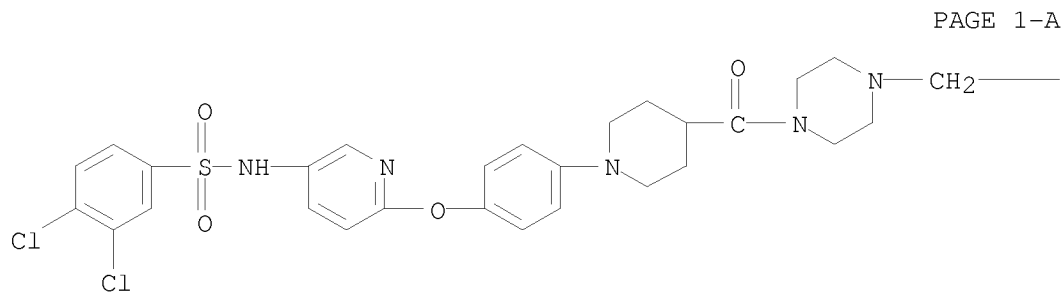
RN 875680-61-8 CAPLUS

CN Benzenesulfonamide, 3,4-dichloro-N-[6-[2-methyl-4-[4-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-3-pyridinyl]- (CA INDEX NAME)



RN 875680-62-9 CAPLUS

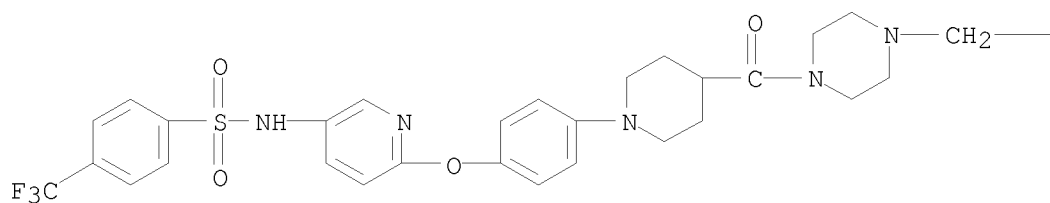
CN Benzenesulfonamide, N-[6-[4-[4-[[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-3-pyridinyl]-3,4-dichloro- (CA INDEX NAME)



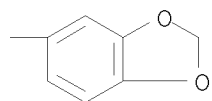
RN 875680-63-0 CAPLUS

CN Benzenesulfonamide, N-[6-[4-[4-[[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-3-pyridinyl]-4-(trifluoromethyl)- (CA INDEX NAME)

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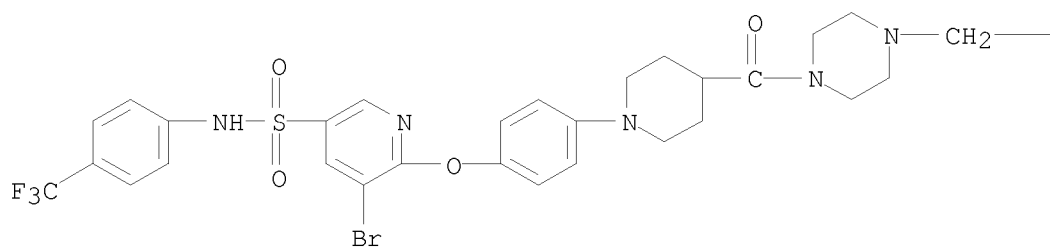
PAGE 1-B



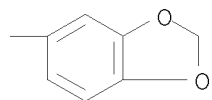
RN 875680-68-5 CAPLUS

CN 3-Pyridinesulfonamide, 6-[4-[4-[[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-5-bromo-N-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

PAGE 1-A

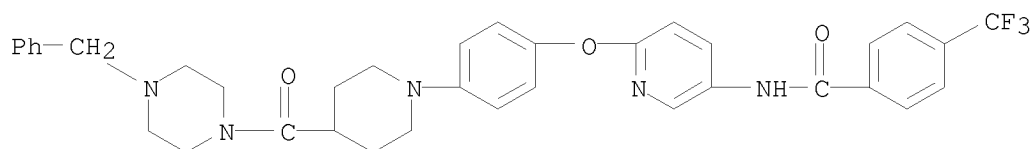


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RN 875680-72-1 CAPLUS

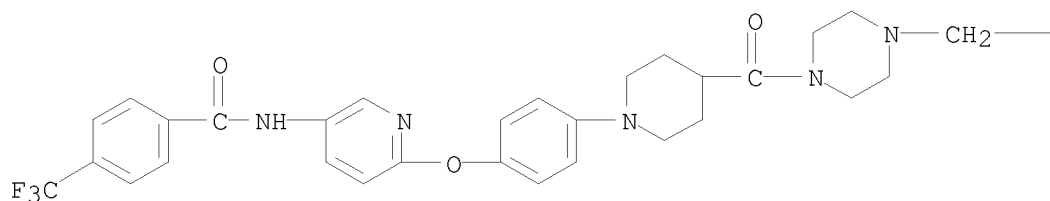
CN Benzamide, N-[6-[4-[4-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-3-pyridinyl]-4-(trifluoromethyl)- (CA INDEX NAME)



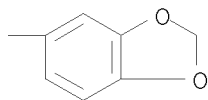
RN 875680-73-2 CAPLUS

CN Benamide, N-[6-[4-[4-[[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-3-pyridinyl]-4-(trifluoromethyl)- (CA INDEX NAME)

PAGE 1-A

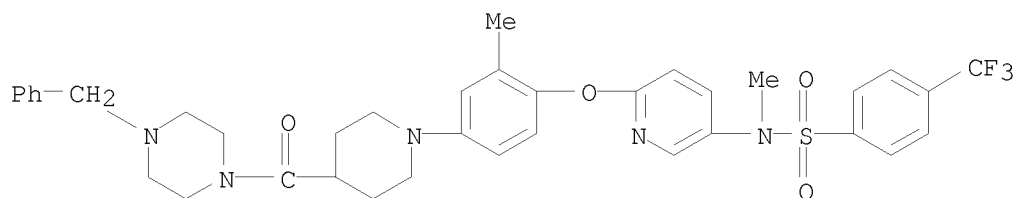


PAGE 1-B



RN 875694-98-7 CAPLUS

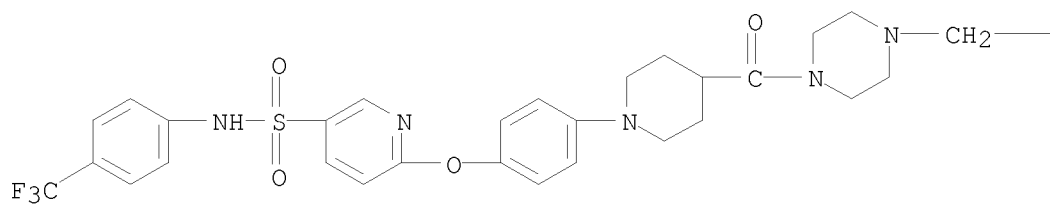
CN Benzenesulfonamide, N-methyl-N-[6-[2-methyl-4-[4-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-3-pyridinyl]-4-(trifluoromethyl)- (CA INDEX NAME)



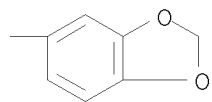
RN 875699-36-8 CAPLUS

CN 3-Pyridinesulfonamide, 6-[4-[4-[[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-N-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

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10/553,803



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L16 ANSWER 5 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:61837 CAPLUS
 DOCUMENT NUMBER: 146:156236
 TITLE: Cellular cholesterol absorption modifiers, and their therapeutic use
 INVENTOR(S): Gardiner, Elisabeth M.; Duron, Sergio G.; Massari, Mark E.; Severance, Daniel L.; Semple, Joseph E.
 PATENT ASSIGNEE(S): Kalypsys, Inc., USA
 SOURCE: PCT Int. Appl., 300pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007008541	A2	20070118	WO 2006-US26242	20060705
WO 2007008541	A3	20070726		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			

PRIORITY APPLN. INFO.:
 US 2005-697659P P 20050708
 US 2005-697686P P 20050708
 US 2005-697814P P 20050708
 US 2005-727646P P 20051017
 US 2006-782303P P 20060313

OTHER SOURCE(S): MARPAT 146:156236

AB The invention discloses compds. and methods useful as inhibitors of cholesterol absorption for the treatment or prevention of vascular disease and atherosclerosis.

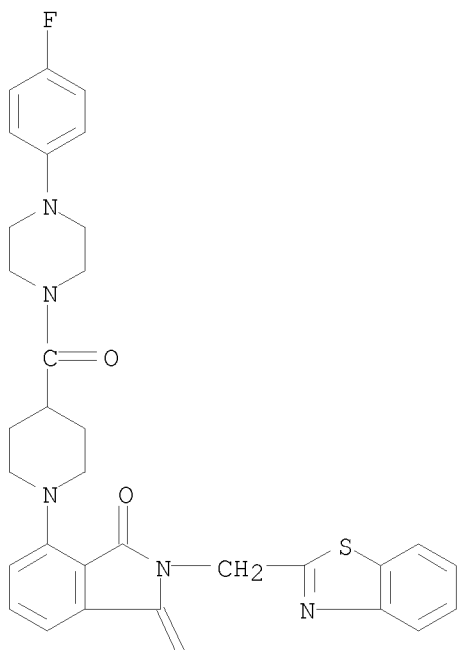
IT 920529-13-1

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (cholesterol absorption modifiers and therapeutic use)

RN 920529-13-1 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-(2-benzothiazolylmethyl)-4-[4-[[4-(4-fluorophenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)

PAGE 1-A



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L16 ANSWER 6 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:61157 CAPLUS

DOCUMENT NUMBER: 146:163140

TITLE: Heterocyclic sulfonamide derivatives as inhibitors of Factor Xa, their preparation, pharmaceutical compositions, and use in therapy

INVENTOR(S): Alstermark, Christer; Amin, Kosrat; Andersson, Kjell; Fahlander, Ulf; Granberg, Kenneth; Hovdal, Daniel

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 85pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007008146	A1	20070118	WO 2006-SE840	20060705
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
IN 2008DN00079	A	20080704	IN 2008-DN79	20080103
US 20080200431	A1	20080821	US 2008-994844	20080107
PRIORITY APPLN. INFO.:			SE 2005-1621	A 20050708
			WO 2006-SE840	W 20060705
OTHER SOURCE(S):			CASREACT 146:163140; MARPAT 146:163140	
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

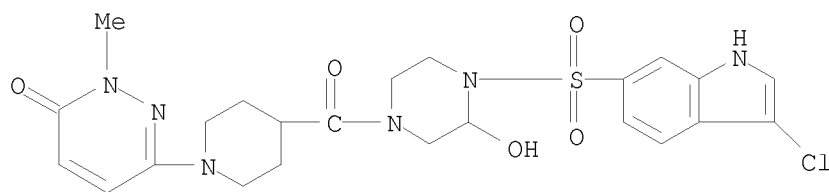
AB The invention relates to heterocyclic sulfonamides of formula I, which are inhibitors of Factor Xa. In compds. I, W, X, Y, and Z are independently selected from carbon and nitrogen, where at least one of W, X, Y, and Z is nitrogen and the bond between X and Y is a single bond or a double bond; n is 0-3; each R1 is independently H, halo, C1-3 alkyl, oxo, oxy, oxido, or thioxo; R2 is H or oxo; AB is CH2CH2 or CH=CH; m is 0-3; each R3 is independently selected from H, OH, oxo, C1-5 alkyl, carboxy, cyano, tetrazolyl, oxazolyl, C1-5 hydroxyalkyl, etc.; and R4 is H, halo, Me, or amino. The invention also relates to the preparation of compds. I, pharmaceutical compns. comprising a compound I and a pharmaceutically acceptable diluent or carrier, as well as to their use as antithrombotic or anticoagulant agents. Substitution of 6-chloro-2-methyl-2H-pyridazin-3-one with piperidine-4-carboxylic acid gave acid II, which was amidated with N-Boc-N'-allylethylenediamine and

deprotected to give amine III. Sulfonylation of III with 1-benzenesulfonyl-3-chloro-1H-indole-6-sulfonyl chloride followed by deprotection, oxidation, and heterocyclization resulted in the formation of IV. The compds. of the invention are inhibitors of Factor Xa, e.g., compound IV expressed an IC₅₀ value of 4.8 nM in an anticoagulant activity assay.

IT 919536-14-4P, 6-[4-[[4-((3-Chloro-1H-indol-6-yl)sulfonyl)-3-hydroxypiperazin-1-yl]carbonyl]piperidin-1-yl]-2-methyl-2H-pyridazin-3-one
 919536-42-8P, 6-[4-[[4-((1H-Indol-6-yl)sulfonyl)piperazin-1-yl]carbonyl]piperidin-1-yl]-2-methyl-2H-pyridazin-3-one
 919536-56-4P, 4-[[4-((1H-Indol-6-yl)sulfonyl)piperazin-1-yl]carbonyl]-3,4,5,6-tetrahydro-2H-[1,4']bipyridine
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (drug candidate; preparation of heterocyclic sulfonamide derivs. as inhibitors of Factor Xa)

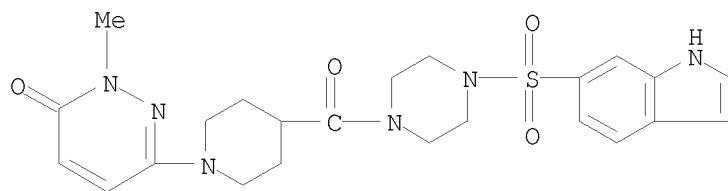
RN 919536-14-4 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-[[4-[(3-chloro-1H-indol-6-yl)sulfonyl]-3-hydroxy-1-piperazinyl]carbonyl]-1-piperidinyl]-2-methyl- (CA INDEX NAME)



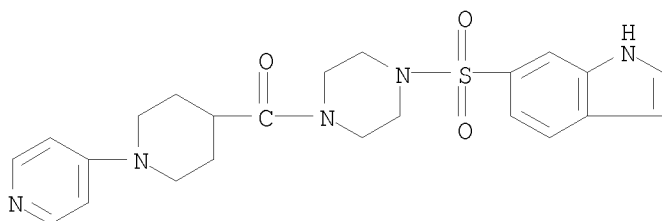
RN 919536-42-8 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-[[4-(1H-indol-6-ylsulfonyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-2-methyl- (CA INDEX NAME)



RN 919536-56-4 CAPLUS

CN Methanone, [4-(1H-indol-6-ylsulfonyl)-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)

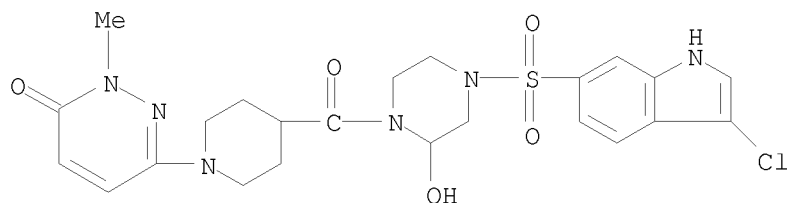


IT 919536-20-2P, 6-[4-[[4-((3-Chloro-1H-indol-6-yl)sulfonyl)-2-hydroxypiperazin-1-yl]carbonyl]piperidin-1-yl]-2-methyl-2H-pyridazin-3-one
 919536-34-8P, 4-[[4-((3-Chloro-1H-indol-6-yl)sulfonyl)piperazin-1-yl]carbonyl]-5'-methyl-3,4,5,6-tetrahydro-2H,1'H-[1,3']bipyridinyl-6'-one
 919536-38-2P, 5-[4-[4-((3-Chloro-1H-indol-6-yl)sulfonyl)piperazin-1-yl]carbonyl]piperidin-1-yl]-3-methyl-1H-pyrazin-2-one
 919536-41-7P, 6-[4-[[4-((3-Chloro-1H-indol-6-yl)sulfonyl)piperazin-1-yl]carbonyl]piperidin-1-yl]-2-methyl-2H-pyridazin-3-one
 919536-47-3P, 6-[4-[[4-((3-Chloro-1H-indol-6-yl)sulfonyl)piperazin-1-yl]carbonyl]piperidin-1-yl]-2H-pyridazin-3-one 919536-51-9P,
 4-[[4-((3-Chloro-1H-indol-6-yl)sulfonyl)piperazin-1-yl]carbonyl]-1'-oxido-3,4,5,6-tetrahydro-2H-[1,4']bipyridine 919536-53-1P,
 4-[[4-((3-Chloro-1H-indol-6-yl)sulfonyl)piperazin-1-yl]carbonyl]-2'-methyl-3,4,5,6-tetrahydro-2H-[1,4']bipyridine 919536-54-2P,
 4-[[4-((3-Chloro-1H-indol-6-yl)sulfonyl)piperazin-1-yl]carbonyl]-3'-chloro-3,4,5,6-tetrahydro-2H-[1,4']bipyridine 919536-55-3P,
 4-[[4-((3-Chloro-1H-indol-6-yl)sulfonyl)piperazin-1-yl]carbonyl]-3,4,5,6-tetrahydro-2H-[1,4']bipyridine
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of heterocyclic sulfonamide derivs. as inhibitors of Factor Xa)

RN 919536-20-2 CAPLUS

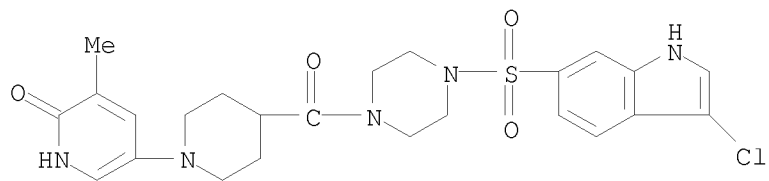
CN 3(2H)-Pyridazinone, 6-[4-[[4-[(3-chloro-1H-indol-6-yl)sulfonyl]-2-hydroxy-1-piperazinyl]carbonyl]-1-piperidinyl]-2-methyl- (CA INDEX NAME)



RN 919536-34-8 CAPLUS

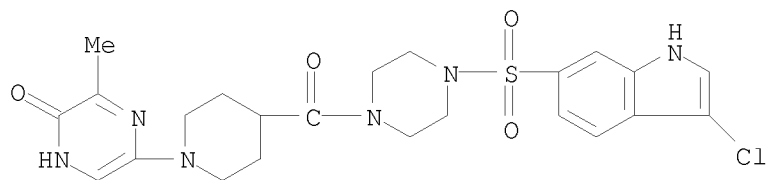
CN 2(1H)-Pyridinone, 5-[4-[[4-[(3-chloro-1H-indol-6-yl)sulfonyl]-1-piperazinyl]carbonyl]-1-piperidinyl]-3-methyl- (CA INDEX NAME)

10/553,803



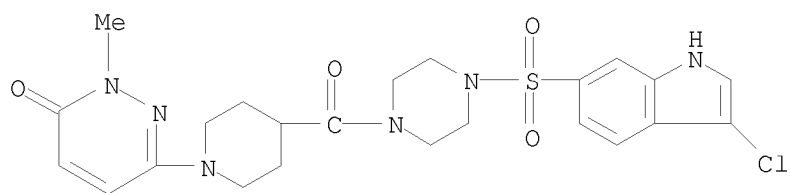
RN 919536-38-2 CAPLUS

CN 2(1H)-Pyrazinone, 5-[4-[[4-[(3-chloro-1H-indol-6-yl)sulfonyl]-1-piperazinyl]carbonyl]-1-piperidinyl]-3-methyl- (CA INDEX NAME)



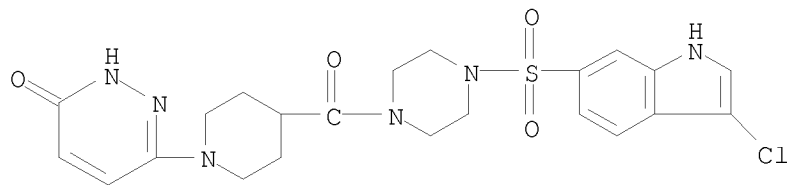
RN 919536-41-7 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-[[4-[(3-chloro-1H-indol-6-yl)sulfonyl]-1-piperazinyl]carbonyl]-1-piperidinyl]-2-methyl- (CA INDEX NAME)



RN 919536-47-3 CAPLUS

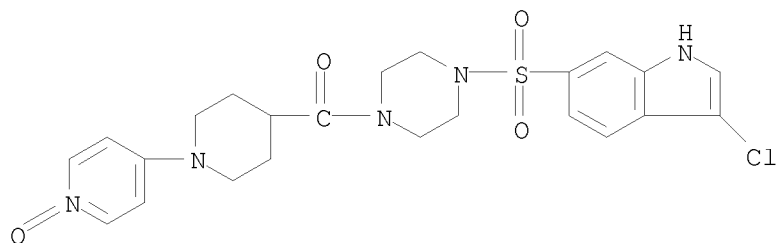
CN 3(2H)-Pyridazinone, 6-[4-[[4-[(3-chloro-1H-indol-6-yl)sulfonyl]-1-piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)



RN 919536-51-9 CAPLUS

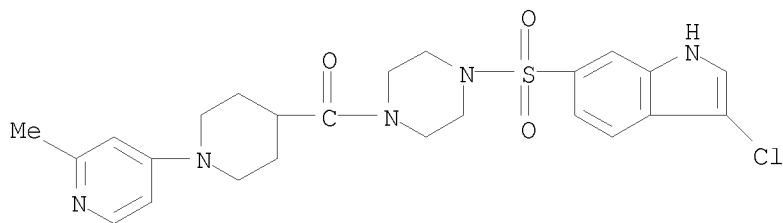
CN Methanone, [4-[(3-chloro-1H-indol-6-yl)sulfonyl]-1-piperazinyl][1-(1-oxido-4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)

10/553,803



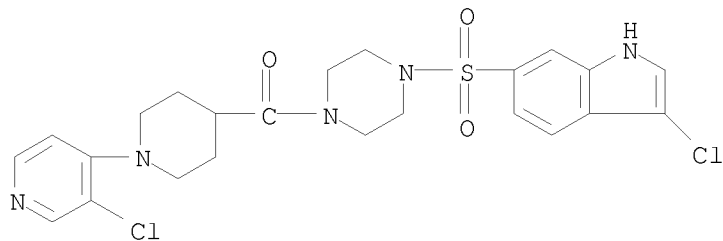
RN 919536-53-1 CAPLUS

CN Methanone, [4-[(3-chloro-1H-indol-6-yl)sulfonyl]-1-piperazinyl][1-(2-methyl-4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



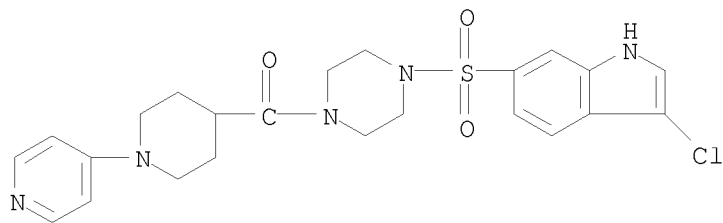
RN 919536-54-2 CAPLUS

CN Methanone, [4-[(3-chloro-1H-indol-6-yl)sulfonyl]-1-piperazinyl][1-(3-chloro-4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



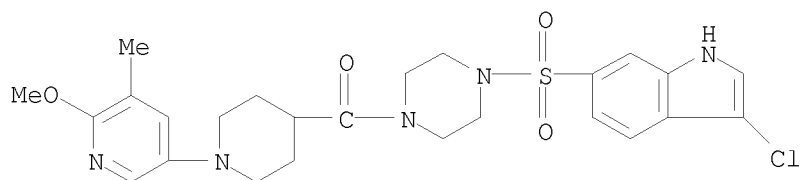
RN 919536-55-3 CAPLUS

CN Methanone, [4-[(3-chloro-1H-indol-6-yl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



10/553,803

IT 919536-37-1P, 4-[[4-((3-Chloro-1H-indol-6-yl)sulfonyl)piperazin-1-yl]carbonyl]-6'-methoxy-5'-methyl-3,4,5,6-tetrahydro-2H-[1,3']bipyridine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of heterocyclic sulfonamide derivs. as inhibitors of Factor Xa)
RN 919536-37-1 CAPLUS
CN Methanone, [4-[(3-chloro-1H-indol-6-yl)sulfonyl]-1-piperazinyl][1-(6-methoxy-5-methyl-3-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



L16 ANSWER 7 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:58329 CAPLUS
 DOCUMENT NUMBER: 146:163137
 TITLE: Heterocyclic sulfonamide derivatives as inhibitors of
 Factor Xa, their preparation, pharmaceutical
 compositions, and use in therapy
 INVENTOR(S): Alstermark, Christer; Amin, Kosrat; Andersson, Kjell;
 Chen, Yantao; Fahlander, Ulf; Foote, Kevin Michael;
 Granberg, Kenneth; Hovdal, Daniel
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
 SOURCE: PCT Int. Appl., 127pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007008143	A1	20070118	WO 2006-SE837	20060705
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
IN 2008DN00078	A	20080704	IN 2008-DN78	20080103
US 20080214495	A1	20080904	US 2008-994846	20080107
PRIORITY APPLN. INFO.:			SE 2005-1616	A 20050708
			WO 2006-SE837	W 20060705
OTHER SOURCE(S):	MARPAT 146:163137			
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

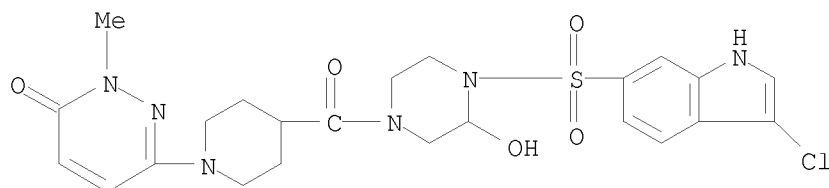
AB The invention relates to heterocyclic sulfonamides of formula I, which are inhibitors of Factor Xa. In compds. I, X is O or S; Y and Z are independently selected from carbon and nitrogen; n is 0, 1, or 2; each R1 is independently H or C1-3 alkyl; A and B are each selected from carbon and nitrogen, where at least one of A and B is nitrogen; R2 is H or oxo; L1 is an aliphatic, partially saturated, or aromatic carbocyclic ring containing 0, 1, or 2 nitrogen atoms; m is 0, 1, or 2; each R4 is independently selected from H, OH, oxo, C1-5 alkyl, carboxy, hydroxy-C1-5 alkyl, carboxy-C1-5 alkyl, carbamoyl, C1-5 alkylcarbamoyl, etc.; L2 is a bond, C1-4 alkylene, or C2-6 alkenylene; and R3 is optionally halo-substituted aryl ring containing 0, 1, or 2 heteroatoms. The invention also relates to the preparation of compds. I, pharmaceutical compns. comprising a compound I and a

pharmaceutically acceptable diluent or carrier, as well as to their use as antithrombotic or anticoagulant agents. Substitution of 6-chloro-2-methyl-2H-pyridazin-3-one with piperidine-4-carboxylic acid gave acid II, which was amidated with N-Boc-N'-allylethylenediamine and deprotected to give amine III. Sulfonylation of III with 1-benzenesulfonyl-3-chloro-1H-indole-6-sulfonyl chloride followed by deprotection, oxidation, and heterocyclization resulted in the formation of IV. The compds. of the invention are inhibitors of Factor Xa, e.g., compound IV expressed an IC50 value of 4.8 nM in an anticoagulant activity assay.

IT 919536-14-4P, 6-[4-[[4-(((3-Chloro-1H-indol-6-yl)sulfonyl))-3-hydroxypiperazin-1-yl]carbonyl]piperidin-1-yl]-2-methyl-2H-pyridazin-3-one
 919536-42-8P, 6-[4-[[4-(((1H-Indol-6-yl)sulfonyl))piperazin-1-yl]carbonyl]piperidin-1-yl]-2-methyl-2H-pyridazin-3-one
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (drug candidate; preparation of heterocyclic sulfonamide derivs. as inhibitors of Factor Xa)

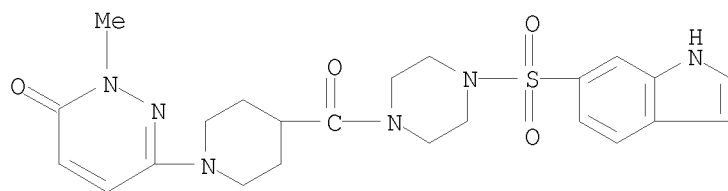
RN 919536-14-4 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-[[4-[(3-chloro-1H-indol-6-yl)sulfonyl]-3-hydroxy-1-piperazinyl]carbonyl]-1-piperidinyl]-2-methyl- (CA INDEX NAME)



RN 919536-42-8 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-[[4-(1H-indol-6-ylsulfonyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-2-methyl- (CA INDEX NAME)



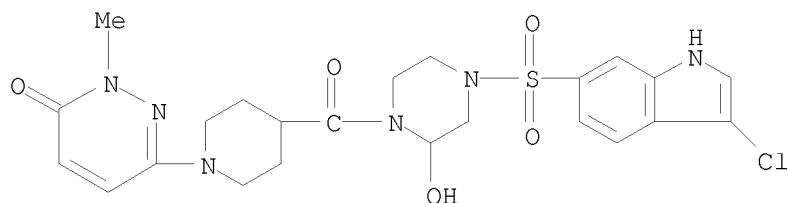
IT 919536-20-2P, 6-[4-[[4-(((3-Chloro-1H-indol-6-yl)sulfonyl))-2-hydroxypiperazin-1-yl]carbonyl]piperidin-1-yl]-2-methyl-2H-pyridazin-3-one
 919536-34-8P, 4-[[4-(((3-Chloro-1H-indol-6-yl)sulfonyl))piperazin-1-yl]carbonyl]-5'-methyl-3,4,5,6-tetrahydro-2H,1'H-[1,3']bipyridinyl-6'-one
 919536-38-2P, 5-[4-[[4-(((3-Chloro-1H-indol-6-yl)sulfonyl))piperazin-1-yl]carbonyl]piperidin-1-yl]-3-methyl-1H-pyrazin-2-one
 919536-41-7P, 6-[4-[[4-(((3-Chloro-1H-indol-6-yl)sulfonyl))piperazin-1-yl]carbonyl]piperidin-1-yl]-2-methyl-2H-pyridazin-3-one
 919536-47-3P, 6-[4-[[4-(((3-Chloro-1H-indol-6-yl)sulfonyl))piperazin-1-yl]carbonyl]piperidin-1-yl]-2H-pyridazin-3-one

919792-66-8P, 6-[4-[[4-[(E)-2-(5-Bromothien-2-yl)ethene]sulfonyl]piperazin-1-yl]carbonyl]piperidin-1-yl]-2H-pyridazin-3-one 919792-71-5P, 6-[4-[[4-[(E)-1-(5-Chlorothien-2-yl)prop-1-en-2-yl]sulfonyl]piperazin-1-yl]carbonyl]piperidin-1-yl]-2H-pyridazin-3-one 919793-05-8P, 6-[4-[[4-[(6-Chloronaphthalen-2-yl)sulfonyl]piperazin-1-yl]carbonyl]piperidin-1-yl]-2-methyl-2H-pyridazin-3-one 919793-07-0P, 6-[4-[[4-[(5-Chloro-1H-indol-2-yl)sulfonyl]piperazin-1-yl]carbonyl]piperidin-1-yl]-2-methyl-2H-pyridazin-3-one 919793-08-1P, 6-[4-[[4-[(E)-2-(5-Chlorothien-2-yl)ethene]sulfonyl]piperazin-1-yl]carbonyl]piperidin-1-yl]-2-methyl-2H-pyridazin-3-one 919793-10-5P, 6-[4-[[4-[(5-Chloro-1H-indol-2-yl)sulfonyl]-3-hydroxypiperazin-1-yl]carbonyl]piperidin-1-yl]-2-methyl-2H-pyridazin-3-one 919793-15-0P, 6-[4-[[4-[(5-Chloro-1H-indol-2-yl)sulfonyl]piperazin-1-yl]carbonyl]piperidin-1-yl]pyridazin-3(2H)-one
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of heterocyclic sulfonamide derivs. as inhibitors of Factor Xa)

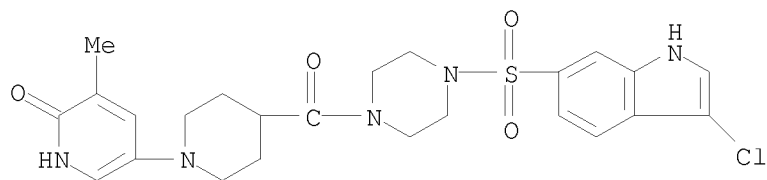
RN 919536-20-2 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-[[4-[(3-chloro-1H-indol-6-yl)sulfonyl]-2-hydroxy-1-piperazinyl]carbonyl]-1-piperidinyl]-2-methyl- (CA INDEX NAME)



RN 919536-34-8 CAPLUS

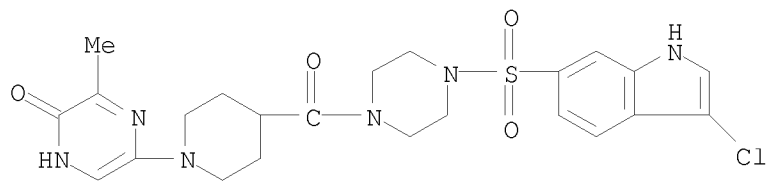
CN 2(1H)-Pyridinone, 5-[4-[[4-[(3-chloro-1H-indol-6-yl)sulfonyl]-1-piperazinyl]carbonyl]-1-piperidinyl]-3-methyl- (CA INDEX NAME)



RN 919536-38-2 CAPLUS

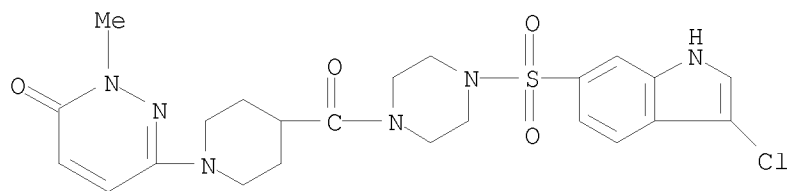
CN 2(1H)-Pyrazinone, 5-[4-[[4-[(3-chloro-1H-indol-6-yl)sulfonyl]-1-piperazinyl]carbonyl]-1-piperidinyl]-3-methyl- (CA INDEX NAME)

10/553,803



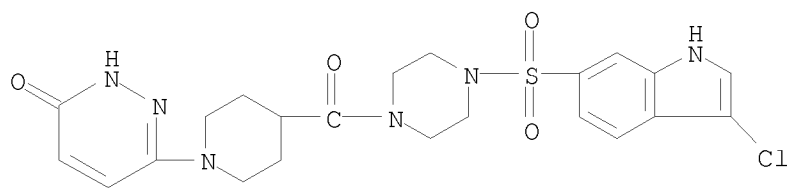
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CN 3(2H)-Pyridazinone, 6-[4-[[4-[(3-chloro-1H-indol-6-yl)sulfonyl]-1-piperazinyl]carbonyl]-1-piperidinyl]-2-methyl- (CA INDEX NAME)



RN 919536-47-3 CAPLUS

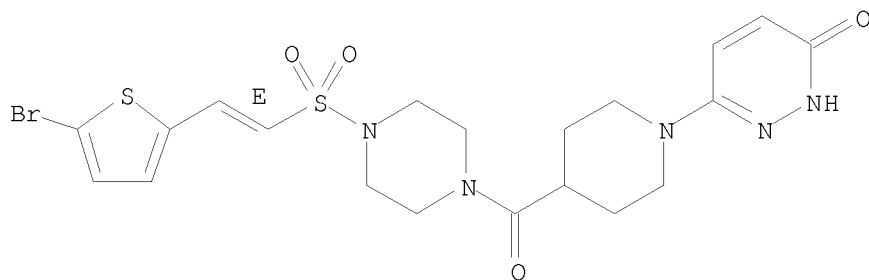
CN 3(2H)-Pyridazinone, 6-[4-[[4-[(3-chloro-1H-indol-6-yl)sulfonyl]-1-piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)



RN 919792-66-8 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-[[4-[[[(1E)-2-(5-bromo-2-thienyl)ethenyl]sulfonyl]-1-piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)

Double bond geometry as shown.



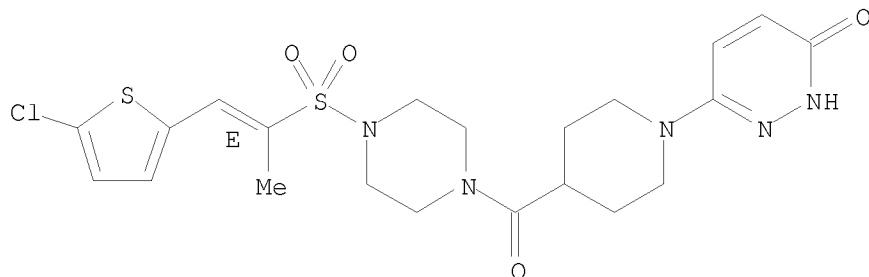
RN 919792-71-5 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-[[4-[[[(1E)-2-(5-chloro-2-thienyl)-1-

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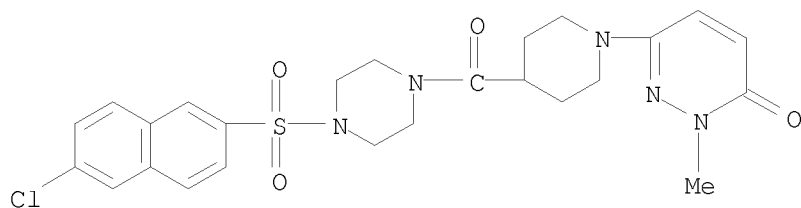
methylethenyl]sulfonyl]-1-piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)

Double bond geometry as shown.



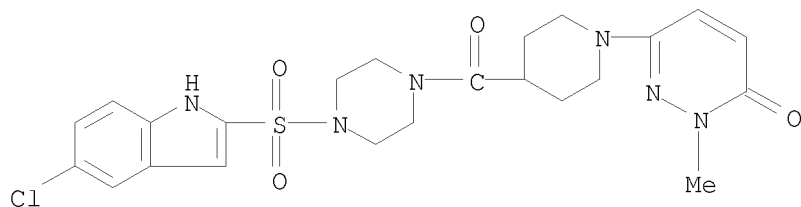
RN 919793-05-8 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-1-piperidinyl]-2-methyl- (CA INDEX NAME)



RN 919793-07-0 CAPLUS

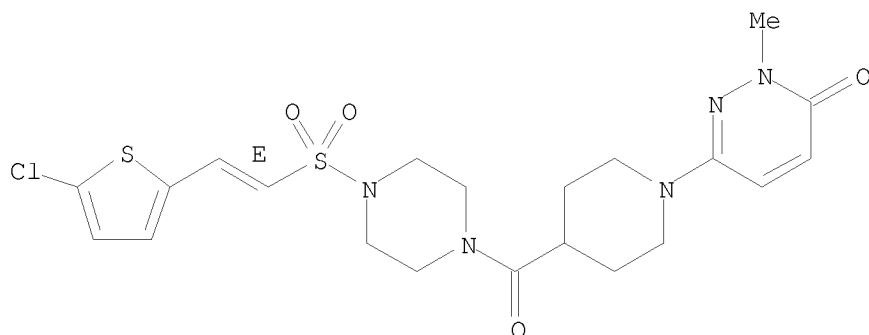
CN 3(2H)-Pyridazinone, 6-[4-[[4-[(5-chloro-1H-indol-2-yl)sulfonyl]-1-piperazinyl]carbonyl]-1-piperidinyl]-2-methyl- (CA INDEX NAME)



RN 919793-08-1 CAPLUS

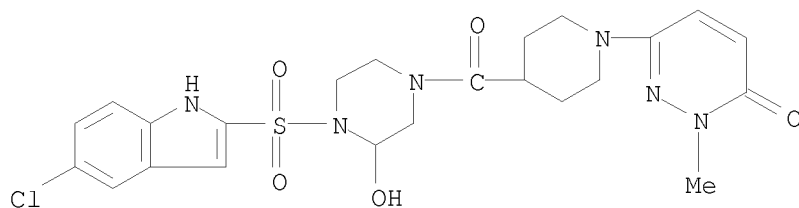
CN 3(2H)-Pyridazinone, 6-[4-[[4-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]-1-piperazinyl]carbonyl]-1-piperidinyl]-2-methyl- (CA INDEX NAME)

Double bond geometry as shown.



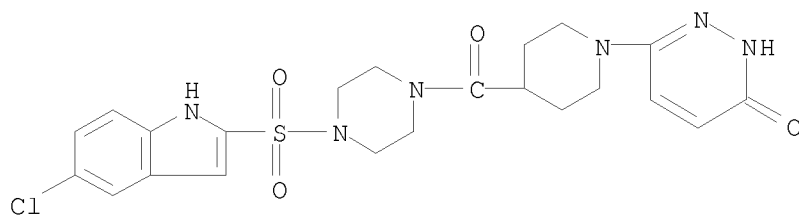
RN 919793-10-5 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-[[4-[(5-chloro-1H-indol-2-yl)sulfonyl]-3-hydroxy-1-piperazinyl]carbonyl]-1-piperidinyl]-2-methyl- (CA INDEX NAME)



RN 919793-15-0 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-[[4-[(5-chloro-1H-indol-2-yl)sulfonyl]-1-piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)



IT 919536-37-1P, 4-[[4-((3-Chloro-1H-indol-6-yl)sulfonyl)piperazin-1-yl]carbonyl]-6'-methoxy-5'-methyl-3,4,5,6-tetrahydro-2H-[1,3']bipyridine
 919792-76-0P, 4-[[1-(6-Oxo-1,6-dihydropyridazin-3-yl)piperidin-4-yl]carbonyl]piperazine-1-carboxylic acid tert-butyl ester

919793-13-8P, 6-[4-[[4-[[1-(Benzenesulfonyl)-5-chloro-1H-indol-2-yl]sulfonyl]-3-hydroxypiperazin-1-yl]carbonyl]piperidin-1-yl]-2-methyl-2H-pyridazin-3-one 919793-16-1P,
 5-Chloro-2-[[4-[[1-(6-chloropyridazin-3-yl)piperidin-4-yl]carbonyl]piperazin-1-yl]sulfonyl]-1H-indole

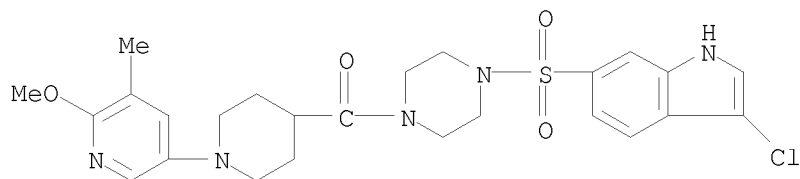
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of heterocyclic sulfonamide derivs. as inhibitors of Factor Xa)

10/553,803

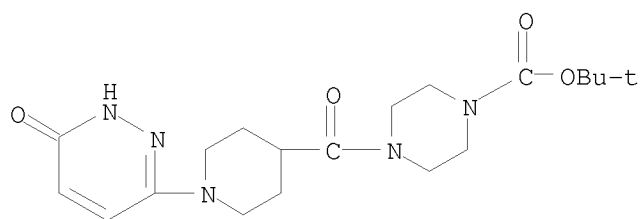
RN 919536-37-1 CAPLUS

CN Methanone, [4-[(3-chloro-1H-indol-6-yl)sulfonyl]-1-piperazinyl][1-(6-methoxy-5-methyl-3-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



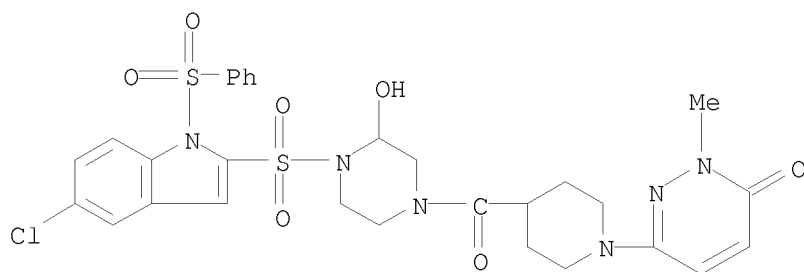
RN 919792-76-0 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[[1-(1,6-dihydro-6-oxo-3-pyridazinyl)-4-piperidinyl]carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 919793-13-8 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-[[4-[[5-chloro-1-(phenylsulfonyl)-1H-indol-2-yl]sulfonyl]-3-hydroxy-1-piperazinyl]carbonyl]-1-piperidinyl]-2-methyl- (CA INDEX NAME)

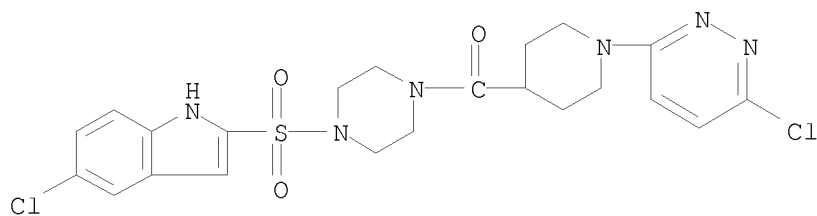


RN 919793-16-1 CAPLUS

CN Methanone, [4-[(5-chloro-1H-indol-2-yl)sulfonyl]-1-piperazinyl][1-(6-chloro-3-pyridazinyl)-4-piperidinyl]- (CA INDEX NAME)



10/553,803



REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 8 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:192756 CAPLUS

DOCUMENT NUMBER: 144:274288

TITLE: Preparation of pyrazolopyrimidine compounds as SK channel blockers

INVENTOR(S): Takamuro, Iwao; Sekine, Yasuo; Tsuboi, Yasunori; Noshiro, Hiroshi; Taniguchi, Hiroyuki

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 298 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

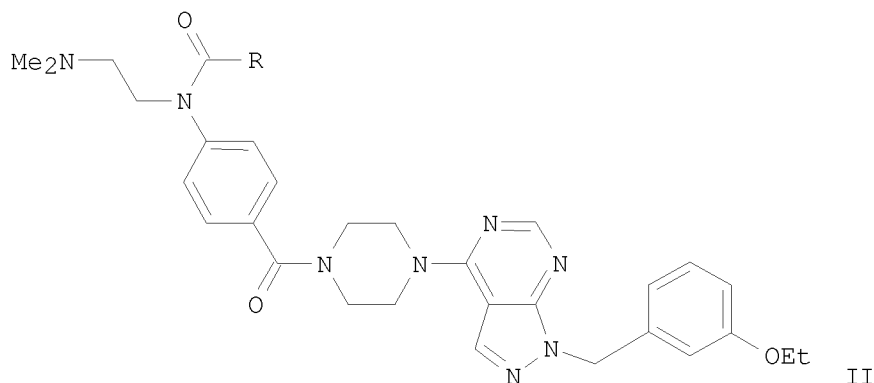
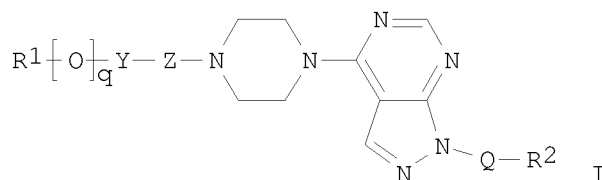
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2006056884	A	20060302	JP 2005-210978	20050721
PRIORITY APPLN. INFO.:			JP 2004-216519	A 20040723
OTHER SOURCE(S):	MARPAT 144:274288			

GI



AB Title compds. I [R1 = substituted aryl, (un)substituted aliphatic heteromonocycle containing N, substituted cycloalkyl, etc.; R2 = (un)substituted heteroaryl, (un)substituted aryl; Y = single bond, alkylene, alkenylene; Z = -CO-, -CH2-, -SO2-, etc.; Q = alkylene; q = 0, 1] were prepared For example, hydrolysis of 4-[N-(cyclopropylcarbonyl)-N-[2-(dimethylamino)ethyl]amino]benzoic acid Et ester, e.g., prepared from 4-fluorobenzoic acid Et ester in 3 steps, followed by EDCI mediated amidation with

1-(3-ethoxybenzyl)-4-piperazin-1-yl-1H-pyrazolo[3,4-d]pyrimidine·2HCl afforded compound II [R = cyclopropyl]. In 125I-apamin binding inhibition assays, IC50 value of compound II [R = methyl] hydrochloride was 0.06 μ M. Compds. I are claimed useful for the treatment of irritable bowel disease, Alzheimer type-dementia, etc.

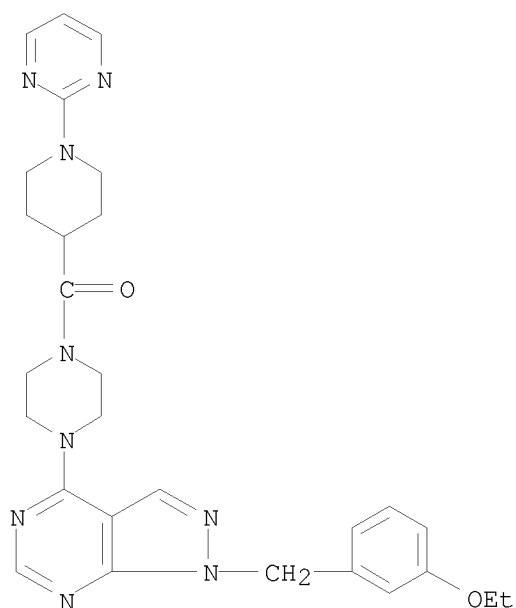
IT 733771-87-4P 733773-94-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidine compds. as SK channel blockers for treatment of irritable bowel disease, Alzheimer type-dementia, etc.)

RN 733771-87-4 CAPLUS

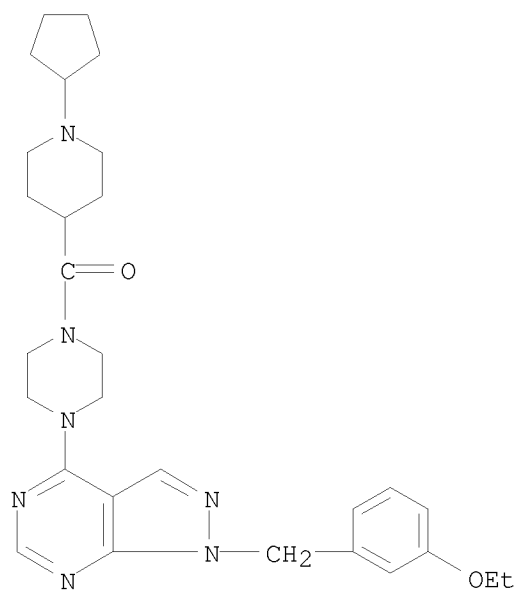
CN Methanone, [4-[1-[(3-ethoxyphenyl)methyl]-1H-pyrazolo[3,4-d]pyrimidin-4-yl]-1-piperazinyl][1-(2-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 733773-94-9 CAPLUS

CN Methanone, (1-cyclopentyl-4-piperidinyl)[4-[1-[(3-ethoxyphenyl)methyl]-1H-pyrazolo[3,4-d]pyrimidin-4-yl]-1-piperazinyl]- (CA INDEX NAME)

10/553,803



L16 ANSWER 9 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:117353 CAPLUS

DOCUMENT NUMBER: 144:212803

TITLE: Preparation of aromatic compounds such as
N-(2-phenoxy pyridin-5-yl) benzamides for treating
fibrosisINVENTOR(S): Fukushima, Tae; Matsumura, Shuji; Takemura, Noriaki;
Satou, Hideaki; Ito, Nobuaki; Shitsuta, Takuya;
Tsutsui, Hironori; Tanaka, Michinori; Kan, Keizo;
Nagao, Hitoshi; Watanabe, Kenji; Tai, Kuninori;
Nakagawa, Takashi; Takasu, Hideki; Sakamoto, Makoto;
Miyajima, Keisuke; Yamada, Satoshi; Kojima, Yutaka;
Yasumura, Koichi; Ohi, Naoto; Okuno, Mitsuhiro;
Sugiyama, Kazuhisa; Kiyono, Kunihiro; Suzuki, Takashi;
Akamatsu, Seiji; Kodama, Takeshi; Yanagihara, Yasuo;
Sumida, Takumi

PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 1055 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

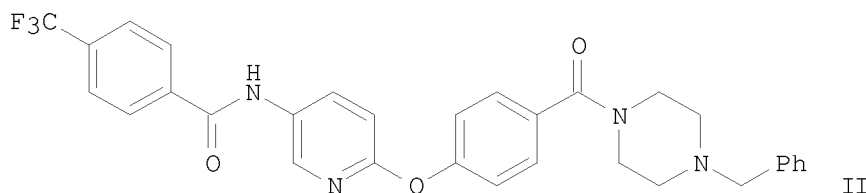
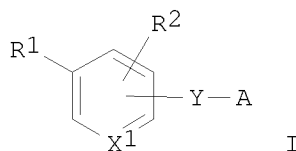
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006014012	A2	20060209	WO 2005-JP14611	20050803
WO 2006014012	A3	20061207		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2005268030	A1	20060209	AU 2005-268030	20050803
CA 2573223	A1	20060209	CA 2005-2573223	20050803
EP 1773797	A2	20070418	EP 2005-780290	20050803
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU			
CN 1993339	A	20070704	CN 2005-80026696	20050803
BR 2005014150	A	20071127	BR 2005-14150	20050803
JP 2006298893	A	20061102	JP 2005-229066	20050808
JP 4154613	B2	20080924		
IN 2007KN00107	A	20070629	IN 2007-KN107	20070109
MX 200701215	A	20070417	MX 2007-1215	20070130
KR 2007103351	A	20071023	KR 2007-702786	20070202
US 20070270422	A1	20071122	US 2007-659689	20070206
JP 2008133278	A	20080612	JP 2007-300664	20071120
PRIORITY APPLN. INFO.:			JP 2004-230092	A 20040806
			JP 2005-90149	A 20050325

WO 2005-JP14611
JP 2005-229066

W 20050803
A3 20050808

OTHER SOURCE(S): MARPAT 144:212803
GI



AB The title compds. I [X¹ = N, CH; R¹ = ZR⁶ (wherein Z = CO, CH(OH), etc.; R⁶ = 5-15 membered monocyclic, dicyclic, or tricyclic, saturated or unsatd. heterocyclic group having 1-4 N atoms, O atoms, or S atoms); R² = H, halo or alkyl; Y = O, CO, CH(OH), alkylene, etc.; A = (un)substituted Ph, naphthyl], which have an excellent effect of suppressing the generation of collagen and less side effects, with being excellent in terms of safety, were prepared and formulated. Thus, reacting 4-[5-(4-trifluoromethylbenzoylamino)pyridin-2-yloxy]benzoic acid with 1-benzylpiperazine afforded II. Collagen synthesis inhibitory activity was tested in LI90 cells, a human stellate cell line (data given for representative compds. I).

IT 875674-04-7P 875674-05-8P 875674-17-2P
875674-18-3P 875680-56-1P 875680-57-2P
875680-58-3P 875680-59-4P 875680-60-7P
875680-61-8P 875680-62-9P 875680-63-0P
875680-68-5P 875680-72-1P 875680-73-2P
875694-98-7P 875699-36-8P

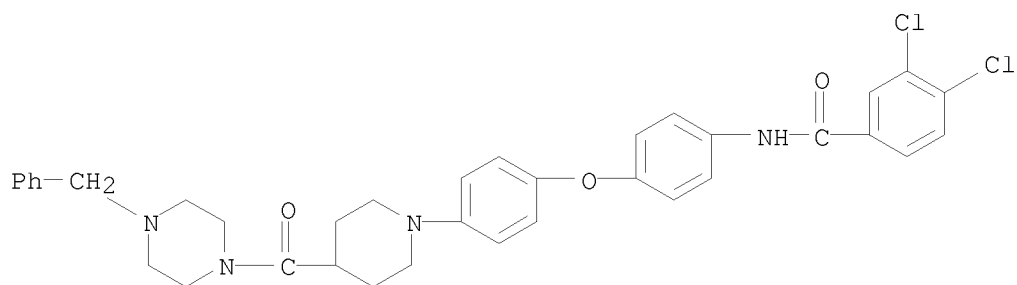
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-(2-phenoxy-pyridin-5-yl) benzamides for treating fibrosis)

RN 875674-04-7 CAPLUS

CN Benzamide, 3,4-dichloro-N-[4-[4-[4-[4-(phenylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]phenyl]- (CA INDEX NAME)

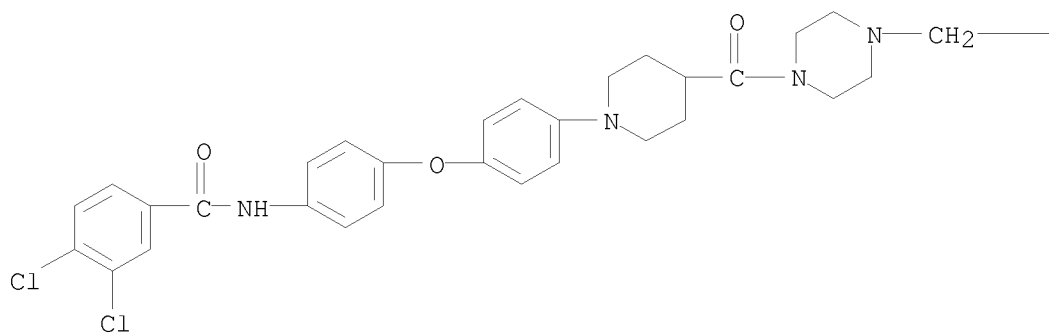
10/553,803



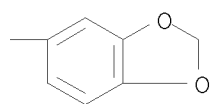
RN 875674-05-8 CAPLUS

CN Benzamide, N-[4-[4-[4-[[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]phenyl]-3,4-dichloro- (CA INDEX NAME)

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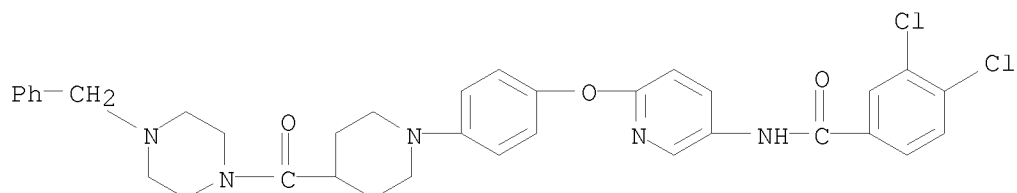


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RN 875674-17-2 CAPLUS

CN Benzamide, 3,4-dichloro-N-[6-[4-[4-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-3-pyridinyl]- (CA INDEX NAME)

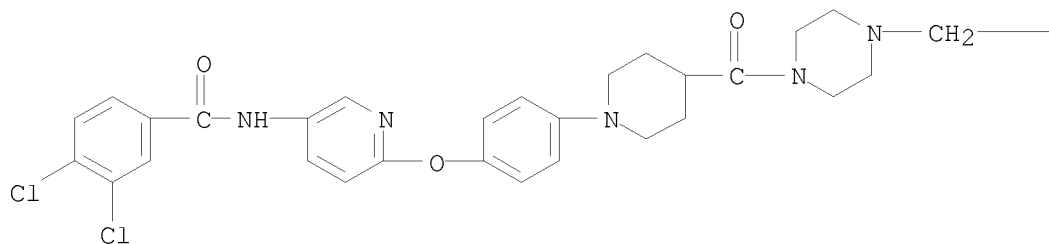


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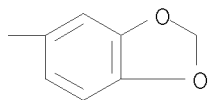
RN 875674-18-3 CAPLUS

CN Benzamide, N-[6-[4-[4-[[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-3-pyridinyl]-3,4-dichloro-
(CA INDEX NAME)

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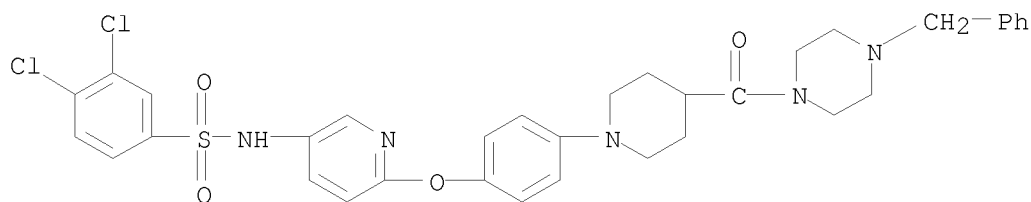


PAGE 1-B



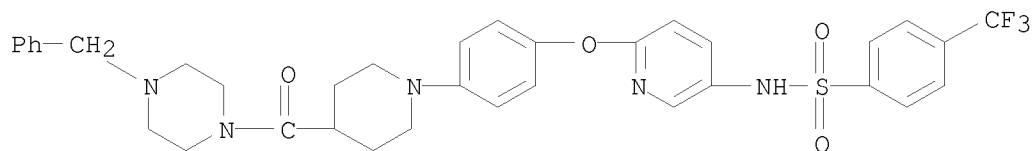
RN 875680-56-1 CAPLUS

CN Benzenesulfonamide, 3,4-dichloro-N-[6-[4-[4-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-3-pyridinyl]- (CA INDEX NAME)



RN 875680-57-2 CAPLUS

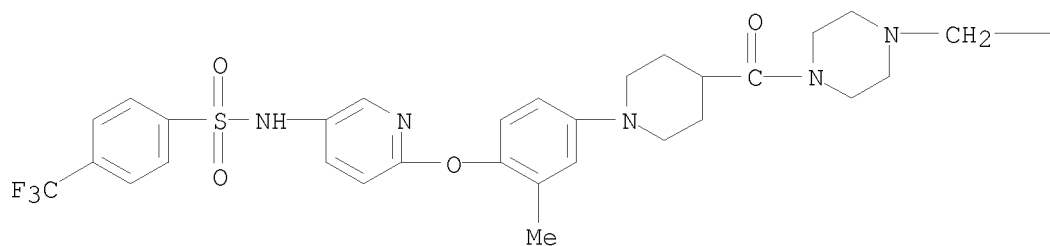
CN Benzenesulfonamide, N-[6-[4-[4-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-3-pyridinyl]-4-(trifluoromethyl)- (CA INDEX NAME)



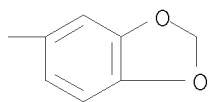
RN 875680-58-3 CAPLUS

CN Benzenesulfonamide, N-[6-[4-[4-[[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-2-methylphenoxy]-3-pyridinyl]-4-(trifluoromethyl)- (CA INDEX NAME)

PAGE 1-A

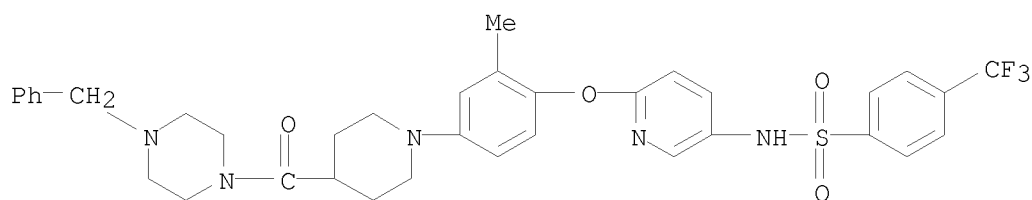


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RN 875680-59-4 CAPLUS

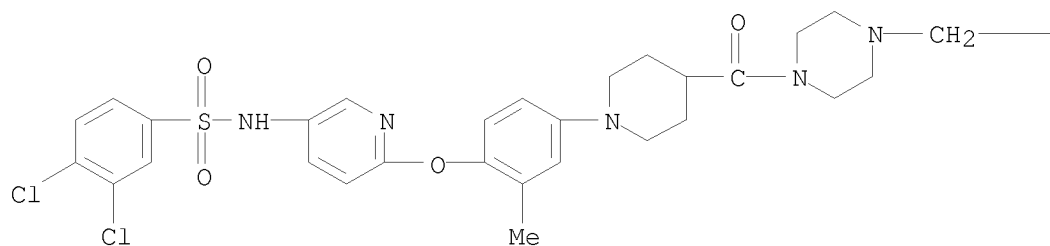
CN Benzenesulfonamide, N-[6-[2-methyl-4-[4-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-3-pyridinyl]-4-(trifluoromethyl)- (CA INDEX NAME)

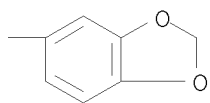


RN 875680-60-7 CAPLUS

CN Benzenesulfonamide, N-[6-[4-[4-[[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-2-methylphenoxy]-3-pyridinyl]-3,4-dichloro- (CA INDEX NAME)

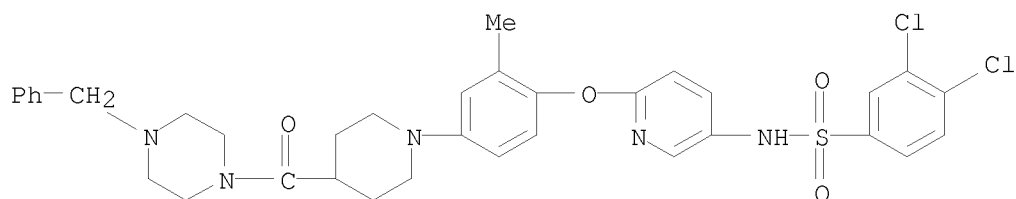
PAGE 1-A





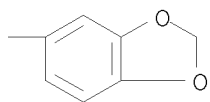
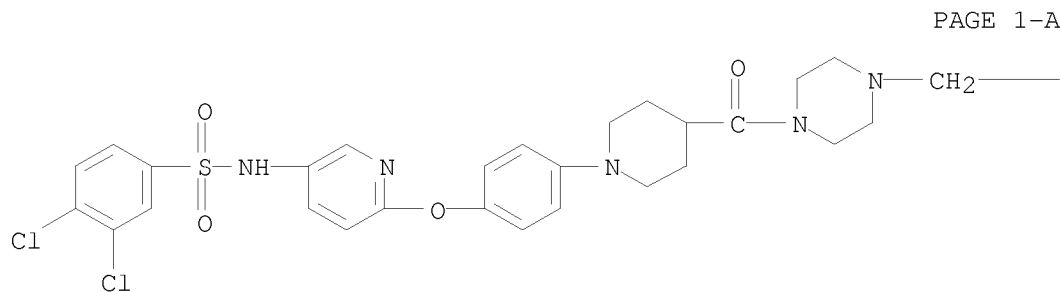
RN 875680-61-8 CAPLUS

CN Benzenesulfonamide, 3,4-dichloro-N-[6-[2-methyl-4-[4-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-3-pyridinyl]- (CA INDEX NAME)



RN 875680-62-9 CAPLUS

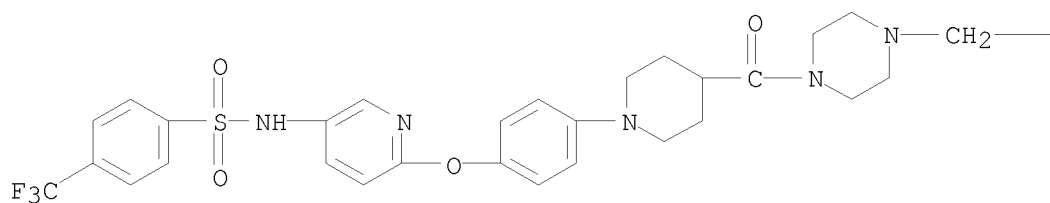
CN Benzenesulfonamide, N-[6-[4-[4-[[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-3-pyridinyl]-3,4-dichloro- (CA INDEX NAME)



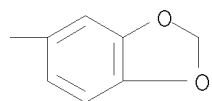
RN 875680-63-0 CAPLUS

CN Benzenesulfonamide, N-[6-[4-[4-[[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-3-pyridinyl]-4-(trifluoromethyl)- (CA INDEX NAME)

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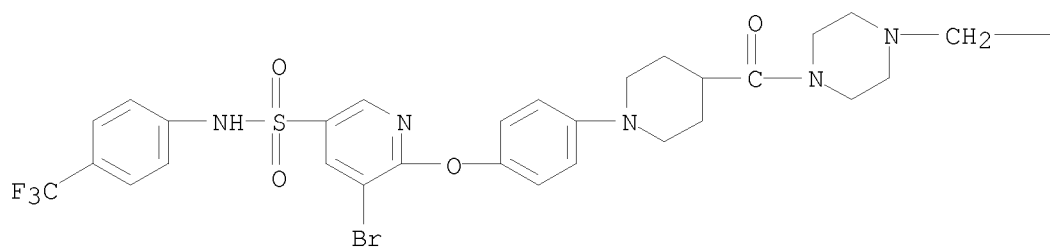
PAGE 1-B



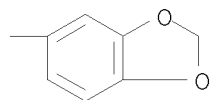
RN 875680-68-5 CAPLUS

CN 3-Pyridinesulfonamide, 6-[4-[4-[[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-5-bromo-N-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

PAGE 1-A

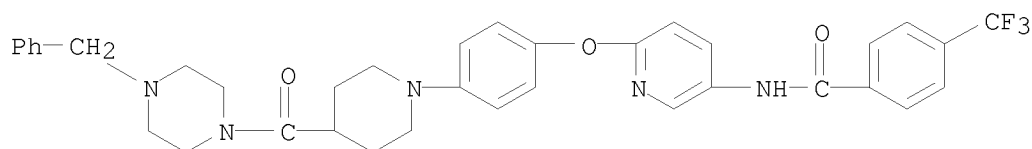


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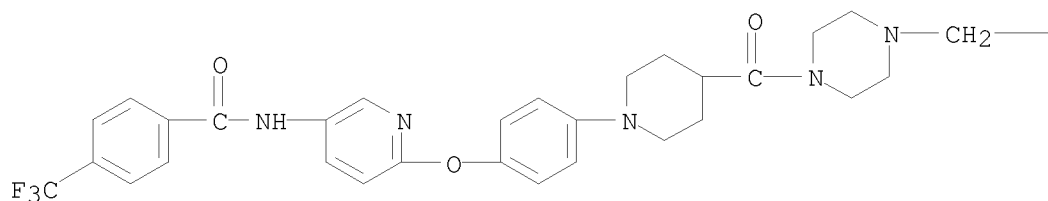
CN Benzamide, N-[6-[4-[4-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-3-pyridinyl]-4-(trifluoromethyl)- (CA INDEX NAME)



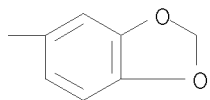
RN 875680-73-2 CAPLUS

CN Benamide, N-[6-[4-[4-[[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-3-pyridinyl]-4-(trifluoromethyl)- (CA INDEX NAME)

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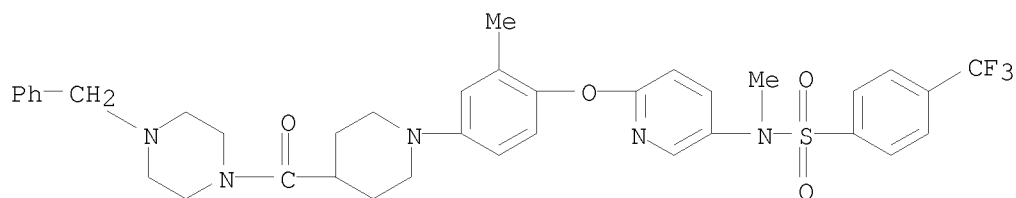


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RN 875694-98-7 CAPLUS

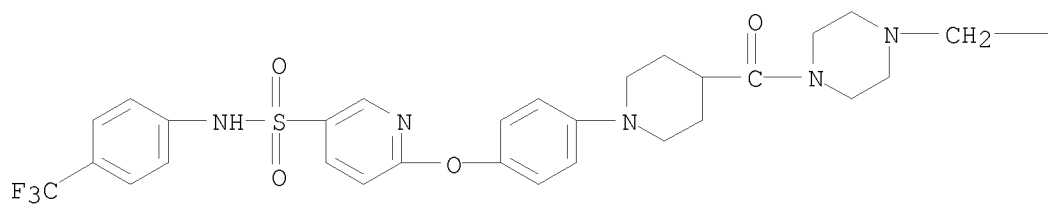
CN Benzenesulfonamide, N-methyl-N-[6-[2-methyl-4-[4-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-3-pyridinyl]-4-(trifluoromethyl)- (CA INDEX NAME)



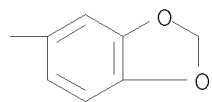
RN 875699-36-8 CAPLUS

CN 3-Pyridinesulfonamide, 6-[4-[4-[[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenoxy]-N-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

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L16 ANSWER 10 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1350335 CAPLUS

DOCUMENT NUMBER: 144:88307

TITLE: Preparation of quinazoline derivatives as CCR4
function controllersINVENTOR(S): Kawano, Noriyuki; Ishikawa, Noriko; Kaizawa, Hiroyuki;
Masuda, Naoyuki; Hamaguchi, Wataru; Koganemaru, Yohei;
Kato, Koji; Miyazaki, Takahiro

PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan

SOURCE: PCT Int. Appl., 61 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005123697	A1	20051229	WO 2005-JP11174	20050617
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG JP 2007269629 A 20071018 JP 2004-183086 20040621 PRIORITY APPLN. INFO.: JP 2004-183086 A 20040621 OTHER SOURCE(S): MARPAT 144:88307 GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = alkyl, OH, halo, etc.; m = 0-2; A = (un)substituted phenyl; (un)substituted monocyclic cycloalkyl; R2, R3 = H, alkyl; n = 1, 2; X = bond, alkylene; B = optionally substituted mono or bicyclic nitrogenous heterocycle with alkyl, alkenyl, halo, etc., CR5R6NR7R8; R5, R6 = H, alkyl, cycloalkyl, etc.; R7, R8 = H, alkyl, monocyclic cycloalkyl, etc.] were prepared For example, WSC·HCl mediated acylation of N-(4-chloro-2-fluorophenyl)-2-(1,4-diazepan-1-yl)-6,7-dimethoxyquinazolin-4-amine dihydrochloride, e.g., prepared from 2,4-dichloro-6,7-dimethoxyquinazoline in 2 steps, with (S)-[1-(tert-butoxycarbonyl)pyrrolidin-2-yl]acetic acid followed by treatment with HCl afforded compound II·2HCl. In GTPγS binding assays, the IC₅₀ value of compound II·2HCl was 63 nM. Compds. I are claimed useful for the treatment of inflammation, autoimmune diseases, etc.

IT 872105-98-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

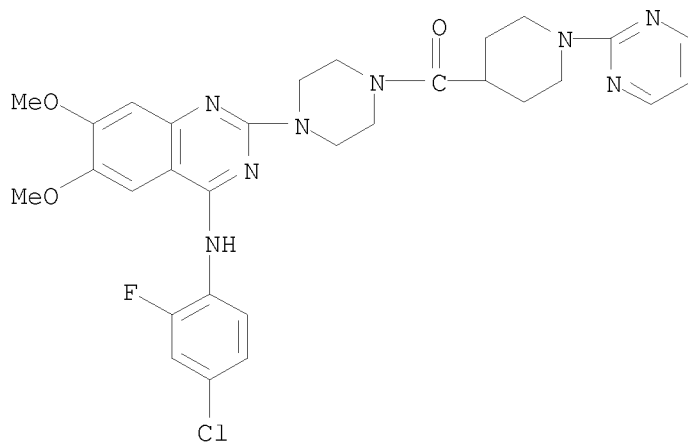
10/553,803

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of quinazoline derivs. as CCR4 function controllers for
treatment of inflammation, autoimmune diseases, etc.)

RN 872105-98-1 CAPLUS

CN Methanone, [4-[4-[(4-chloro-2-fluorophenyl)amino]-6,7-dimethoxy-2-
quinazolinyl]-1-piperazinyl][1-(2-pyrimidinyl)-4-piperidinyl]- (CA INDEX
NAME)



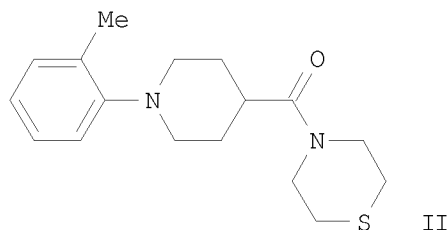
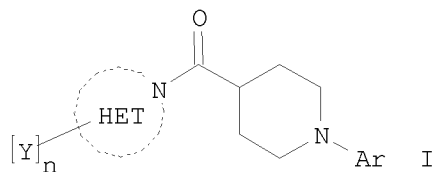
REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 11 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005:1346207 CAPLUS
 DOCUMENT NUMBER: 144:88172
 TITLE: Preparation of hetero isonipecotic modulators of
 vanilloid VR1 receptor
 INVENTOR(S): Gaul, Michael D.; Zhao, Bao-Ping; Hutta, Daniel A.
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 40 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050288281	A1	20051229	US 2005-168213	20050627
AU 2005259947	A1	20060112	AU 2005-259947	20050627
CA 2572075	A1	20060112	CA 2005-2572075	20050627
WO 2006004793	A2	20060112	WO 2005-US23008	20050627
WO 2006004793	A3	20060406		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1768959	A2	20070404	EP 2005-787754	20050627
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
PRIORITY APPLN. INFO.:				
			US 2004-583326P	P 20040628
			WO 2005-US23008	W 20050627
OTHER SOURCE(S):				
GI				
MARPAT 144:88172				



AB The title compds. I [ring HET = 4-8 membered cyclic heteroalkyl bonded to the rest of the mol. through a ring N atom and optionally containing 1-2 heteroatoms in addition to ring N atom, 5-10 membered heteroaryl bonded to the rest of the mol. through a ring N atom; Y = H, OH, aryl, etc.; n = 0-4; Ar = Ph, naphthyl, 5-6 membered heteroaryl, etc.] that are potent modulators of VR1 which are useful for the treatment and prevention of disease conditions in mammals, were prepared and disclosed. E.g., the title compound II, was prepared from Et isonipecotate, o-tolyl bromide and thiomorpholine. II showed EC50 of 0.23 μ M in human VR1 functional assay.

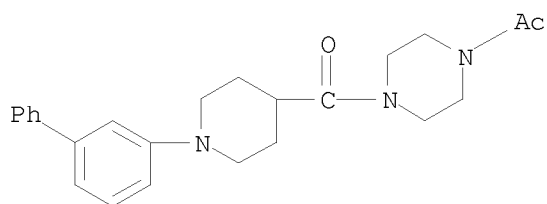
IT 872417-23-7P 872417-24-8P 872417-90-8P
872417-91-9P 872417-92-0P 872417-93-1P
872417-94-2P 872417-95-3P 872417-96-4P
872417-97-5P 872418-22-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hetero isonipecotic modulators of vanilloid VR1 receptor)

RN 872417-23-7 CAPLUS

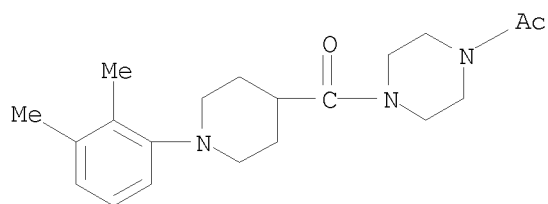
CN Ethanone, 1-[4-[(1-[1,1'-biphenyl]-3-yl-4-piperidinyl)carbonyl]-1-piperazinyl]- (CA INDEX NAME)



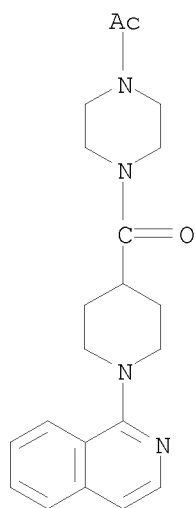
RN 872417-24-8 CAPLUS

CN Ethanone, 1-[4-[[1-(2,3-dimethylphenyl)-4-piperidinyl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

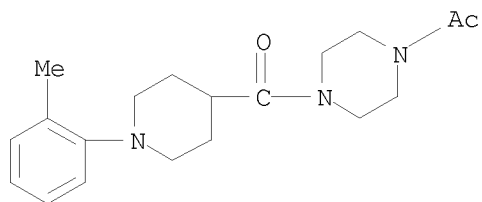
10/553,803



RN 872417-90-8 CAPLUS
CN Ethanone, 1-[4-[[1-(1-isoquinolinyl)-4-piperidinyl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

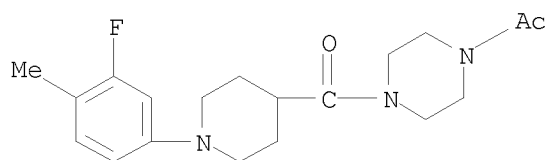


RN 872417-91-9 CAPLUS
CN Ethanone, 1-[4-[[1-(2-methylphenyl)-4-piperidinyl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)



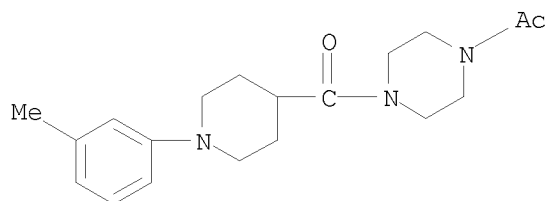
RN 872417-92-0 CAPLUS
CN Ethanone, 1-[4-[[1-(3-fluoro-4-methylphenyl)-4-piperidinyl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

10/553,803



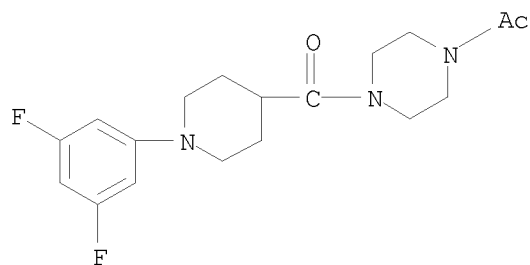
RN 872417-93-1 CAPLUS

CN Ethanone, 1-[4-[[1-(3-methylphenyl)-4-piperidinyl]carbonyl]-1-piperazinyl]-
(CA INDEX NAME)



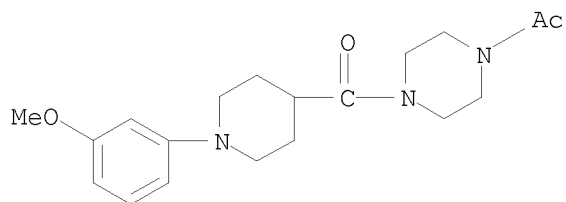
RN 872417-94-2 CAPLUS

CN Ethanone, 1-[4-[[1-(3,5-difluorophenyl)-4-piperidinyl]carbonyl]-1-piperazinyl]-
(CA INDEX NAME)



RN 872417-95-3 CAPLUS

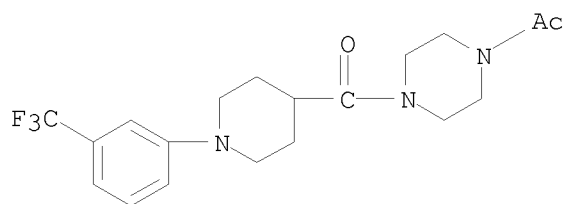
CN Ethanone, 1-[4-[[1-(3-methoxyphenyl)-4-piperidinyl]carbonyl]-1-piperazinyl]-
(CA INDEX NAME)



RN 872417-96-4 CAPLUS

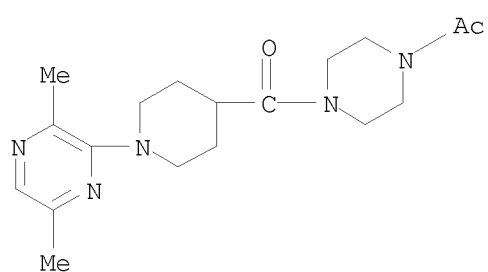
CN Ethanone, 1-[4-[[1-[3-(trifluoromethyl)phenyl]-4-piperidinyl]carbonyl]-1-piperazinyl]-
(CA INDEX NAME)

10/553,803



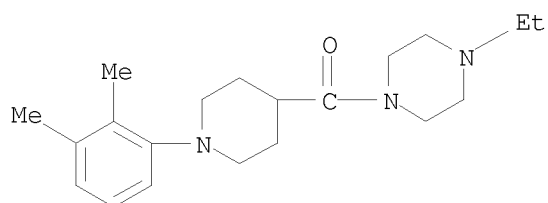
RN 872417-97-5 CAPLUS

CN Ethanone, 1-[4-[[1-(3,6-dimethyl-2-pyrazinyl)-4-piperidinyl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)



RN 872418-22-9 CAPLUS

CN Methanone, [1-(2,3-dimethylphenyl)-4-piperidinyl] (4-ethyl-1-piperazinyl)- (CA INDEX NAME)



L16 ANSWER 12 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:696920 CAPLUS

DOCUMENT NUMBER: 143:193856

TITLE: Preparation of rifamycin derivatives for use in antibiotic pharmaceutical compositions which are effective against drug-resistant microbes

INVENTOR(S): Ma, Zhenkun; Jin, Yafei; Li, Jing; Ding, Charles Z.; Minor, Keith P.; Longgood, Jamie C.; Kim, In Ho; Harran, Susan; Combrink, Keith; Morris, Timothy W.

PATENT ASSIGNEE(S): Cumbre Inc., USA

SOURCE: PCT Int. Appl., 141 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005070940	A2	20050804	WO 2005-US943	20050112
WO 2005070940	A3	20050929		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 20050261262	A1	20051124	US 2005-34195	20050112
US 7247634	B2	20070724		
EP 1730154	A2	20061213	EP 2005-705550	20050112
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR			
PRIORITY APPLN. INFO.:			US 2004-535990P	P 20040113
			WO 2005-US943	W 20050112
OTHER SOURCE(S):	CASREACT 143:193856; MARPAT 143:193856			
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Rifamycin S and SV derivs., such as I and II [X = bond, heterocyclic and/or heteroacyclic linking group; A = antibacterial agent or its pharmacophore], were prepared and were claimed for therapeutic use as antibacterial agents. The inventive rifamycin derivs. were uniquely designed in that they have a rifamycin moiety covalently linked to a linker group through the C-3 carbon of the rifamycin moiety and the linker is, in turn covalently linked to a therapeutic moiety or antibacterial agent/pharmacophore. The therapeutic moiety can be a quinolone, an oxazolidinone, a macrolide, an aminoglycoside, a tetracycline core or a structure/pharmacophore associated with an antibacterial agent. Thus,

rifamycin S derivative III was prepared via a condensation reaction with 10% yield of 3-bromorifamycin S with sodium ciprofloxacin. The prepared rifamycin derivs. were assayed for antimicrobial activity organisms such as *Staphylococcus aureus*.

IT 861805-67-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of rifamycin derivs. for use in antibiotic pharmaceutical compns. which are effective against drug-resistant microbes)

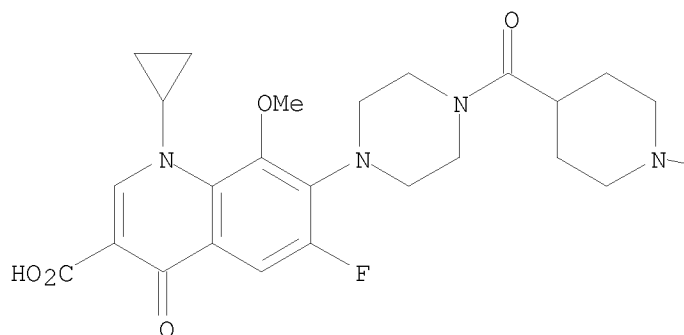
RN 861805-67-6 CAPLUS

CN Rifamycin, 3-[4-[[4-(3-carboxy-1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-4-oxo-7-quinolinyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-1,4-dideoxy-1,4-dihydro-1,4-dioxo- (9CI) (CA INDEX NAME)

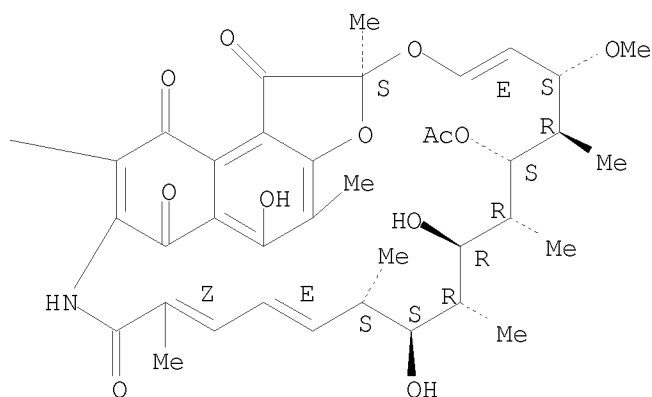
Absolute stereochemistry.

Double bond geometry as described by E or Z.

PAGE 1-A



PAGE 1-B



L16 ANSWER 13 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:369273 CAPLUS

DOCUMENT NUMBER: 142:430299

TITLE: Preparation of novel piperidine and
cyclohexanecarbonitrile derivatives effective in
enhancing LDL receptor manifestationINVENTOR(S): Ban, Hitoshi; Ohnuma, Satoshi; Tsuboya, Norie; Asano,
Shigehiro

PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan

SOURCE: PCT Int. Appl., 209 pp.

CODEN: PIXXD2

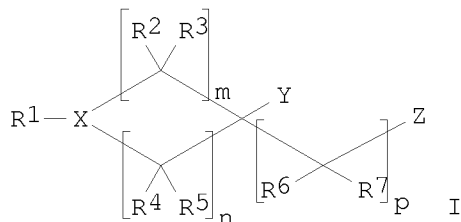
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037269	A1	20050428	WO 2004-JP15773	20041019
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1679069	A1	20060712	EP 2004-792910	20041019
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
US 20070078120	A1	20070405	US 2006-576581	20060420
PRIORITY APPLN. INFO.:			JP 2003-361256	A 20031021
			WO 2004-JP15773	W 20041019
OTHER SOURCE(S):			MARPAT 142:430299	
GI				

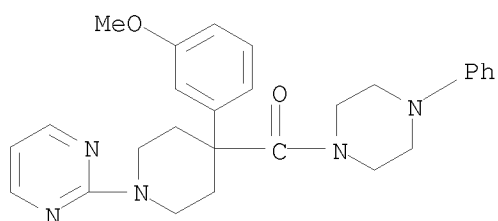


AB Drugs for enhancing LDL receptor manifestation contains compds.
 represented by the following formula (I), prodrugs thereof, or
 pharmaceutically acceptable salts of either [m, n, p = 0-4, provided that
 3≤m+n≤8; X = N, each (un)substituted CH; Y = each

(un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, or aromatic group, COY; R1 = H, each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, 3- to 8-membered saturated heterocyclyl containing one (un)substituted NH or O, aromatic group, COR14; R14 = each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, or aromatic group; R2-R7 = H, OH, each (un)substituted alkyl, alkoxy, alkoxycarbonyl, aralkyl, heteroarylalkyl, aralkyloxy, or heteroarylalkyloxy; or one or a plural combination of R2 and R3, R4 and R5, or R6 and R7 = oxo; or R2 and R4 together = alkylene; two of R2-R5 are on the adjacent carbon atom to form a double bond; Z = H, OH, CO2H, cyano, phthalimido, halo, each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, or aromatic group, etc.] as active ingredients. These compds. are effective in enhancing low d. lipoprotein (LDL) receptor manifestation and lowering blood concentration of LDL cholesterol and are useful as therapeutic agents for treating hyperlipemia and arteriosclerosis. Thus, 0.019 mL benzyl bromide was added to a suspension of 40 mg 4-(3-methoxyphenyl)-1,4'-bipiperidine-4-carbonitrile dihydrochloride and 92.6 mg K2CO3 in 1.0 mL DMF under ice-cooling, and the resulting mixture was warmed to room temperature, stirred overnight, and quenched by adding water to give, after workup and silica gel chromatog., 15.6 mg 1'-benzyl-4-(3-methoxyphenyl)-1,1'-bipiperidine-4-carbonitrile (II). II at 10 μ M and N-benzyl-4-(3-methoxyphenyl)-1-(pyrimidin-2-yl)piperidine-4-carbothioamide at 3 μ M enhanced the LDL receptor activity by 135 and 195%, resp.

IT 850885-07-3P, 2-[4-(3-Methoxyphenyl)-4-[(4-phenylpiperazin-1-yl)carbonyl]piperidin-1-yl]pyrimidine
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of novel piperidine and cyclohexanecarbonitrile derivs. as enhancers for LDL receptor manifestation, hypolipidemics, and antiarteriosclerotics)

RN 850885-07-3 CAPLUS
 CN Methanone, [4-(3-methoxyphenyl)-1-(2-pyrimidinyl)-4-piperidinyl](4-phenyl-1-piperazinyl)- (CA INDEX NAME)



REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 14 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:1016033 CAPLUS

DOCUMENT NUMBER: 142:23307

TITLE: Preparation of piperidinylcarbonyl(homo)piperazines as histamine H3 antagonists for treatment of neurological and psychiatric diseases

INVENTOR(S): Bruton, Gordon; Orlek, Barry Sidney; Rana, Kishore Kalidas

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 90 pp.

CODEN: PIXXD2

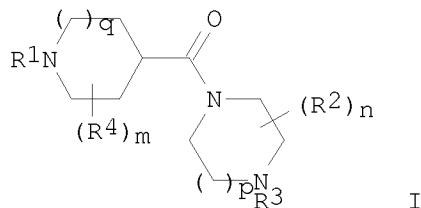
DOCUMENT TYPE: Patent

LANGUAGE: English

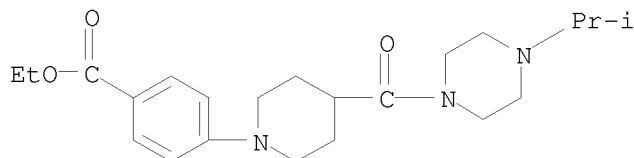
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004101546	A1	20041125	WO 2004-EP4245	20040421
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004238447	A1	20041125	AU 2004-238447	20040421
CA 2523431	A1	20041125	CA 2004-2523431	20040421
EP 1615909	A1	20060118	EP 2004-728561	20040421
EP 1615909	B1	20080723		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004009611	A	20060418	BR 2004-9611	20040421
CN 1809553	A	20060726	CN 2004-80017562	20040421
JP 2006528939	T	20061228	JP 2006-529693	20040421
AT 402165	T	20080815	AT 2004-728561	20040421
IN 2005DN04502	A	20070824	IN 2005-DN4502	20051004
US 20070054917	A1	20070308	US 2005-553803	20051017
NO 2005005514	A	20060120	NO 2005-5514	20051122
PRIORITY APPLN. INFO.:			GB 2003-9222	A 20030423
			GB 2003-23109	A 20031002
			WO 2004-EP4245	W 20040421
OTHER SOURCE(S):			CASREACT 142:23307; MARPAT 142:23307	
GI				



- AB Title compds. [I; R1 = (substituted) Ar, Het, Cyc-X-Ar, Cyc-X-Het, Ar-X-Ar, Het-X-Ar, Het-X-Het, etc.; Ar = aryl; Het = heteroaryl; Cyc = cycloalkyl; X = bond, O, CO, SO2, OCH2; R2, R4 = alkyl; R3 = alkyl, alkenyl, alkynyl, (substituted) cycloalkyl, cycloalkenyl, alkylcycloalkyl; m, n = 0-2; p, q = 1, 2], were prepared Thus, 5-bromo-2-trifluoromethylpyridine, tris(dibenzylideneacetone)palladium(0), 2-dicyclohexylphosphine-2'-(N,N-dimethylamino)biphenyl, 1-isopropyl-4-(piperidine-4-carbonyl)piperazine (preparation given), and NaOCMe3 were refluxed 2 h in dioxane 1-isopropyl-4-[1-(6-trifluoromethylpyridin-3-yl)piperidine-4-carbonyl]piperazine hydrochloride. The latter in a histamine H3 functional antagonist assay showed pKb >9.5.
- IT 799556-88-0P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (claimed compound; preparation of piperidinylcarbonyl(homo)piperazines as histamine H3 antagonists for treatment of neurol. and psychiatric diseases)
- RN 799556-88-0 CAPLUS
- CN Benzoic acid, 4-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-, ethyl ester (CA INDEX NAME)



- IT 799556-86-8P 799556-87-9P 799556-89-1P
 799556-90-4P 799556-91-5P 799556-92-6P
 799556-93-7P 799556-94-8P 799556-95-9P
 799556-96-0P 799556-97-1P 799556-98-2P
 799556-99-3P 799557-00-9P 799557-01-0P
 799557-02-1P 799557-03-2P 799557-04-3P
 799557-05-4P 799557-06-5P 799557-07-6P
 799557-08-7P 799557-09-8P 799557-10-1P
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 799557-26-9P 799557-27-0P 799557-28-1P

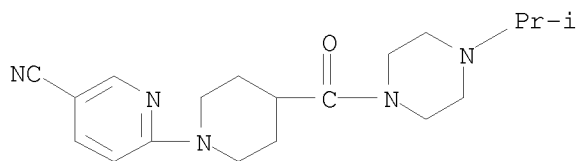
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 799557-35-0P 799557-36-1P 799557-37-2P
 799557-38-3P 799557-39-4P 799557-40-7P
 799557-41-8P 799557-42-9P 799557-43-0P
 799557-44-1P 799557-45-2P 799557-46-3P
 799557-47-4P 799557-48-5P 799557-49-6P
 799557-50-9P 799557-51-0P 799557-52-1P
 799557-53-2P 799557-54-3P 799557-55-4P
 799557-56-5P 799557-57-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of piperidinylcarbonyl(homo)piperazines as histamine H3 antagonists for treatment of neurol. and psychiatric diseases)

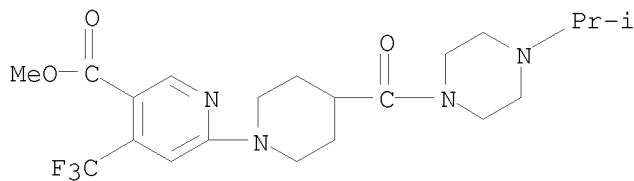
RN 799556-86-8 CAPLUS

CN 3-Pyridinecarbonitrile, 6-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)



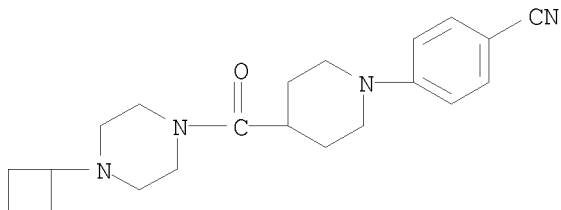
RN 799556-87-9 CAPLUS

CN 3-Pyridinecarboxylic acid, 6-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-4-(trifluoromethyl)-, methyl ester (CA INDEX NAME)



RN 799556-89-1 CAPLUS

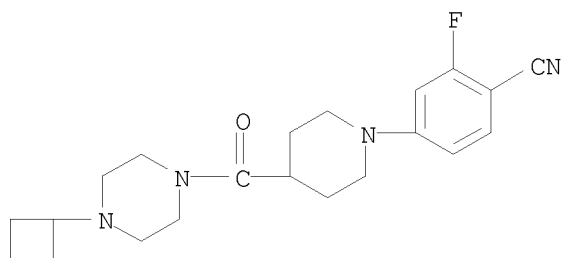
CN Benzonitrile, 4-[4-[(4-cyclobutyl-1-piperazinyl)carbonyl]-1-piperidinyl]- (CA INDEX NAME)



10/553,803

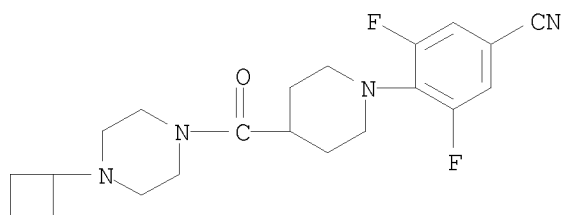
RN 799556-90-4 CAPLUS

CN Benzonitrile, 4-[4-[(4-cyclobutyl-1-piperazinyl)carbonyl]-1-piperidinyl]-2-fluoro- (CA INDEX NAME)



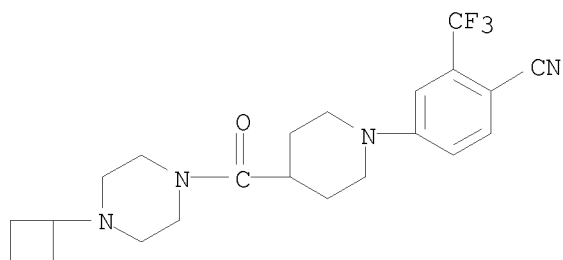
RN 799556-91-5 CAPLUS

CN Benzonitrile, 4-[4-[(4-cyclobutyl-1-piperazinyl)carbonyl]-1-piperidinyl]-3,5-difluoro- (CA INDEX NAME)



RN 799556-92-6 CAPLUS

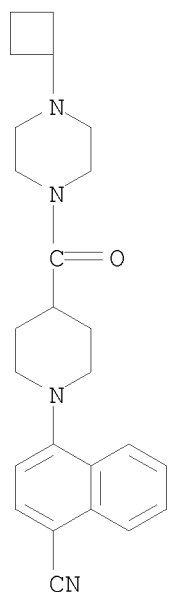
CN Benzonitrile, 4-[4-[(4-cyclobutyl-1-piperazinyl)carbonyl]-1-piperidinyl]-2-(trifluoromethyl)- (CA INDEX NAME)



RN 799556-93-7 CAPLUS

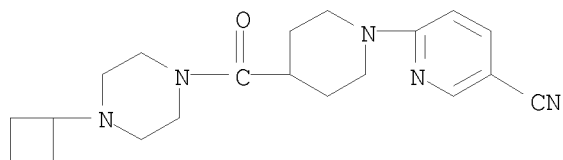
CN 1-Naphthalenecarbonitrile, 4-[4-[(4-cyclobutyl-1-piperazinyl)carbonyl]-1-piperidinyl]- (CA INDEX NAME)

10/553,803



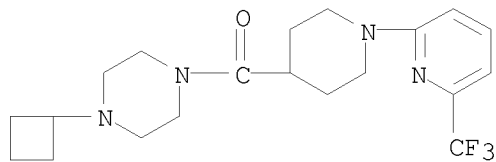
RN 799556-94-8 CAPLUS

CN 3-Pyridinecarbonitrile, 6-[4-[(4-cyclobutyl-1-piperazinyl)carbonyl]-1-piperidinyl]- (CA INDEX NAME)



RN 799556-95-9 CAPLUS

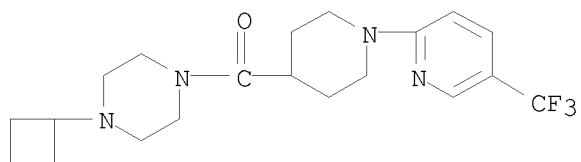
CN Methanone, (4-cyclobutyl-1-piperazinyl)[1-[6-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]- (CA INDEX NAME)



RN 799556-96-0 CAPLUS

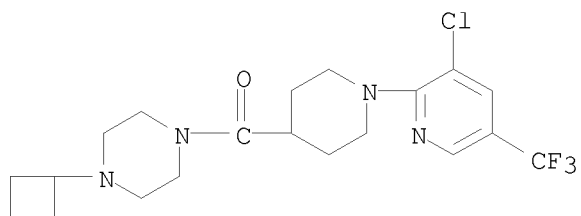
CN Methanone, (4-cyclobutyl-1-piperazinyl)[1-[5-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]- (CA INDEX NAME)

10/553,803



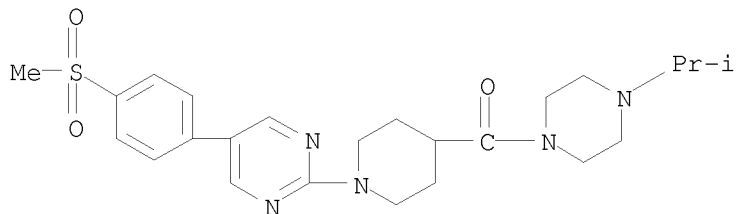
RN 799556-97-1 CAPLUS

CN Methanone, [1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl] (4-cyclobutyl-1-piperazinyl)- (CA INDEX NAME)



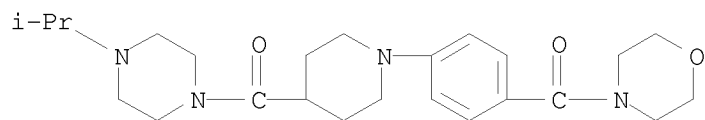
RN 799556-98-2 CAPLUS

CN Methanone, [4-(1-methylethyl)-1-piperazinyl] [1-[5-[4-(methylsulfonyl)phenyl]-2-pyrimidinyl]-4-piperidinyl]- (CA INDEX NAME)



RN 799556-99-3 CAPLUS

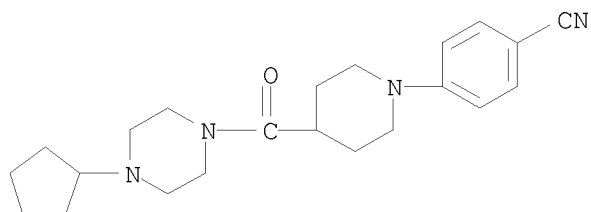
CN Methanone, [4-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]-4-morpholinyl- (CA INDEX NAME)



RN 799557-00-9 CAPLUS

CN Benzonitrile, 4-[4-[(4-cyclopentyl-1-piperazinyl)carbonyl]-1-piperidinyl]- (CA INDEX NAME)

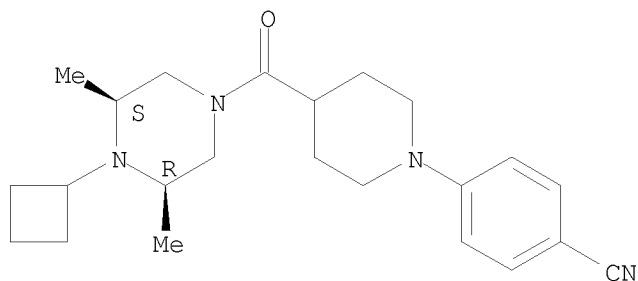
10/553,803



RN 799557-01-0 CAPLUS

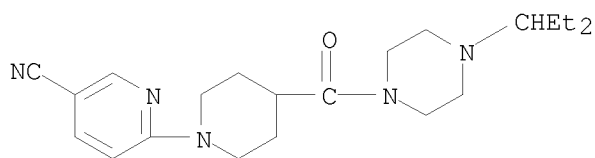
CN Benzonitrile, 4-[4-[[4-(3R,5S)-4-cyclobutyl-3,5-dimethyl-1-piperazinyl]carbonyl]-1-piperidinyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



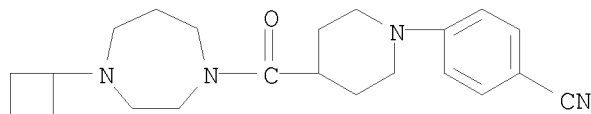
RN 799557-02-1 CAPLUS

CN 3-Pyridinecarbonitrile, 6-[4-[[4-(1-ethylpropyl)-1-piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)



RN 799557-03-2 CAPLUS

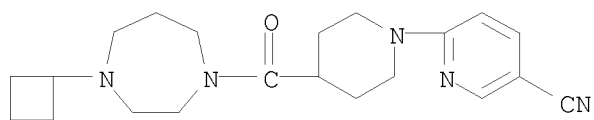
CN Benzonitrile, 4-[4-[(4-cyclobutylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-1-piperidinyl]- (CA INDEX NAME)



RN 799557-04-3 CAPLUS

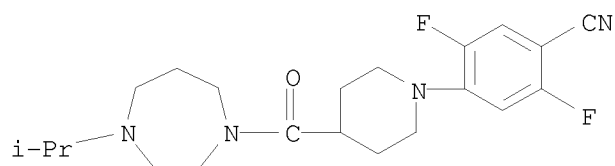
CN 3-Pyridinecarbonitrile, 6-[4-[(4-cyclobutylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-1-piperidinyl]- (CA INDEX NAME)

10/553,803



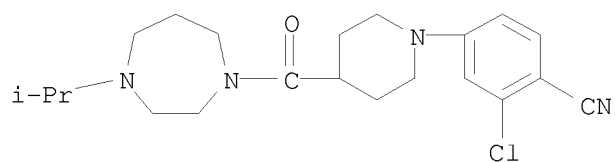
RN 799557-05-4 CAPLUS

CN Benzonitrile, 2,5-difluoro-4-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)



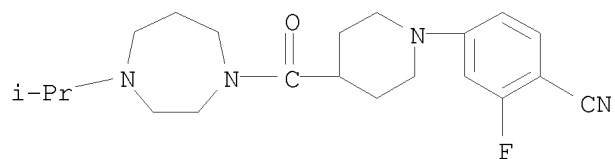
RN 799557-06-5 CAPLUS

CN Benzonitrile, 2-chloro-4-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)



RN 799557-07-6 CAPLUS

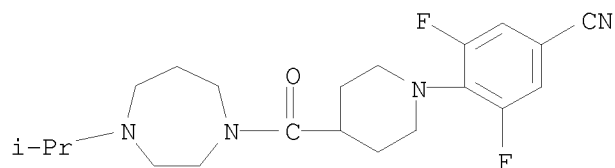
CN Benzonitrile, 2-fluoro-4-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)



RN 799557-08-7 CAPLUS

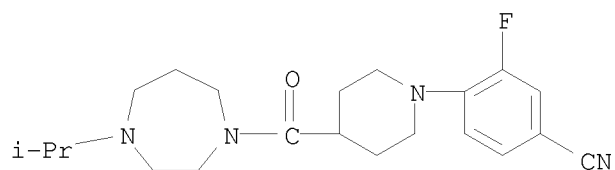
CN Benzonitrile, 3,5-difluoro-4-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)

10/553,803



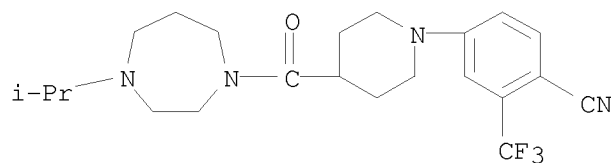
RN 799557-09-8 CAPLUS

CN Benzonitrile, 3-fluoro-4-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)



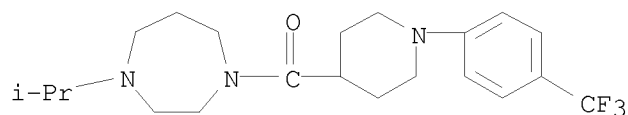
RN 799557-10-1 CAPLUS

CN Benzonitrile, 4-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]-2-(trifluoromethyl)- (CA INDEX NAME)



RN 799557-11-2 CAPLUS

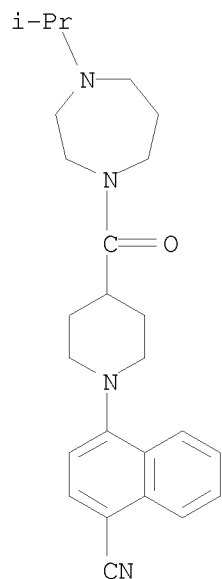
CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-[4-(trifluoromethyl)phenyl]-4-piperidinyl]- (CA INDEX NAME)



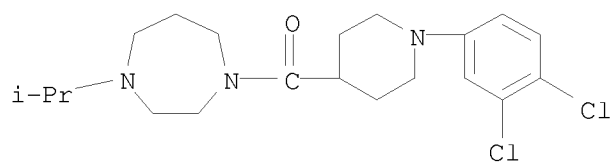
RN 799557-12-3 CAPLUS

CN 1-Naphthalenecarbonitrile, 4-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)

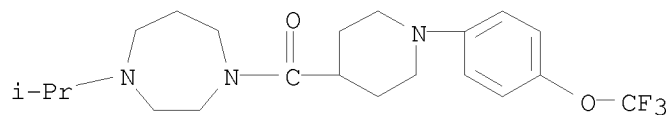
10/553,803



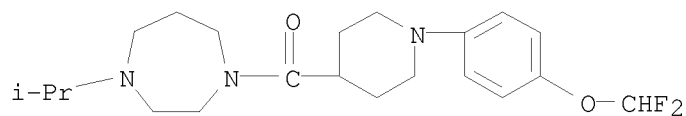
RN 799557-13-4 CAPLUS
CN Methanone, [1-(3,4-dichlorophenyl)-4-piperidinyl][hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)



RN 799557-14-5 CAPLUS
CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-[4-(trifluoromethoxy)phenyl]-4-piperidinyl]- (CA INDEX NAME)



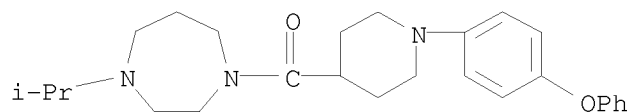
RN 799557-15-6 CAPLUS
CN Methanone, [1-[4-(difluoromethoxy)phenyl]-4-piperidinyl][hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)



10/553,803

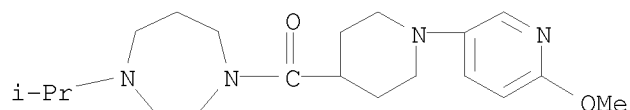
RN 799557-16-7 CAPLUS

CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-(4-phenoxyphenyl)-4-piperidinyl]- (CA INDEX NAME)



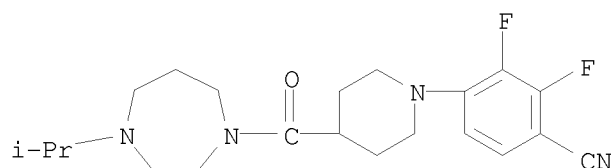
RN 799557-17-8 CAPLUS

CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-(6-methoxy-3-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



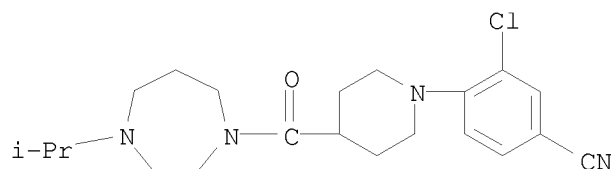
RN 799557-18-9 CAPLUS

CN Benzonitrile, 2,3-difluoro-4-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)



RN 799557-19-0 CAPLUS

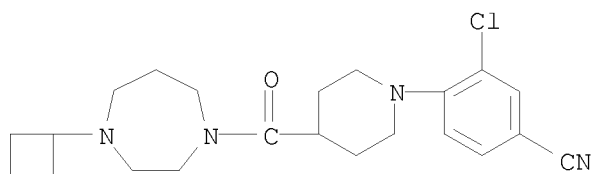
CN Benzonitrile, 3-chloro-4-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)



RN 799557-20-3 CAPLUS

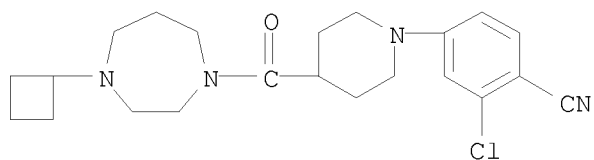
CN Benzonitrile, 3-chloro-4-[4-[(4-cyclobutylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-1-piperidinyl]- (CA INDEX NAME)

10/553,803



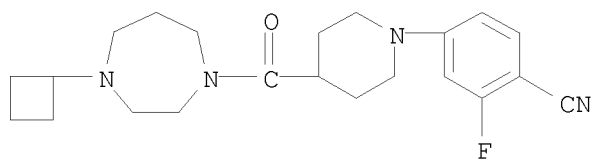
RN 799557-21-4 CAPLUS

CN Benzonitrile, 2-chloro-4-[4-[(4-cyclobutylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-1-piperidinyl]- (CA INDEX NAME)



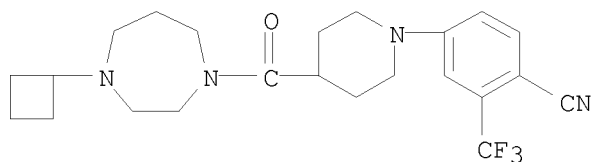
RN 799557-22-5 CAPLUS

CN Benzonitrile, 4-[4-[(4-cyclobutylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-1-piperidinyl]-2-fluoro- (CA INDEX NAME)



RN 799557-23-6 CAPLUS

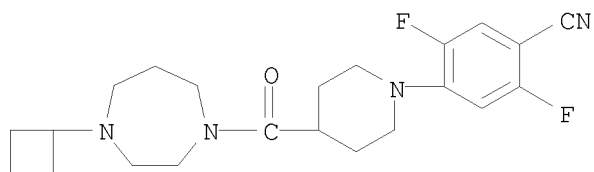
CN Benzonitrile, 4-[4-[(4-cyclobutylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-1-piperidinyl]-2-(trifluoromethyl)- (CA INDEX NAME)



RN 799557-24-7 CAPLUS

CN Benzonitrile, 4-[4-[(4-cyclobutylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-1-piperidinyl]-2,5-difluoro- (CA INDEX NAME)

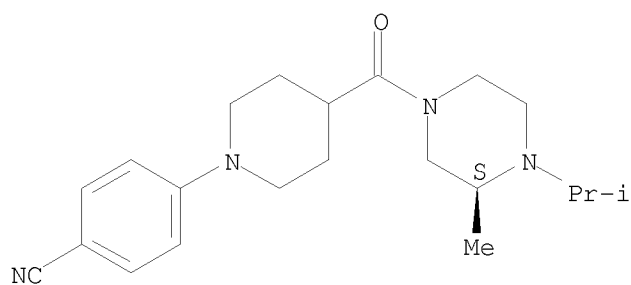
10/553,803



RN 799557-25-8 CAPLUS

CN Benzonitrile, 4-[4-[[[(3S)-3-methyl-4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)

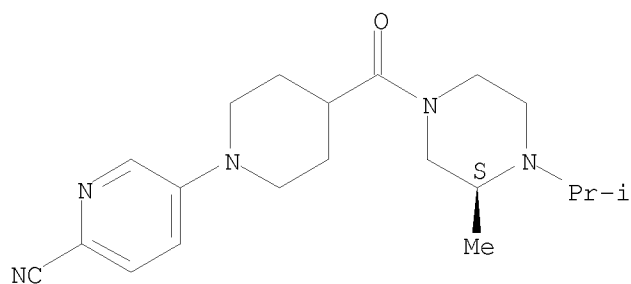
Absolute stereochemistry.



RN 799557-26-9 CAPLUS

CN 2-Pyridinecarbonitrile, 5-[4-[[[(3S)-3-methyl-4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

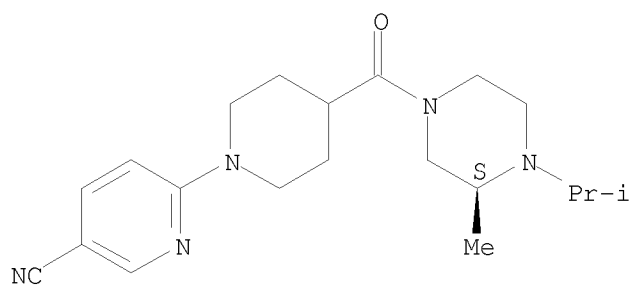


RN 799557-27-0 CAPLUS

CN 3-Pyridinecarbonitrile, 6-[4-[[[(3S)-3-methyl-4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

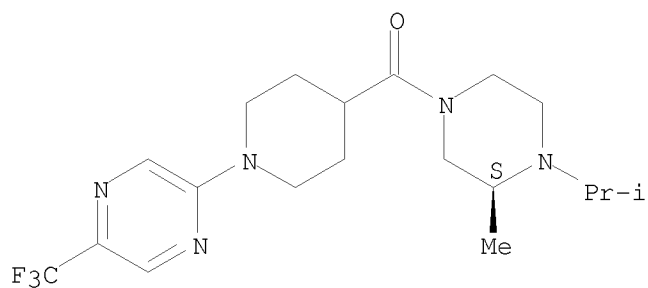
10/553,803



RN 799557-28-1 CAPLUS

CN Methanone, [(3S)-3-methyl-4-(1-methylethyl)-1-piperazinyl][1-[5-(trifluoromethyl)-2-pyrazinyl]-4-piperidinyl]- (CA INDEX NAME)

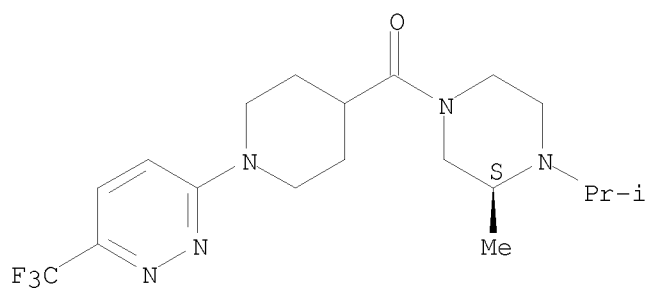
Absolute stereochemistry.



RN 799557-29-2 CAPLUS

CN Methanone, [(3S)-3-methyl-4-(1-methylethyl)-1-piperazinyl][1-[6-(trifluoromethyl)-3-pyridazinyl]-4-piperidinyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 799557-30-5 CAPLUS

CN Methanone, [4-(1-methylethyl)-1-piperazinyl][1-[4-(5-phenyl-1,3,4-oxadiazol-2-yl)phenyl]-4-piperidinyl]- (CA INDEX NAME)

CN Methanone, [4-(1-methylethyl)-1-piperazinyl][1-(6-quinolinyl)-4-

piperidinyl]- (CA INDEX NAME)

CN Methanone, (4-cyclobutyl-1-piperazinyl)[1-[6-(trifluoromethyl)-3-

pyridinyl]-4-piperidinyl)- (CA INDEX NAME)

CN Methanone, [4-(1-methylethyl)-1-piperazinyl][1-[5-(trifluoromethyl)-2-

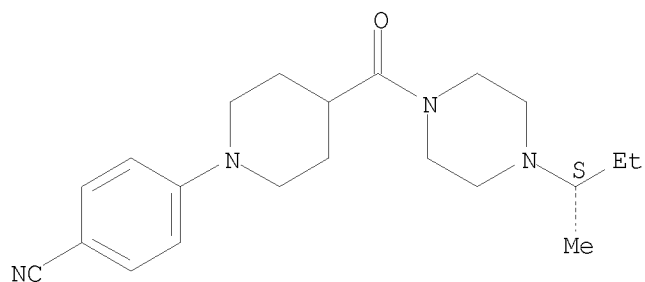
pyrazinyl]-4-piperidinyl]- (CA INDEX NAME)

CN Benzonitrile, 4-[4-[[4-[(1S)-1-methylpropyl]-1-piperazinyl]carbonyl]-1-

piperridinyl]- (CA INDEX NAME)

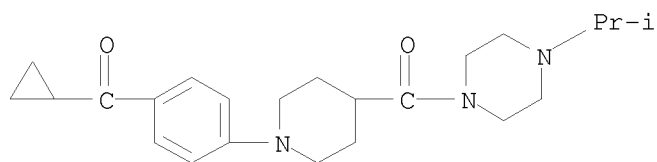
10/553,803

Absolute stereochemistry.



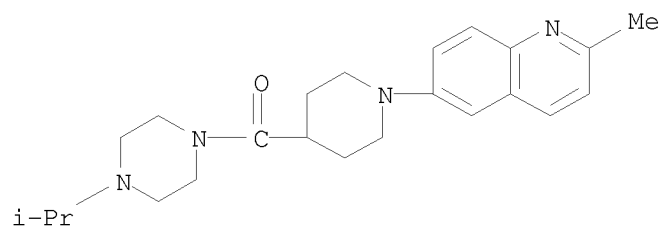
RN 799557-35-0 CAPLUS

CN Methanone, [1-[4-(cyclopropylcarbonyl)phenyl]-4-piperidinyl][4-(1-methylethyl)-1-piperazinyl]- (CA INDEX NAME)



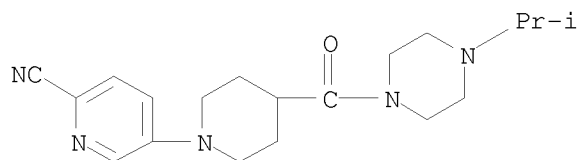
RN 799557-36-1 CAPLUS

CN Methanone, [4-(1-methylethyl)-1-piperazinyl][1-(2-methyl-6-quinolinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 799557-37-2 CAPLUS

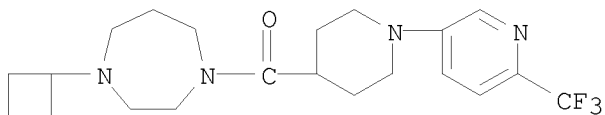
CN 2-Pyridinecarbonitrile, 5-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)



RN 799557-38-3 CAPLUS

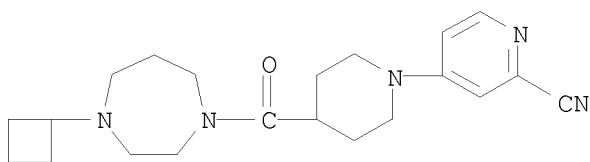
10/553,803

CN Methanone, (4-cyclobutylhexahydro-1H-1,4-diazepin-1-yl)[1-[6-(trifluoromethyl)-3-pyridinyl]-4-piperidinyl]- (CA INDEX NAME)



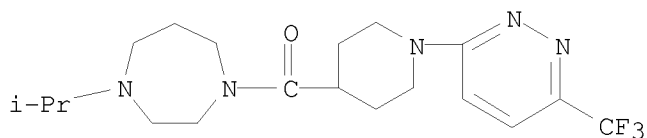
RN 799557-39-4 CAPLUS

CN 2-Pyridinecarbonitrile, 4-[4-[(4-cyclobutylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-1-piperidinyl]- (CA INDEX NAME)



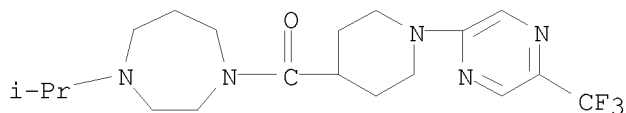
RN 799557-40-7 CAPLUS

CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-[6-(trifluoromethyl)-3-pyridazinyl]-4-piperidinyl]- (CA INDEX NAME)



RN 799557-41-8 CAPLUS

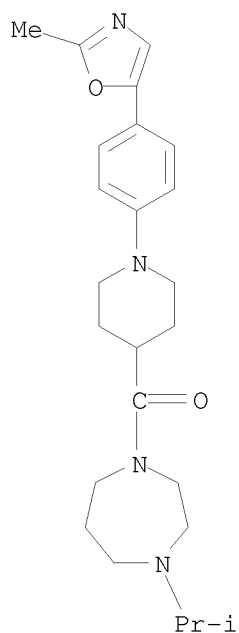
CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-[5-(trifluoromethyl)-2-pyrazinyl]-4-piperidinyl]- (CA INDEX NAME)



RN 799557-42-9 CAPLUS

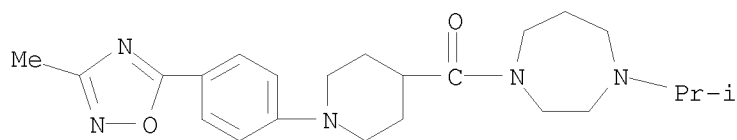
CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-[4-(2-methyl-5-oxazolyl)phenyl]-4-piperidinyl]- (CA INDEX NAME)

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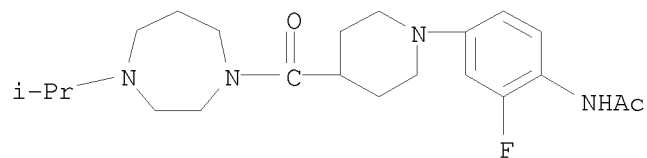
RN 799557-43-0 CAPLUS

CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-[4-(3-methyl-1,2,4-oxadiazol-5-yl)phenyl]-4-piperidinyl]- (CA INDEX NAME)



RN 799557-44-1 CAPLUS

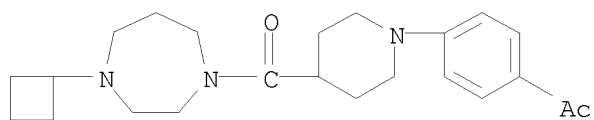
CN Acetamide, N-[2-fluoro-4-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]phenyl]- (CA INDEX NAME)



RN 799557-45-2 CAPLUS

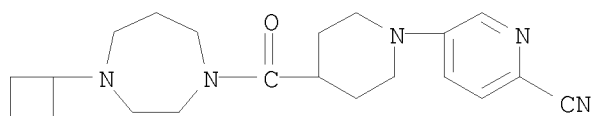
CN Ethanone, 1-[4-[4-[(4-cyclobutylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-1-piperidinyl]phenyl]- (CA INDEX NAME)

10/553,803



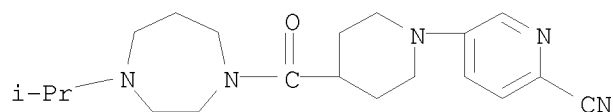
RN 799557-46-3 CAPLUS

CN 2-Pyridinecarbonitrile, 5-[4-[(4-cyclobutylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-1-piperidinyl]- (CA INDEX NAME)



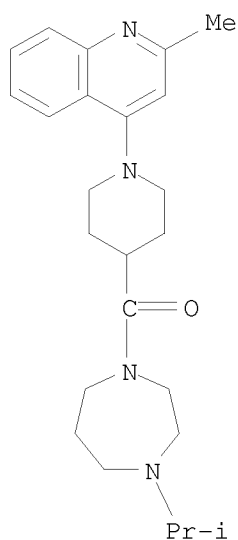
RN 799557-47-4 CAPLUS

CN 2-Pyridinecarbonitrile, 5-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)



RN 799557-48-5 CAPLUS

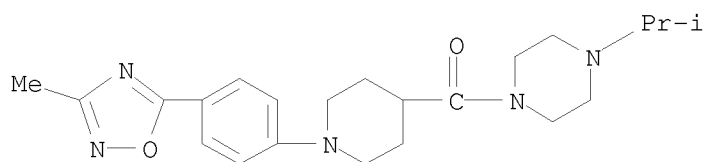
CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-(2-methyl-4-quinolinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 799557-49-6 CAPLUS

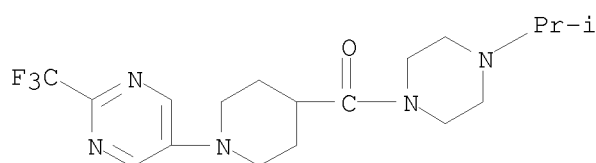
CN Methanone, [4-(1-methylethyl)-1-piperazinyl][1-[4-(3-methyl-1,2,4-

oxadiazol-5-yl)phenyl]-4-piperidinyl]- (CA INDEX NAME)



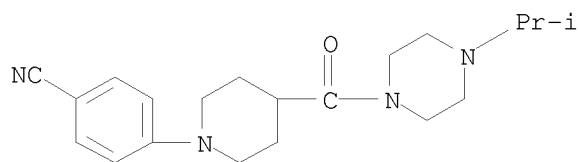
RN 799557-50-9 CAPLUS

CN Methanone, [4-(1-methylethyl)-1-piperazinyl][1-[2-(trifluoromethyl)-5-pyrimidinyl]-4-piperidinyl]- (CA INDEX NAME)



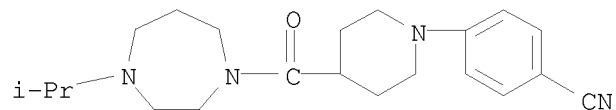
RN 799557-51-0 CAPLUS

CN Benzonitrile, 4-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)



RN 799557-52-1 CAPLUS

CN Benzonitrile, 4-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)

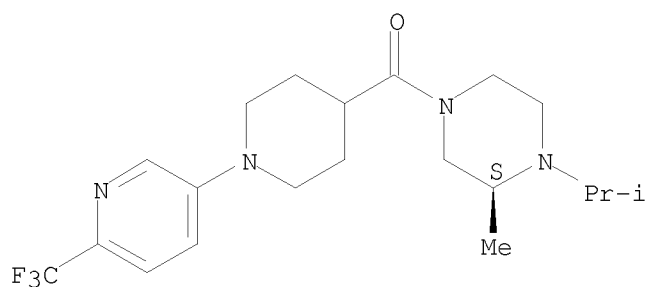


RN 799557-53-2 CAPLUS

CN Methanone, [(3S)-3-methyl-4-(1-methylethyl)-1-piperazinyl][1-[6-(trifluoromethyl)-3-pyridinyl]-4-piperidinyl]- (CA INDEX NAME)

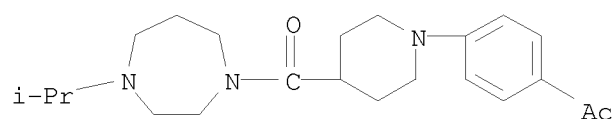
Absolute stereochemistry.

10/553,803



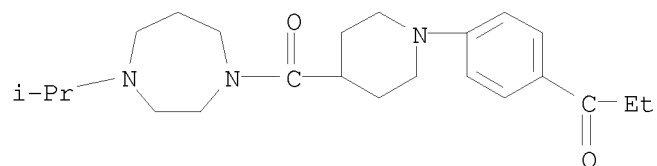
RN 799557-54-3 CAPLUS

CN Ethanone, 1-[4-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]phenyl]- (CA INDEX NAME)



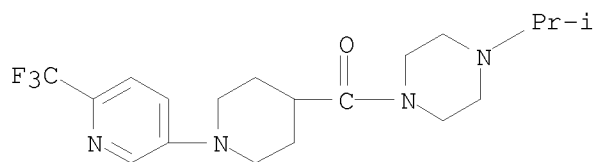
RN 799557-55-4 CAPLUS

CN 1-Propanone, 1-[4-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]phenyl]- (CA INDEX NAME)



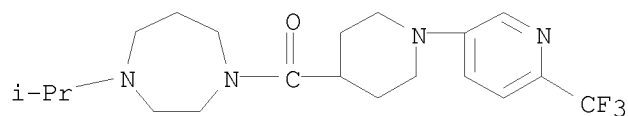
RN 799557-56-5 CAPLUS

CN Methanone, [4-(1-methylethyl)-1-piperazinyl][1-[6-(trifluoromethyl)-3-pyridinyl]-4-piperidinyl]- (CA INDEX NAME)



RN 799557-57-6 CAPLUS

CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-[6-(trifluoromethyl)-3-pyridinyl]-4-piperidinyl]- (CA INDEX NAME)

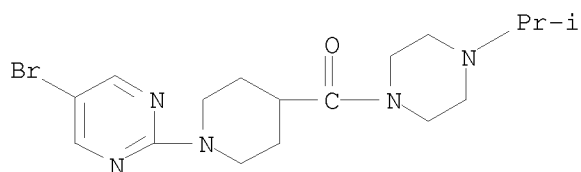


IT 799557-60-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of piperidinylcarbonyl(homo)piperazines as histamine H3 antagonists for treatment of neurol. and psychiatric diseases)

RN 799557-60-1 CAPLUS

CN Methanone, [1-(5-bromo-2-pyrimidinyl)-4-piperidinyl][4-(1-methylethyl)-1-piperazinyl]- (CA INDEX NAME)



IT 799557-88-3P 799557-89-4P 799557-90-7P
 799557-91-8P 799557-92-9P 799557-93-0P
 799557-94-1P 799557-95-2P 799557-96-3P
 799557-97-4P 799557-98-5P 799557-99-6P
 799558-00-2P 799558-01-3P 799558-02-4P
 799558-03-5P 799558-05-7P 799558-06-8P
 799558-07-9P 799558-08-0P 799558-09-1P
 799558-10-4P 799558-11-5P 799558-12-6P
 799558-13-7P 799558-14-8P 799558-15-9P
 799558-16-0P 799558-17-1P 799558-18-2P
 799558-19-3P 799558-20-6P 799558-21-7P
 799558-22-8P 799558-23-9P 799558-24-0P
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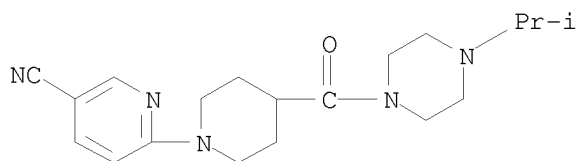
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 799558-94-4P 799558-95-5P 799558-96-6P
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 799559-51-6P 799559-52-7P 799559-53-8P
 799559-54-9P 799559-55-0P 799559-56-1P
 799559-62-9P 799559-63-0P 799559-64-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of piperidinylcarbonyl(homo)piperazines as histamine H3
 antagonists for treatment of neurol. and psychiatric diseases)

RN 799557-88-3 CAPLUS

CN 3-Pyridinecarbonitrile, 6-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-
 piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

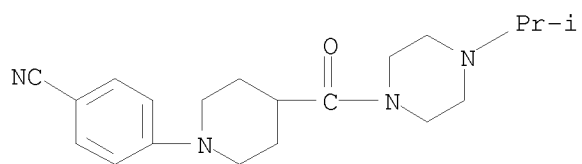


●x HCl

RN 799557-89-4 CAPLUS

CN Benzonitrile, 4-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-
 piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

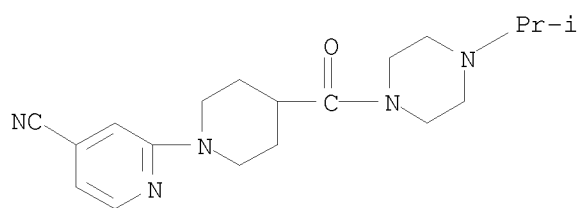
10/553,803



●x HCl

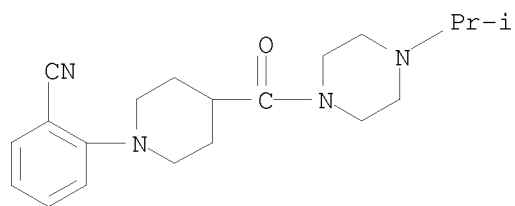
RN 799557-90-7 CAPLUS

CN 4-Pyridinecarbonitrile, 2-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)



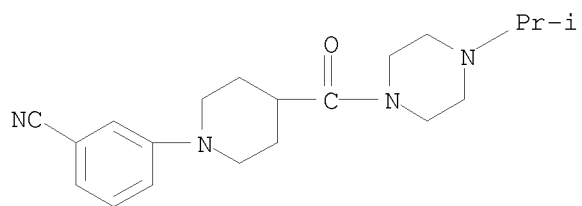
RN 799557-91-8 CAPLUS

CN Benzonitrile, 2-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)



RN 799557-92-9 CAPLUS

CN Benzonitrile, 3-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)

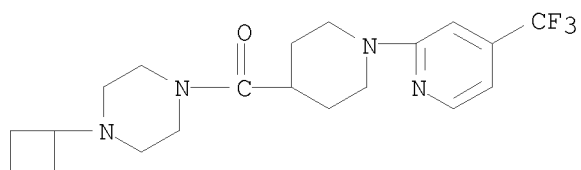


RN 799557-93-0 CAPLUS

CN Methanone, (4-cyclobutyl-1-piperazinyl)[1-[4-(trifluoromethyl)-2-

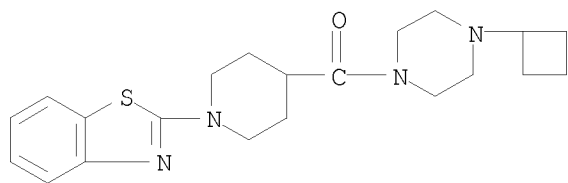
10/553,803

pyridinyl]-4-piperidinyl]- (CA INDEX NAME)



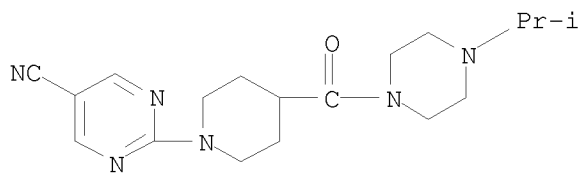
RN 799557-94-1 CAPLUS

CN Methanone, [1-(2-benzothiazolyl)-4-piperidinyl](4-cyclobutyl-1-piperazinyl)- (CA INDEX NAME)



RN 799557-95-2 CAPLUS

CN 5-Pyrimidinecarbonitrile, 2-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

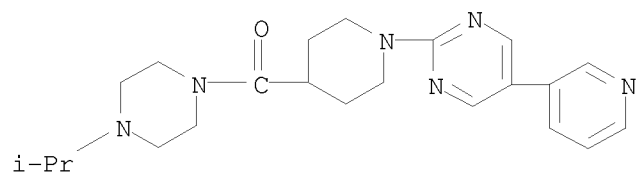


●_x HCl

RN 799557-96-3 CAPLUS

CN Methanone, [4-(1-methylethyl)-1-piperazinyl][1-[5-(3-pyridinyl)-2-pyrimidinyl]-4-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

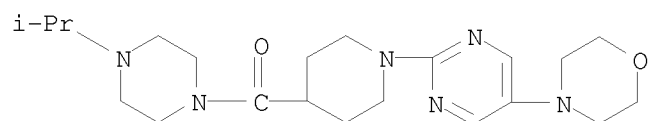
10/553,803



●x HCl

RN 799557-97-4 CAPLUS

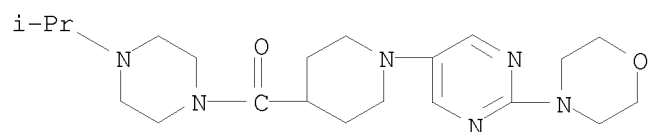
CN Methanone, [4-(1-methylethyl)-1-piperazinyl][1-[5-(4-morpholinyl)-2-pyrimidinyl]-4-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

RN 799557-98-5 CAPLUS

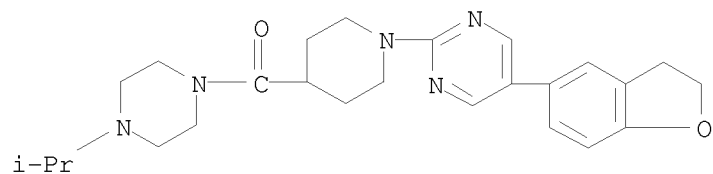
CN Methanone, [4-(1-methylethyl)-1-piperazinyl][1-[2-(4-morpholinyl)-5-pyrimidinyl]-4-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

RN 799557-99-6 CAPLUS

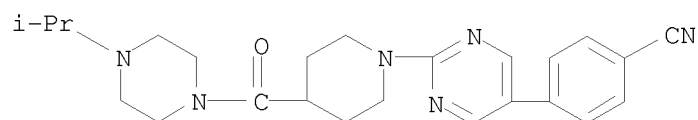
CN Methanone, [1-[5-(2,3-dihydro-5-benzofuranyl)-2-pyrimidinyl]-4-piperidinyl][4-(1-methylethyl)-1-piperazinyl]- (CA INDEX NAME)



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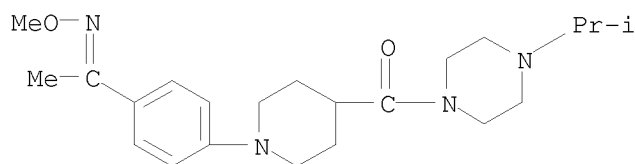
RN 799558-00-2 CAPLUS

CN Benzonitrile, 4-[2-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-5-pyrimidinyl]- (CA INDEX NAME)



RN 799558-01-3 CAPLUS

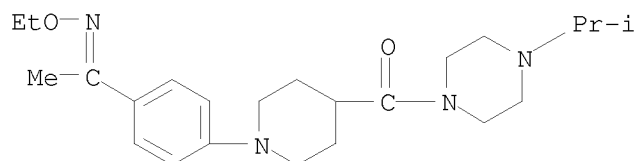
CN Piperazine, 1-[[1-[4-[1-(methoxyimino)ethyl]phenyl]-4-piperidinyl]carbonyl]-4-(1-methylethyl)-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 799558-02-4 CAPLUS

CN Piperazine, 1-[[1-[4-[1-(ethoxyimino)ethyl]phenyl]-4-piperidinyl]carbonyl]-4-(1-methylethyl)-, hydrochloride (9CI) (CA INDEX NAME)

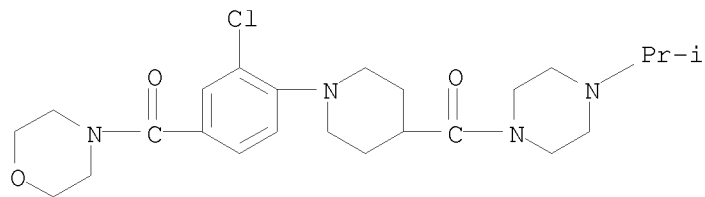


●x HCl

RN 799558-03-5 CAPLUS

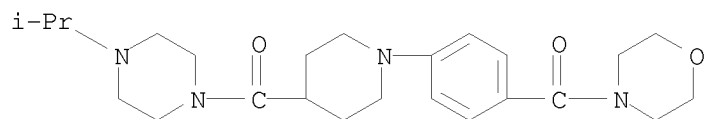
CN Methanone, [3-chloro-4-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]-4-morpholinyl-, hydrochloride (1:?) (CA INDEX NAME)

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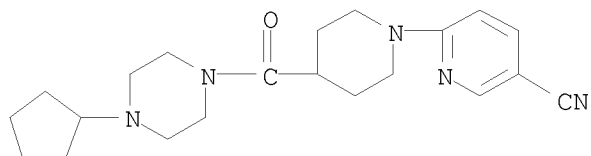
●_x HCl

RN	799558-05-7	CAPLUS
CN	Methanone, [4-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]-4-morpholinyl-, hydrochloride (1:?) (CA INDEX NAME)	



●_x HCl

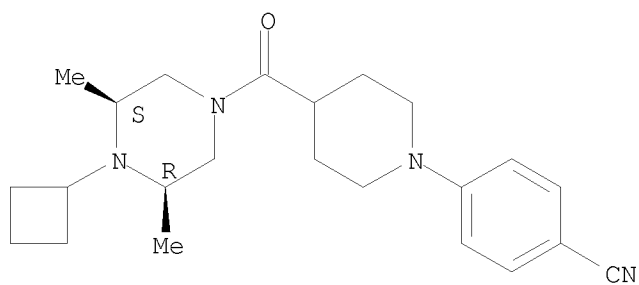
RN	799558-06-8	CAPLUS
CN	3-Pyridinecarbonitrile, 6-[4-[(4-cyclopentyl-1-piperazinyl)carbonyl]-1-piperidinyl]- (CA INDEX NAME)	



RN	799558-07-9	CAPLUS	
CN	Benzonitrile, 4-[4-[[(3R,5S)-4-cyclobutyl-3,5-dimethyl-1-piperazinyl]carbonyl]-1-piperidinyl]-, hydrochloride (1:?), rel- (CA INDEX NAME)		

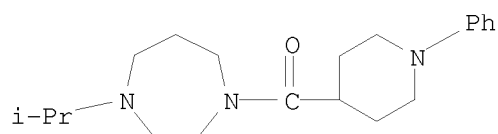
Relative stereochemistry.

10/553,803



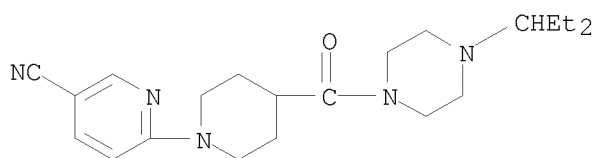
●x HCl

RN 799558-08-0 CAPLUS
CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl](1-phenyl-4-piperidinyl)-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

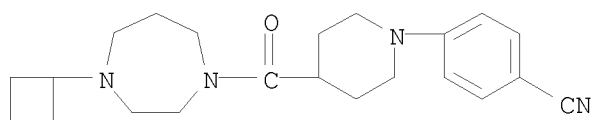
RN 799558-09-1 CAPLUS
CN 3-Pyridinecarbonitrile, 6-[4-[[4-(1-ethylpropyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

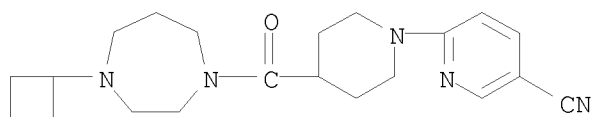
RN 799558-10-4 CAPLUS
CN Benzonitrile, 4-[4-[(4-cyclobutylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-1-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

10/553,803



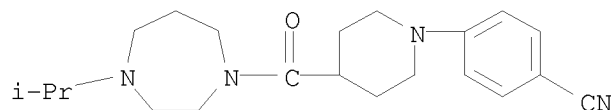
●x HCl

RN 799558-11-5 CAPLUS
CN 3-Pyridinecarbonitrile, 6-[4-[(4-cyclobutylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-1-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

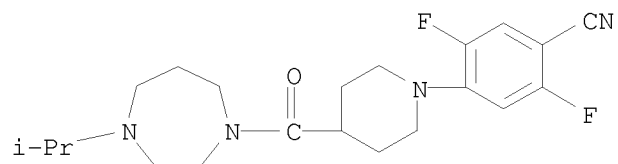
RN 799558-12-6 CAPLUS
CN Benzonitrile, 4-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

RN 799558-13-7 CAPLUS
CN Benzonitrile, 2,5-difluoro-4-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

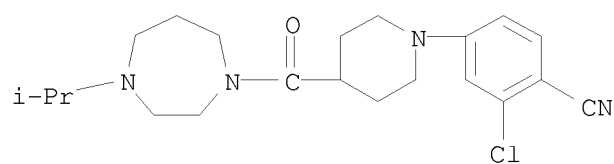
10/553,803



●x HCl

RN 799558-14-8 CAPLUS

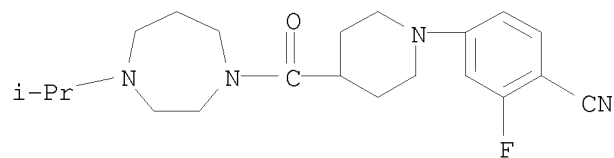
CN Benzonitrile, 2-chloro-4-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

RN 799558-15-9 CAPLUS

CN Benzonitrile, 2-fluoro-4-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

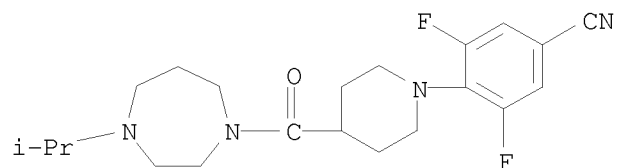


●x HCl

RN 799558-16-0 CAPLUS

CN Benzonitrile, 3,5-difluoro-4-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

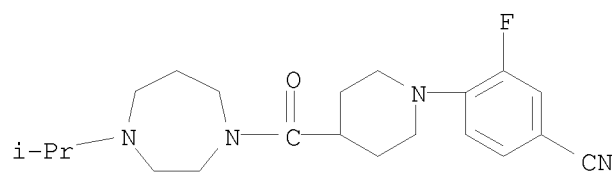
10/553,803



●_x HCl

RN 799558-17-1 CAPLUS

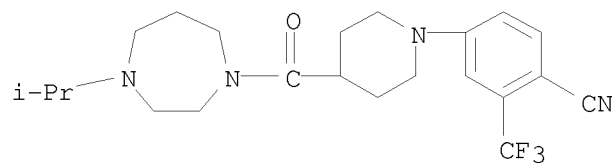
CN Benzonitrile, 3-fluoro-4-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)



●_x HCl

RN 799558-18-2 CAPLUS

CN Benzonitrile, 4-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]-2-(trifluoromethyl)-, hydrochloride (1:?) (CA INDEX NAME)

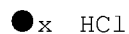
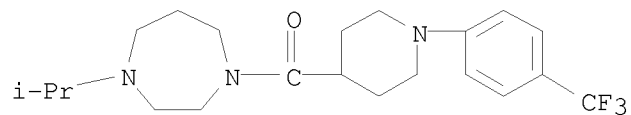


●_x HCl

RN 799558-19-3 CAPLUS

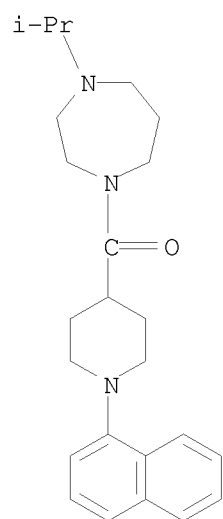
CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-[4-(trifluoromethyl)phenyl]-4-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

10/553,803



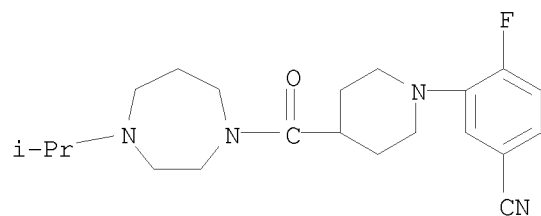
RN 799558-20-6 CAPLUS

CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-(1-naphthalenyl)-4-piperidinyl]- (CA INDEX NAME)



RN 799558-21-7 CAPLUS

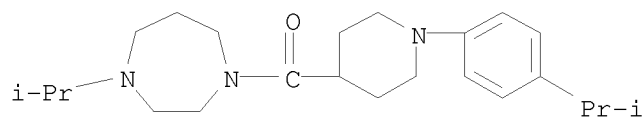
CN Benzonitrile, 4-fluoro-3-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)



RN 799558-22-8 CAPLUS

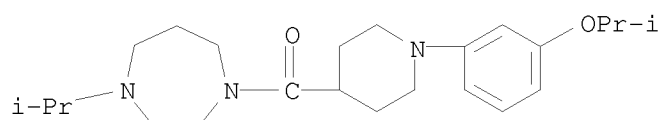
CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-[4-(1-methylethyl)phenyl]-4-piperidinyl]- (CA INDEX NAME)

10/553,803



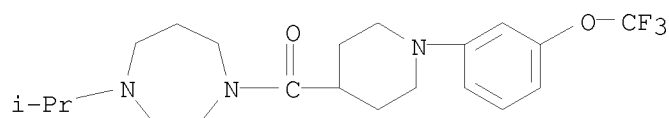
RN 799558-23-9 CAPLUS

CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-[3-(1-methylethoxy)phenyl]-4-piperidinyl]- (CA INDEX NAME)



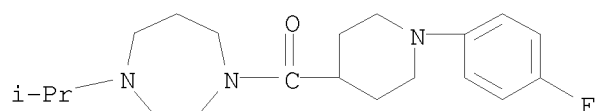
RN 799558-24-0 CAPLUS

CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-[3-(trifluoromethoxy)phenyl]-4-piperidinyl]- (CA INDEX NAME)



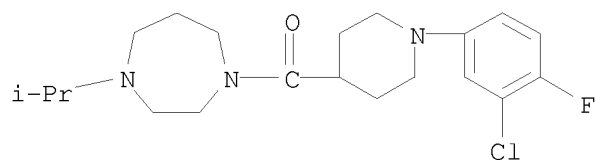
RN 799558-25-1 CAPLUS

CN Methanone, [1-(4-fluorophenyl)-4-piperidinyl][hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)



RN 799558-26-2 CAPLUS

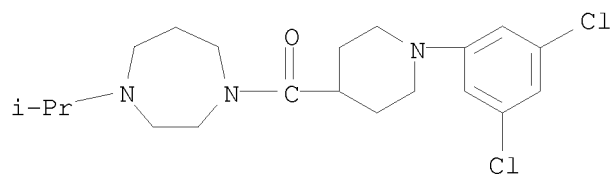
CN Methanone, [1-(3-chloro-4-fluorophenyl)-4-piperidinyl][hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)



RN 799558-27-3 CAPLUS

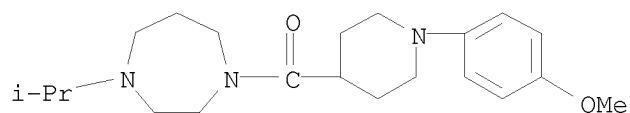
CN Methanone, [1-(3,5-dichlorophenyl)-4-piperidinyl][hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)

10/553,803



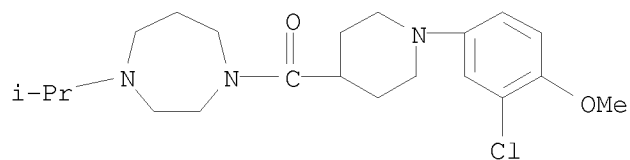
RN 799558-28-4 CAPLUS

CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-(4-methoxyphenyl)-4-piperidinyl]- (CA INDEX NAME)



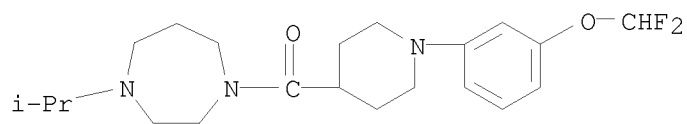
RN 799558-29-5 CAPLUS

CN Methanone, [1-(3-chloro-4-methoxyphenyl)-4-piperidinyl][hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)



RN 799558-30-8 CAPLUS

CN Methanone, [1-[3-(difluoromethoxy)phenyl]-4-piperidinyl][hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)

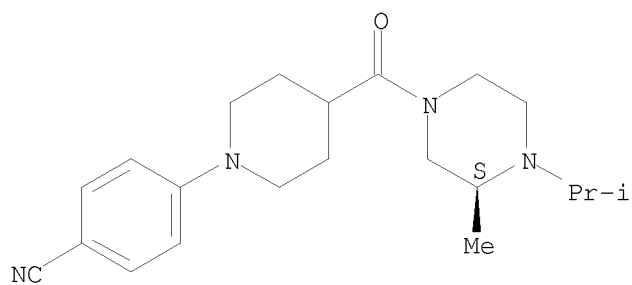


RN 799558-31-9 CAPLUS

CN Benzonitrile, 4-[4-[[(3S)-3-methyl-4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

Absolute stereochemistry.

10/553,803

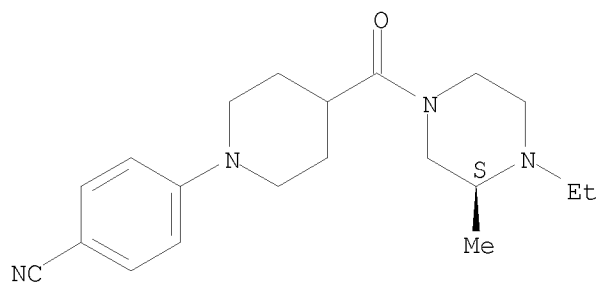


●x HCl

RN 799558-32-0 CAPLUS

CN Benzonitrile, 4-[4-[[(3S)-4-ethyl-3-methyl-1-piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)

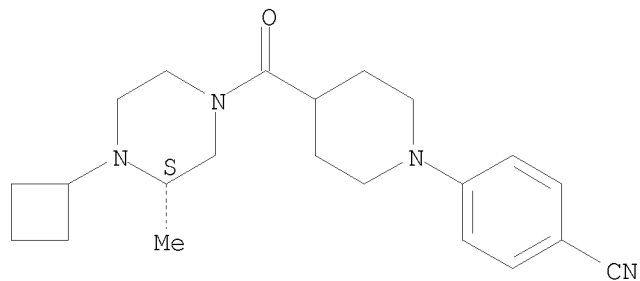
Absolute stereochemistry.



RN 799558-33-1 CAPLUS

CN Benzonitrile, 4-[4-[[(3S)-4-cyclobutyl-3-methyl-1-piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

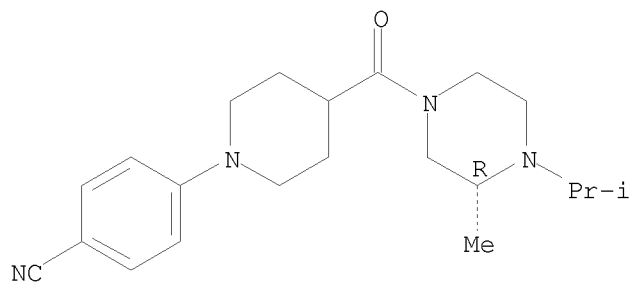


RN 799558-34-2 CAPLUS

CN Benzonitrile, 4-[4-[[(3R)-3-methyl-4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

10/553,803

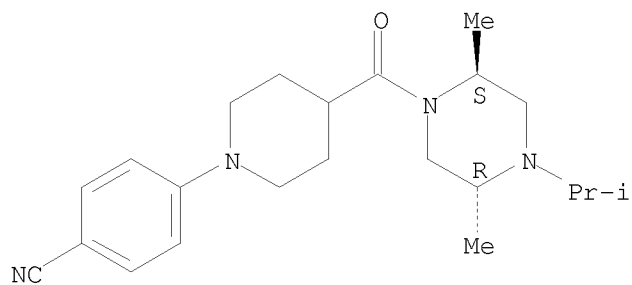
Absolute stereochemistry.



●x HCl

RN 799558-35-3 CAPLUS
CN Benzonitrile, 4-[4-[[[(2R, 5S)-2,5-dimethyl-4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

Relative stereochemistry.

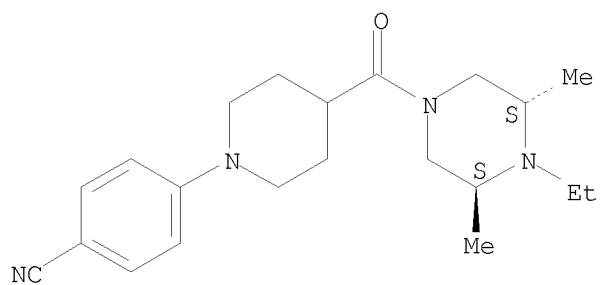


●x HCl

RN 799558-36-4 CAPLUS
CN Benzonitrile, 4-[4-[[[(3S, 5S)-4-ethyl-3,5-dimethyl-1-piperazinyl]carbonyl]-1-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

Absolute stereochemistry.

10/553,803

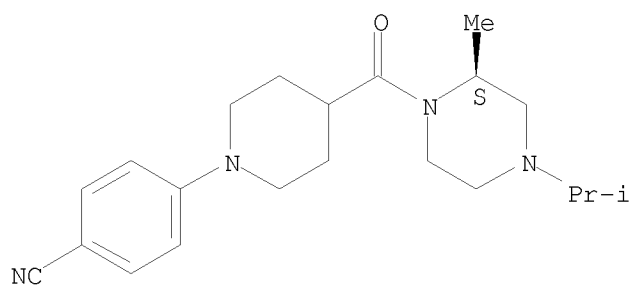


●x HCl

RN 799558-37-5 CAPLUS

CN Benzonitrile, 4-[4-[[[(2S)-2-methyl-4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

Absolute stereochemistry.



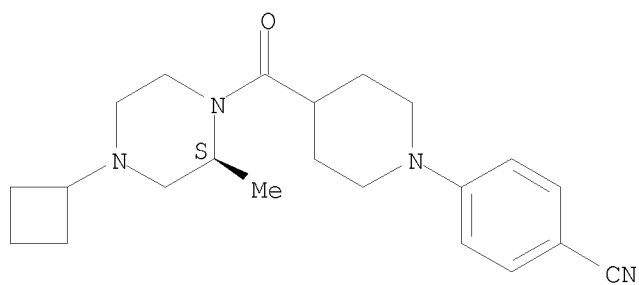
●x HCl

RN 799558-38-6 CAPLUS

CN Benzonitrile, 4-[4-[[[(2S)-4-cyclobutyl-2-methyl-1-piperazinyl]carbonyl]-1-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

Absolute stereochemistry.

10/553,803

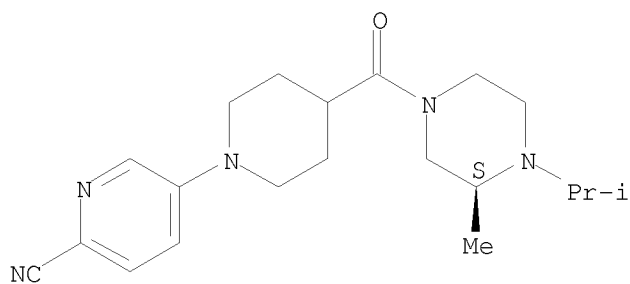


●x HCl

RN 799558-39-7 CAPLUS

CN 2-Pyridinecarbonitrile, 5-[4-[[(3S)-3-methyl-4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

Absolute stereochemistry.



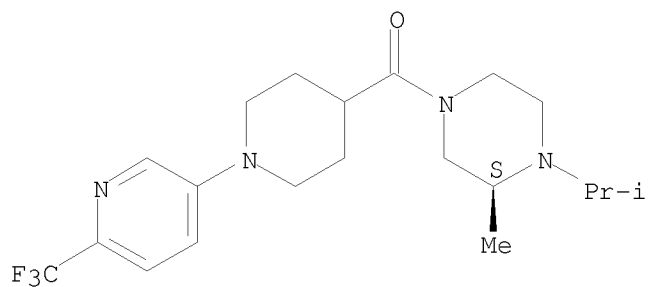
●x HCl

RN 799558-40-0 CAPLUS

CN Methanone, [(3S)-3-methyl-4-(1-methylethyl)-1-piperazinyl][1-[6-(trifluoromethyl)-3-pyridinyl]-4-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

Absolute stereochemistry.

10/553,803

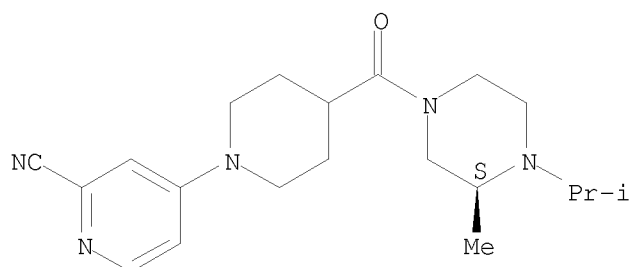


●x HCl

RN 799558-41-1 CAPLUS

CN 2-Pyridinecarbonitrile, 4-[4-[[4-(1-methylethyl)-3-methyl-1-piperazinyl]carbonyl]-1-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

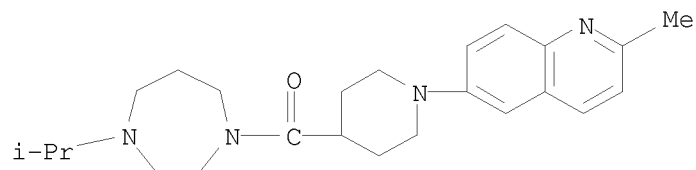
Absolute stereochemistry.



●x HCl

RN 799558-42-2 CAPLUS

CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-(2-methyl-6-quinolinyl)-4-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)



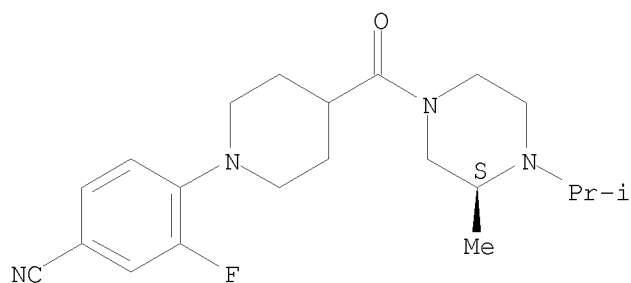
●x HCl

10/553,803

RN 799558-43-3 CAPLUS

CN Benzonitrile, 3-fluoro-4-[4-[[(3S)-3-methyl-4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)

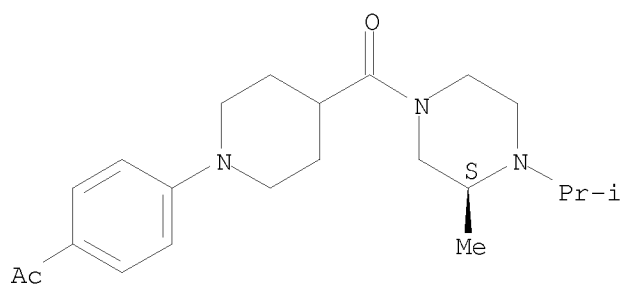
Absolute stereochemistry.



RN 799558-44-4 CAPLUS

CN Ethanone, 1-[4-[4-[[(3S)-3-methyl-4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]- (CA INDEX NAME)

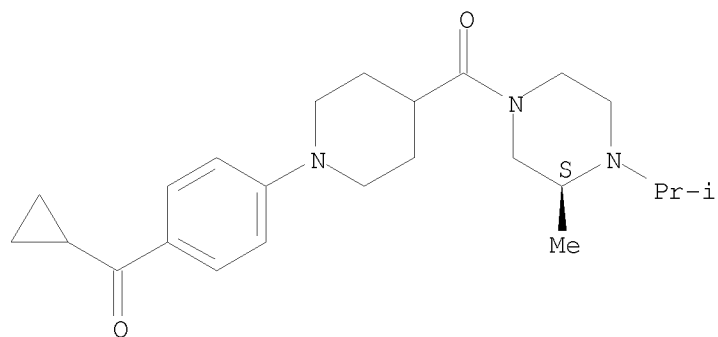
Absolute stereochemistry.



RN 799558-45-5 CAPLUS

CN Methanone, [1-[4-(cyclopropylcarbonyl)phenyl]-4-piperidinyl][(3S)-3-methyl-4-(1-methylethyl)-1-piperazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

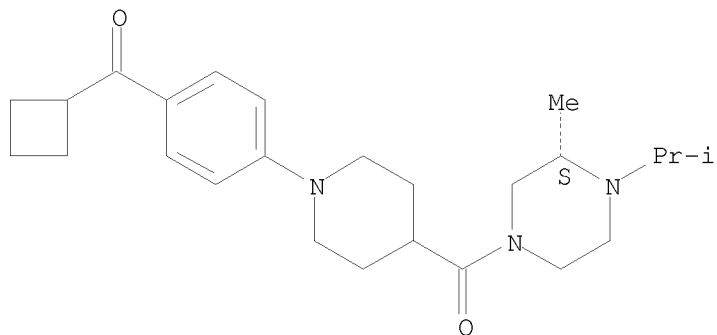


RN 799558-46-6 CAPLUS

10/553,803

CN Methanone, [1-[4-(cyclobutylcarbonyl)phenyl]-4-piperidinyl][(3S)-3-methyl-4-(1-methylethyl)-1-piperazinyl]- (CA INDEX NAME)

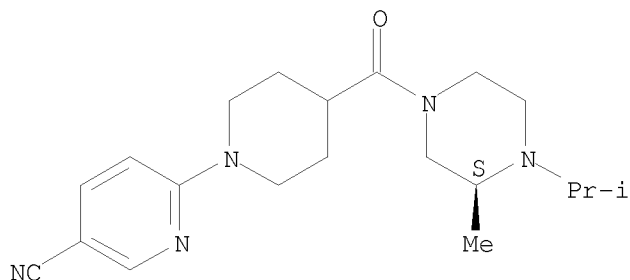
Absolute stereochemistry.



RN 799558-47-7 CAPLUS

CN 3-Pyridinecarbonitrile, 6-[4-[[[(3S)-3-methyl-4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

Absolute stereochemistry.



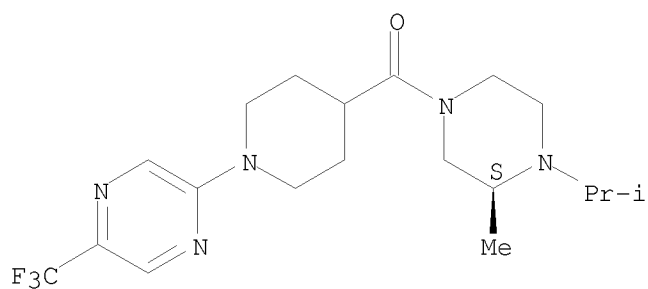
●x HCl

RN 799558-48-8 CAPLUS

CN Methanone, [(3S)-3-methyl-4-(1-methylethyl)-1-piperazinyl][1-[5-(trifluoromethyl)-2-pyrazinyl]-4-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

Absolute stereochemistry.

10/553,803

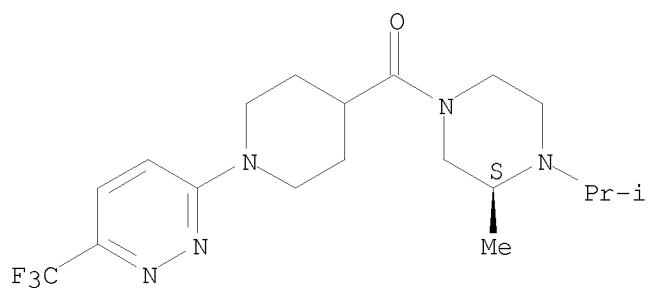


●x HCl

RN 799558-49-9 CAPLUS

CN Methanone, [(3S)-3-methyl-4-(1-methylethyl)-1-piperazinyl][1-[6-(trifluoromethyl)-3-pyridazinyl]-4-piperidinyll]-, hydrochloride (1:?) (CA INDEX NAME)

Absolute stereochemistry.



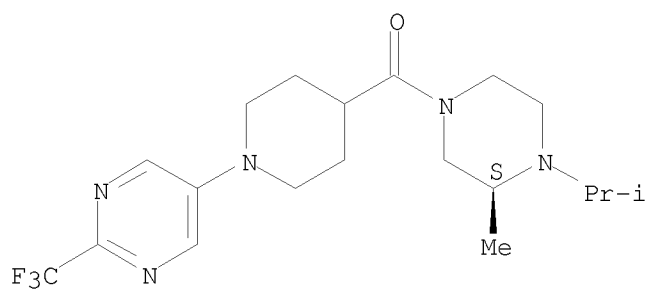
●x HCl

RN 799558-50-2 CAPLUS

CN Methanone, [(3S)-3-methyl-4-(1-methylethyl)-1-piperazinyl][1-[2-(trifluoromethyl)-5-pyrimidinyl]-4-piperidinyll]-, hydrochloride (1:?) (CA INDEX NAME)

Absolute stereochemistry.

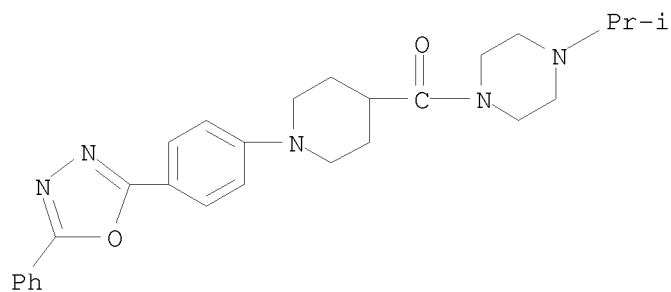
10/553,803



●x HCl

RN 799558-51-3 CAPLUS

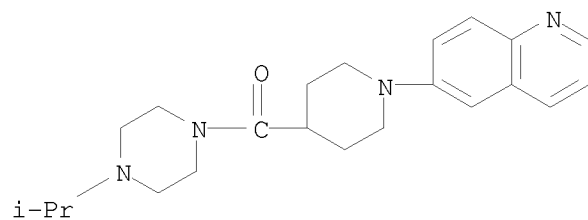
CN Methanone, [4-(1-methylethyl)-1-piperazinyl][1-[4-(5-phenyl-1,3,4-oxadiazol-2-yl)phenyl]-4-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

RN 799558-52-4 CAPLUS

CN Methanone, [4-(1-methylethyl)-1-piperazinyl][1-(6-quinolinyl)-4-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

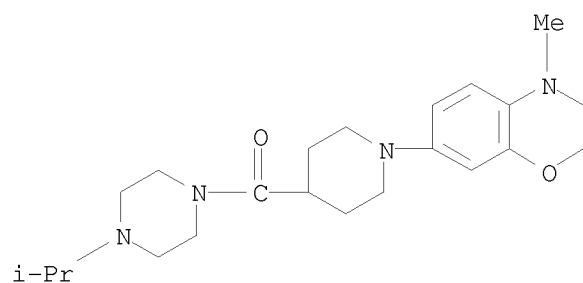


●x HCl

10/553,803

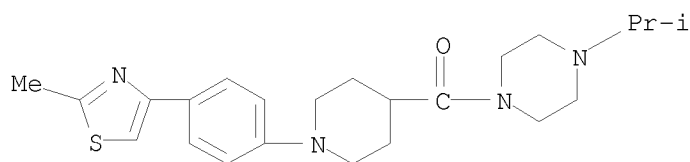
RN 799558-53-5 CAPLUS

CN Methanone, [1-(3,4-dihydro-4-methyl-2H-1,4-benzoxazin-7-yl)-4-piperidinyl][4-(1-methylethyl)-1-piperazinyl]- (CA INDEX NAME)



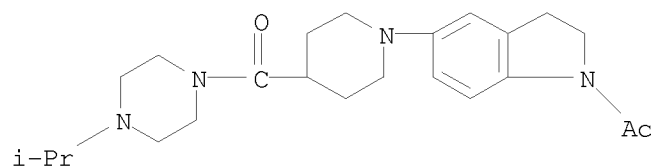
RN 799558-54-6 CAPLUS

CN Methanone, [4-(1-methylethyl)-1-piperazinyl][1-[4-(2-methyl-4-thiazolyl)phenyl]-4-piperidinyl]- (CA INDEX NAME)



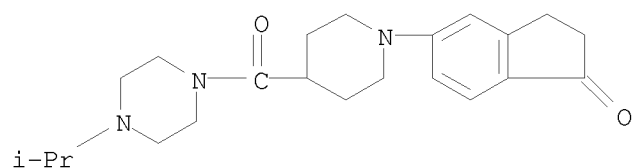
RN 799558-55-7 CAPLUS

CN Ethanone, 1-[2,3-dihydro-5-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-1H-indol-1-yl]- (CA INDEX NAME)



RN 799558-56-8 CAPLUS

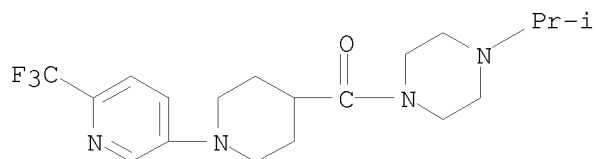
CN 1H-Inden-1-one, 2,3-dihydro-5-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)



10/553,803

RN 799558-57-9 CAPLUS

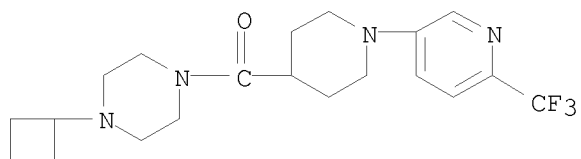
CN Methanone, [4-(1-methylethyl)-1-piperazinyl][1-[6-(trifluoromethyl)-3-pyridinyl]-4-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

RN 799558-58-0 CAPLUS

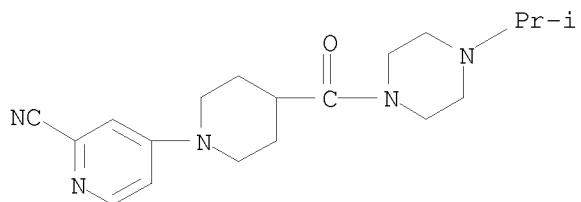
CN Methanone, (4-cyclobutyl-1-piperazinyl)[1-[6-(trifluoromethyl)-3-pyridinyl]-4-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

RN 799558-59-1 CAPLUS

CN 2-Pyridinecarbonitrile, 4-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

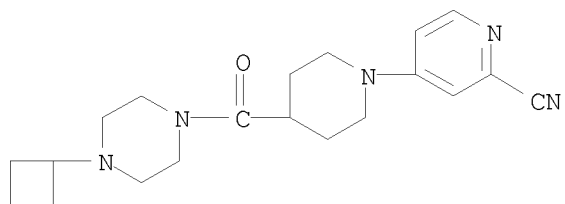


●x HCl

RN 799558-60-4 CAPLUS

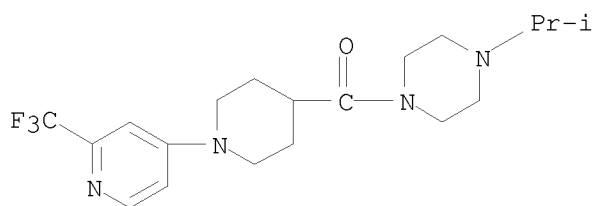
CN 2-Pyridinecarbonitrile, 4-[4-[(4-cyclobutyl-1-piperazinyl)carbonyl]-1-piperidinyl]- (CA INDEX NAME)

10/553,803



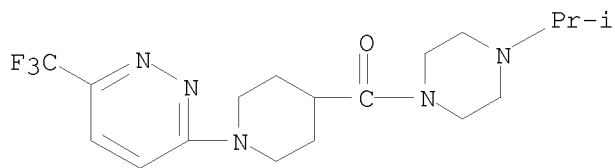
RN 799558-61-5 CAPLUS

CN Methanone, [4-(1-methylethyl)-1-piperazinyl][1-[2-(trifluoromethyl)-4-pyridinyl]-4-piperidinyl]- (CA INDEX NAME)



RN 799558-62-6 CAPLUS

CN Methanone, [4-(1-methylethyl)-1-piperazinyl][1-[6-(trifluoromethyl)-3-pyridazinyl]-4-piperidinyl]- (CA INDEX NAME)

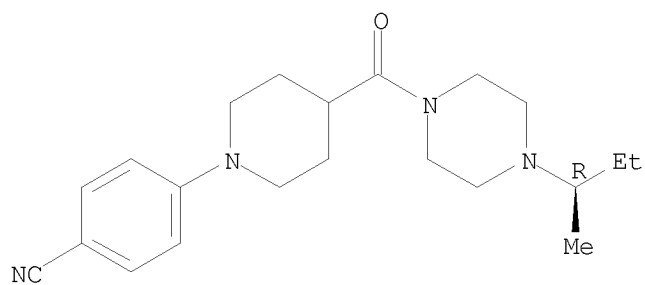


RN 799558-63-7 CAPLUS

CN Benzonitrile, 4-[4-[[4-[(1R)-1-methylpropyl]-1-piperazinyl]carbonyl]-1-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

Absolute stereochemistry.

10/553,803

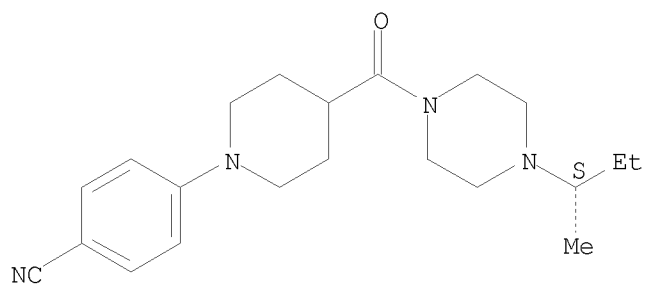


●x HCl

RN 799558-64-8 CAPLUS

CN Benzonitrile, 4-[4-[[4-[(1S)-1-methylpropyl]-1-piperazinyl]carbonyl]-1-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

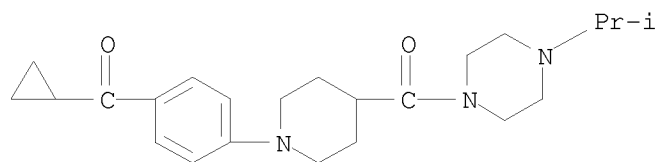
Absolute stereochemistry.



●x HCl

RN 799558-65-9 CAPLUS

CN Methanone, [1-[4-(cyclopropylcarbonyl)phenyl]-4-piperidinyl][4-(1-methylethyl)-1-piperazinyl]-, hydrochloride (1:?) (CA INDEX NAME)

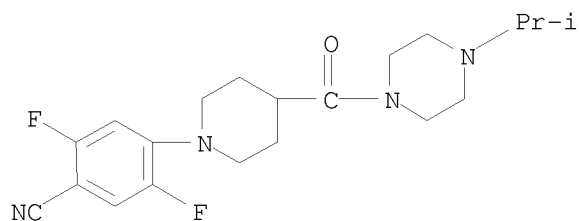


●x HCl

RN 799558-66-0 CAPLUS

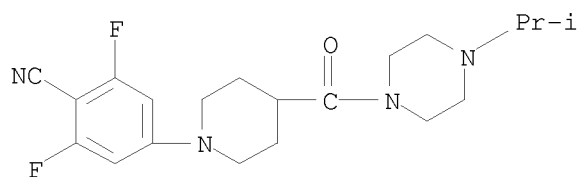
10/553,803

CN Benzonitrile, 2,5-difluoro-4-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)



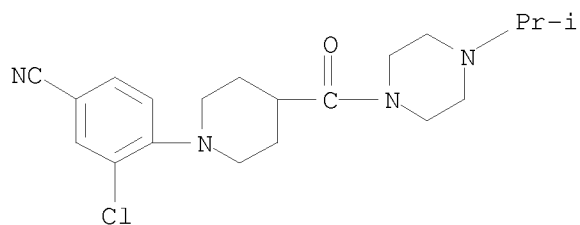
RN 799558-67-1 CAPLUS

CN Benzonitrile, 2,6-difluoro-4-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)



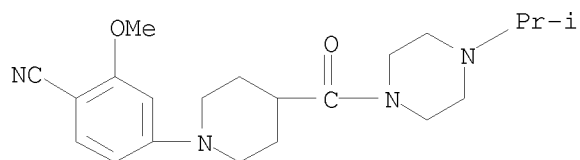
RN 799558-68-2 CAPLUS

CN Benzonitrile, 3-chloro-4-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)



RN 799558-69-3 CAPLUS

CN Benzonitrile, 2-methoxy-4-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)

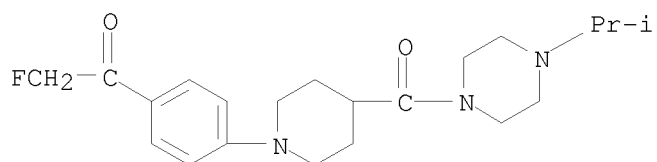


RN 799558-70-6 CAPLUS

CN Ethanone, 2-fluoro-1-[4-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-

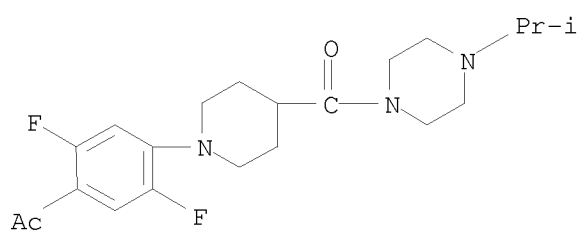
10/553,803

piperidinyl]phenyl]- (CA INDEX NAME)



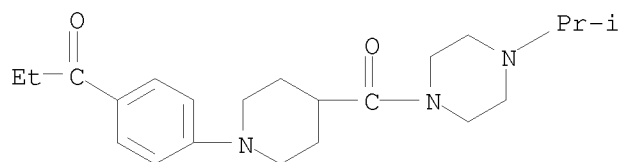
RN 799558-71-7 CAPLUS

CN Ethanone, 1-[2,5-difluoro-4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]- (CA INDEX NAME)



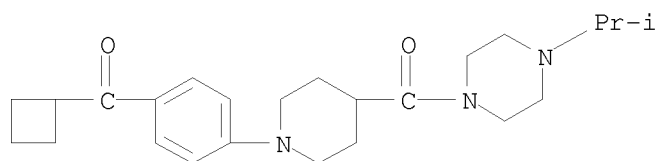
RN 799558-72-8 CAPLUS

CN 1-Propanone, 1-[4-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]- (CA INDEX NAME)



RN 799558-73-9 CAPLUS

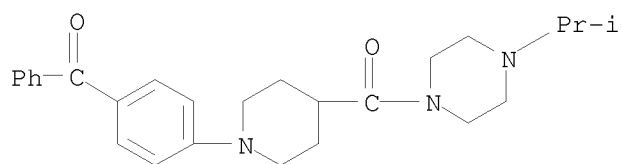
CN Methanone, [1-[4-(cyclobutylcarbonyl)phenyl]-4-piperidinyl][4-(1-methylethyl)-1-piperazinyl]- (CA INDEX NAME)



RN 799558-74-0 CAPLUS

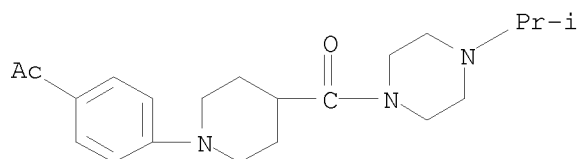
CN Piperazine, 1-[[1-(4-benzoylphenyl)-4-piperidinyl]carbonyl]-4-(1-methylethyl)- (9CI) (CA INDEX NAME)

10/553,803



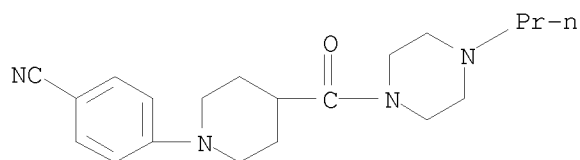
RN 799558-75-1 CAPLUS

CN Ethanone, 1-[4-[4-[(4-(1-methylethyl)-1-piperazinyl)carbonyl]-1-piperidinyl]phenyl]- (CA INDEX NAME)



RN 799558-76-2 CAPLUS

CN Benzonitrile, 4-[4-[(4-propyl-1-piperazinyl)carbonyl]-1-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

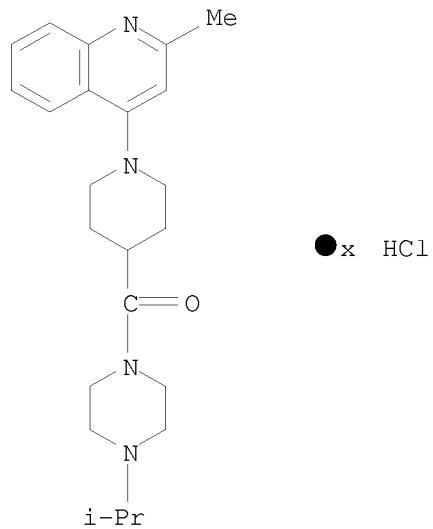


●x HCl

RN 799558-77-3 CAPLUS

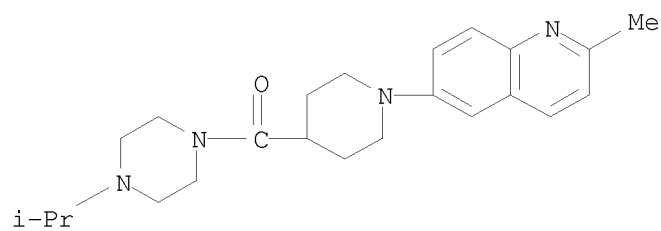
CN Methanone, [4-(1-methylethyl)-1-piperazinyl][1-(2-methyl-4-quinolinyl)-4-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

10/553,803



RN 799558-78-4 CAPLUS

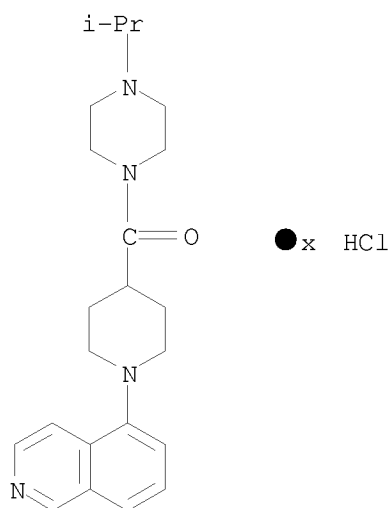
CN Methanone, [4-(1-methylethyl)-1-piperazinyl][1-(2-methyl-6-quinolinyl)-4-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)



RN 799558-79-5 CAPLUS

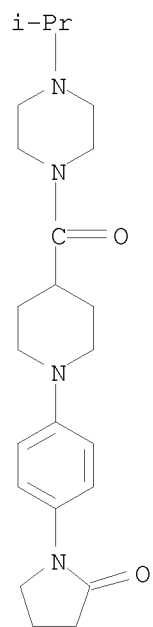
CN Methanone, [1-(5-isoquinolinyl)-4-piperidinyl][4-(1-methylethyl)-1-piperazinyl]-, hydrochloride (1:?) (CA INDEX NAME)

10/553,803



RN 799558-80-8 CAPLUS

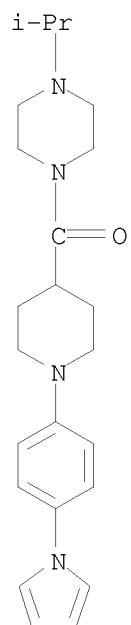
CN 2-Pyrrolidinone, 1-[4-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]- (CA INDEX NAME)



RN 799558-81-9 CAPLUS

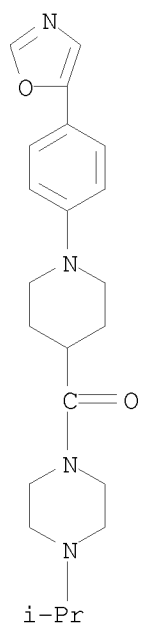
CN Methanone, [4-(1-methylethyl)-1-piperazinyl][1-[4-(1H-pyrrol-1-yl)phenyl]-4-piperidinyl]- (CA INDEX NAME)

10/553,803



RN 799558-82-0 CAPLUS

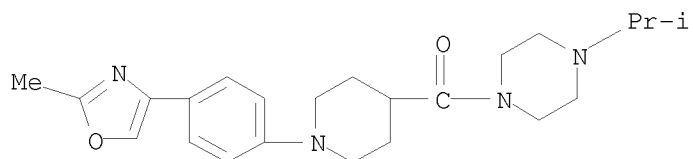
CN Methanone, [4-(1-methylethyl)-1-piperazinyl][1-[4-(5-oxazolyl)phenyl]-4-piperidinyl]- (CA INDEX NAME)



RN 799558-83-1 CAPLUS

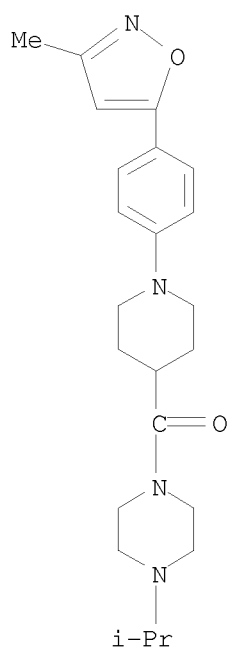
CN Methanone, [4-(1-methylethyl)-1-piperazinyl][1-[4-(2-methyl-4-oxazolyl)phenyl]-4-piperidinyl]- (CA INDEX NAME)

10/553,803



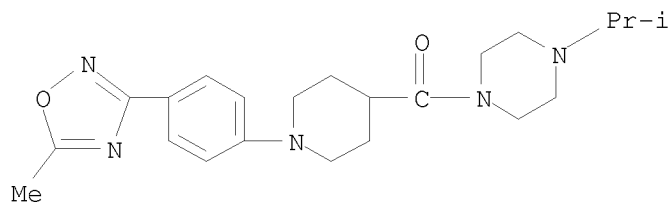
RN 799558-84-2 CAPLUS

CN Methanone, [4-(1-methylethyl)-1-piperazinyl][1-[4-(3-methyl-5-isoxazolyl)phenyl]-4-piperidinyl]- (CA INDEX NAME)



RN 799558-85-3 CAPLUS

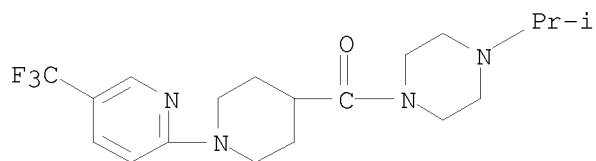
CN Methanone, [4-(1-methylethyl)-1-piperazinyl][1-[4-(5-methyl-1,2,4-oxadiazol-3-yl)phenyl]-4-piperidinyl]- (CA INDEX NAME)



RN 799558-86-4 CAPLUS

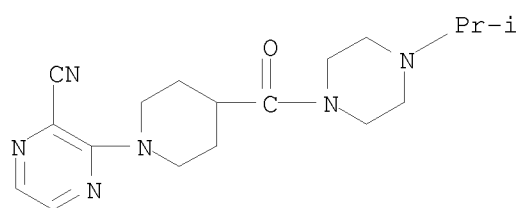
CN Methanone, [4-(1-methylethyl)-1-piperazinyl][1-[5-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]- (CA INDEX NAME)

10/553,803



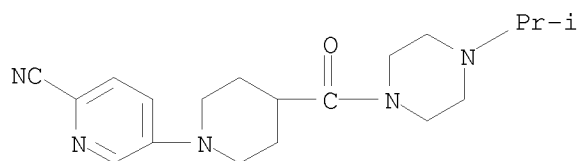
RN 799558-87-5 CAPLUS

CN 2-Pyrazinecarbonitrile, 3-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)



RN 799558-88-6 CAPLUS

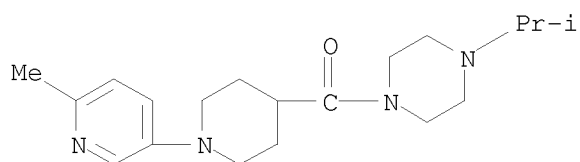
CN 2-Pyridinecarbonitrile, 5-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

RN 799558-89-7 CAPLUS

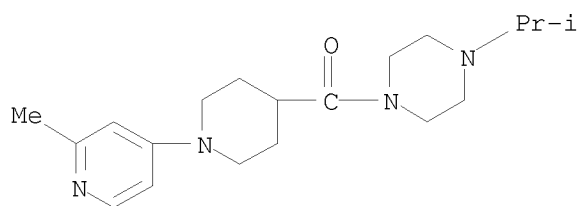
CN Methanone, [4-(1-methylethyl)-1-piperazinyl][1-(6-methyl-3-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 799558-90-0 CAPLUS

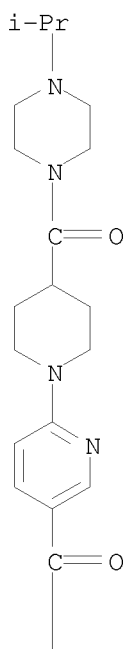
CN Methanone, [4-(1-methylethyl)-1-piperazinyl][1-(2-methyl-4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)

10/553,803

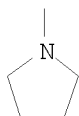


RN 799558-91-1 CAPLUS
CN Methanone, [6-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-3-pyridinyl]-1-pyrrolidinyl- (CA INDEX NAME)

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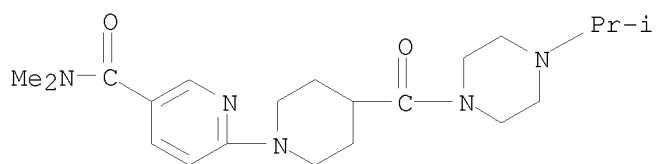


PAGE 2-A



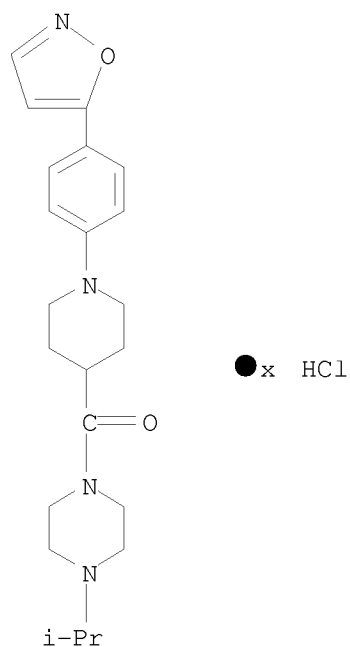
RN 799558-92-2 CAPLUS
CN 3-Pyridinecarboxamide, N,N-dimethyl-6-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)

10/553,803



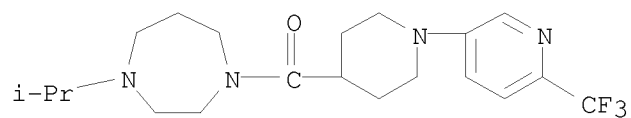
RN 799558-93-3 CAPLUS

CN Methanone, [1-[4-(5-isoxazolyl)phenyl]-4-piperidinyll][4-(1-methylethyl)-1-piperazinyl]-, hydrochloride (1:?) (CA INDEX NAME)



RN 799558-94-4 CAPLUS

CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-[6-(trifluoromethyl)-3-pyridinyl]-4-piperidinyll]-, hydrochloride (1:?) (CA INDEX NAME)



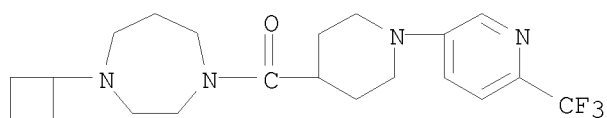
●x HCl

RN 799558-95-5 CAPLUS

CN Methanone, (4-cyclobutylhexahydro-1H-1,4-diazepin-1-yl)[1-[6-(trifluoromethyl)-3-pyridinyl]-4-piperidinyll]-, hydrochloride (1:?) (CA INDEX NAME)

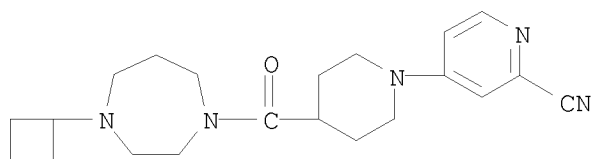
10/553,803

INDEX NAME)



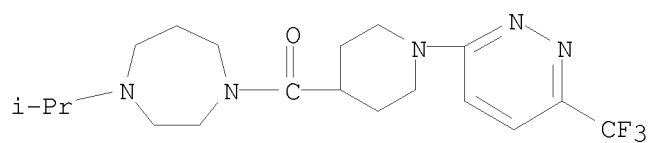
●x HCl

RN 799558-96-6 CAPLUS
CN 2-Pyridinecarbonitrile, 4-[4-[(4-cyclobutylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-1-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

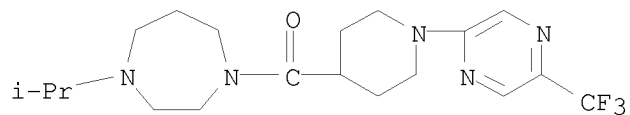
RN 799558-97-7 CAPLUS
CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-[6-(trifluoromethyl)-3-pyridazinyl]-4-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

RN 799558-98-8 CAPLUS
CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-[5-(trifluoromethyl)-2-pyrazinyl]-4-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

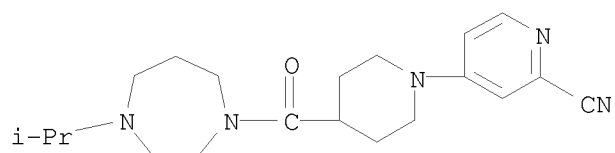
10/553,803



●x HCl

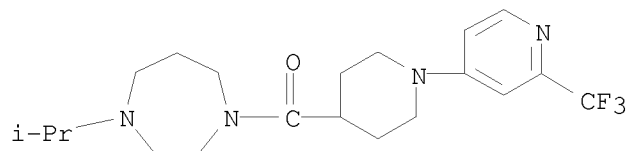
RN 799558-99-9 CAPLUS

CN 2-Pyridinecarbonitrile, 4-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)



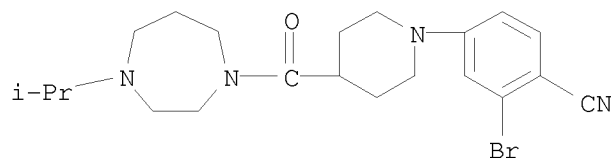
RN 799559-00-5 CAPLUS

CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-[2-(trifluoromethyl)-4-pyridinyl]-4-piperidinyl]- (CA INDEX NAME)



RN 799559-01-6 CAPLUS

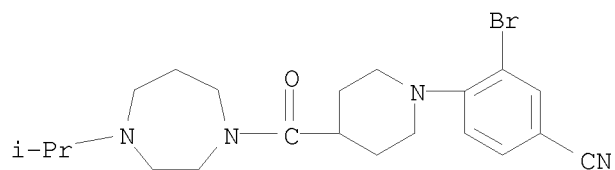
CN Benzonitrile, 2-bromo-4-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)



RN 799559-02-7 CAPLUS

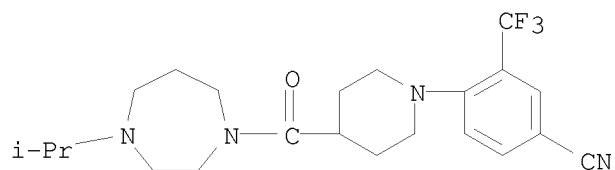
CN Benzonitrile, 3-bromo-4-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)

10/553,803



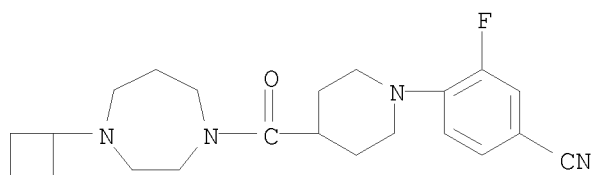
RN 799559-03-8 CAPLUS

CN Benzonitrile, 4-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]-3-(trifluoromethyl)- (CA INDEX NAME)



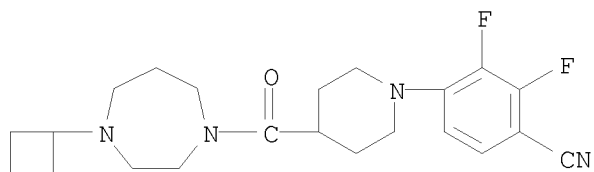
RN 799559-04-9 CAPLUS

CN Benzonitrile, 4-[4-[(4-cyclobutylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-1-piperidinyl]-3-fluoro- (CA INDEX NAME)



RN 799559-05-0 CAPLUS

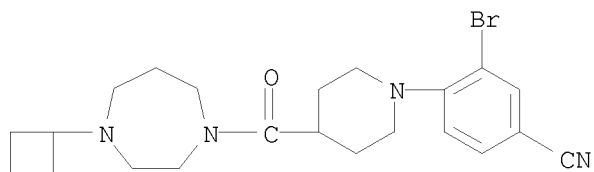
CN Benzonitrile, 4-[4-[(4-cyclobutylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-1-piperidinyl]-2,3-difluoro- (CA INDEX NAME)



RN 799559-06-1 CAPLUS

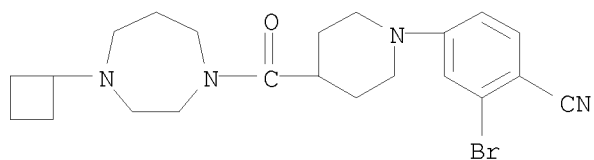
CN Benzonitrile, 3-bromo-4-[4-[(4-cyclobutylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-1-piperidinyl]- (CA INDEX NAME)

10/553,803



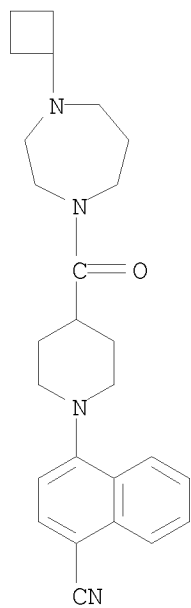
RN 799559-07-2 CAPLUS

CN Benzonitrile, 2-bromo-4-[4-[(4-cyclobutylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-1-piperidinyl]- (CA INDEX NAME)



RN 799559-08-3 CAPLUS

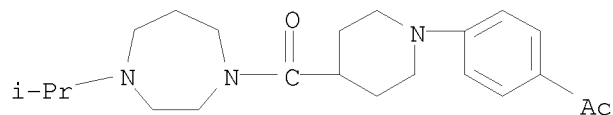
CN 1-Naphthalenecarbonitrile, 4-[4-[(4-cyclobutylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-1-piperidinyl]- (CA INDEX NAME)



RN 799559-09-4 CAPLUS

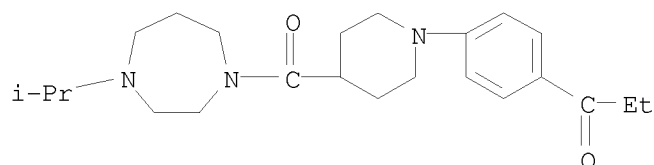
CN Ethanone, 1-[4-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]phenyl]-, hydrochloride (1:?) (CA INDEX NAME)

10/553,803



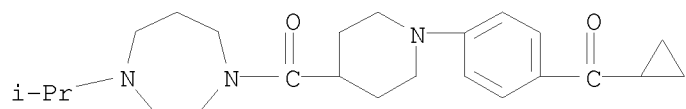
●x HCl

RN 799559-10-7 CAPLUS
CN 1-Propanone, 1-[4-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]phenyl]-, hydrochloride (1:?) (CA INDEX NAME)

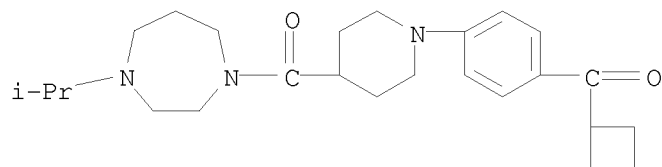


●x HCl

RN 799559-11-8 CAPLUS
CN Methanone, [1-[4-(cyclopropylcarbonyl)phenyl]-4-piperidinyl][hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)

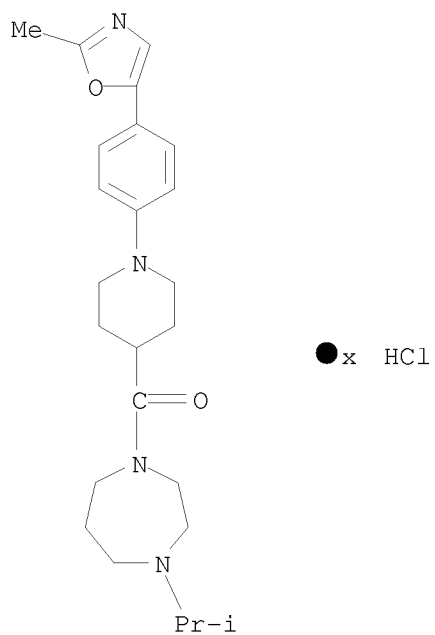


RN 799559-12-9 CAPLUS
CN Methanone, [1-[4-(cyclobutylcarbonyl)phenyl]-4-piperidinyl][hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)



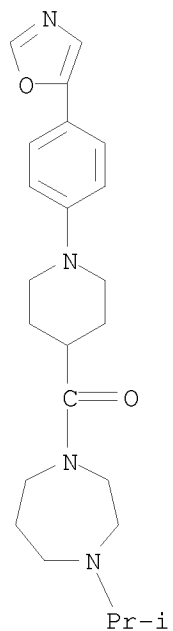
RN 799559-13-0 CAPLUS
CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-[4-(2-methyl-5-oxazolyl)phenyl]-4-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

10/553,803



RN 799559-14-1 CAPLUS

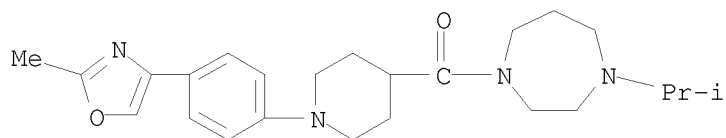
CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-[4-(5-oxazolyl)phenyl]-4-piperidiny]- (CA INDEX NAME)



RN 799559-15-2 CAPLUS

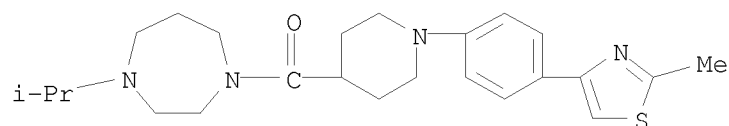
CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-[4-(2-methyl-4-oxazolyl)phenyl]-4-piperidiny]- (CA INDEX NAME)

10/553,803



RN 799559-16-3 CAPLUS

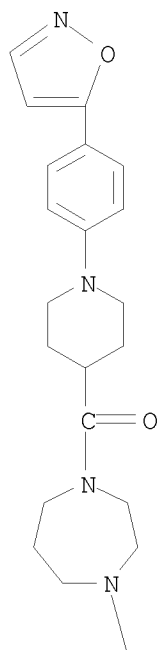
CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-[4-(2-methyl-4-thiazolyl)phenyl]-4-piperidinyl]- (CA INDEX NAME)



RN 799559-17-4 CAPLUS

CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-[4-(5-isoxazolyl)phenyl]-4-piperidinyl]- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

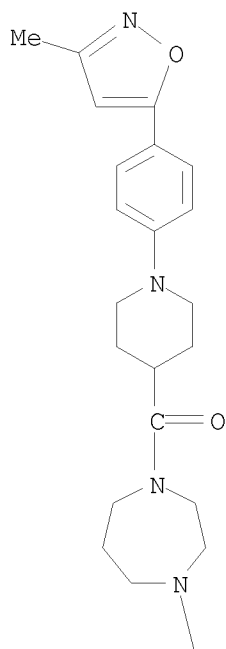


Pr-i

RN 799559-18-5 CAPLUS

CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-[4-(3-methyl-5-isoxazolyl)phenyl]-4-piperidiny]- (CA INDEX NAME)

PAGE 1-A



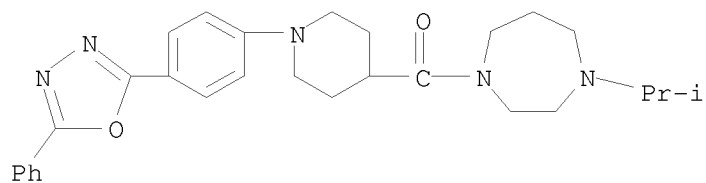
PAGE 2-A



Pr-i

RN 799559-19-6 CAPLUS

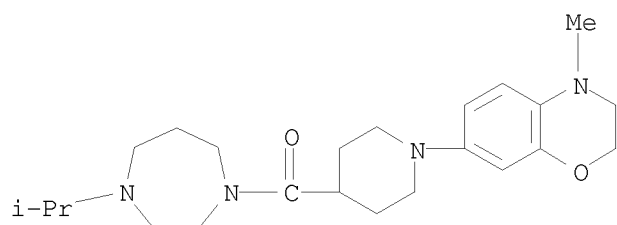
CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-[4-(5-phenyl-1,3,4-oxadiazol-2-yl)phenyl]-4-piperidiny]- (CA INDEX NAME)



10/553,803

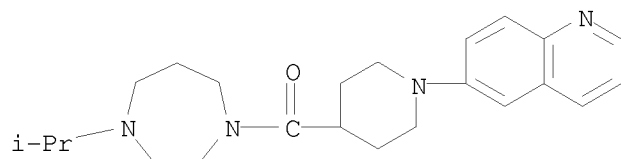
RN 799559-20-9 CAPLUS

CN Methanone, [1-(3,4-dihydro-4-methyl-2H-1,4-benzoxazin-7-yl)-4-piperidinyl][hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)



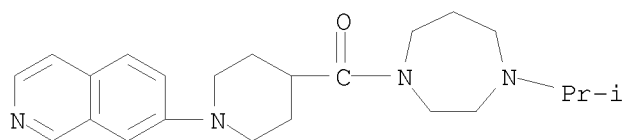
RN 799559-21-0 CAPLUS

CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-(6-quinolinyl)-4-piperidinyl]- (CA INDEX NAME)



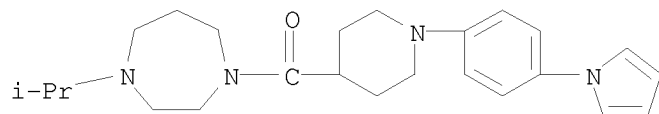
RN 799559-22-1 CAPLUS

CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-(7-isoquinolinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 799559-23-2 CAPLUS

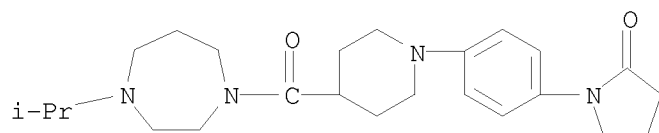
CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-[4-(1H-pyrrol-1-yl)phenyl]-4-piperidinyl]- (CA INDEX NAME)



RN 799559-24-3 CAPLUS

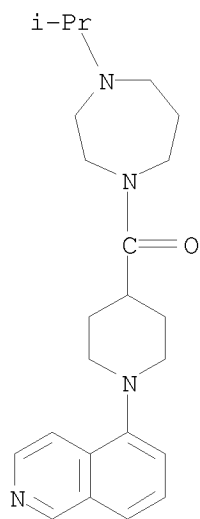
CN 2-Pyrrolidinone, 1-[4-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]phenyl]- (CA INDEX NAME)

10/553,803



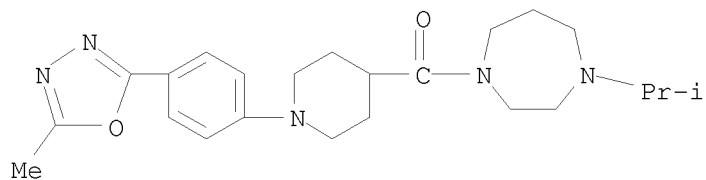
RN 799559-25-4 CAPLUS

CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-(5-isoquinolinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 799559-26-5 CAPLUS

CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-[4-(5-methyl-1,3,4-oxadiazol-2-yl)phenyl]-4-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

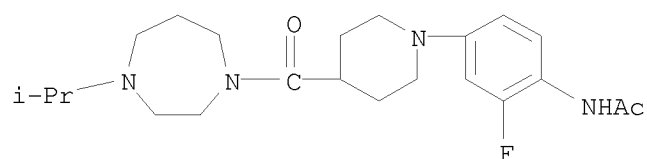


● x HCl

RN 799559-27-6 CAPLUS

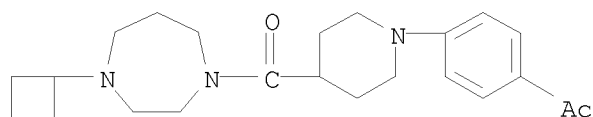
CN Acetamide, N-[2-fluoro-4-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]phenyl]-, hydrochloride (1:?) (CA INDEX NAME)

10/553,803



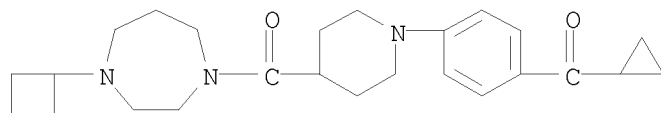
●x HCl

RN 799559-28-7 CAPLUS
CN Ethanone, 1-[4-[4-[(4-cyclobutylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-1-piperidinyl]phenyl]-, hydrochloride (1:?) (CA INDEX NAME)

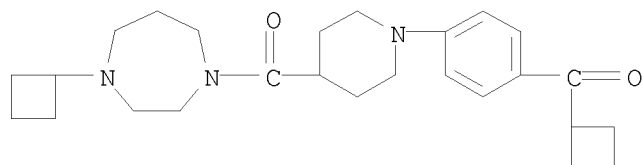


●x HCl

RN 799559-29-8 CAPLUS
CN Methanone, [4-[4-[(4-cyclobutylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-1-piperidinyl]phenyl]cyclopropyl- (CA INDEX NAME)

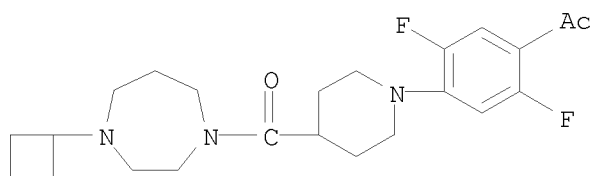


RN 799559-30-1 CAPLUS
CN Methanone, [1-[4-(cyclobutylcarbonyl)phenyl]-4-piperidinyl] (4-cyclobutylhexahydro-1H-1,4-diazepin-1-yl)- (CA INDEX NAME)



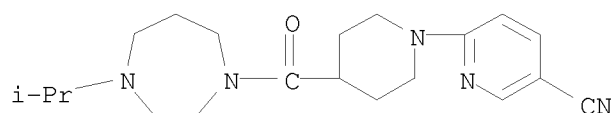
RN 799559-31-2 CAPLUS
CN Ethanone, 1-[4-[4-[(4-cyclobutylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-1-piperidinyl]-2,5-difluorophenyl]- (CA INDEX NAME)

10/553,803



RN 799559-32-3 CAPLUS

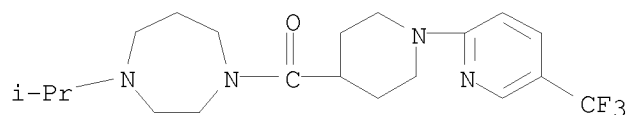
CN 3-Pyridinecarbonitrile, 6-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

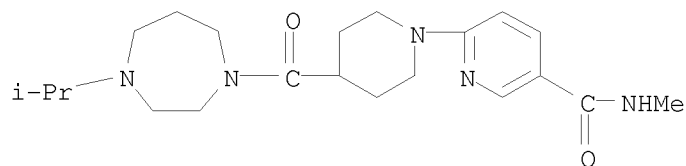
RN 799559-33-4 CAPLUS

CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-[5-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]- (CA INDEX NAME)



RN 799559-34-5 CAPLUS

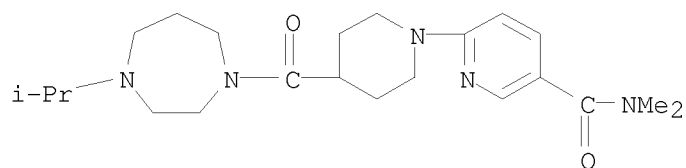
CN 3-Pyridinecarboxamide, 6-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]-N-methyl- (CA INDEX NAME)



RN 799559-35-6 CAPLUS

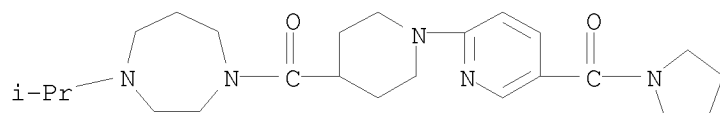
CN 3-Pyridinecarboxamide, 6-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]-N,N-dimethyl- (CA INDEX NAME)

10/553,803



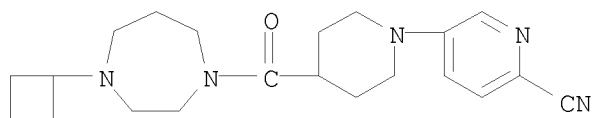
RN 799559-36-7 CAPLUS

CN Methanone, [6-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]-3-pyridinyl]-1-pyrrolidinyl- (CA INDEX NAME)



RN 799559-37-8 CAPLUS

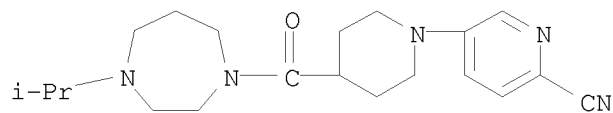
CN 2-Pyridinecarbonitrile, 5-[4-[(4-cyclobutylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-1-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

RN 799559-38-9 CAPLUS

CN 2-Pyridinecarbonitrile, 5-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-1-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

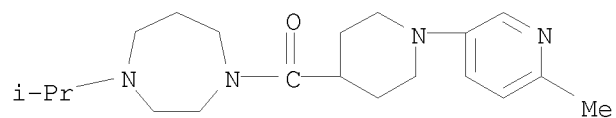


●x HCl

RN 799559-39-0 CAPLUS

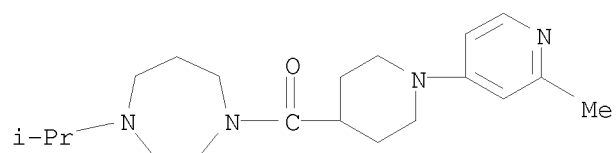
CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-(6-methyl-3-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)

10/553,803



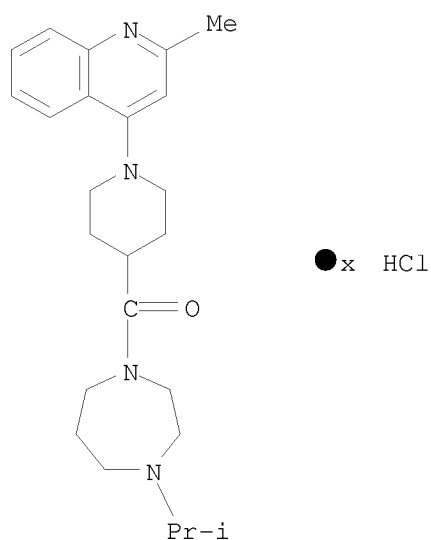
RN 799559-40-3 CAPLUS

CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-(2-methyl-4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 799559-41-4 CAPLUS

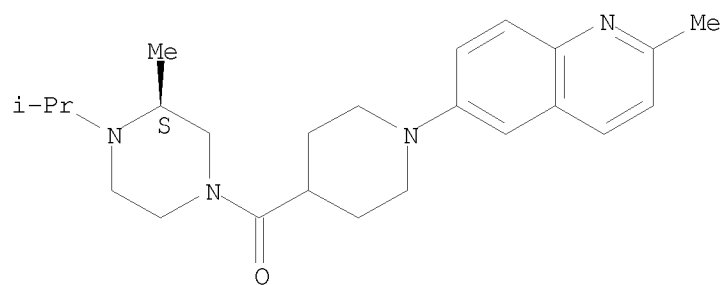
CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-(2-methyl-4-quinolinyl)-4-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)



RN 799559-42-5 CAPLUS

CN Methanone, [(3S)-3-methyl-4-(1-methylethyl)-1-piperazinyl][1-(2-methyl-6-quinolinyl)-4-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

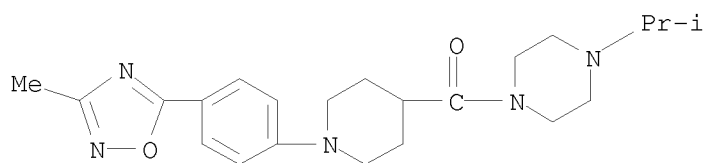
Absolute stereochemistry.



●x HCl

RN 799559-43-6 CAPLUS

CN Methanone, [4-(1-methylethyl)-1-piperazinyl][1-[4-(3-methyl-1,2,4-oxadiazol-5-yl)phenyl]-4-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

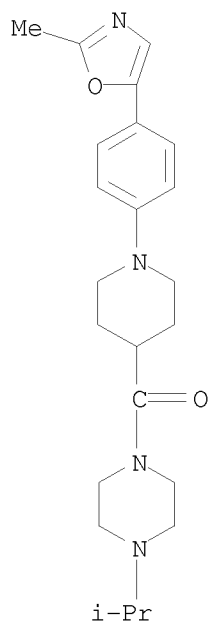


●x HCl

RN 799559-44-7 CAPLUS

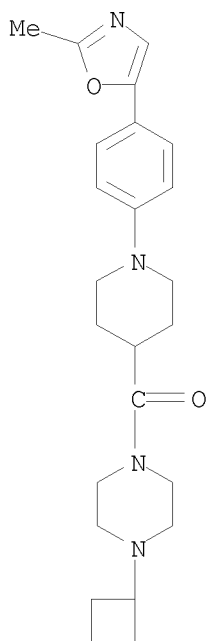
CN Methanone, [4-(1-methylethyl)-1-piperazinyl][1-[4-(2-methyl-5-oxazolyl)phenyl]-4-piperidinyl]- (CA INDEX NAME)

10/553,803

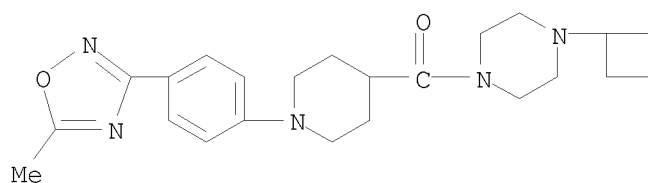


RN 799559-45-8 CAPLUS
CN Methanone, (4-cyclobutyl-1-piperazinyl) [1-[4-(2-methyl-5-oxazolyl)phenyl]-4-piperidinyl]- (CA INDEX NAME)

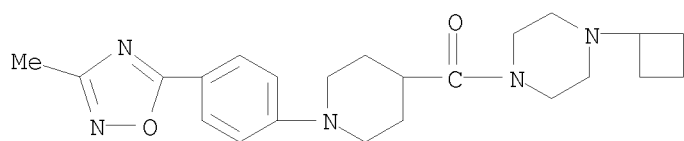
PAGE 1-A



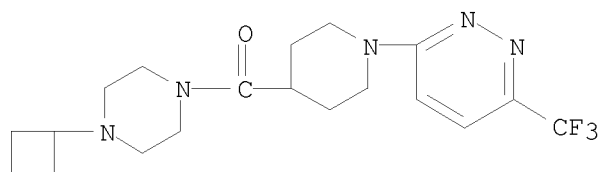
RN 799559-46-9 CAPLUS
 CN Methanone, (4-cyclobutyl-1-piperazinyl) [1-[4-(5-methyl-1,2,4-oxadiazol-3-yl)phenyl]-4-piperidinyl]- (CA INDEX NAME)



RN 799559-47-0 CAPLUS
 CN Methanone, (4-cyclobutyl-1-piperazinyl) [1-[4-(3-methyl-1,2,4-oxadiazol-5-yl)phenyl]-4-piperidinyl]- (CA INDEX NAME)



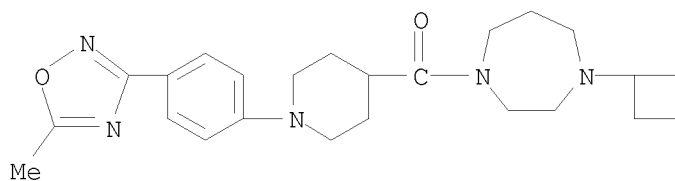
RN 799559-48-1 CAPLUS
 CN Methanone, (4-cyclobutyl-1-piperazinyl) [1-[6-(trifluoromethyl)-3-pyridazinyl]-4-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

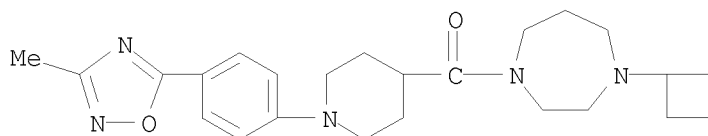
RN 799559-49-2 CAPLUS
 CN Methanone, [4-(1-methylethyl)-1-piperazinyl] [1-[2-(trifluoromethyl)-5-pyrimidinyl]-4-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

10/553,803



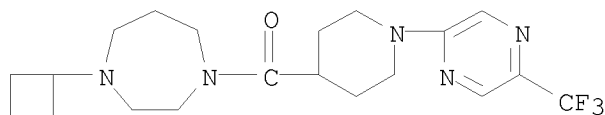
RN 799559-52-7 CAPLUS

CN Methanone, (4-cyclobutylhexahydro-1H-1,4-diazepin-1-yl) [1-[4-(3-methyl-1,2,4-oxadiazol-5-yl)phenyl]-4-piperidinyl]- (CA INDEX NAME)



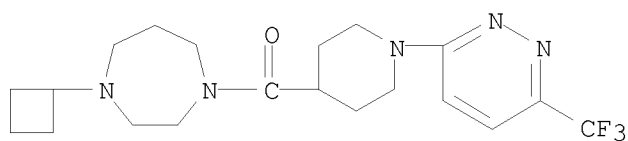
RN 799559-53-8 CAPLUS

CN Methanone, (4-cyclobutylhexahydro-1H-1,4-diazepin-1-yl) [1-[5-(trifluoromethyl)-2-pyrazinyl]-4-piperidinyl]- (CA INDEX NAME)



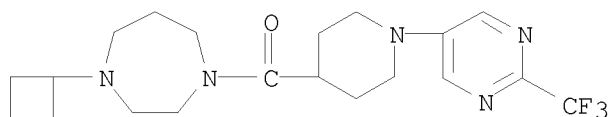
RN 799559-54-9 CAPLUS

CN Methanone, (4-cyclobutylhexahydro-1H-1,4-diazepin-1-yl) [1-[6-(trifluoromethyl)-3-pyridazinyl]-4-piperidinyl]- (CA INDEX NAME)



RN 799559-55-0 CAPLUS

CN Methanone, (4-cyclobutylhexahydro-1H-1,4-diazepin-1-yl) [1-[2-(trifluoromethyl)-5-pyrimidinyl]-4-piperidinyl]- (CA INDEX NAME)

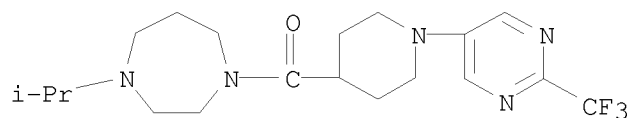


RN 799559-56-1 CAPLUS

CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl] [1-[2-(trifluoromethyl)-5-pyrimidinyl]-4-piperidinyl]- (CA INDEX NAME)

10/553,803

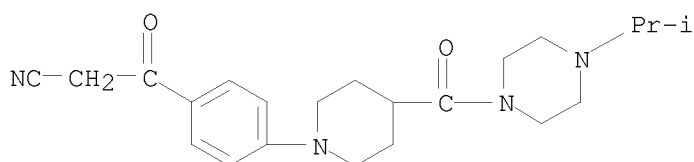
(trifluoromethyl)-5-pyrimidinyl]-4-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

RN 799559-62-9 CAPLUS

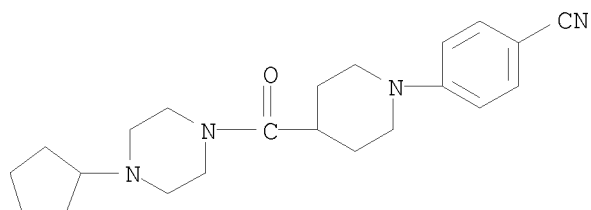
CN Benzenepropanenitrile, 4-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-β-oxo-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

RN 799559-63-0 CAPLUS

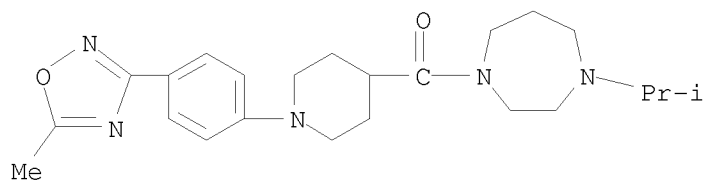
CN Benzonitrile, 4-[4-[(4-cyclopentyl-1-piperazinyl)carbonyl]-1-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)



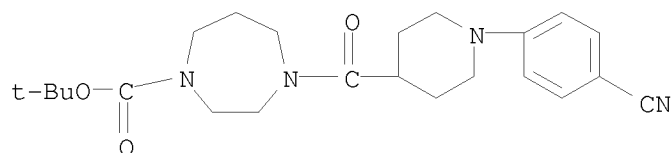
●x HCl

RN 799559-64-1 CAPLUS

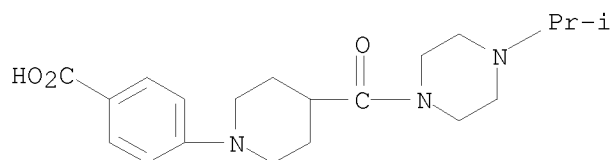
CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][1-[4-(5-methyl-1,2,4-oxadiazol-3-yl)phenyl]-4-piperidinyl]- (CA INDEX NAME)



IT 799557-61-2P 799557-71-4P 799558-04-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of piperidinylicarbonyl(homo)piperazines as histamine H3
 antagonists for treatment of neurol. and psychiatric diseases)
 RN 799557-61-2 CAPLUS
 CN 1H-1,4-Diazepine-1-carboxylic acid,
 4-[[1-(4-cyanophenyl)-4-piperidinylicarbonyl]hexahydro-, 1,1-dimethylethyl
 ester (CA INDEX NAME)



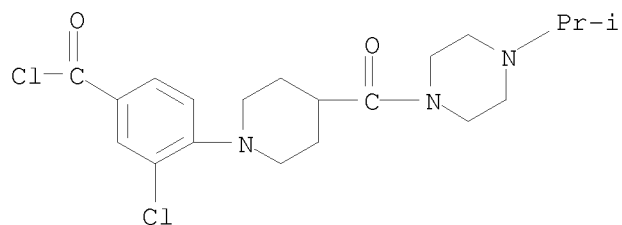
RN 799557-71-4 CAPLUS
 CN Benzoic acid, 4-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-
 piperidinylicarbonyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

RN 799558-04-6 CAPLUS
 CN Benzoyl chloride, 3-chloro-4-[4-[[4-(1-methylethyl)-1-
 piperazinyl]carbonyl]-1-piperidinylicarbonyl]-, hydrochloride (1:?) (CA INDEX
 NAME)

10/553,803



●x HCl

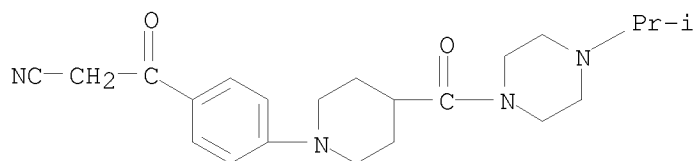
IT 807320-25-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of piperidinylcarbonyl(homo)piperazines as histamine H3 antagonists for treatment of neurol. and psychiatric diseases)

RN 807320-25-8 CAPLUS

CN Benzenepropanenitrile, 4-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]- β -oxo- (CA INDEX NAME)

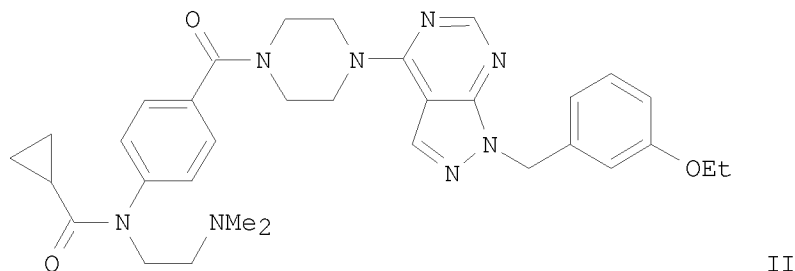
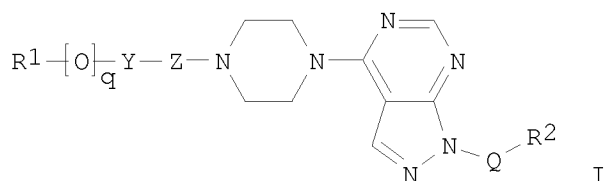


REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Page 146



AB The title compds. [I; R1 = substituted aryl, (un)substituted nitrogen-containing aliphatic heteromonocyclyl, substituted cycloalkyl, (un)substituted amino, or substituted heteroaryl; R2 = (un)substituted (hetero)aryl; Y = a single bond, alkylene or alkenylene; Z = CO, CH₂, SO₂, C:N(CN); Q = alkylene; q = 0-1] and their pharmaceutically acceptable salts, which have a small conductance potassium channel (SK channel) blocking activity, were prepared Thus, treating Et 4-{N-(cyclopropylcarbonyl)-N-[2-(dimethylamino)ethyl]amino}benzoate (preparation given) with 2N NaOH solution followed by treatment with 2N HCl, and

the reaction of the resulting acid with 1-(3-ethoxybenzyl)-4-(piperazin-1-yl)-1H-pyrazolo[3,4-d]pyrimidine dihydrochloride afforded 84% II which showed an excellent apamin-binding inhibitory activity (IC₅₀ of 0.05 μM). The pharmaceutical composition comprising the compound I is claimed.

IT 733771-87-4P 733773-94-9P

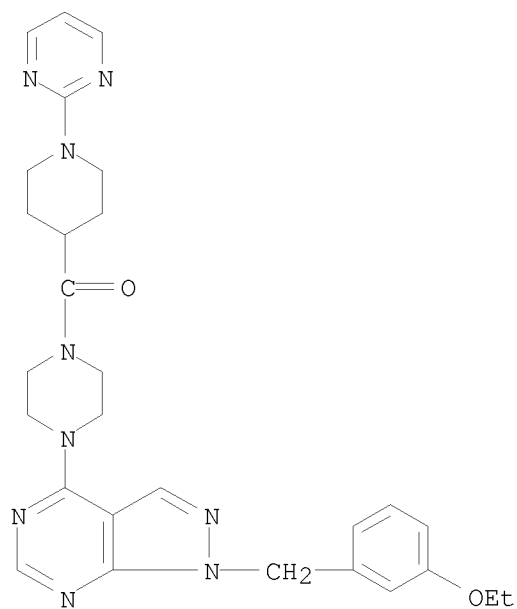
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidines as a small conductance potassium channel (SK channel) blocking agents)

RN 733771-87-4 CAPLUS

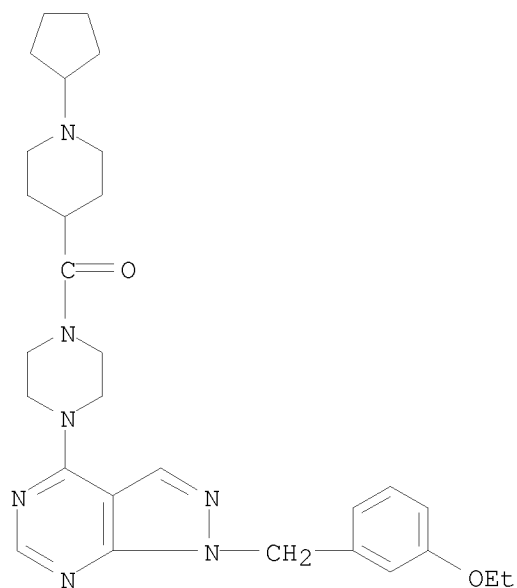
CN Methanone, [4-[1-[(3-ethoxyphenyl)methyl]-1H-pyrazolo[3,4-d]pyrimidin-4-yl]-1-piperazinyl][1-(2-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)

10/553,803



RN 733773-94-9 CAPLUS

CN Methanone, (1-cyclopentyl-4-piperidinyl)[4-[1-[(3-ethoxyphenyl)methyl]-1H-pyrazolo[3,4-d]pyrimidin-4-yl]-1-piperazinyl]- (CA INDEX NAME)



L16 ANSWER 16 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:485162 CAPLUS

DOCUMENT NUMBER: 141:38534

TITLE: Preparation of aromatic sulfone hydroxamic acid metalloprotease inhibitors

INVENTOR(S): Barta, Thomas E.; Becker, Daniel P.; Bedell, Louis J.; Boehm, Terri L.; Carroll, Jeffrey N.; Decrescenzo, Gary A.; Fobian, Yvette M.; Freskos, John N.; Getman, Daniel P.; McDonald, Joseph J.; Li, Madeleine H.; Hockerman, Susan L.; Howard, Susan C.; Kolodziej, Steve A.; Mischke, Deborah A.; Rico, Joseph G.; Stehle, Nathan W.; Tollefson, Michael B.; Vernier, William F.; Villamil, Clara I.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: U.S., 403 pp., Cont.-in-part of U.S. Ser. No. 311,837. CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

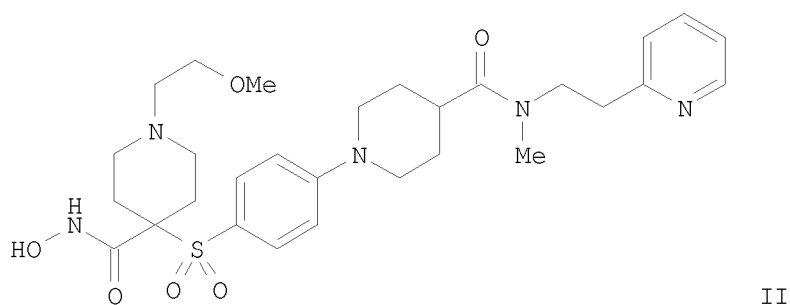
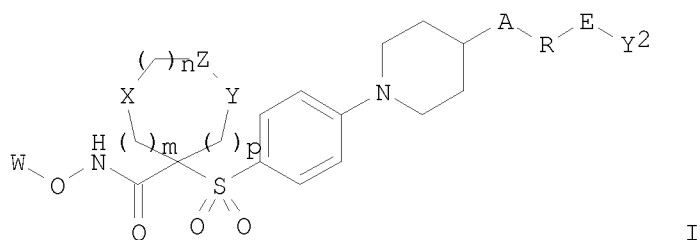
FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

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US 6750228	B1	20040615	US 2000-570731	20000512
US 20010014688	A1	20010816	US 1998-191129	19981113
US 20010039287	A1	20011108	US 1999-256948	19990224
CA 2372934	A1	20001123	CA 2000-2372934	20000515
WO 2000069821	A1	20001123	WO 2000-US6719	20000515
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RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1183239	A1	20020306	EP 2000-930088	20000515
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HU 2002001680	A2	20020928	HU 2002-1680	20000515
HU 2002001680	A3	20021228		
BR 2000010562	A	20030610	BR 2000-10562	20000515
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AU 766792	B2	20031023	AU 2000-47970	20000515
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MX 2001PA11569	A	20050620	MX 2001-PA11569	20011113
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US 6683093	B2	20040127		
US 20040209914	A1	20041021	US 2003-730403	20031208
US 20040235818	A1	20041125	US 2003-747796	20031229
PRIORITY APPLN. INFO.:			US 1997-66007P	P 19971114
			US 1998-95347P	P 19980804
			US 1998-101080P	P 19980918

US 1999-256948	B2 19990224
US 1999-311837	A2 19990514
US 1998-95501P	P 19980806
US 1998-186410	B2 19981105
US 1998-191129	B2 19981113
US 2000-570731	A 20000512
WO 2000-US6719	W 20000515
US 2001-989943	A3 20011121

OTHER SOURCE(S): MARPAT 141:38534
GI



AB A treatment process is disclosed that comprises administering an effective amount of an aromatic sulfone hydroxamic acid I [W = H, cation, certain acyl or thioacyl groups; m, n, p = 0-2; (m+n+p) = 1 to 4; Z = (un)substituted NH; X, Y = (un)substituted CH₂; A = bond, O, S, (un)substituted NH, COO, OCO, CH:CH, C.tplbond.C, N:N, NHNH, NHCOO, (un)substituted CONH, NHCO, etc.; R = alkylene, arylene, heteroarylene, etc., with provisos; E = bond, CONH, NHCO, CO, SO₂, NHSO₂, SO₂NH, S, etc.; Y₂ = absent, H, alkyl, alkoxy, aryl, aryloxy, heteroaryl, etc.] to a host having a condition associated with pathol. matrix metalloprotease (MMP) activity. I exhibit excellent inhibitory activity of one or more MMP enzymes, such as MMP-2, MMP-9 and MMP-13, while exhibiting substantially less inhibition of (at least) MMP-1 (biol. data given). Also disclosed are metalloprotease inhibitor compds. having such selective activities, processes for manufacture of such compds., and pharmaceutical compds. using such inhibitors. The compds. are potentially useful against a wide variety of conditions, notably as antiosteoarthritic, antiangiogenesis, and antitumor agents. Over 900 example compds. are listed, most with supporting phys. data, and many with synthetic details. E.g., a multi-step synthesis of the compound II.2HCl was given.

IT 308821-69-4P 308821-71-8P 308821-72-9P
308821-73-0P 308821-74-1P 308821-75-2P

308821-76-3P 308821-77-4P 308821-79-6P
 308821-81-0P 308821-82-1P 308821-83-2P
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 308821-99-0P 308822-00-6P 308822-01-7P
 308822-02-8P 308822-04-0P 308822-05-1P
 308822-07-3P 308822-08-4P 308822-09-5P
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 308822-24-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(drug candidate; preparation of aromatic sulfone hydroxamic acids as
 metalloprotease inhibitors)

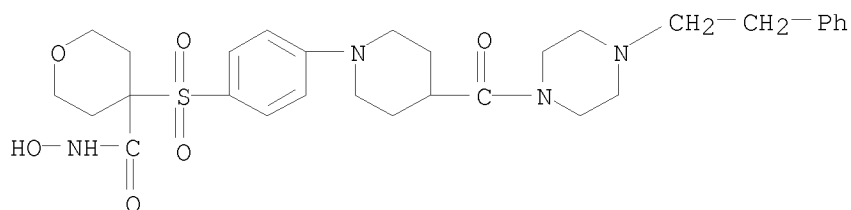
RN 308821-69-4 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(2-phenylethyl)-
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 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

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CRN 308821-68-3

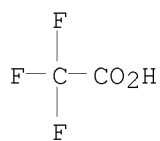
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



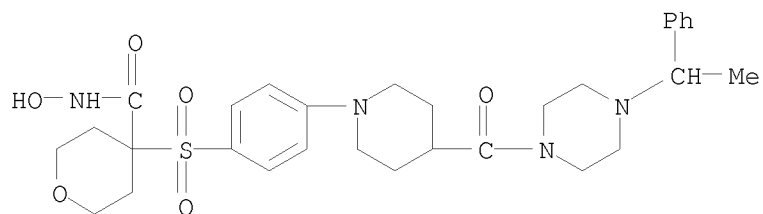
RN 308821-71-8 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(1-phenylethyl)-
 1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-,
 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

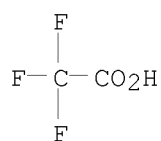
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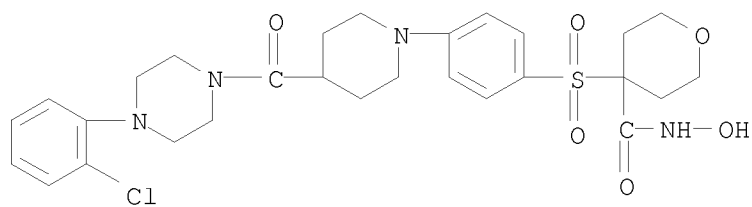


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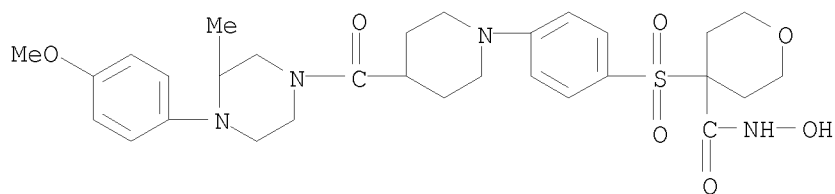
CRN 76-05-1
CMF C2 H F3 O2



RN 308821-72-9 CAPLUS
CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-(2-chlorophenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy- (CA INDEX NAME)



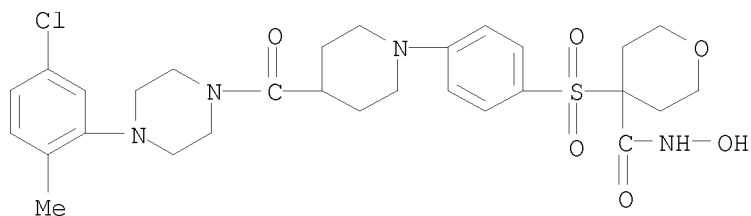
RN 308821-73-0 CAPLUS
CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(4-methoxyphenyl)-3-methyl-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)



10/553,803

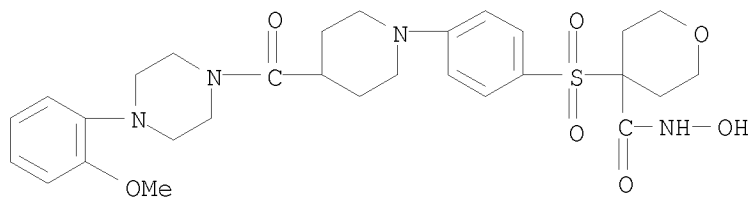
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CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-(5-chloro-2-methylphenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy-
(CA INDEX NAME)



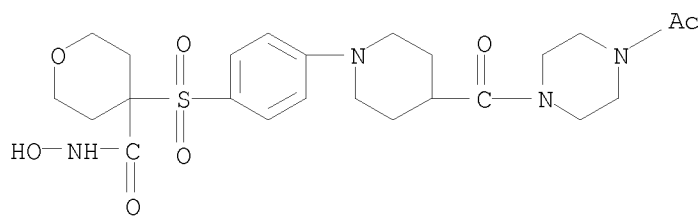
RN 308821-75-2 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(2-methoxyphenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-
(CA INDEX NAME)



RN 308821-76-3 CAPLUS

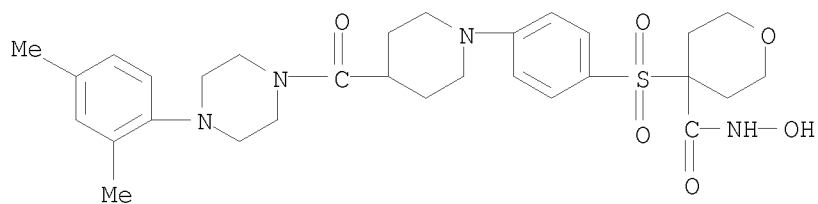
CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[(4-acetyl-1-piperazinyl)carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy- (CA INDEX NAME)



RN 308821-77-4 CAPLUS

CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-(2,4-dimethylphenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy-
(CA INDEX NAME)

10/553,803



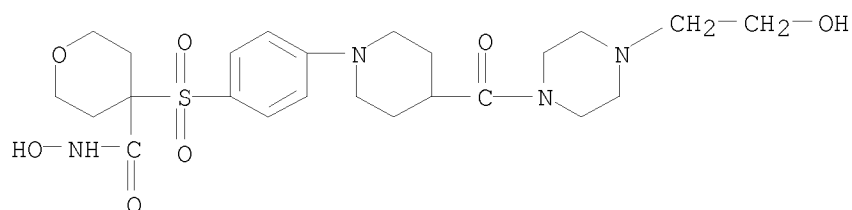
RN 308821-79-6 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(2-hydroxyethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 308821-78-5

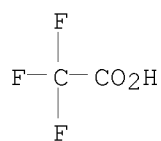
CMF C24 H36 N4 O7 S



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 308821-81-0 CAPLUS

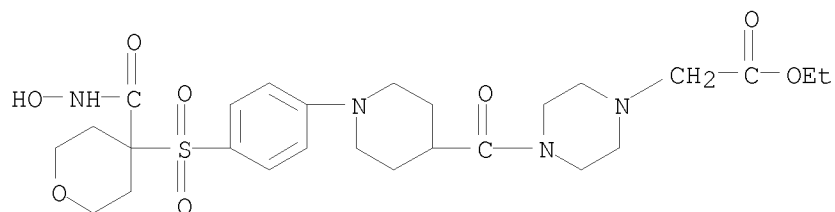
CN 1-Piperazineacetic acid, 4-[[[1-[4-[[tetrahydro-4-[(hydroxyamino)carbonyl]-2H-pyran-4-yl]sulfonyl]phenyl]-4-piperidinyl]carbonyl]-, ethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 308821-80-9

CMF C26 H38 N4 O8 S

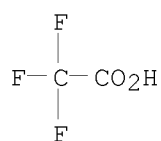
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CM 2

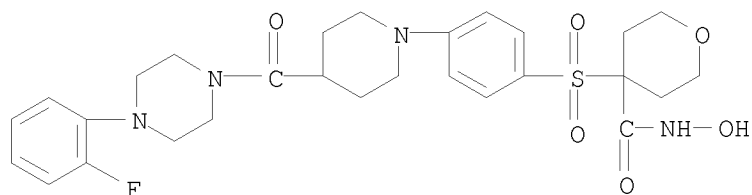
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CMF C2 H F3 O2



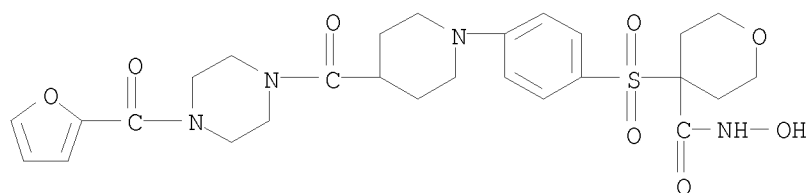
RN 308821-82-1 CAPLUS

CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-(2-fluorophenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy-
(CA INDEX NAME)



RN 308821-83-2 CAPLUS

CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-(2-furanylcarbonyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy-
(CA INDEX NAME)



RN 308821-85-4 CAPLUS

CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[(4-cyclopentyl-1-piperazinyl)carbonyl]-1-

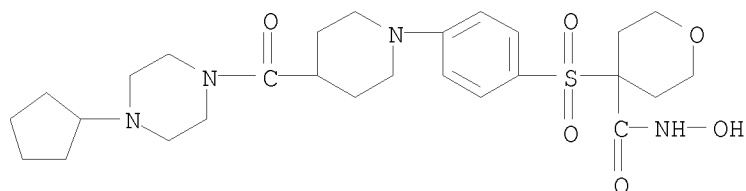
10/553,803

piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy-, 2,2,2-trifluoroacetate
(1:1) (CA INDEX NAME)

CM 1

CRN 308821-84-3

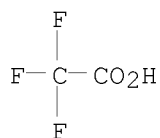
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



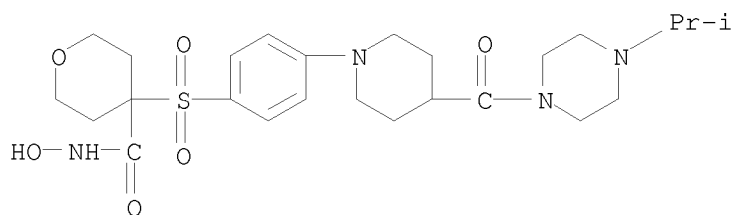
RN 308821-87-6 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 308821-86-5

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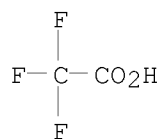


CM 2

CRN 76-05-1

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CMF C2 H F3 O2



RN 308821-89-8 CAPLUS

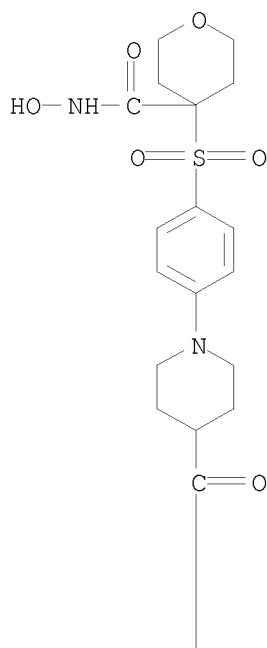
CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

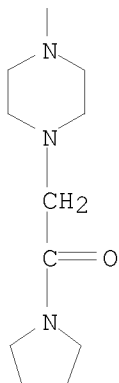
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CRN 308821-88-7

CMF C28 H41 N5 O7 S

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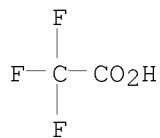




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CMF C2 H F3 O2



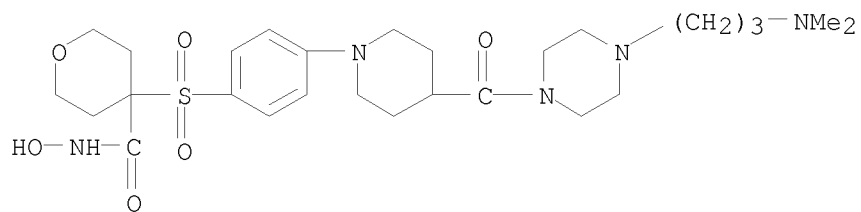
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CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-[3-(dimethylamino)propyl]-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

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CRN 308821-90-1

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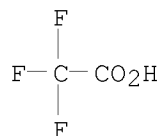


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CRN 76-05-1

CMF C2 H F3 O2

10/553,803



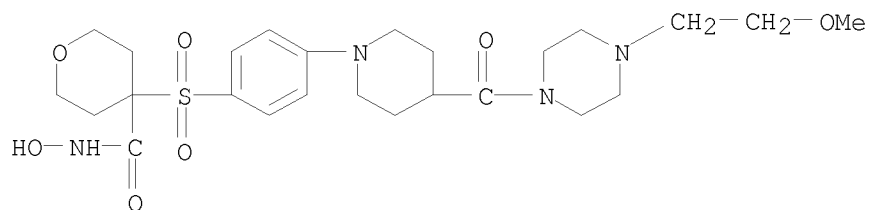
RN 308821-93-4 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(2-methoxyethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

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CRN 308821-92-3

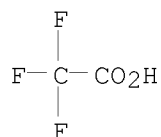
CMF C25 H38 N4 O7 S



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 308821-95-6 CAPLUS

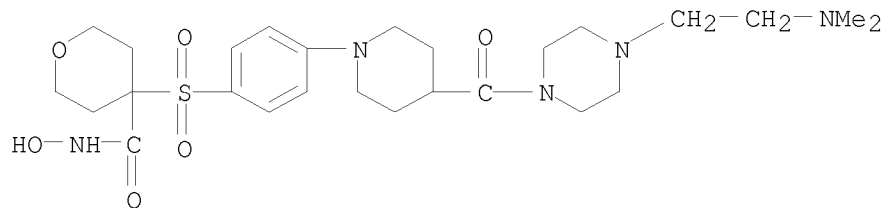
CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-[2-(dimethylamino)ethyl]-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

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CRN 308821-94-5

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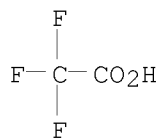
10/553,803



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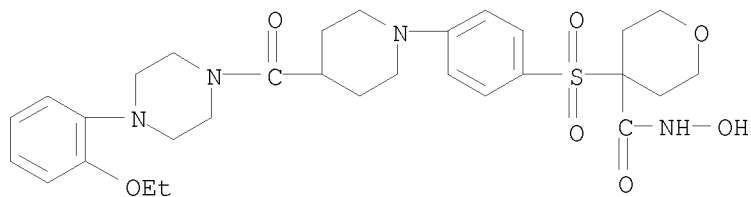
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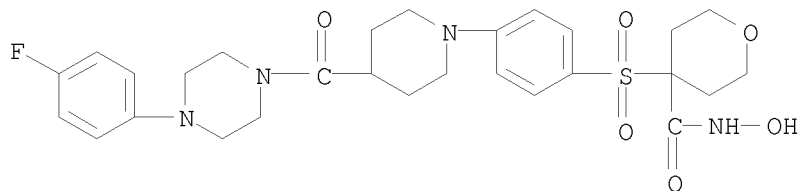
RN 308821-96-7 CAPLUS

CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-(2-ethoxyphenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy- (CA INDEX NAME)



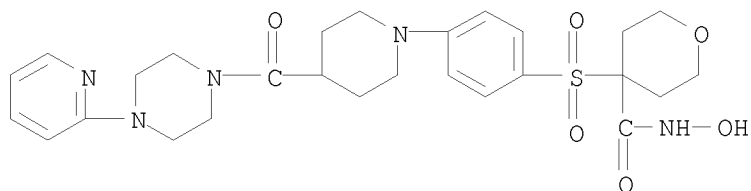
RN 308821-97-8 CAPLUS

CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-(4-fluorophenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy- (CA INDEX NAME)



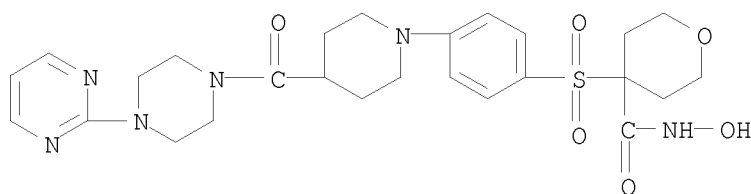
RN 308821-98-9 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(2-pyridinyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)



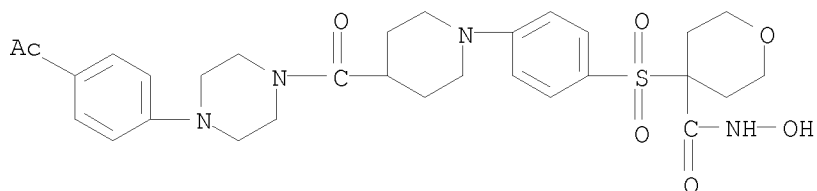
RN 308821-99-0 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(2-pyrimidinyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)



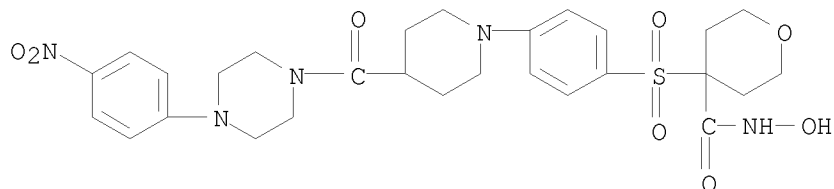
RN 308822-00-6 CAPLUS

CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-(4-acetylphenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy- (CA INDEX NAME)



RN 308822-01-7 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(4-nitrophenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)



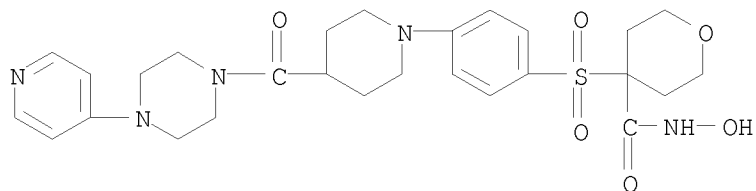
RN 308822-02-8 CAPLUS

CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-(3,5-dichloro-4-pyridinyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy-

10/553,803

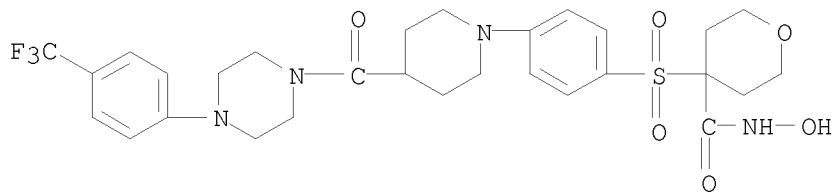
RN 308822-08-4 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(4-pyridinyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)



RN 308822-09-5 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(4-(trifluoromethyl)phenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)



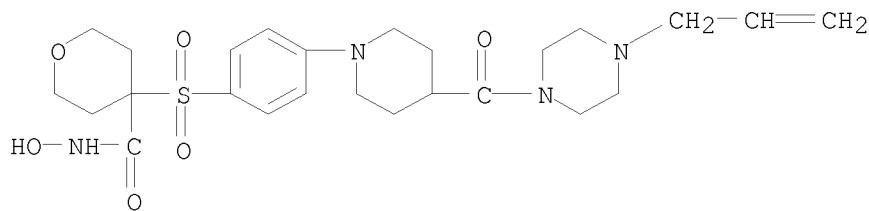
RN 308822-11-9 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(2-propen-1-yl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 308822-10-8

CMF C25 H36 N4 O6 S

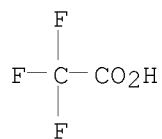


CM 2

CRN 76-05-1

CMF C2 H F3 O2

10/553,803



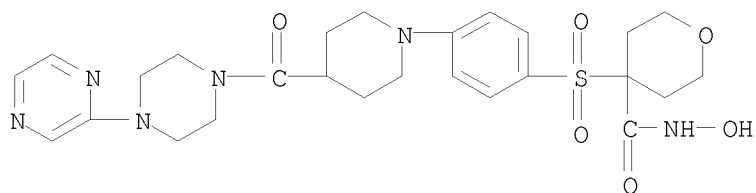
RN 308822-13-1 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(2-pyrazinyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 308822-12-0

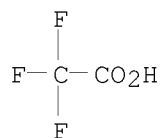
CMF C26 H34 N6 O6 S



CM 2

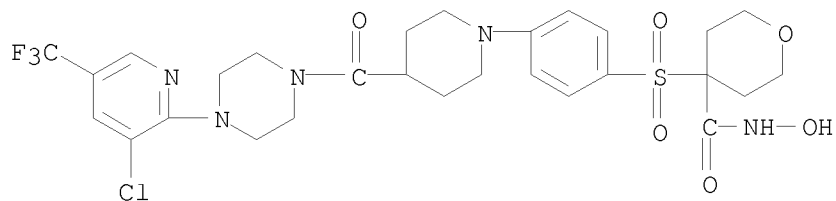
CRN 76-05-1

CMF C2 H F3 O2



RN 308822-14-2 CAPLUS

CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy- (CA INDEX NAME)



10/553,803

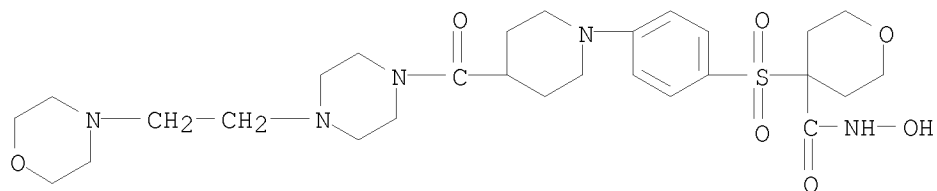
RN 308822-16-4 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-[2-(4-morpholinyl)ethyl]-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 308822-15-3

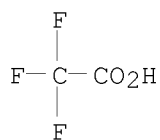
CMF C28 H43 N5 O7 S



CM 2

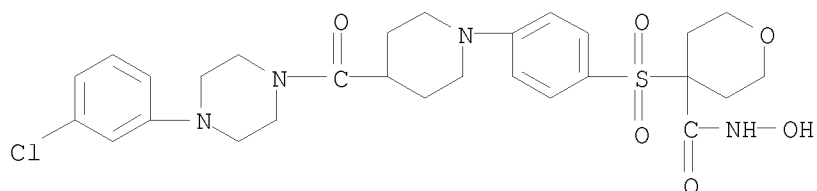
CRN 76-05-1

CMF C2 H F3 O2



RN 308822-17-5 CAPLUS

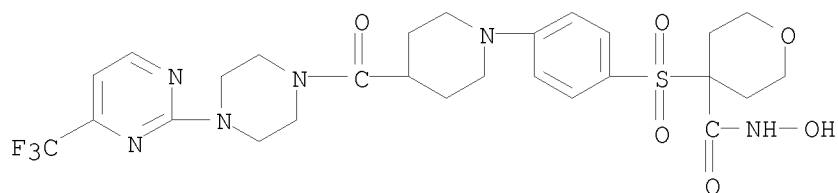
CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-(3-chlorophenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy- (CA INDEX NAME)



RN 308822-23-3 CAPLUS

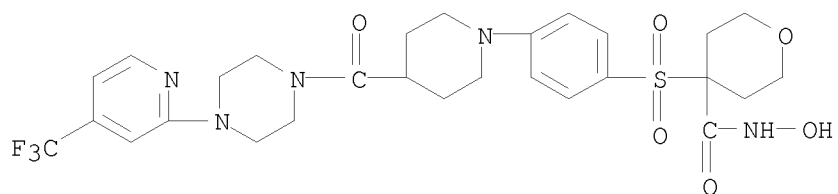
CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-[4-(trifluoromethyl)-2-pyrimidinyl]-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)

10/553,803



RN 308822-24-4 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-[4-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)



REFERENCE COUNT:

54

THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 17 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:30441 CAPLUS

DOCUMENT NUMBER: 140:283315

TITLE: Understanding Protein-Ligand Interactions: The Price of Protein Flexibility

AUTHOR(S): Rauh, Daniel; Klebe, Gerhard; Stubbs, Milton T.

CORPORATE SOURCE: Institut für Pharmazeutische Chemie der Philipps, Universität Marburg, Marburg, D35032, Germany

SOURCE: Journal of Molecular Biology (2004), 335(5), 1325-1341
CODEN: JMOBAK; ISSN: 0022-2836

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB In order to design selective, high-affinity ligands to a target protein, it is advantageous to understand the structural determinants for protein-ligand complex formation at the atomic level. In a model system, we have successively mapped the factor Xa binding site onto trypsin, showing that certain mutations influence both protein structure and inhibitor specificity. Our previous studies have shown that introduction of the 172SSFI175 sequence of factor Xa into rat or bovine trypsin results in the destabilization of the intermediate helix with burial of Phe174 (the down conformation). Surface exposure of the latter residue (the up conformation) is critical for the correct formation of the aromatic box found

in factor Xa-ligand complexes. In the present study, we investigate the influence of aromatic residues in position 174. Replacement with the bulky tryptophan (SSWI) shows reduced affinity for benzamidine-based inhibitors (1) and (4), whereas removal of the side-chain (alanine, SSAI) or exchange with a hydrophilic residue (arginine, SSRI) leads to a significant loss in affinity for all inhibitors studied. The variants could be crystallized in the presence of different inhibitors in multiple crystal forms. Structural characterization of the variants revealed three different conformations of the intermediate helix and 175 loop in SSAI (down, up and super-up), as well as a complete disorder of this region in one crystal form of SSRI, suggesting that the compromised affinity of these variants is related to conformational flexibility. The influence of Glu217, peripheral to the ligand-binding site in factor Xa, was investigated. Introduction of Glu217 into trypsin variants containing the SSFI sequence exhibited enhanced affinity for the factor Xa ligands (2) and (3). The crystal structures of these variants also exhibited the down and super-up conformations, the latter of which could be converted to up upon soaking and binding of inhibitor (2). The improved affinity of the Glu217-containing variants appears to be due to a shift towards the up conformation. Thus, the reduction in affinity caused by conformational variability of the protein target can be partially or wholly offset by compensatory binding to the up conformation. The insights provided by these studies will be helpful in improving our understanding of ligand binding for the drug design process.

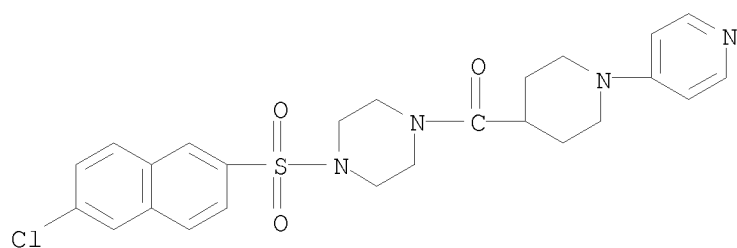
IT 179050-05-6

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(structural and kinetic anal. of trypsin variants containing modified FXa
175 loop reveals interplay between protein flexibility and compensatory
binding of ligand)

RN 179050-05-6 CAPLUS

CN Methanone, [4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)

10/553,803



REFERENCE COUNT:

31

THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 18 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:991510 CAPLUS

DOCUMENT NUMBER: 140:42193

TITLE: Preparation of bicyclic pyrimidine derivatives as antiinflammatory agents for treatment of allergic diseases

INVENTOR(S): Arai, Hitoshi; Matsumura, Tsutomu; Ishida, Hiroshi; Yamaura, Yosuke; Aratake, Seiji; Ohshima, Etsuo; Yanagawa, Koji; Miyama, Motoki; Suzuki, Koji; Kawabe, Ari; Nakanishi, Satoshi; Kobayashi, Katsuya; Sato, Takashi; Miki, Ichiro; Ueno, Kimihisa; Fujii, Shinya; Iwase, Miho

PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan

SOURCE: PCT Int. Appl., 467 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

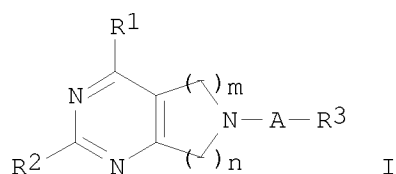
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003104230	A1	20031218	WO 2003-JP7200	20030606
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003242252	A1	20031222	AU 2003-242252	20030606
EP 1552842	A1	20050713	EP 2003-733302	20030606
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
US 20070037834	A1	20070215	US 2005-516750	20050331
PRIORITY APPLN. INFO.:			JP 2002-166504	A 20020607
			WO 2003-JP7200	W 20030606

OTHER SOURCE(S): MARPAT 140:42193

GI



AB The title compds. I [wherein m and n = independently 1-3; R1 = (un)substituted amino; R2 = -B-(CX2)p-R7, (un)substituted piperidinyl,

piperazinyl, or amino; B = O CH=CH, C.tplbond.C, or phenylene; p = 1-4; X = H, halo, or (un)substituted alkyl; R7 = (un)substituted amino; A = a single bond, CO, SO2, OCO, OCS, SCO, SCS, (un)substituted NHCO, NHCS, or amino; R3 = H, (un)substituted alkyl, cycloalkyl, alkenyl, alkynyl, aralkyl, aryl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl, etc.] or quaternary ammonium salts, or pharmaceutically acceptable salts thereof are prepared I have an antiinflammatory effect and an effect of controlling the function(s) of TARC and/or MDC and, therefore, are usable in treating and/or preventing various diseases in which T cells participate, for example, allergic diseases, autoimmune diseases, rejection at transplantation, etc. (no data). Formulations containing I as an active ingredient were also described.

IT 635688-23-2P 635688-26-5P 635738-41-9P

635738-42-0P 635738-43-1P 635738-44-2P

635738-45-3P 635738-46-4P 635738-47-5P

635738-48-6P 635738-49-7P 635738-50-0P

635738-51-1P 635738-53-3P 635738-54-4P

635738-55-5P 635738-56-6P 635738-57-7P

635738-58-8P 635738-59-9P 635738-60-2P

635738-61-3P 635738-62-4P 635738-63-5P

635738-65-7P 635738-66-8P 635738-67-9P

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635739-21-8P 635739-22-9P 635739-23-0P

635739-24-1P 635744-18-2P 635744-19-3P

635744-20-6P 635744-21-7P 635749-58-5P

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635749-62-1P 635749-63-2P 635749-64-3P

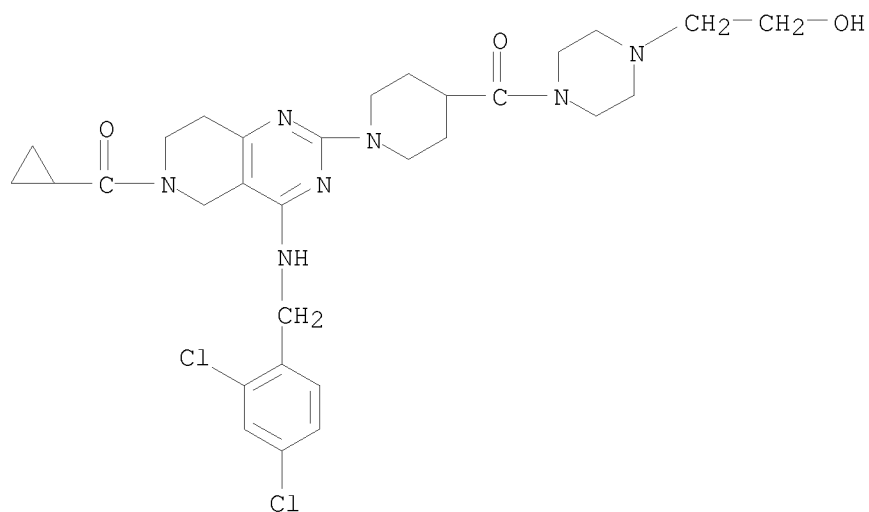
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of bicyclic pyrimidine derivs. as antiinflammatory agents for treatment of allergic diseases)

RN 635688-23-2 CAPLUS

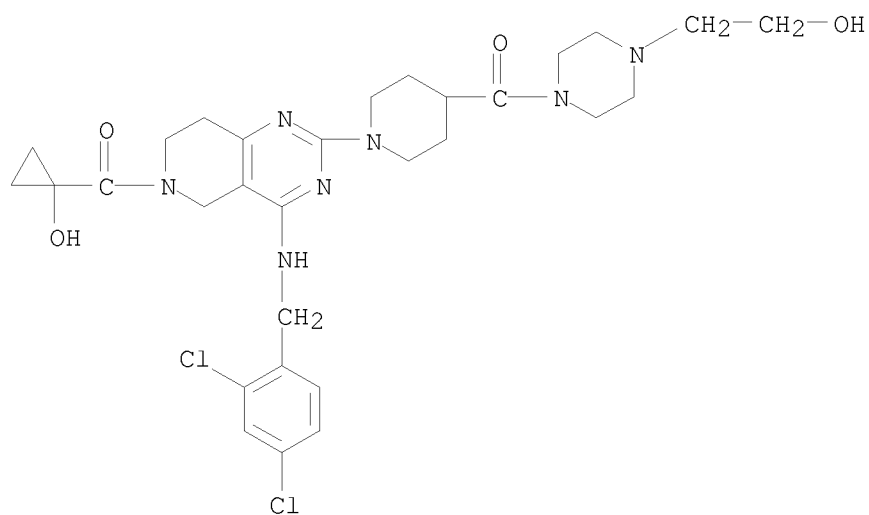
CN Pyrido[4,3-d]pyrimidin-4-amine, 6-(cyclopropylcarbonyl)-N-[(2,4-dichlorophenyl)methyl]-5,6,7,8-tetrahydro-2-[4-[[4-(2-hydroxyethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)

10/553,803



RN 635688-26-5 CAPLUS

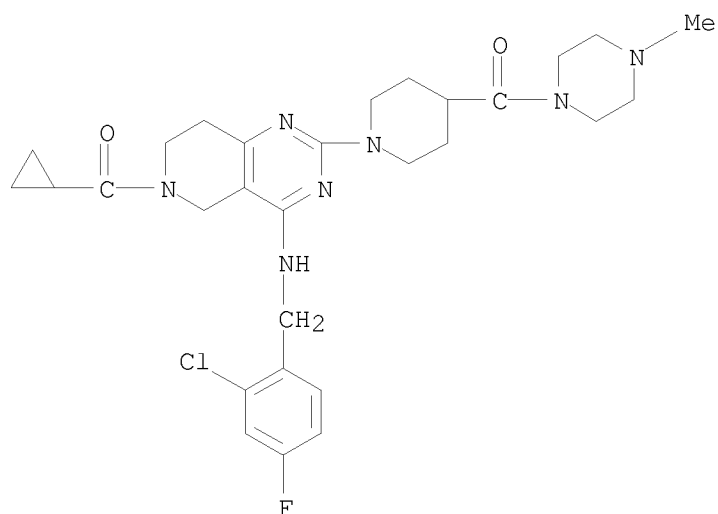
CN Pyrido[4,3-d]pyrimidin-4-amine, N-[(2,4-dichlorophenyl)methyl]-5,6,7,8-tetrahydro-6-[(1-hydroxycyclopropyl)carbonyl]-2-[4-[[4-(2-hydroxyethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 635738-41-9 CAPLUS

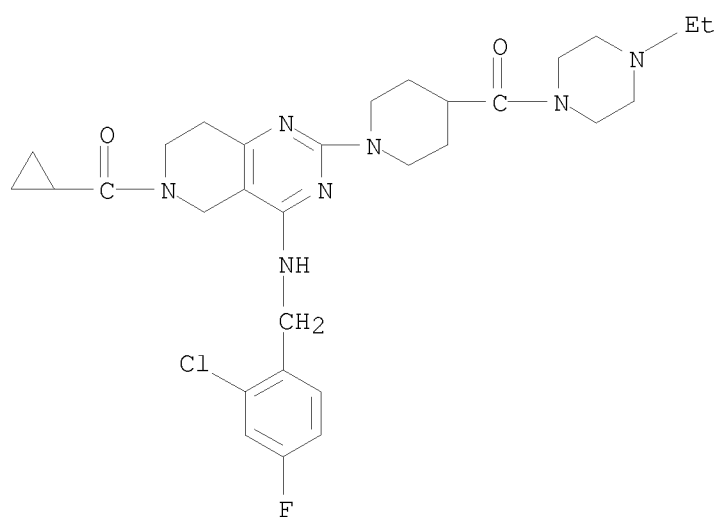
CN Pyrido[4,3-d]pyrimidin-4-amine, N-[(2-chloro-4-fluorophenyl)methyl]-6-(cyclopropylcarbonyl)-5,6,7,8-tetrahydro-2-[4-[(4-methyl-1-piperazinyl)carbonyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)

10/553,803



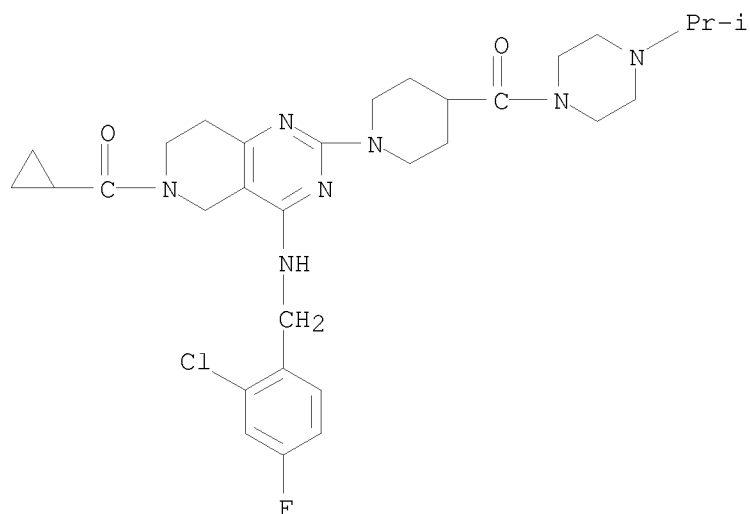
RN 635738-42-0 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4-amine, N-[(2-chloro-4-fluorophenyl)methyl]-6-(cyclopropylcarbonyl)-2-[4-[(4-ethyl-1-piperazinyl)carbonyl]-1-piperidinyl]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



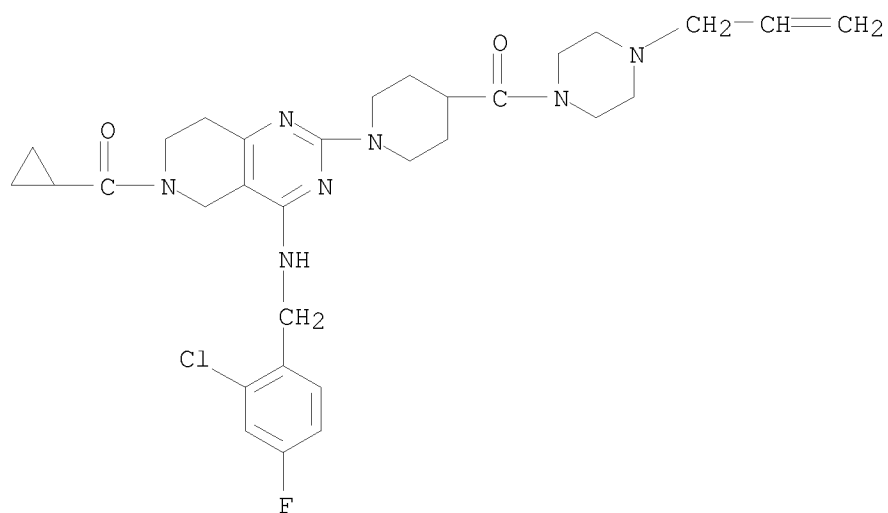
RN 635738-43-1 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4-amine, N-[(2-chloro-4-fluorophenyl)methyl]-6-(cyclopropylcarbonyl)-5,6,7,8-tetrahydro-2-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 635738-44-2 CAPLUS

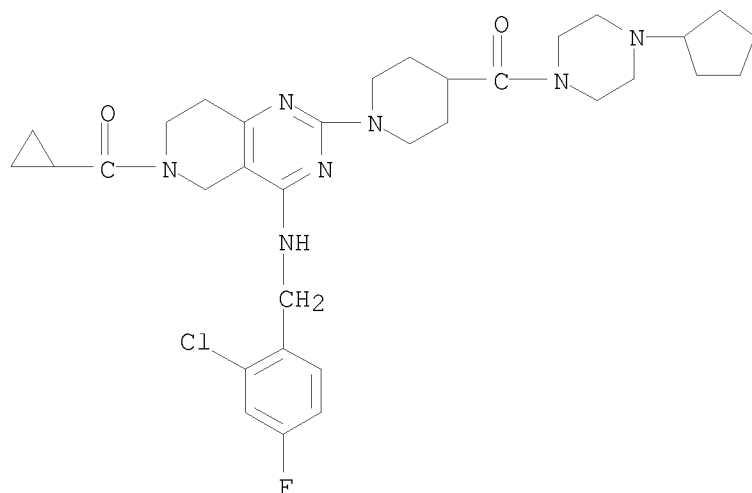
CN Pyrido[4,3-d]pyrimidin-4-amine, N-[(2-chloro-4-fluorophenyl)methyl]-6-(cyclopropylcarbonyl)-5,6,7,8-tetrahydro-2-[4-[[4-(2-propenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 635738-45-3 CAPLUS

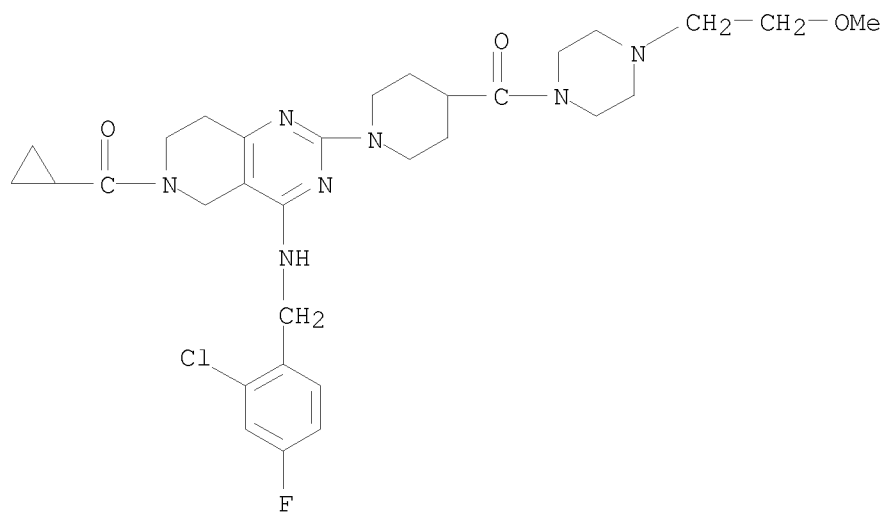
CN Pyrido[4,3-d]pyrimidin-4-amine, N-[(2-chloro-4-fluorophenyl)methyl]-2-[4-[(4-cyclopentyl-1-piperazinyl)carbonyl]-1-piperidinyl]-6-(cyclopropylcarbonyl)-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)

10/553,803



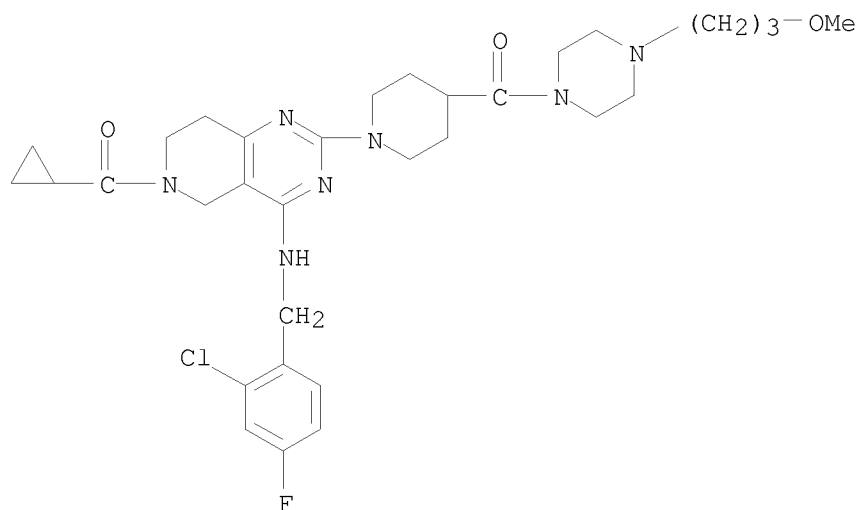
RN 635738-46-4 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4-amine, N-[(2-chloro-4-fluorophenyl)methyl]-6-(cyclopropylcarbonyl)-5,6,7,8-tetrahydro-2-[4-[[4-(2-methoxyethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)



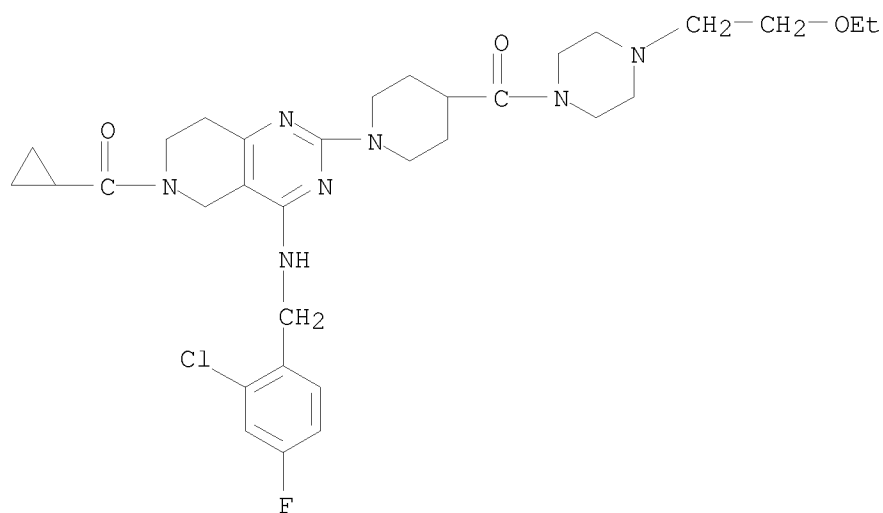
RN 635738-47-5 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4-amine, N-[(2-chloro-4-fluorophenyl)methyl]-6-(cyclopropylcarbonyl)-5,6,7,8-tetrahydro-2-[4-[[4-(3-methoxypropyl)-1-piperazinyl]carbonyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 635738-48-6 CAPLUS

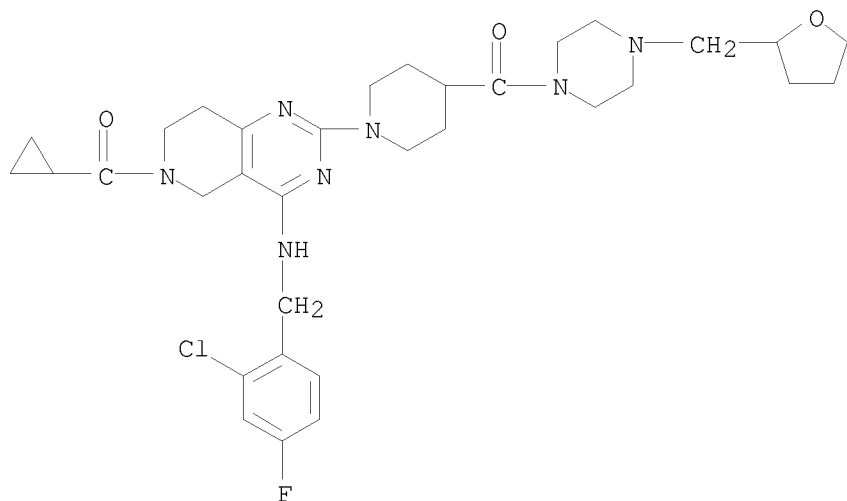
CN Pyrido[4,3-d]pyrimidin-4-amine, N-[(2-chloro-4-fluorophenyl)methyl]-6-(cyclopropylcarbonyl)-2-[4-[[4-(2-ethoxyethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



RN 635738-49-7 CAPLUS

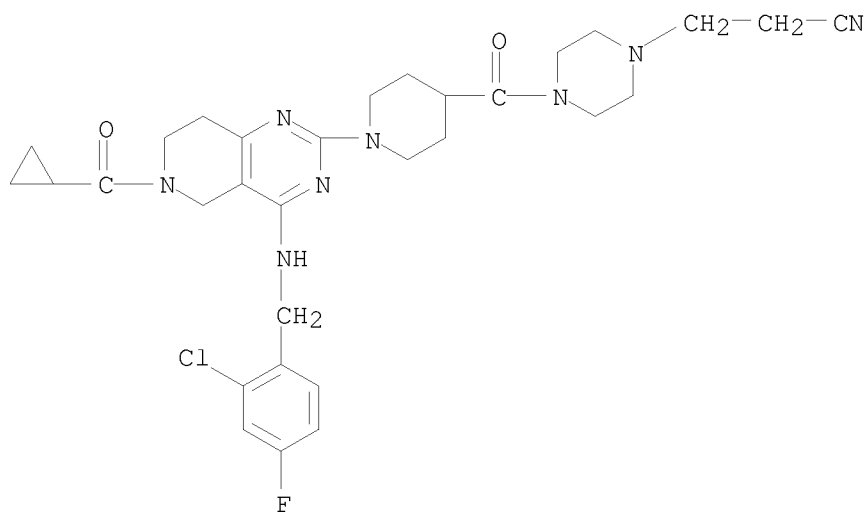
CN Pyrido[4,3-d]pyrimidin-4-amine, N-[(2-chloro-4-fluorophenyl)methyl]-6-(cyclopropylcarbonyl)-5,6,7,8-tetrahydro-2-[4-[[4-[(tetrahydro-2-furanyl)methyl]-1-piperazinyl]carbonyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)

10/553,803



RN 635738-50-0 CAPLUS

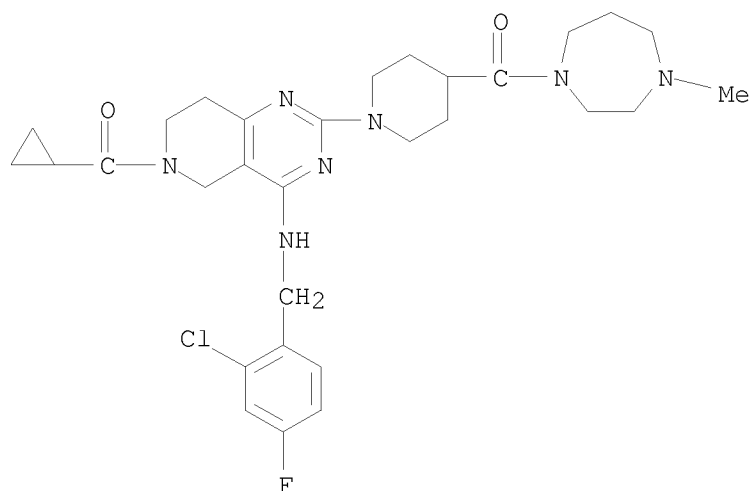
CN 1-Piperazinepropanenitrile, 4-[[[1-[4-[[[2-chloro-4-fluorophenyl)methyl]amino]-6-(cyclopropylcarbonyl)-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidin-2-yl]-4-piperidinyl]carbonyl]-1-piperidinyl]-5,6,7,8-tetrahydro- (CA INDEX NAME)



RN 635738-51-1 CAPLUS

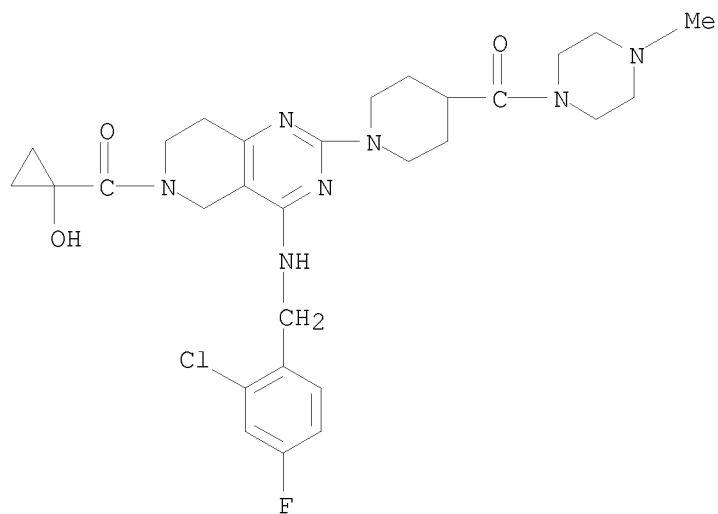
CN Pyrido[4,3-d]pyrimidin-4-amine, N-[(2-chloro-4-fluorophenyl)methyl]-6-(cyclopropylcarbonyl)-2-[4-[(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)carbonyl]-1-piperidinyl]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)

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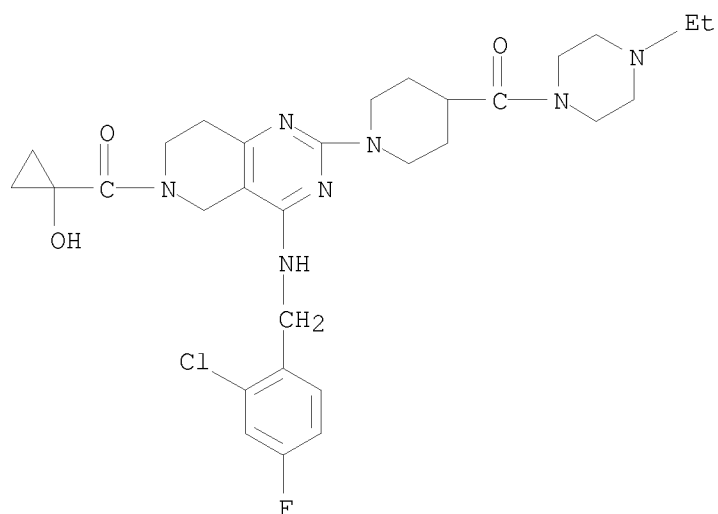
RN 635738-53-3 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4-amine, N-[(2-chloro-4-fluorophenyl)methyl]-5,6,7,8-tetrahydro-6-[(1-hydroxycyclopropyl)carbonyl]-2-[4-[(4-methyl-1-piperazinyl)carbonyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)



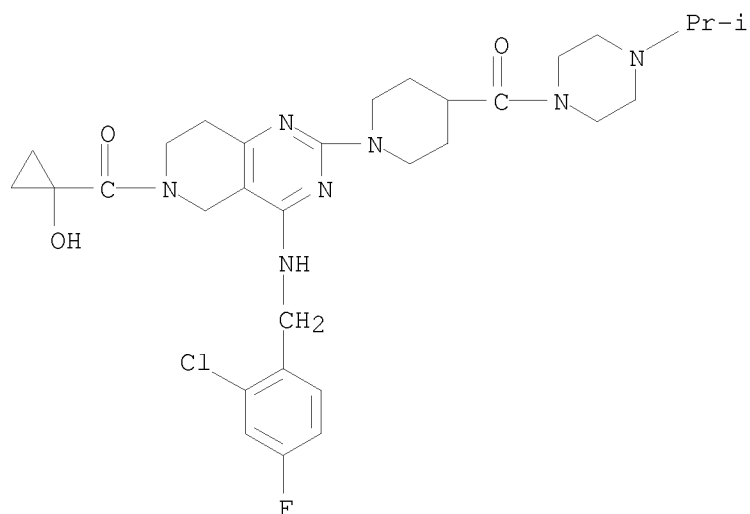
RN 635738-54-4 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4-amine, N-[(2-chloro-4-fluorophenyl)methyl]-2-[4-[(4-ethyl-1-piperazinyl)carbonyl]-1-piperidinyl]-5,6,7,8-tetrahydro-6-[(1-hydroxycyclopropyl)carbonyl]- (9CI) (CA INDEX NAME)



RN 635738-55-5 CAPLUS

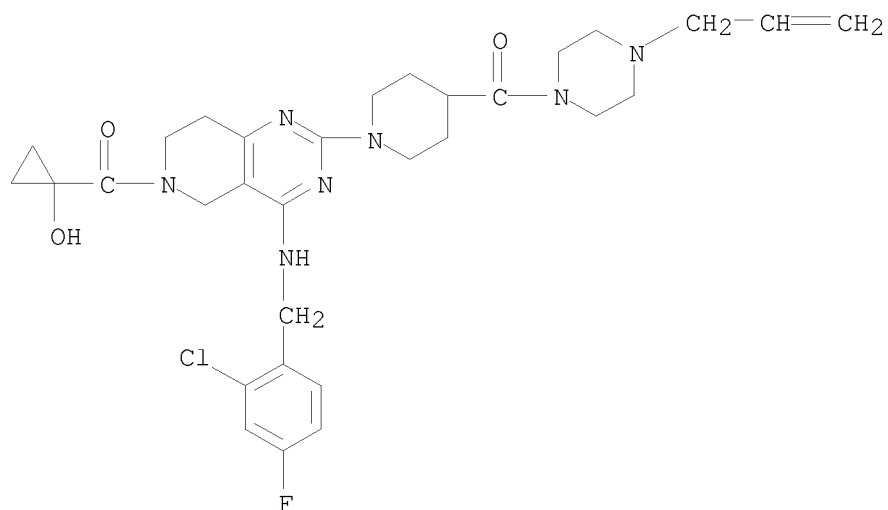
CN Pyrido[4,3-d]pyrimidin-4-amine, N-[(2-chloro-4-fluorophenyl)methyl]-5,6,7,8-tetrahydro-6-[(1-hydroxycyclopropyl)carbonyl]-2-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 635738-56-6 CAPLUS

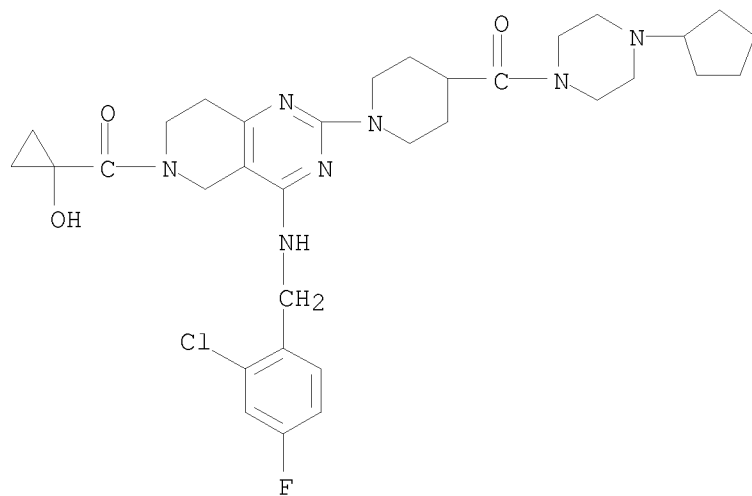
CN Pyrido[4,3-d]pyrimidin-4-amine, N-[(2-chloro-4-fluorophenyl)methyl]-5,6,7,8-tetrahydro-6-[(1-hydroxycyclopropyl)carbonyl]-2-[4-[[4-(2-propenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)

10/553,803



RN 635738-57-7 CAPLUS

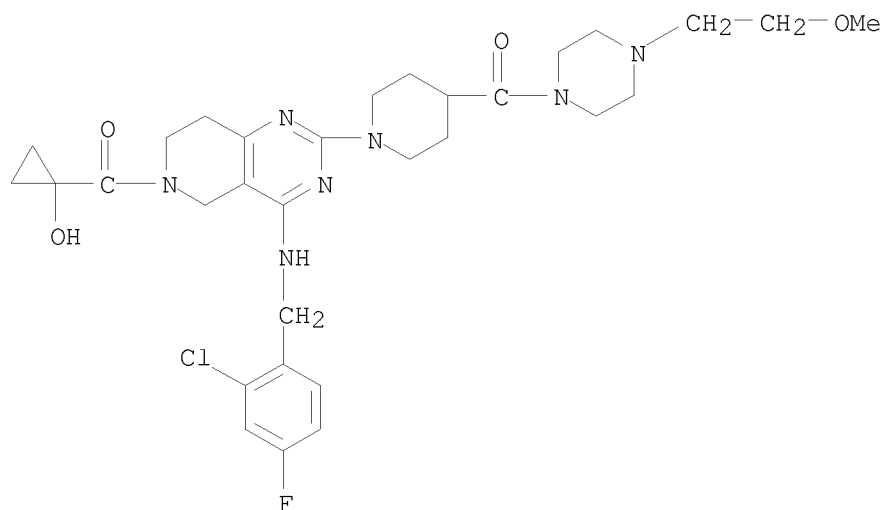
CN Pyrido[4,3-d]pyrimidin-4-amine, N-[(2-chloro-4-fluorophenyl)methyl]-2-[4-[(4-cyclopropyl-1-piperazinyl)carbonyl]-1-piperidinyl]-5,6,7,8-tetrahydro-6-[(1-hydroxycyclopropyl)carbonyl]- (9CI) (CA INDEX NAME)



RN 635738-58-8 CAPLUS

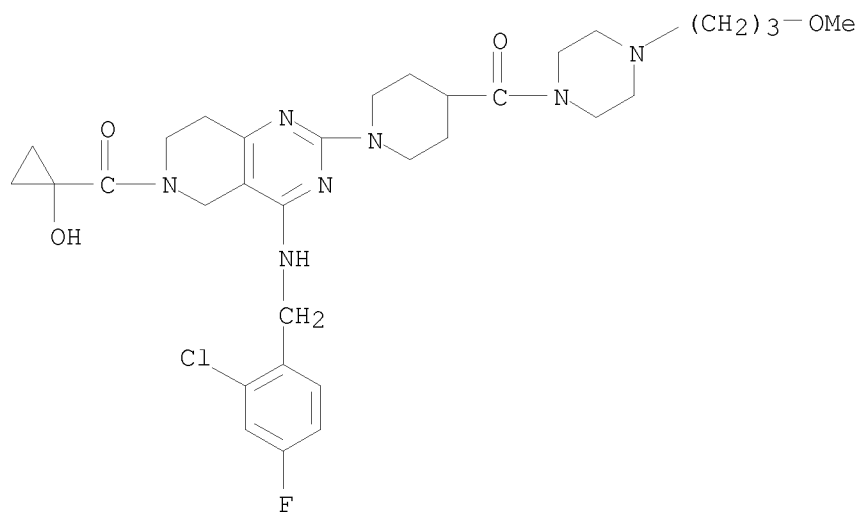
CN Pyrido[4,3-d]pyrimidin-4-amine, N-[(2-chloro-4-fluorophenyl)methyl]-5,6,7,8-tetrahydro-6-[(1-hydroxycyclopropyl)carbonyl]-2-[4-[[4-(2-methoxyethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)

10/553,803



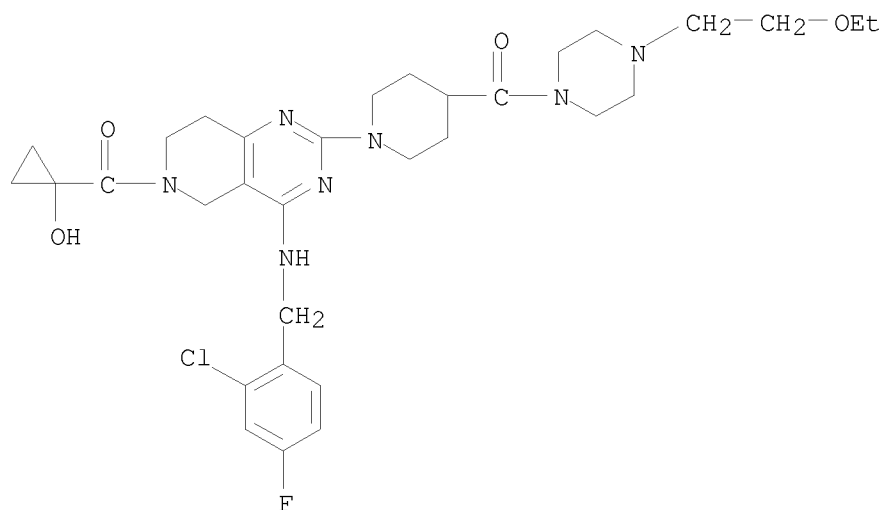
RN 635738-59-9 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4-amine, N-[(2-chloro-4-fluorophenyl)methyl]-5,6,7,8-tetrahydro-6-[(1-hydroxycyclopropyl)carbonyl]-2-[4-[[4-(3-methoxypropyl)-1-piperazinyl]carbonyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)



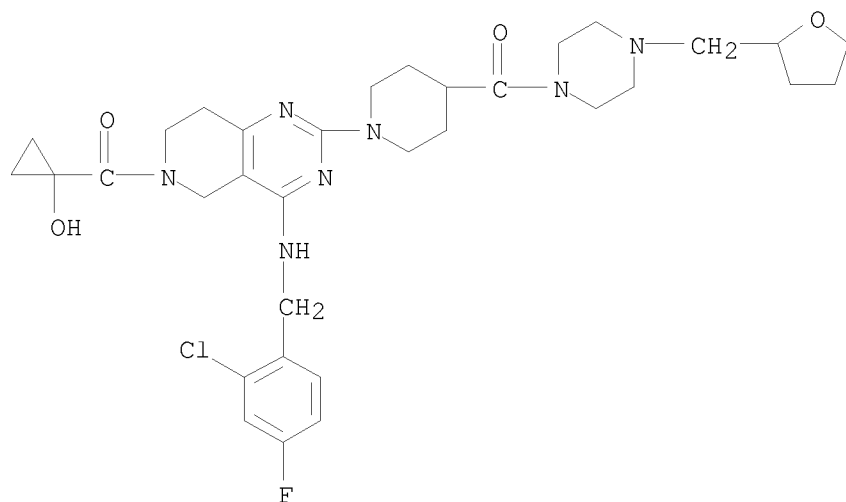
RN 635738-60-2 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4-amine, N-[(2-chloro-4-fluorophenyl)methyl]-2-[4-[[4-(2-ethoxyethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-5,6,7,8-tetrahydro-6-[(1-hydroxycyclopropyl)carbonyl]- (9CI) (CA INDEX NAME)



RN 635738-61-3 CAPLUS

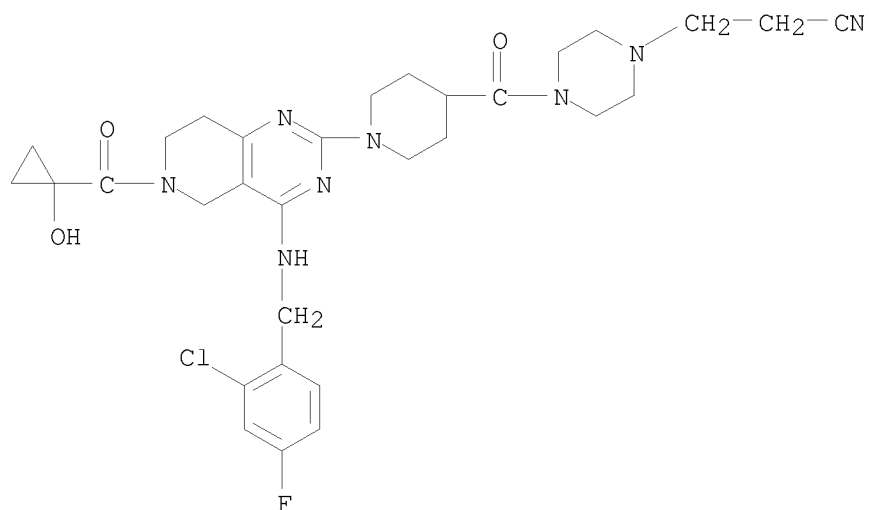
CN Pyrido[4,3-d]pyrimidin-4-amine, N-[(2-chloro-4-fluorophenyl)methyl]-5,6,7,8-tetrahydro-6-[(1-hydroxycyclopropyl)carbonyl]-2-[4-[[4-[(tetrahydro-2-furanyl)methyl]-1-piperazinyl]carbonyl]-1-piperidinyl]-(9CI) (CA INDEX NAME)



RN 635738-62-4 CAPLUS

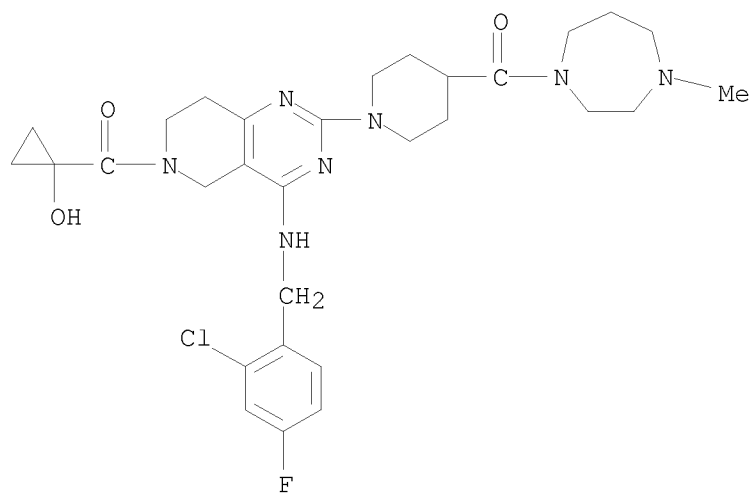
CN 1-Piperazinepropanenitrile, 4-[[1-[4-[[2-chloro-4-fluorophenyl)methyl]amino]-5,6,7,8-tetrahydro-6-[(1-hydroxycyclopropyl)carbonyl]pyrido[4,3-d]pyrimidin-2-yl]-4-piperidinyl]carbonyl]- (CA INDEX NAME)

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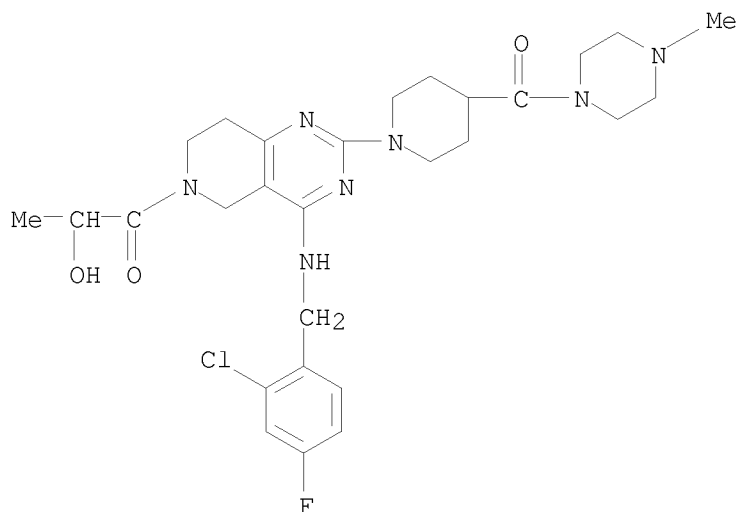
RN 635738-63-5 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4-amine, N-[(2-chloro-4-fluorophenyl)methyl]-2-[4-[(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)carbonyl]-1-piperidinyl]-5,6,7,8-tetrahydro-6-[(1-hydroxycyclopropyl)carbonyl]- (9CI) (CA INDEX NAME)



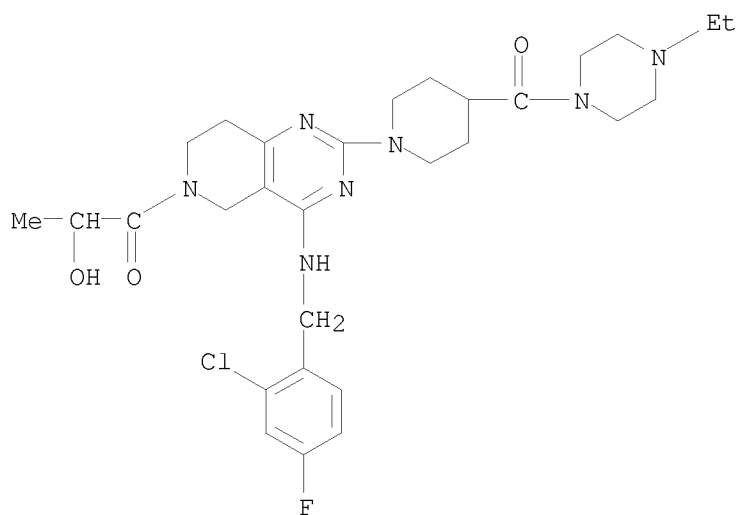
RN 635738-65-7 CAPLUS

CN 1-Propanone, 1-[4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-7,8-dihydro-2-[4-[(4-methyl-1-piperazinyl)carbonyl]-1-piperidinyl]pyrido[4,3-d]pyrimidin-6(5H)-yl]-2-hydroxy- (CA INDEX NAME)



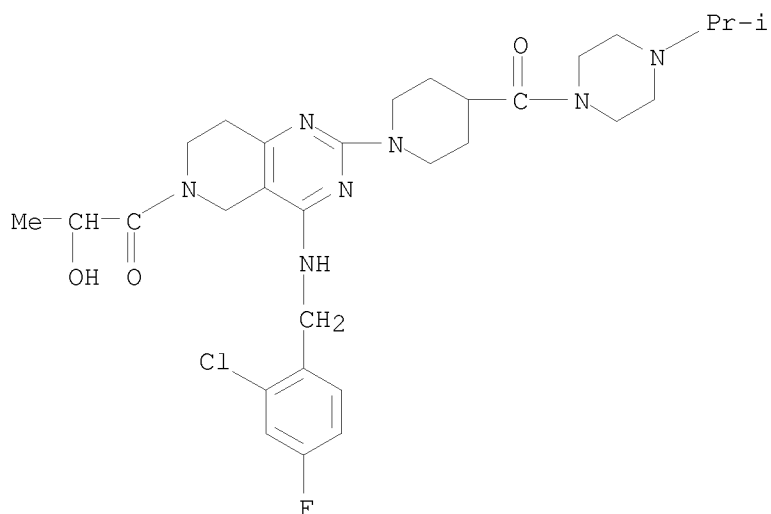
RN 635738-66-8 CAPLUS

CN 1-Propanone, 1-[4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-2-[4-[(4-ethyl-1-piperazinyl)carbonyl]-1-piperidinyl]]-7,8-dihydropyrido[4,3-d]pyrimidin-6(5H)-yl]-2-hydroxy- (CA INDEX NAME)

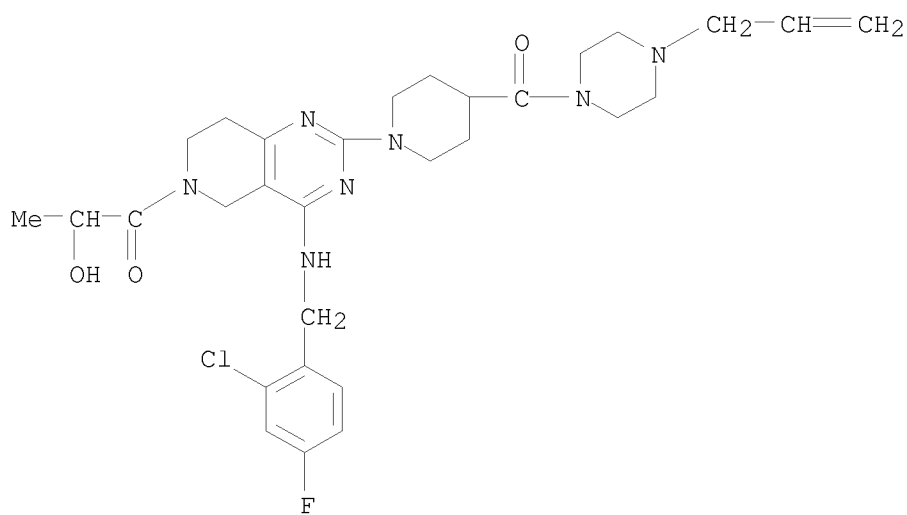


RN 635738-67-9 CAPLUS

CN 1-Propanone, 1-[4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-7,8-dihydro-2-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]pyrido[4,3-d]pyrimidin-6(5H)-yl]-2-hydroxy- (CA INDEX NAME)

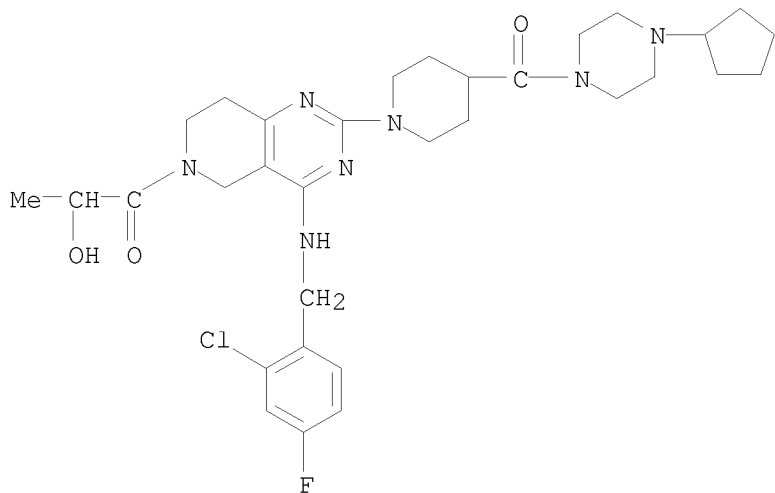


RN 635738-68-0 CAPLUS
 CN 1-Propanone, 1-[4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-7,8-dihydro-2-[4-[[4-(2-propen-1-yl)-1-piperazinyl]carbonyl]-1-piperidinyl]pyrido[4,3-d]pyrimidin-6(5H)-yl]-2-hydroxy- (CA INDEX NAME)



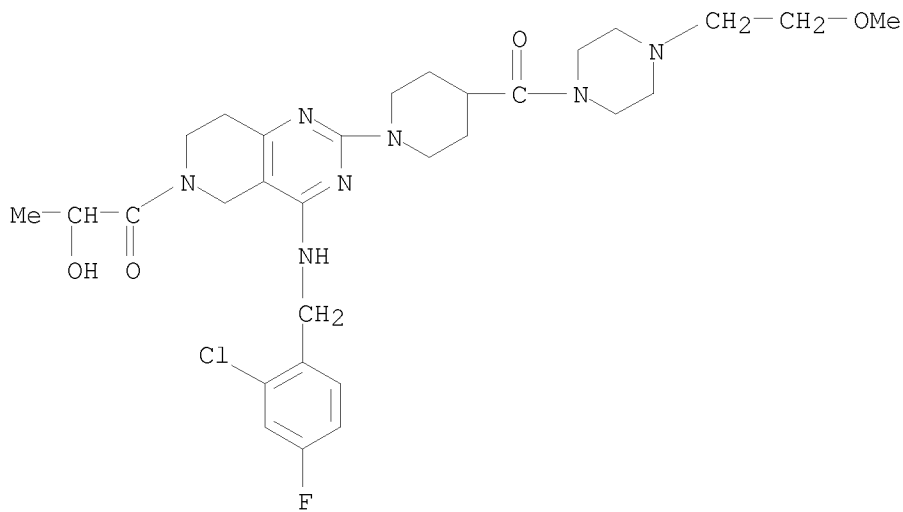
RN 635738-69-1 CAPLUS
 CN 1-Propanone, 1-[4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-2-[4-[(4-cyclopentyl-1-piperazinyl)carbonyl]-1-piperidinyl]-7,8-dihydropyrido[4,3-d]pyrimidin-6(5H)-yl]-2-hydroxy- (CA INDEX NAME)

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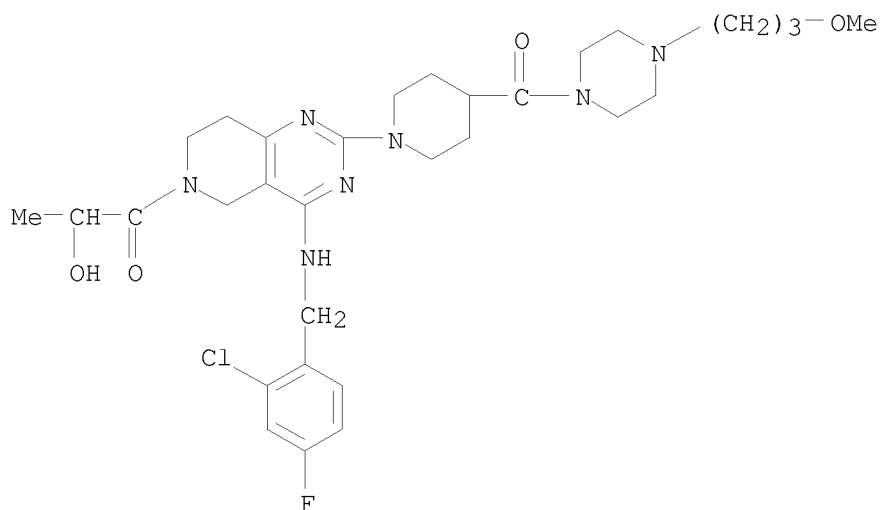
RN 635738-70-4 CAPLUS

CN 1-Propanone, 1-[4-[[(2-chloro-4-fluorophenyl)methyl]amino]-7,8-dihydro-2-[4-[[4-(2-methoxyethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]pyrido[4,3-d]pyrimidin-6(5H)-yl]-2-hydroxy- (CA INDEX NAME)



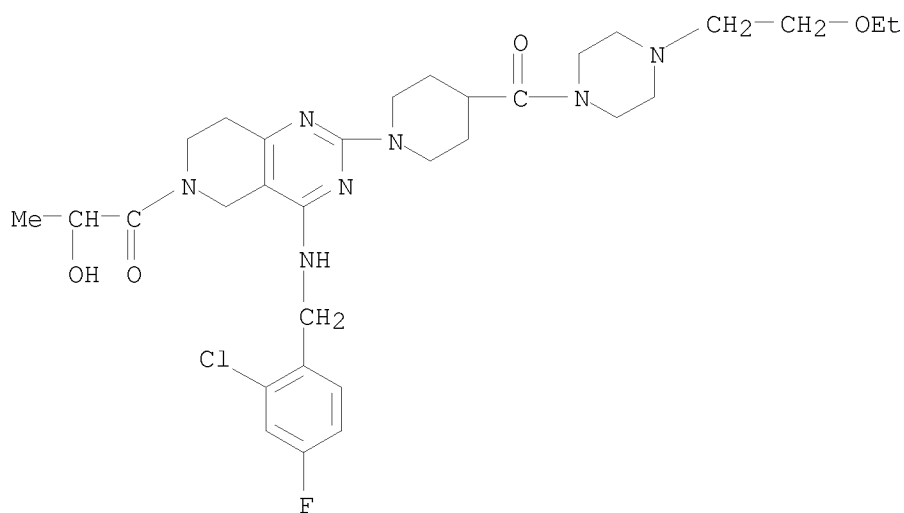
RN 635738-71-5 CAPLUS

CN 1-Propanone, 1-[4-[[(2-chloro-4-fluorophenyl)methyl]amino]-7,8-dihydro-2-[4-[[4-(3-methoxypropyl)-1-piperazinyl]carbonyl]-1-piperidinyl]pyrido[4,3-d]pyrimidin-6(5H)-yl]-2-hydroxy- (CA INDEX NAME)



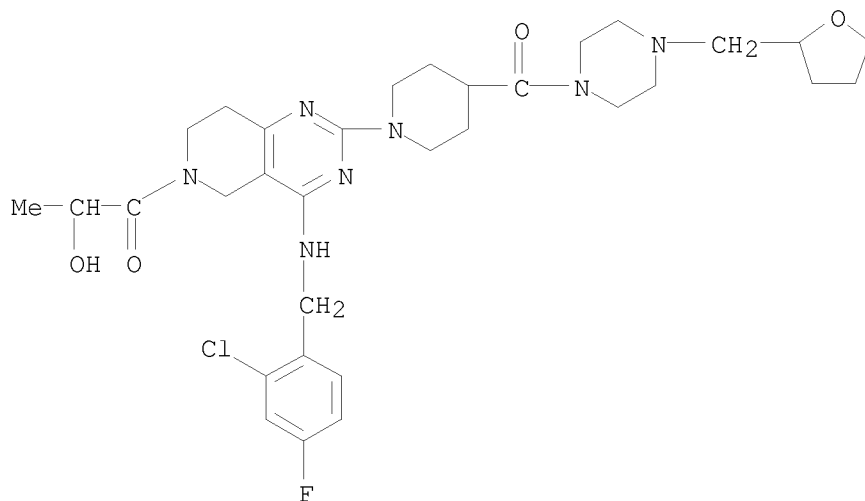
RN 635738-72-6 CAPLUS

CN 1-Propanone, 1-[4-[[(2-chloro-4-fluorophenyl)methyl]amino]-2-[4-[[4-(2-ethoxyethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-7,8-dihydropyrido[4,3-d]pyrimidin-6(5H)-yl]-2-hydroxy- (CA INDEX NAME)



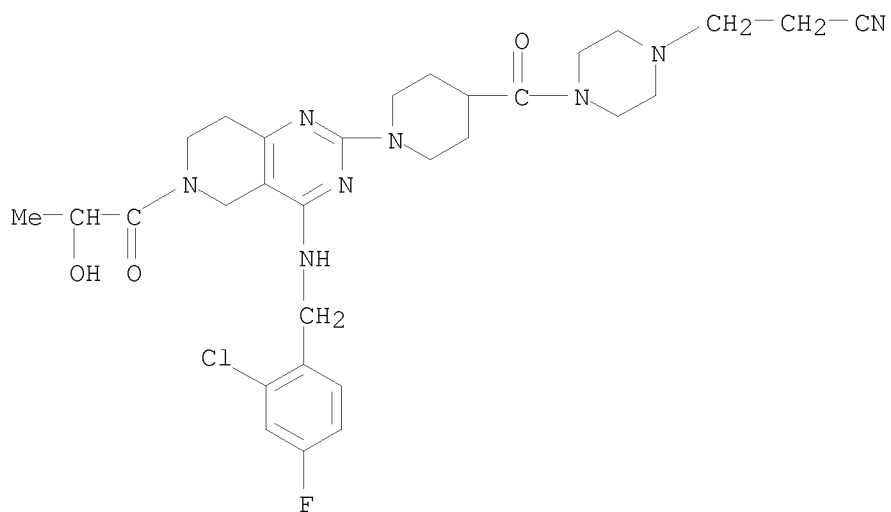
RN 635738-73-7 CAPLUS

CN 1-Propanone, 1-[4-[[(2-chloro-4-fluorophenyl)methyl]amino]-7,8-dihydro-2-[4-[[4-[(tetrahydro-2-furanyl)methyl]-1-piperazinyl]carbonyl]-1-piperidinyl]pyrido[4,3-d]pyrimidin-6(5H)-yl]-2-hydroxy- (CA INDEX NAME)



RN 635738-74-8 CAPLUS

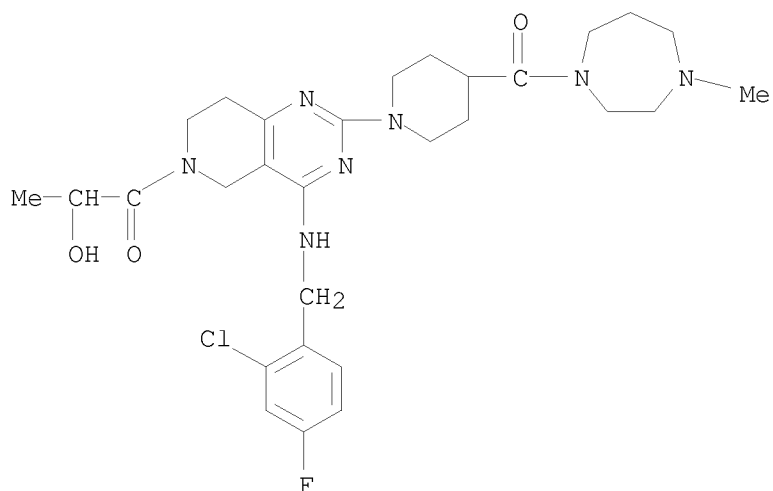
CN 1-Piperazinepropanenitrile, 4-[[1-[4-[(2-chloro-4-fluorophenyl)methyl]amino]-5,6,7,8-tetrahydro-6-(2-hydroxy-1-oxopropyl)pyrido[4,3-d]pyrimidin-2-yl]-4-piperidinyl]carbonyl]- (CA INDEX NAME)



RN 635738-75-9 CAPLUS

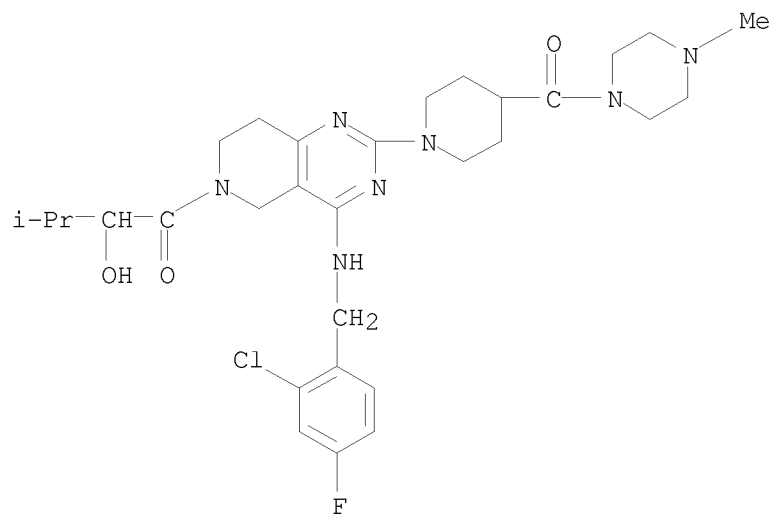
CN 1-Propanone, 1-[4-[[2-(2-chloro-4-fluorophenyl)methyl]amino]-2-[4-[(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)carbonyl]-1-piperidinyl]-7,8-dihydropyrido[4,3-d]pyrimidin-6(5H)-yl]-2-hydroxy- (CA INDEX NAME)

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RN 635738-77-1 CAPLUS

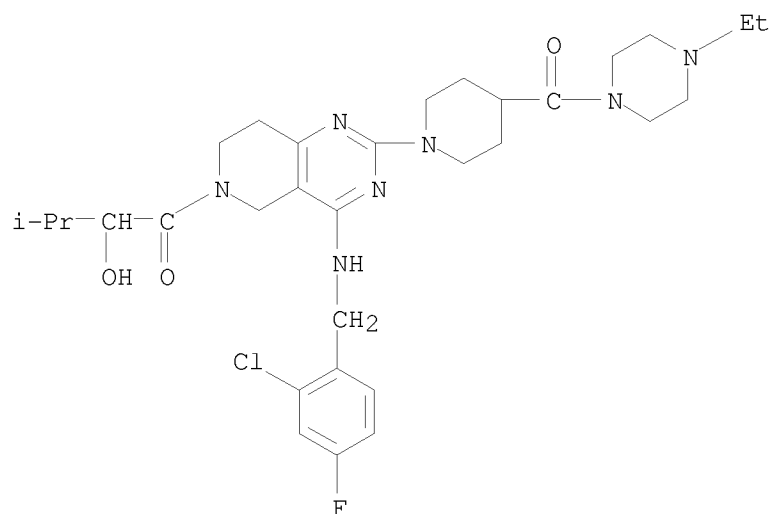
CN 1-Butanone, 1-[4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-7,8-dihydro-2-[4-[(4-methyl-1-piperazinyl)carbonyl]-1-piperidinyl]pyrido[4,3-d]pyrimidin-6(5H)-yl]-2-hydroxy-3-methyl- (CA INDEX NAME)



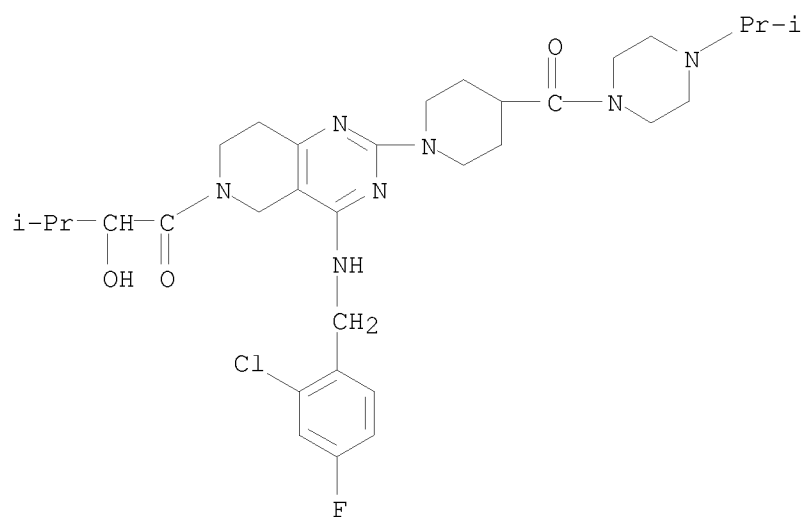
RN 635738-78-2 CAPLUS

CN 1-Butanone, 1-[4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-2-[4-[(4-ethyl-1-piperazinyl)carbonyl]-1-piperidinyl]-7,8-dihydropyrido[4,3-d]pyrimidin-6(5H)-yl]-2-hydroxy-3-methyl- (CA INDEX NAME)

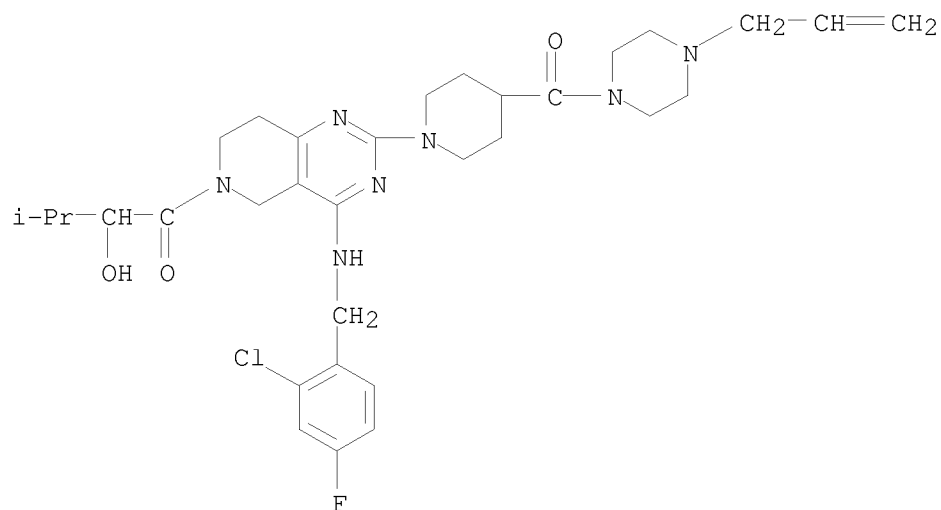
10/553,803



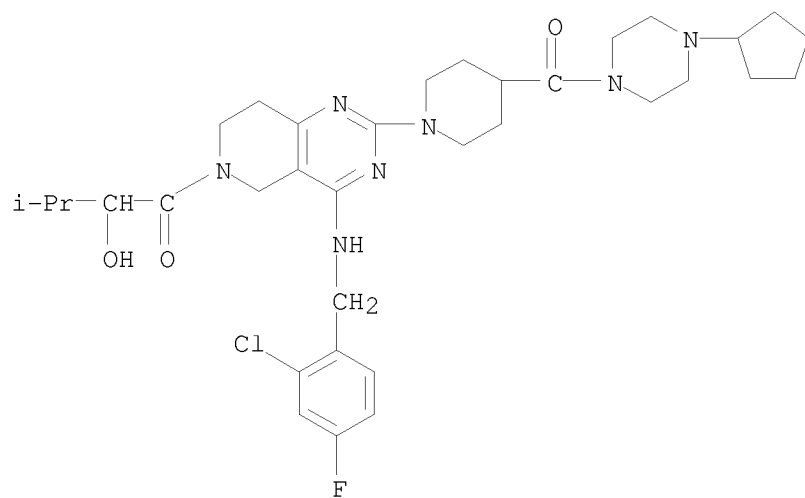
RN 635738-79-3 CAPLUS
 CN 1-Butanone, 1-[4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-7,8-dihydro-2-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]pyrido[4,3-d]pyrimidin-6(5H)-yl]-2-hydroxy-3-methyl- (CA INDEX NAME)



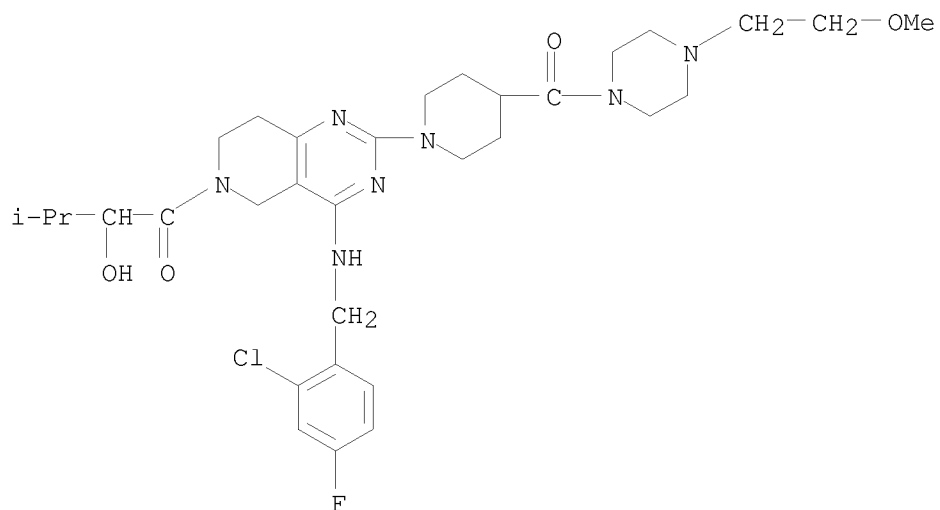
RN 635738-81-7 CAPLUS
 CN 1-Butanone, 1-[4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-7,8-dihydro-2-[4-[[4-(2-propen-1-yl)-1-piperazinyl]carbonyl]-1-piperidinyl]pyrido[4,3-d]pyrimidin-6(5H)-yl]-2-hydroxy-3-methyl- (CA INDEX NAME)



RN 635738-82-8 CAPLUS
 CN 1-Butanone, 1-[4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-2-[4-[(4-cyclopentyl-1-piperazinyl)carbonyl]-1-piperidinyl]-7,8-dihydropyrido[4,3-d]pyrimidin-6(5H)-yl]-2-hydroxy-3-methyl- (CA INDEX NAME)

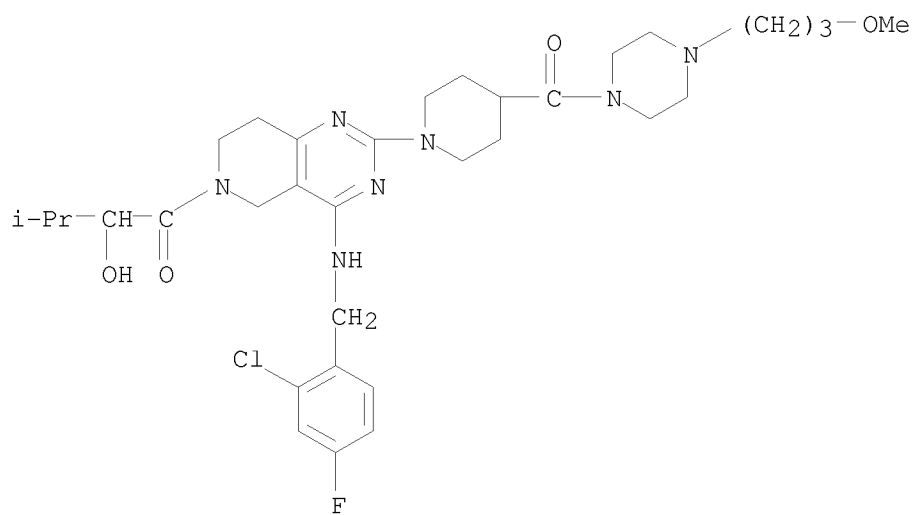


RN 635738-83-9 CAPLUS
 CN 1-Butanone, 1-[4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-7,8-dihydro-2-[4-[[4-(2-methoxyethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]pyrido[4,3-d]pyrimidin-6(5H)-yl]-2-hydroxy-3-methyl- (CA INDEX NAME)



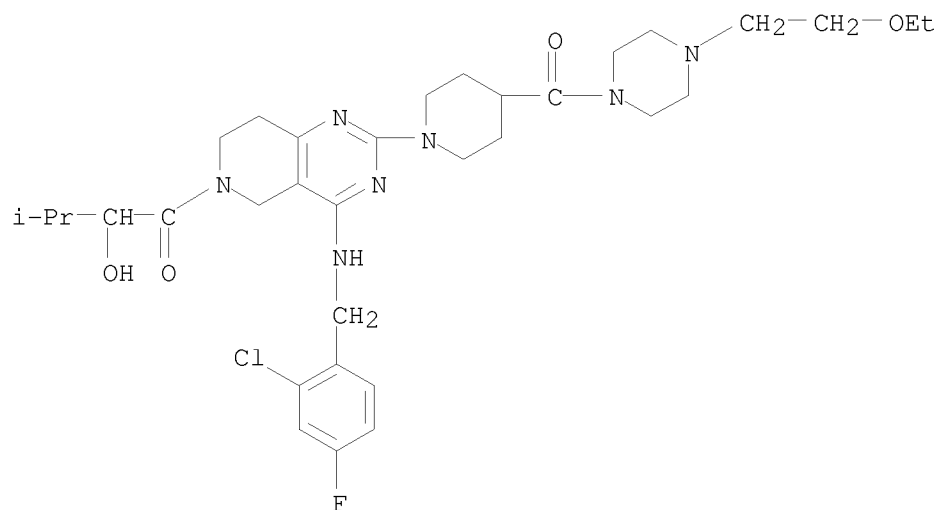
RN 635738-84-0 CAPLUS

CN 1-Butanone, 1-[4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-7,8-dihydro-2-[4-[[4-(3-methoxypropyl)-1-piperazinyl]carbonyl]-1-piperidinyl]pyrido[4,3-d]pyrimidin-6(5H)-yl]-2-hydroxy-3-methyl- (CA INDEX NAME)

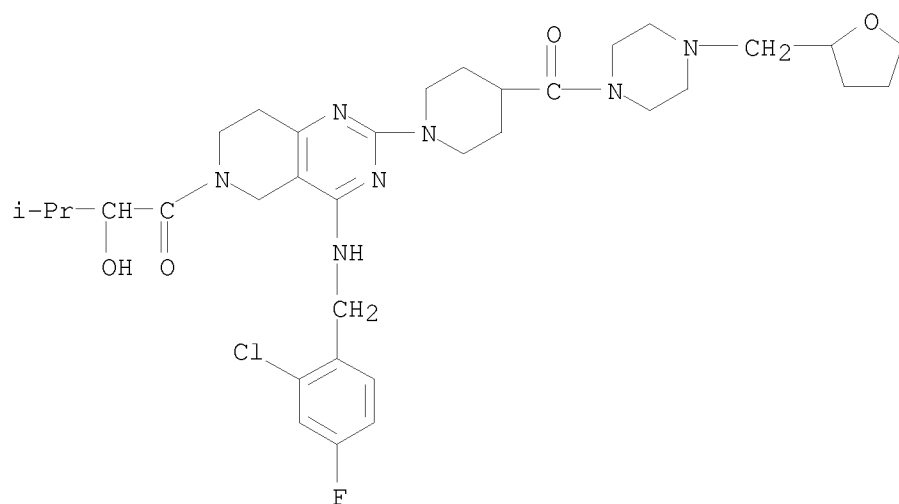


RN 635738-85-1 CAPLUS

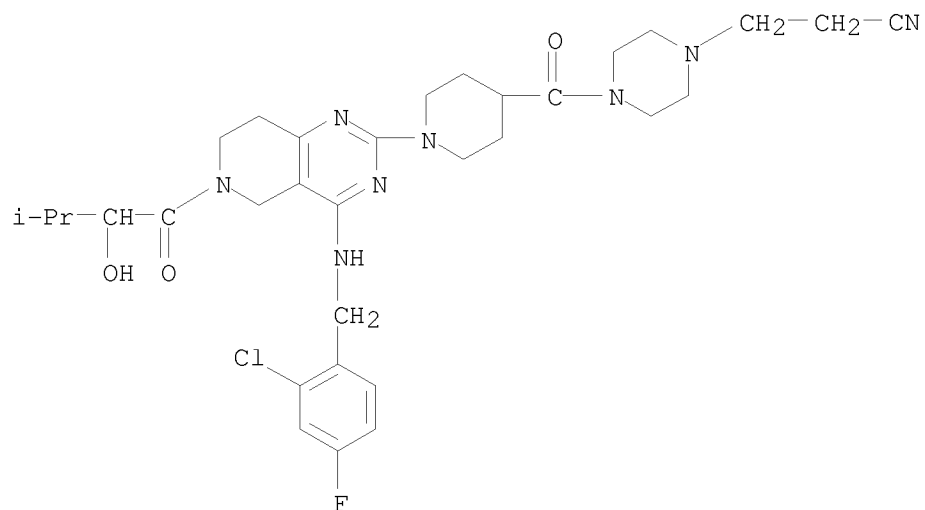
CN 1-Butanone, 1-[4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-2-[4-[[4-(2-ethoxyethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-7,8-dihydropyrido[4,3-d]pyrimidin-6(5H)-yl]-2-hydroxy-3-methyl- (CA INDEX NAME)



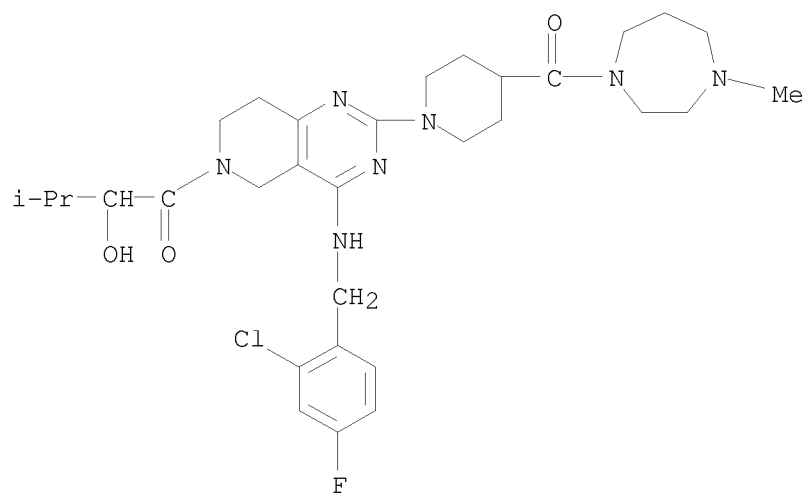
RN 635738-86-2 CAPLUS
 CN 1-Butanone, 1-[4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-7,8-dihydro-2-[4-[[4-[(tetrahydro-2-furanyl)methyl]-1-piperazinyl]carbonyl]-1-piperidinyl]pyrido[4,3-d]pyrimidin-6(5H)-yl]-2-hydroxy-3-methyl- (CA INDEX NAME)



RN 635738-87-3 CAPLUS
 CN 1-Piperazinepropanenitrile, 4-[[[1-[4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-5,6,7,8-tetrahydro-6-(2-hydroxy-3-methyl-1-oxobutyl)pyrido[4,3-d]pyrimidin-2-yl]-4-piperidinyl]carbonyl]- (CA INDEX NAME)

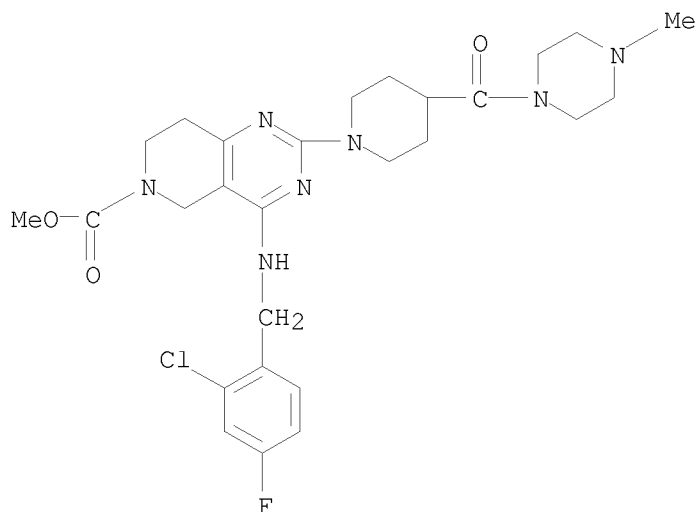


RN 635738-88-4 CAPLUS
 CN 1-Butanone, 1-[4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-2-[4-[(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)carbonyl]-1-piperidinyl]-7,8-dihydropyrido[4,3-d]pyrimidin-6(5H)-yl]-2-hydroxy-3-methyl- (CA INDEX NAME)

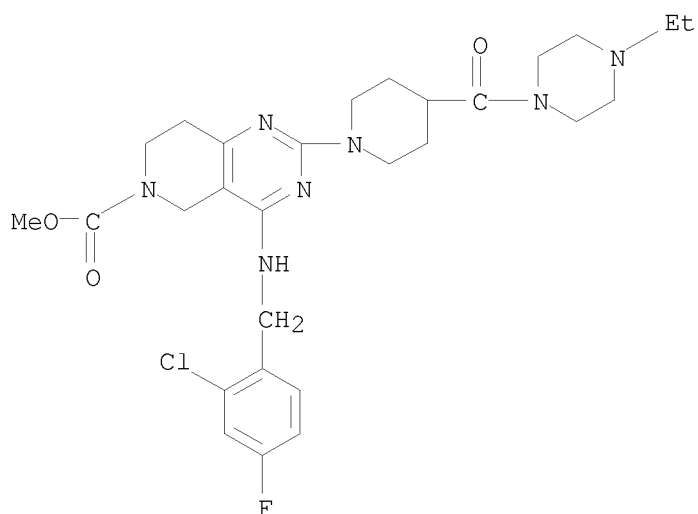


RN 635738-90-8 CAPLUS
 CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxylic acid, 4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-7,8-dihydro-2-[4-[(4-methyl-1-piperazinyl)carbonyl]-1-piperidinyl]-, methyl ester (CA INDEX NAME)

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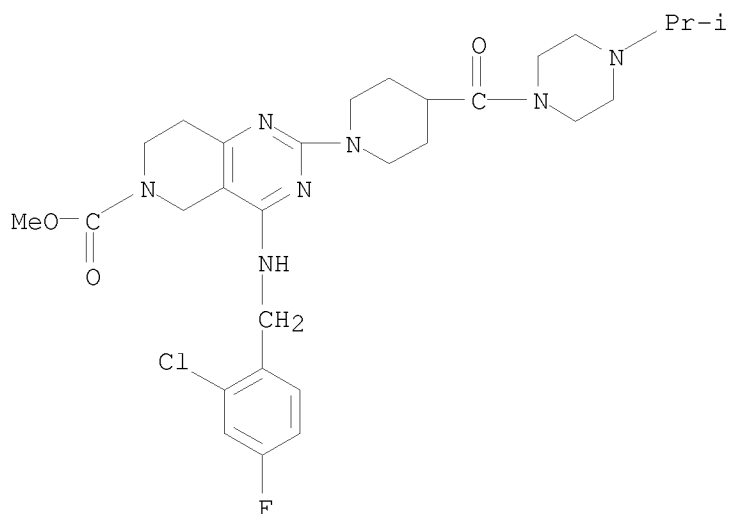


RN 635738-91-9 CAPLUS
 CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxylic acid,
 4-[[(2-chloro-4-fluorophenyl)methyl]amino]-2-[4-[(4-ethyl-1-
 piperazinyl)carbonyl]-1-piperidinyl]-7,8-dihydro-, methyl ester (CA INDEX
 NAME)



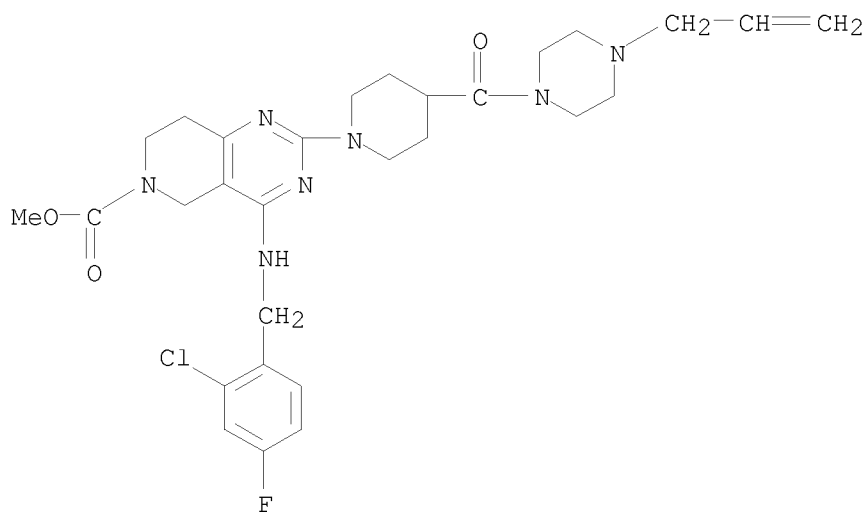
RN 635738-92-0 CAPLUS
 CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxylic acid,
 4-[[(2-chloro-4-fluorophenyl)methyl]amino]-7,8-dihydro-2-[4-[[4-(1-
 methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-, methyl ester (CA
 INDEX NAME)

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RN 635738-93-1 CAPLUS

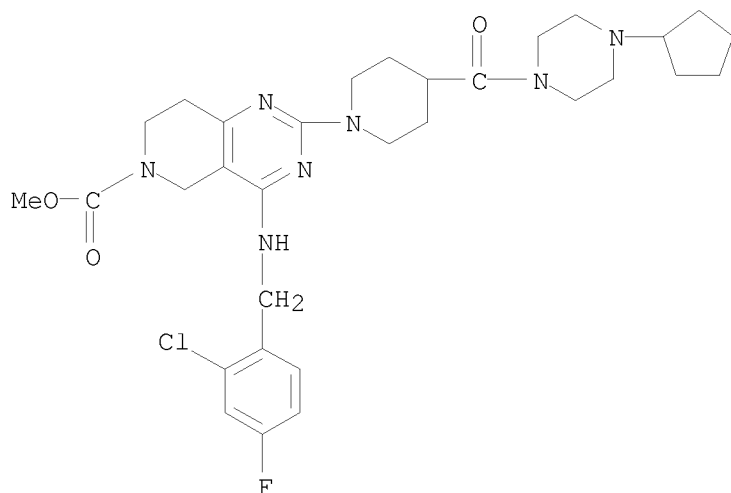
CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxylic acid,
4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-7,8-dihydro-2-[4-[[4-(2-propen-1-yl)-1-piperazinyl]carbonyl]-1-piperidinyl]-, methyl ester (CA INDEX NAME)



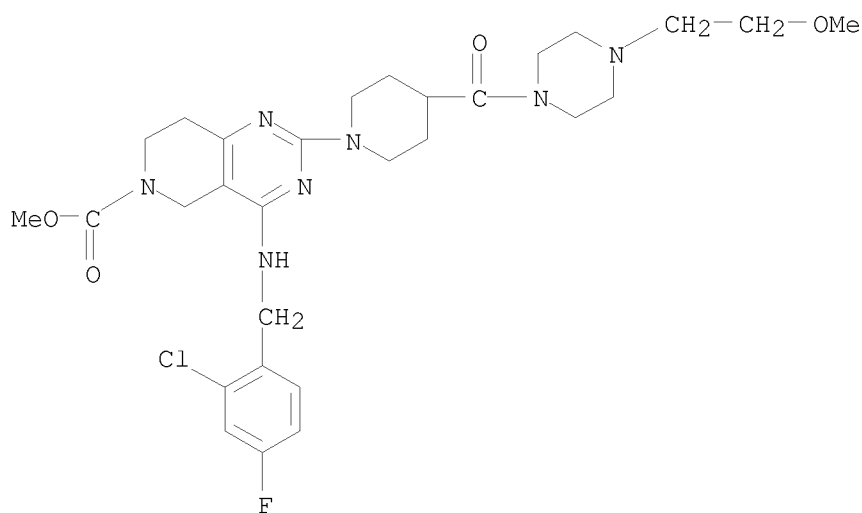
RN 635738-94-2 CAPLUS

CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxylic acid,
4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-2-[4-[(4-cyclopentyl-1-piperazinyl)carbonyl]-1-piperidinyl]-7,8-dihydro-, methyl ester (CA INDEX NAME)

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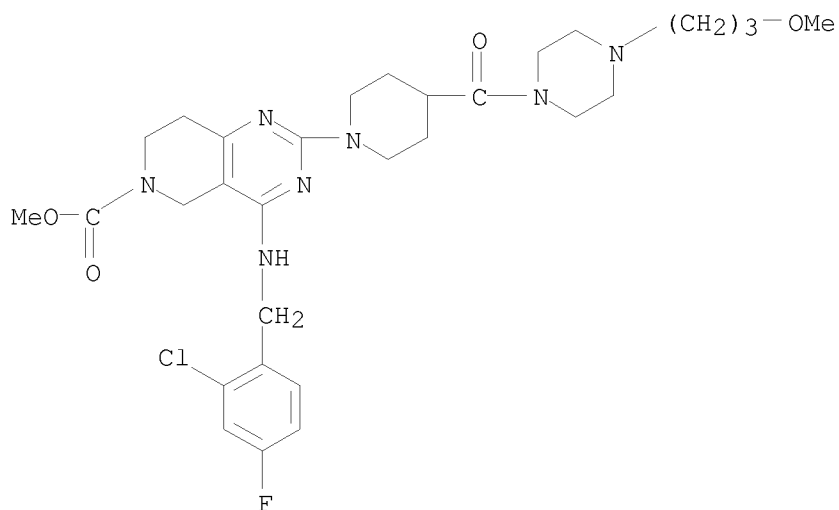


RN 635738-95-3 CAPLUS
CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxylic acid,
4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-7,8-dihydro-2-[4-[[4-(2-methoxyethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-, methyl ester (CA INDEX NAME)

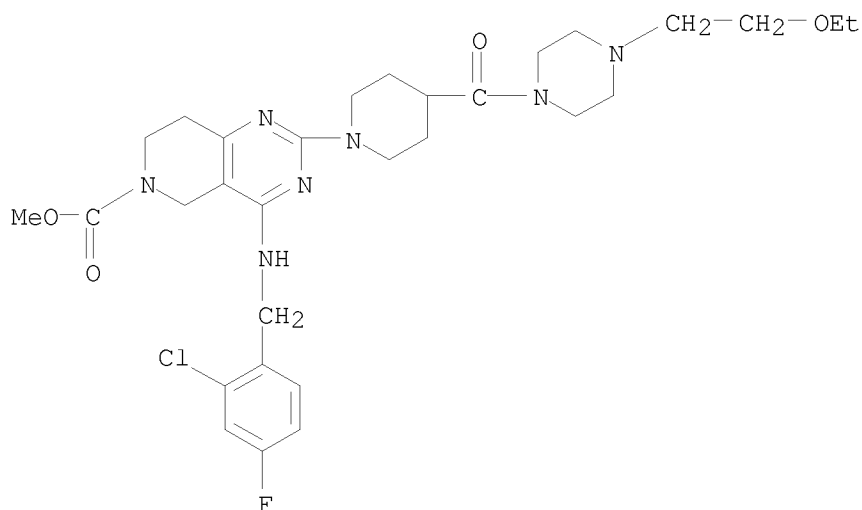


RN 635738-96-4 CAPLUS
CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxylic acid,
4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-7,8-dihydro-2-[4-[[4-(3-methoxypropyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-, methyl ester (CA INDEX NAME)

10/553,803

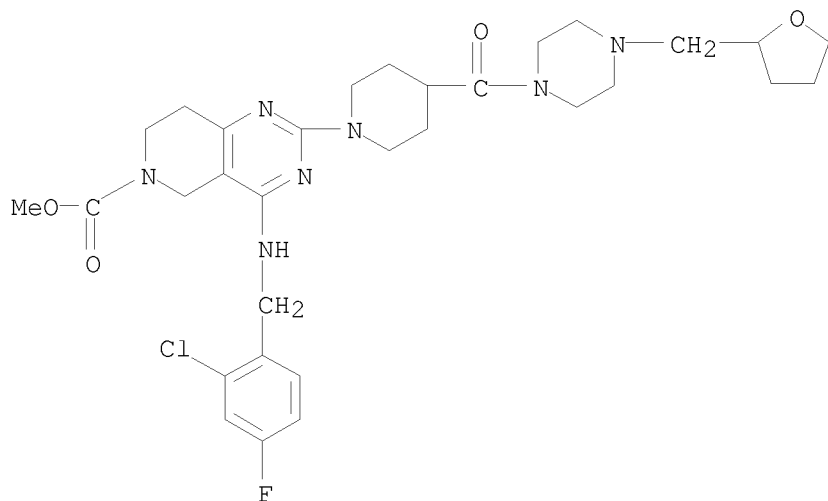


RN 635738-97-5 CAPLUS
 CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxylic acid,
 4-[[(2-chloro-4-fluorophenyl)methyl]amino]-2-[4-[[4-(2-ethoxyethyl)-1-
 piperazinyl]carbonyl]-1-piperidinyl]-7,8-dihydro-, methyl ester (CA INDEX
 NAME)

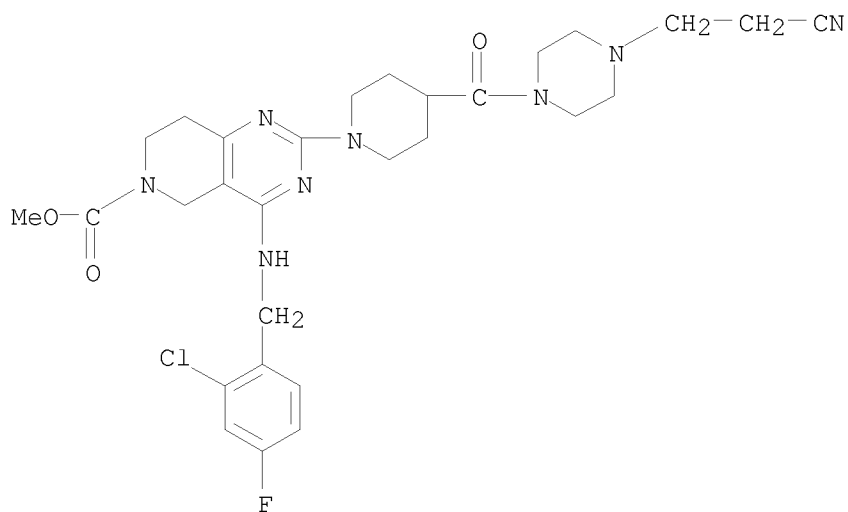


RN 635738-98-6 CAPLUS
 CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxylic acid,
 4-[[(2-chloro-4-fluorophenyl)methyl]amino]-7,8-dihydro-2-[4-[[4-
 [(tetrahydro-2-furanyl)methyl]-1-piperazinyl]carbonyl]-1-piperidinyl]-,
 methyl ester (CA INDEX NAME)

10/553,803

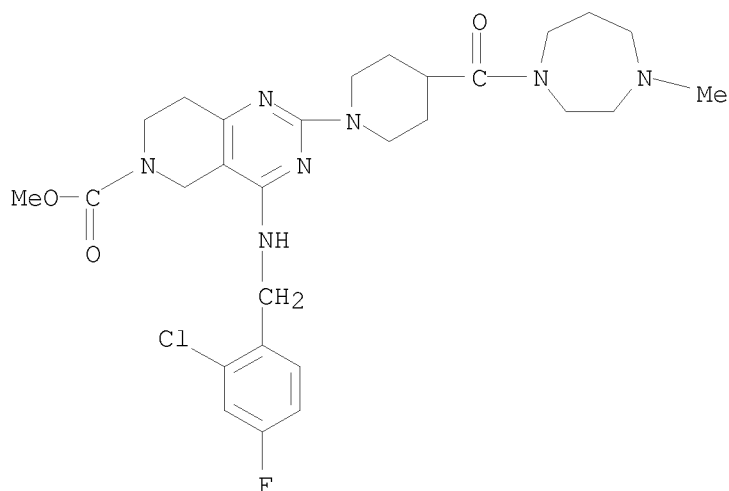


RN 635738-99-7 CAPLUS
 CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxylic acid,
 4-[[(2-chloro-4-fluorophenyl)methyl]amino]-2-[4-[[4-(2-cyanoethyl)-1-
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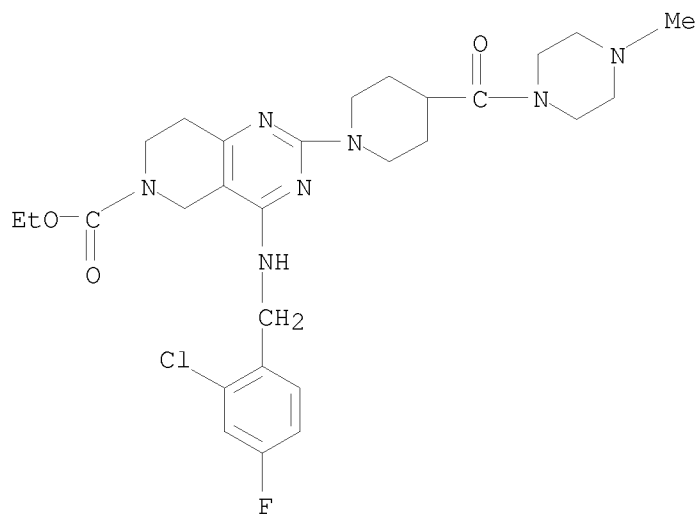
RN 635739-00-3 CAPLUS
 CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxylic acid,
 4-[[(2-chloro-4-fluorophenyl)methyl]amino]-2-[4-[(hexahydro-4-methyl-1H-
 1,4-diazepin-1-yl)carbonyl]-1-piperidinyl]-7,8-dihydro-, methyl ester (CA
 INDEX NAME)

10/553,803



RN 635739-02-5 CAPLUS

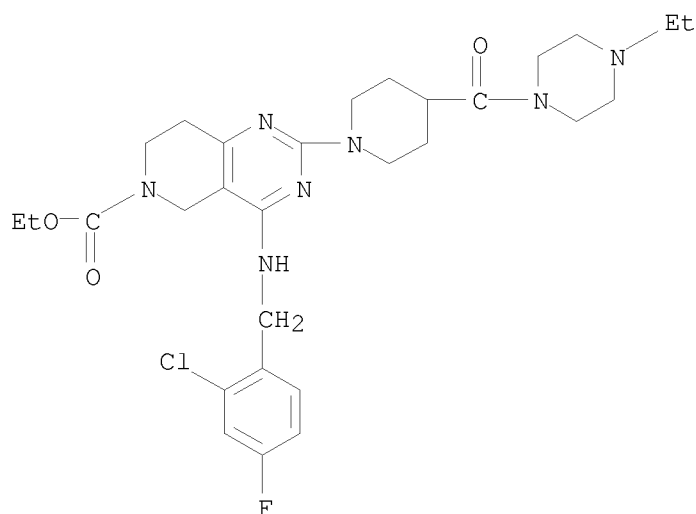
CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxylic acid,
4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-7,8-dihydro-2-[4-[(4-methyl-1-piperazinyl)carbonyl]-1-piperidinyl]-, ethyl ester (CA INDEX NAME)



RN 635739-03-6 CAPLUS

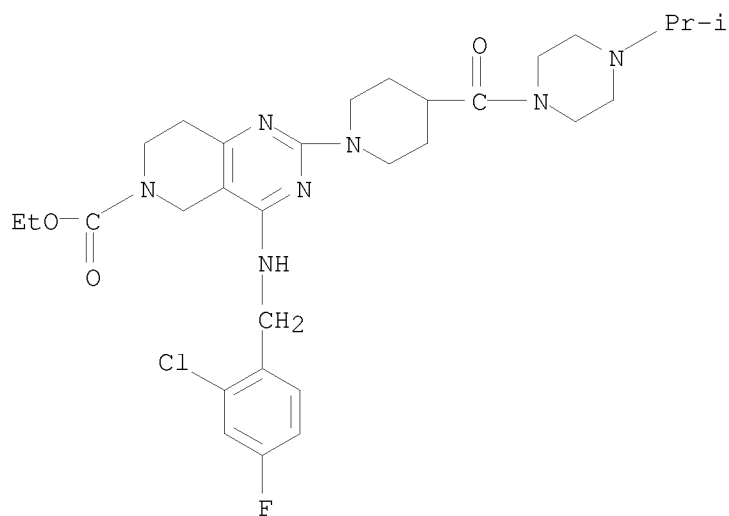
CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxylic acid,
4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-2-[4-[(4-ethyl-1-piperazinyl)carbonyl]-1-piperidinyl]-7,8-dihydro-, ethyl ester (CA INDEX NAME)

10/553,803



RN 635739-04-7 CAPLUS

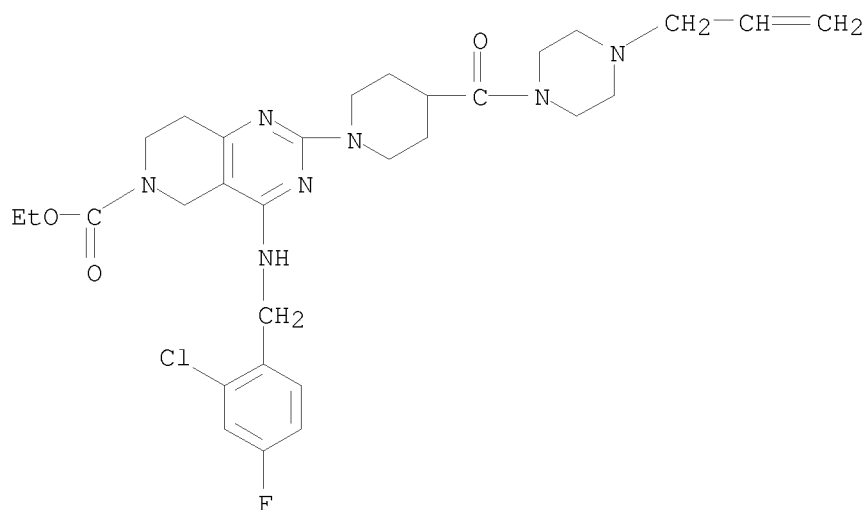
CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxylic acid,
4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-7,8-dihydro-2-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-, ethyl ester (CA
INDEX NAME)



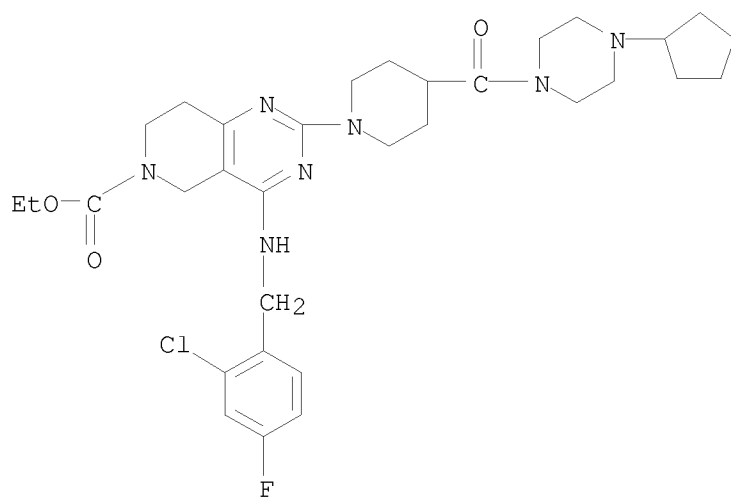
RN 635739-05-8 CAPLUS

CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxylic acid,
4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-7,8-dihydro-2-[4-[[4-(2-propen-1-yl)-1-piperazinyl]carbonyl]-1-piperidinyl]-, ethyl ester (CA INDEX
NAME)

10/553,803

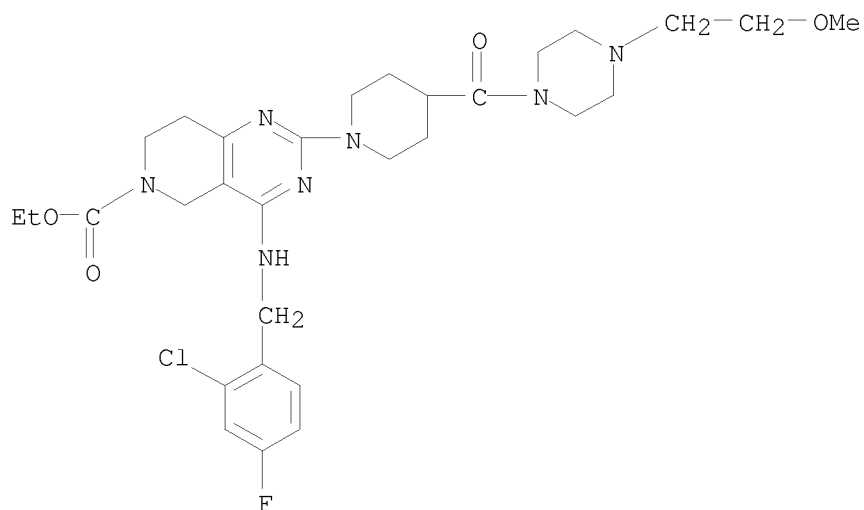


RN 635739-06-9 CAPLUS
CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxylic acid,
4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-2-[4-[(4-cyclopentyl-1-
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NAME)

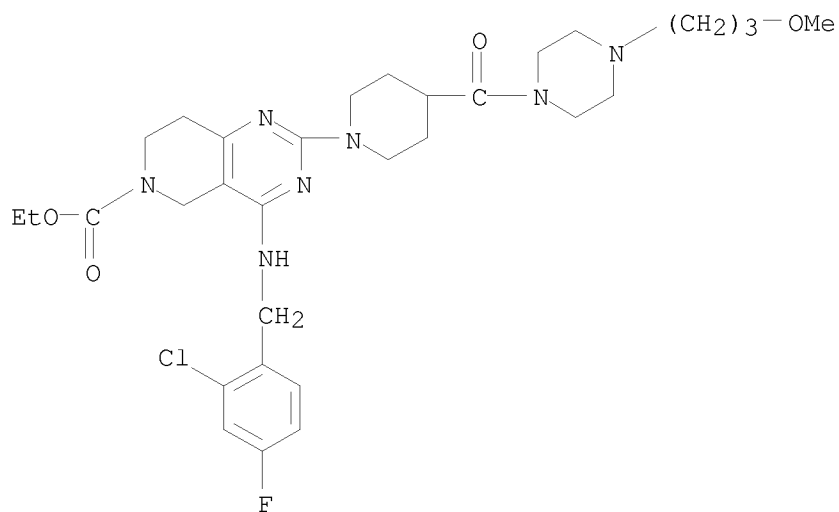


RN 635739-07-0 CAPLUS
CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxylic acid,
4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-7,8-dihydro-2-[4-[[4-(2-
methoxyethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-, ethyl ester (CA
INDEX NAME)

10/553,803

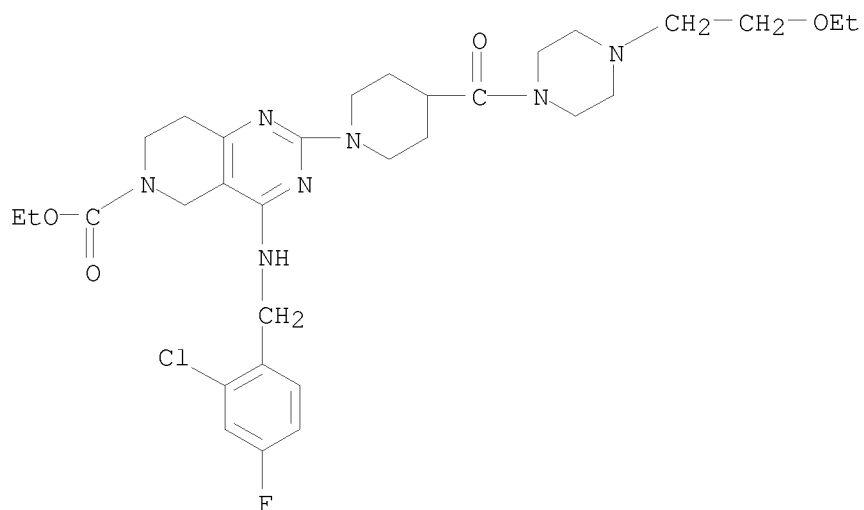


RN 635739-08-1 CAPLUS
 CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxylic acid,
 4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-7,8-dihydro-2-[4-[[4-(3-
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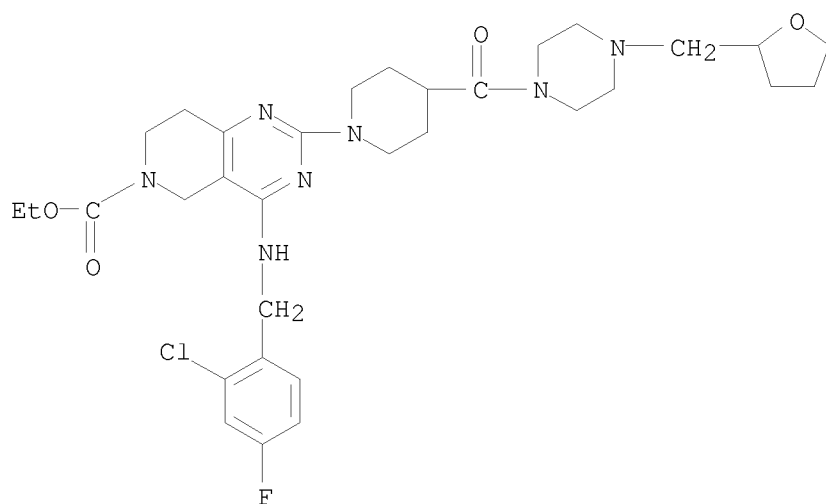
RN 635739-09-2 CAPLUS
 CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxylic acid,
 4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-2-[4-[[4-(2-ethoxyethyl)-1-
 piperazinyl]carbonyl]-1-piperidinyl]-7,8-dihydro-, ethyl ester (CA INDEX
 NAME)

10/553,803



RN 635739-10-5 CAPLUS

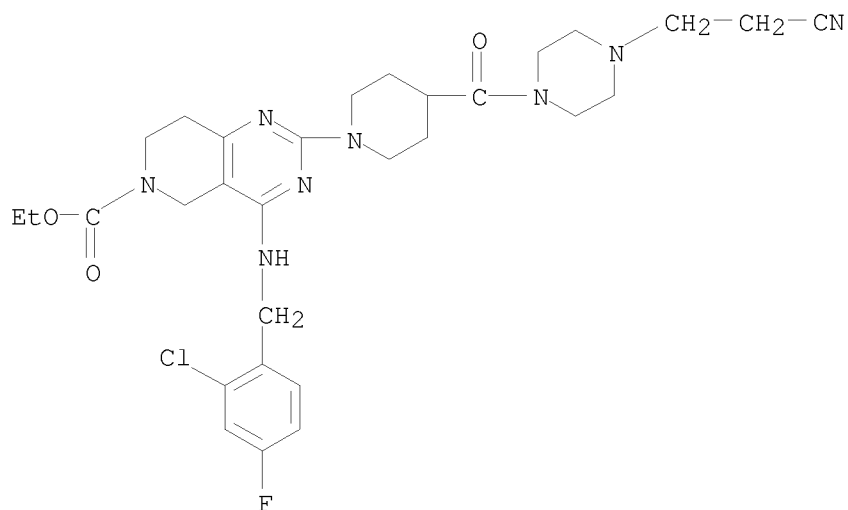
CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxylic acid,
4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-7,8-dihydro-2-[4-[[4-
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ethyl ester (CA INDEX NAME)



RN 635739-11-6 CAPLUS

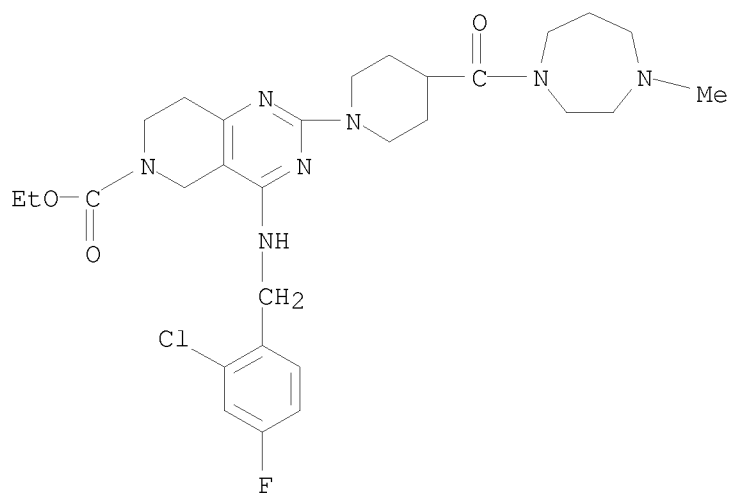
CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxylic acid,
4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-2-[4-[[4-(2-cyanoethyl)-1-
piperazinyl]carbonyl]-1-piperidinyl]-7,8-dihydro-, ethyl ester (CA INDEX
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10/553,803



RN 635739-12-7 CAPLUS

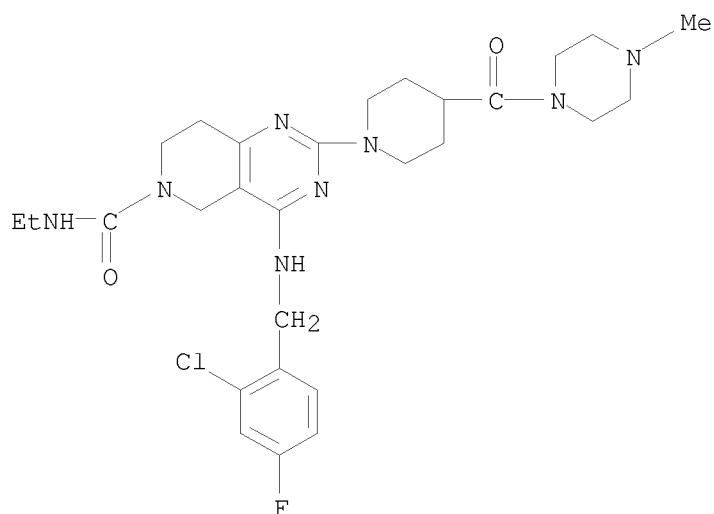
CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxylic acid,
4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-2-[4-[(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)carbonyl]-1-piperidinyl]-7,8-dihydro-, ethyl ester (CA INDEX NAME)



RN 635739-14-9 CAPLUS

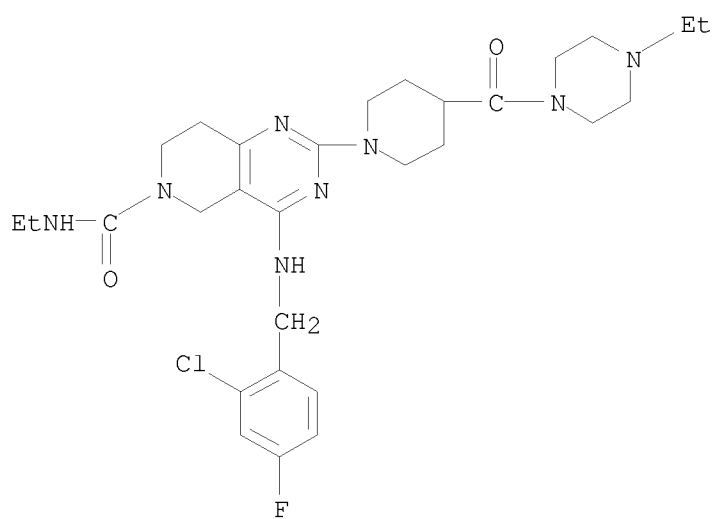
CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxamide,
4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-N-ethyl-7,8-dihydro-2-[4-[(4-methyl-1-piperazinyl)carbonyl]-1-piperidinyl]- (CA INDEX NAME)

10/553,803



RN 635739-15-0 CAPLUS

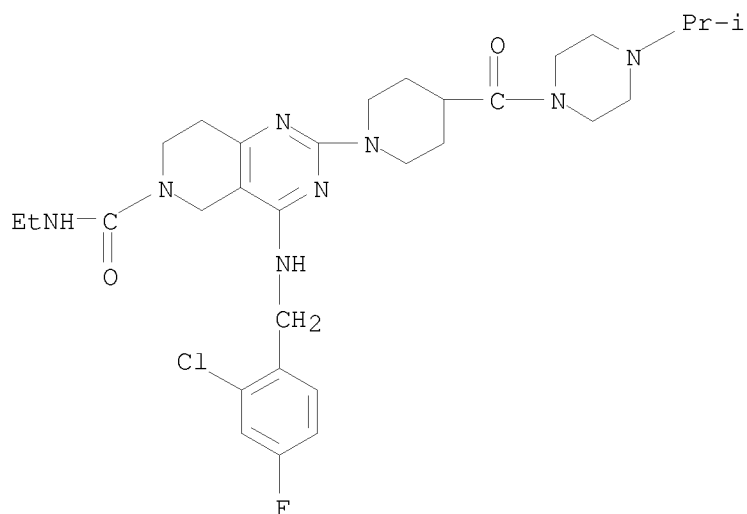
CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxamide,
4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-N-ethyl-2-[4-[(4-ethyl-1-piperazinyl)carbonyl]-1-piperidinyl]-7,8-dihydro- (CA INDEX NAME)



RN 635739-16-1 CAPLUS

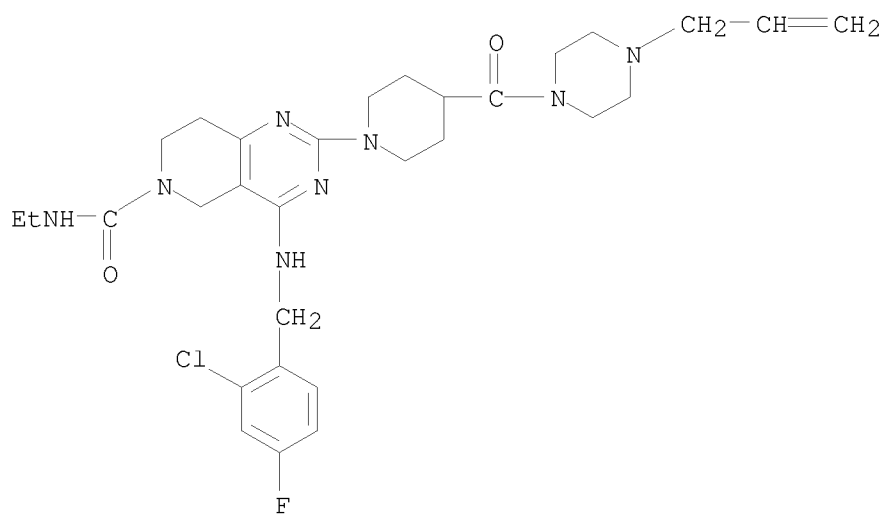
CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxamide,
4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-N-ethyl-7,8-dihydro-2-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)

10/553,803



RN 635739-17-2 CAPLUS

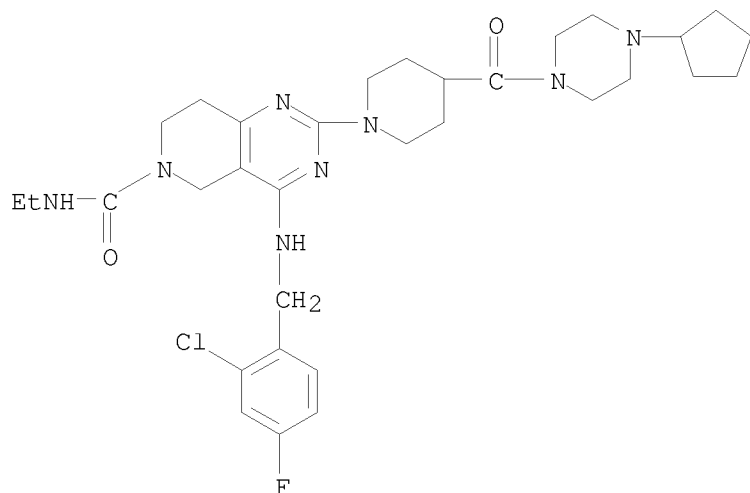
CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxamide,
4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-N-ethyl-7,8-dihydro-2-[4-[[4-(2-propen-1-yl)-1-piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)



RN 635739-18-3 CAPLUS

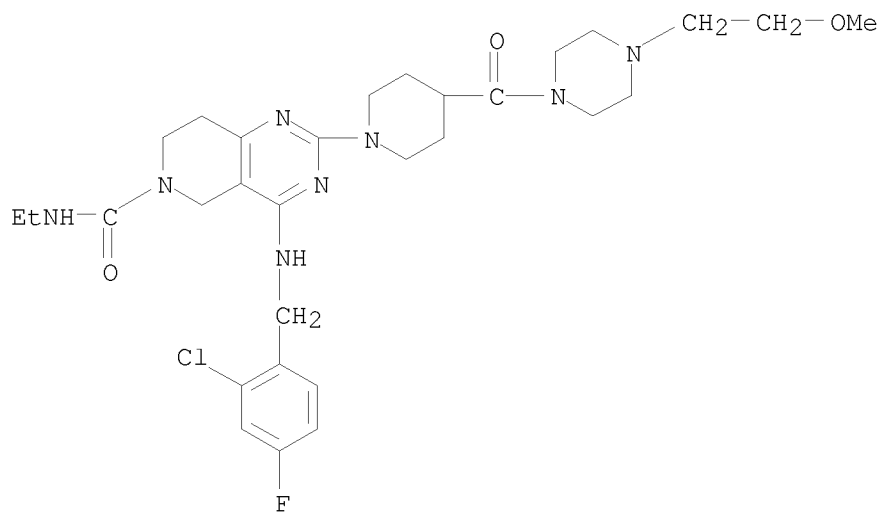
CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxamide,
4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-2-[4-[(4-cyclopentyl-1-piperazinyl)carbonyl]-1-piperidinyl]-N-ethyl-7,8-dihydro- (CA INDEX NAME)

10/553,803



RN 635739-19-4 CAPLUS

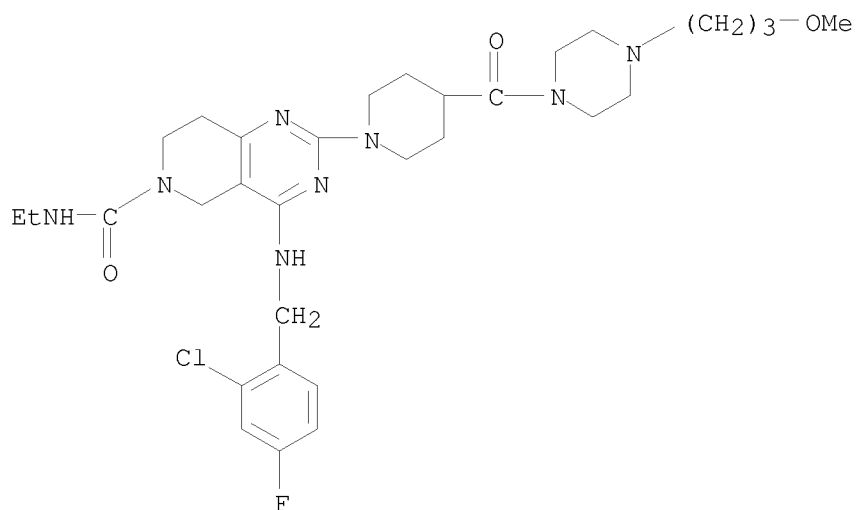
CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxamide,
4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-N-ethyl-7,8-dihydro-2-[4-[[4-(2-methoxyethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)



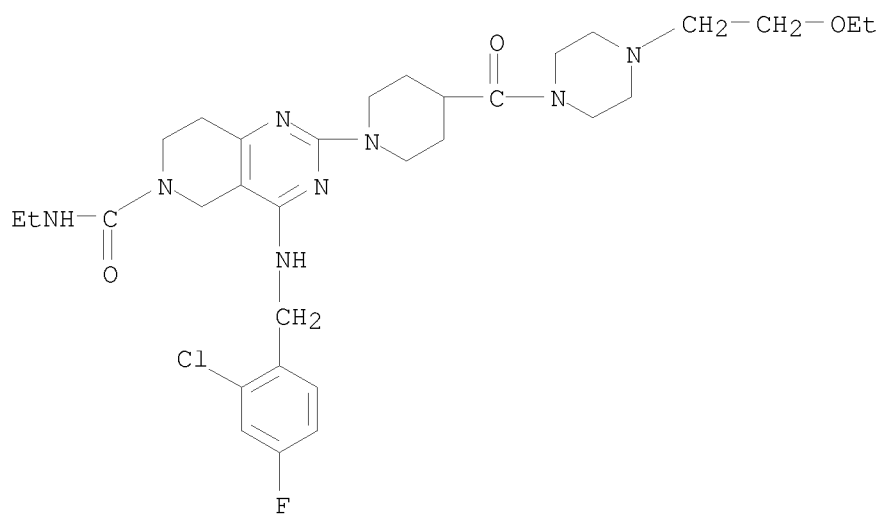
RN 635739-20-7 CAPLUS

CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxamide,
4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-N-ethyl-7,8-dihydro-2-[4-[[4-(3-methoxypropyl)-1-piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)

10/553,803

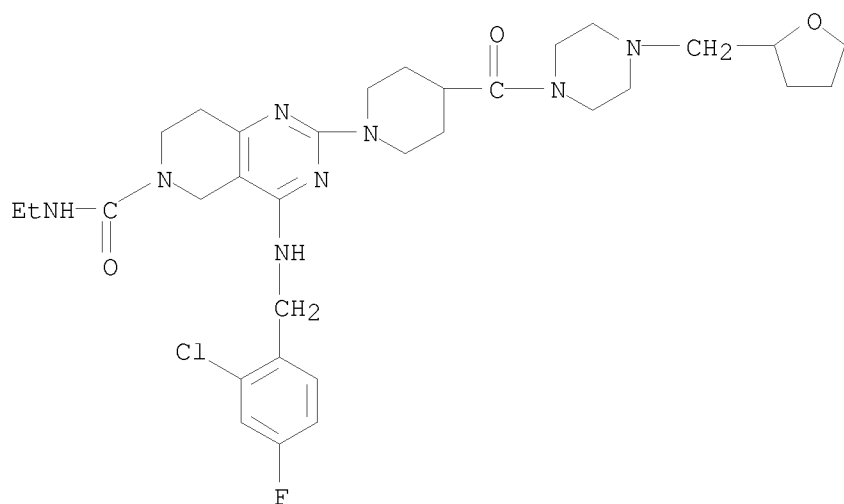


RN 635739-21-8 CAPLUS
 CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxamide,
 4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-2-[4-[[4-(2-ethoxyethyl)-1-
 piperazinyl]carbonyl]-1-piperidinyl]-N-ethyl-7,8-dihydro- (CA INDEX NAME)

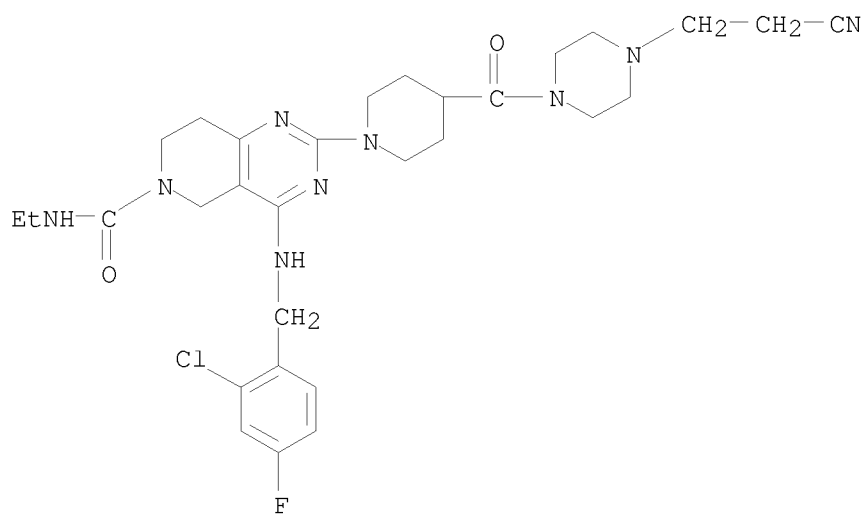


RN 635739-22-9 CAPLUS
 CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxamide,
 4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-N-ethyl-7,8-dihydro-2-[4-[[4-
 [(tetrahydro-2-furanyl)methyl]-1-piperazinyl]carbonyl]-1-piperidinyl]-
 (CA INDEX NAME)

10/553,803

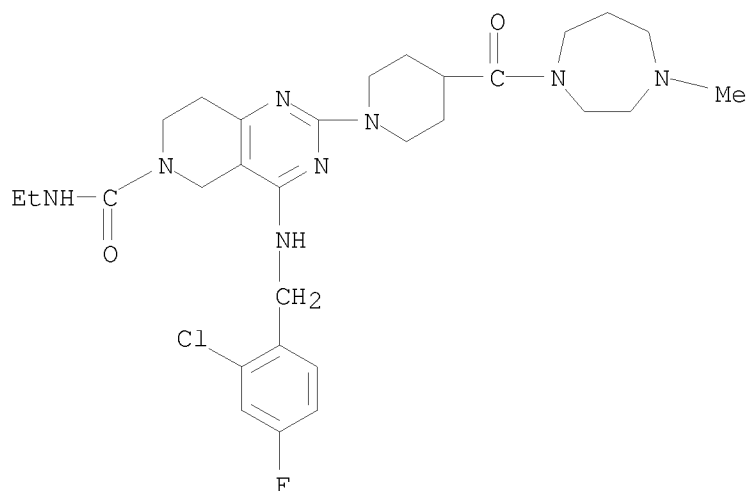


RN 635739-23-0 CAPLUS
CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxamide,
4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-2-[4-[[4-(2-cyanoethyl)-1-
piperazinyl]carbonyl]-1-piperidinyl]-N-ethyl-7,8-dihydro- (CA INDEX NAME)

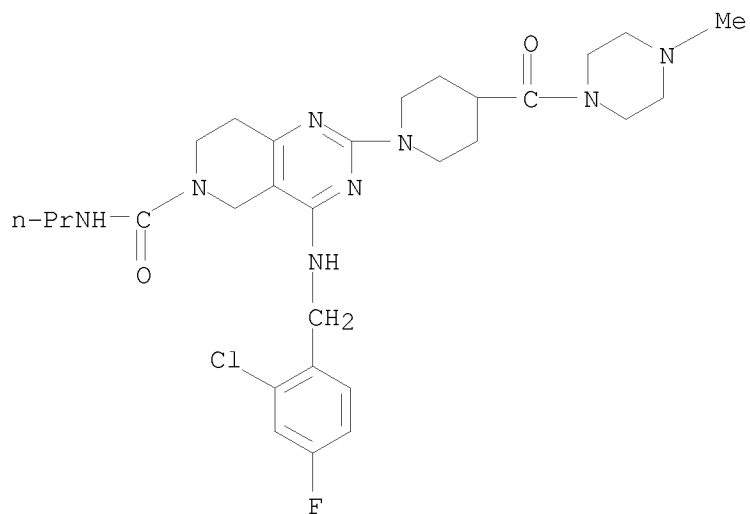


RN 635739-24-1 CAPLUS
CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxamide,
4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-N-ethyl-2-[4-[(hexahydro-4-
methyl-1H-1,4-diazepin-1-yl)carbonyl]-1-piperidinyl]-7,8-dihydro- (CA
INDEX NAME)

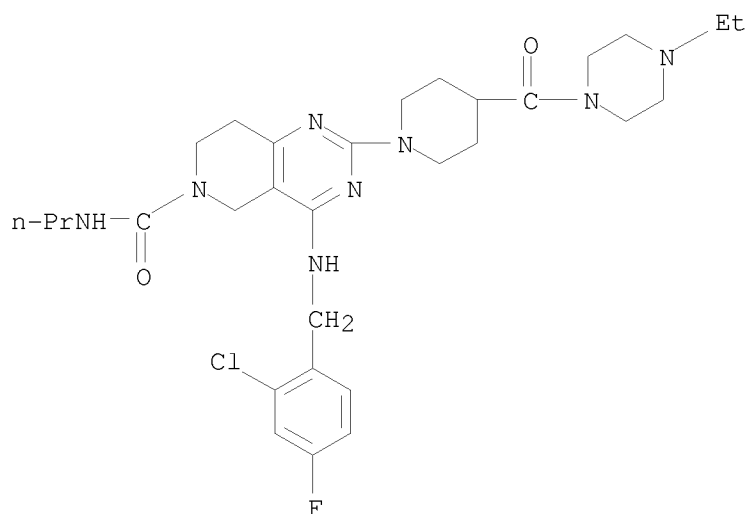
10/553,803



RN 635744-18-2 CAPLUS
CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxamide,
4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-7,8-dihydro-2-[4-[(4-methyl-1-
piperazinyl)carbonyl]-1-piperidinyl]-N-propyl- (CA INDEX NAME)

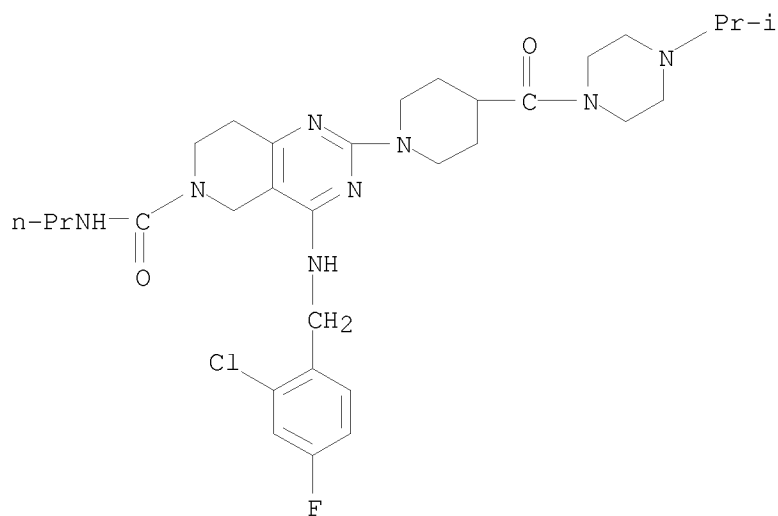


RN 635744-19-3 CAPLUS
CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxamide,
4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-2-[4-[(4-ethyl-1-
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RN 635744-20-6 CAPLUS

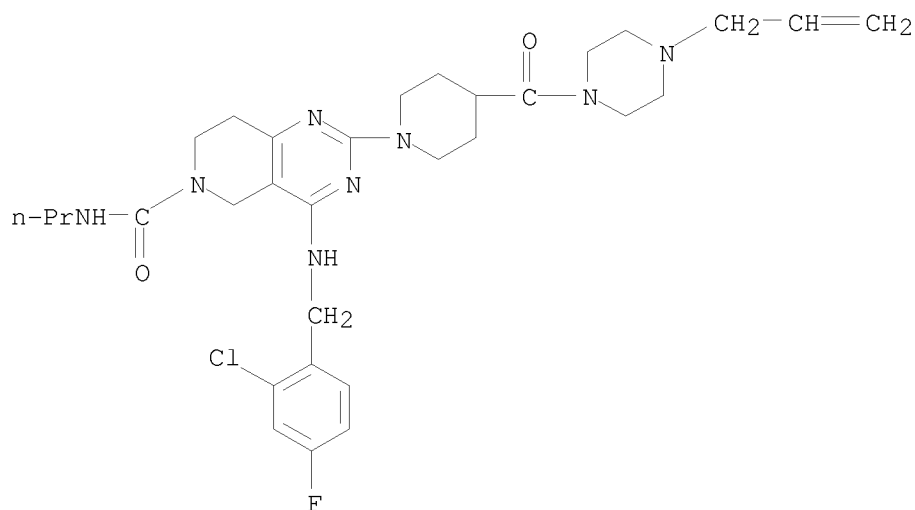
CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxamide,
4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-7,8-dihydro-2-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-N-propyl- (CA INDEX NAME)



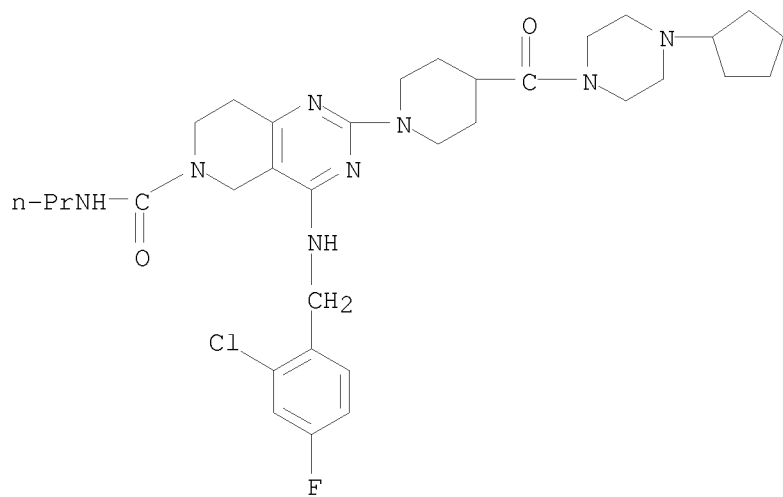
RN 635744-21-7 CAPLUS

CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxamide,
4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-7,8-dihydro-2-[4-[[4-(2-propen-1-yl)-1-piperazinyl]carbonyl]-1-piperidinyl]-N-propyl- (CA INDEX NAME)

10/553,803

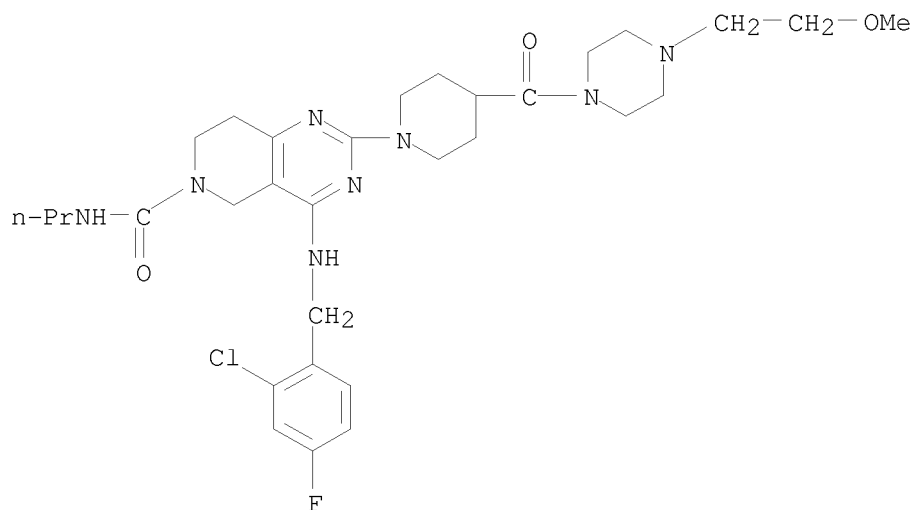


RN 635749-58-5 CAPLUS
 CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxamide,
 4-[[(2-chloro-4-fluorophenyl)methyl]amino]-2-[4-[(4-cyclopentyl-1-
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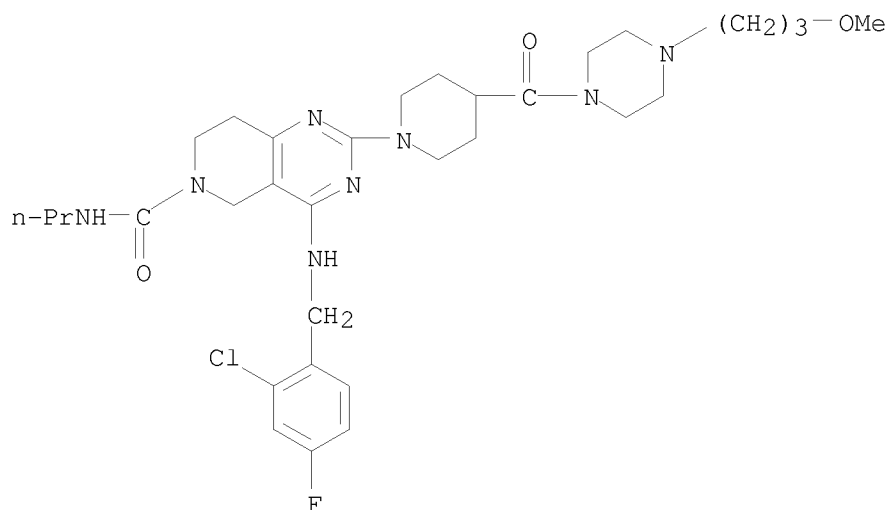


RN 635749-59-6 CAPLUS
 CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxamide,
 4-[[(2-chloro-4-fluorophenyl)methyl]amino]-7,8-dihydro-2-[4-[[4-(2-
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10/553,803

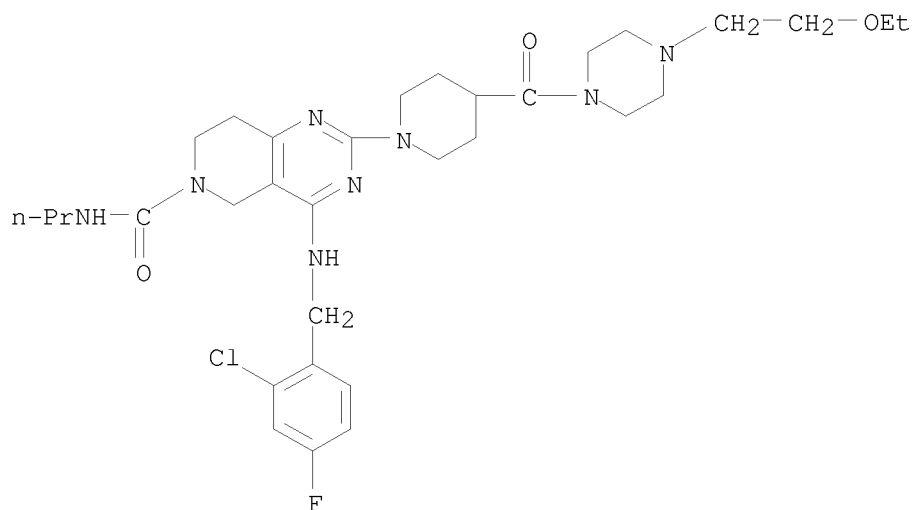


RN 635749-60-9 CAPLUS
 CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxamide,
 4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-7,8-dihydro-2-[4-[[4-(3-
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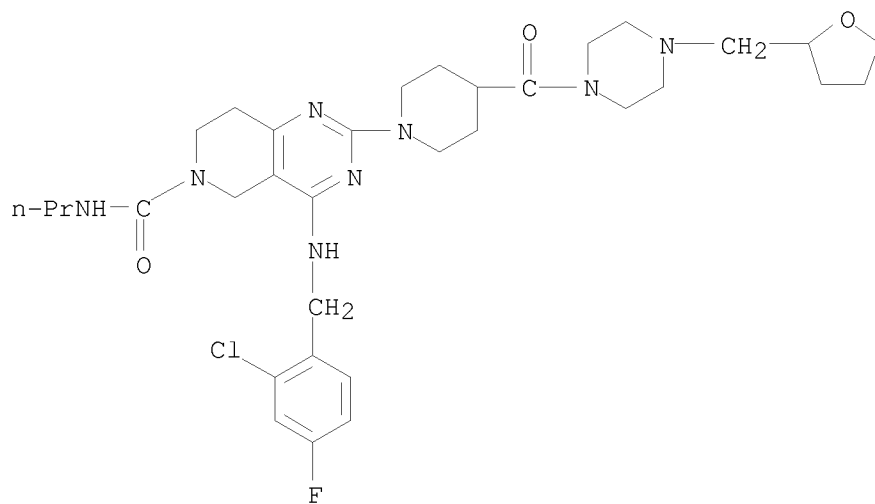


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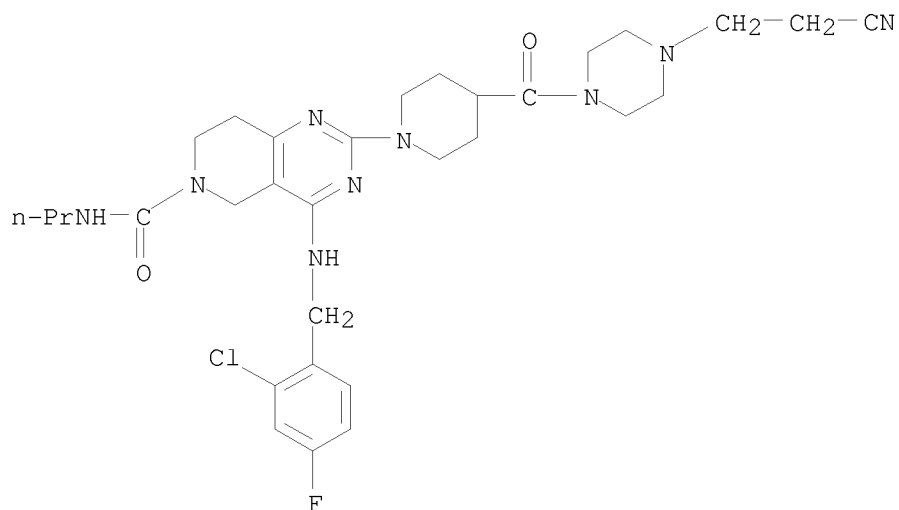


RN 635749-62-1 CAPLUS
 CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxamide,
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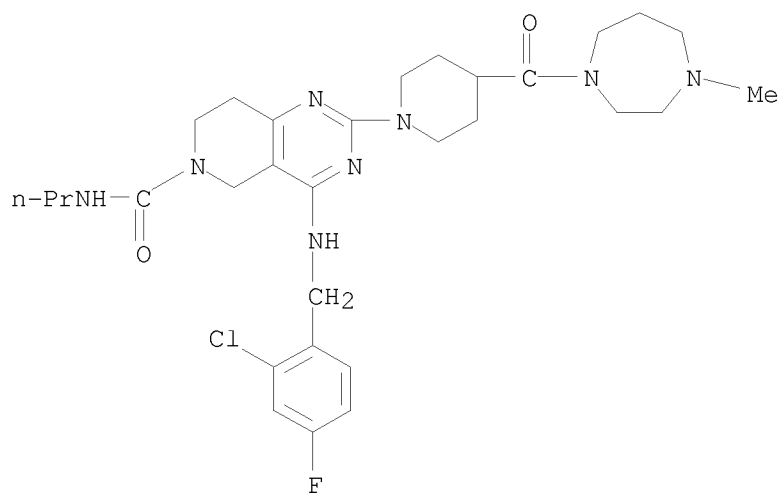


RN 635749-63-2 CAPLUS
 CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxamide,
 4-[[(2-chloro-4-fluorophenyl)methyl]amino]-2-[4-[[4-(2-cyanoethyl)-1-
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10/553,803



RN 635749-64-3 CAPLUS
CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxamide,
4-[[[(2-chloro-4-fluorophenyl)methyl]amino]-2-[4-[(hexahydro-4-methyl-1H-
1,4-diazepin-1-yl)carbonyl]-1-piperidinyl]-7,8-dihydro-N-propyl- (CA
INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 19 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:591178 CAPLUS

DOCUMENT NUMBER: 139:149653

TITLE: Preparation of quinoxaline derivatives as poly(ADP-ribose) polymerase (PARP) inhibitors for treatment of rheumatoid arthritis

INVENTOR(S): Takayama, Kazuhisa; Masuda, Naoyuki; Hondo, Takeshi; Hirabayashi, Ryoji; Seki, Norio; Koga, Yuji; Naito, Ryo; Okamoto, Yoshinori; Kaizawa, Hiroyuki; Okuda, Takao; Okada, Youhei; Takeuchi, Makoto

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

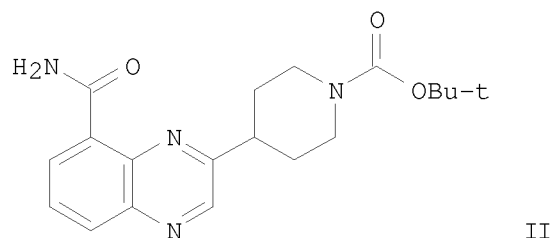
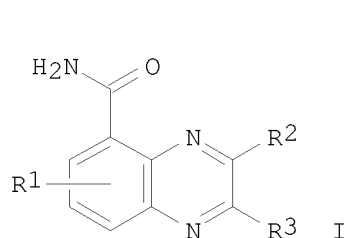
PATENT INFORMATION:

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PRIORITY APPLN. INFO.: JP 2002-14121 A 20020123

OTHER SOURCE(S): MARPAT 139:149653

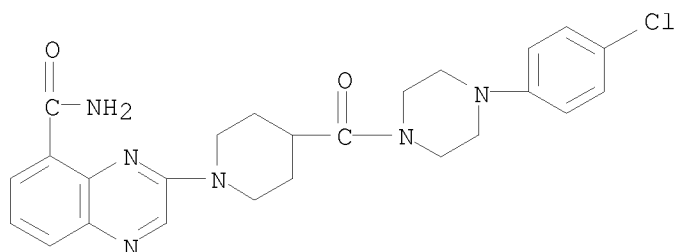
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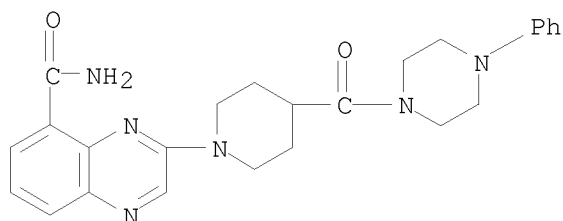
AB The title quinoxaline derivs. with general formula of I [wherein wherein R₁ = H, alkoxy, halo, or (un)substituted alkyl; R₂ = halo, (un)substituted OH, SH, or amino, etc.; R₃ = H, OH, halo, (un)substituted cycloalkyl, cycloalkenyl, heterocyclyl, or alkyl, etc.; with exclusions] and pharmaceutically acceptable salts thereof are prepared as poly(ADP-ribose) polymerase (PARP) inhibitors for the treatment of rheumatoid arthritis. For example, the quinoxalinecarboxamide II was prepared in a four-step synthesis starting from N-(tert-butoxycarbonyl)isonipecotic acid comprising ring formation reaction. Some of compds. I showed IC₅₀ of 3.8-72 nM against human PARP.

10/553,803

IT 569666-26-8P 569666-27-9P 569666-35-9P
569667-09-0P 569667-21-6P 569667-71-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(drug candidate; preparation of quinoxaline derivs. as PARP inhibitors for
treatment of rheumatoid arthritis)
RN 569666-26-8 CAPLUS
CN 5-Quinoxalinecarboxamide, 3-[4-[[4-(4-chlorophenyl)-1-
piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)



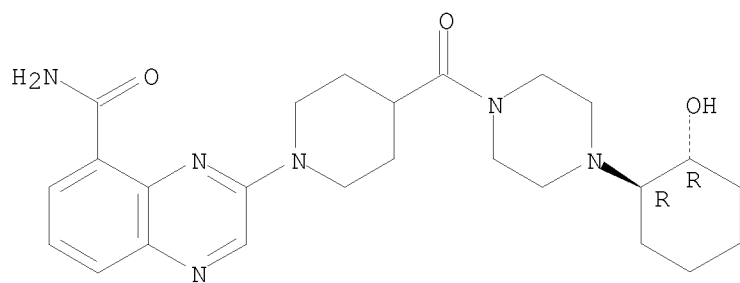
RN 569666-27-9 CAPLUS
CN 5-Quinoxalinecarboxamide, 3-[4-[(4-phenyl-1-piperazinyl)carbonyl]-1-
piperidinyl]- (CA INDEX NAME)



RN 569666-35-9 CAPLUS
CN 5-Quinoxalinecarboxamide, 3-[4-[[4-[(1R,2R)-2-hydroxycyclohexyl]-1-
piperazinyl]carbonyl]-1-piperidinyl]-, hydrochloride (1:1), rel- (CA
INDEX NAME)

Relative stereochemistry.

10/553,803

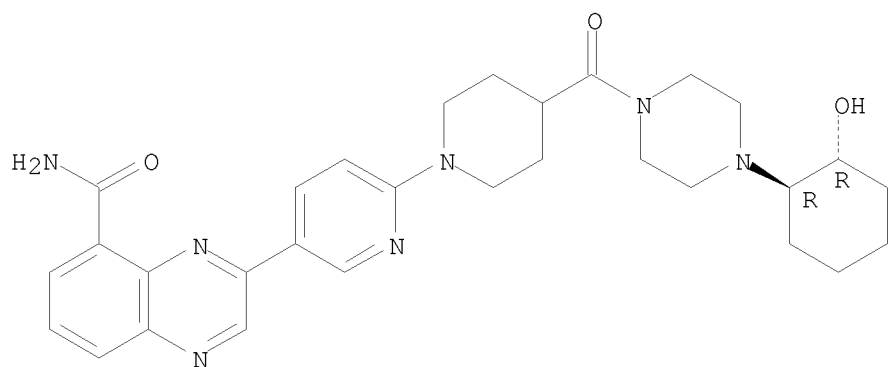


● HCl

RN 569667-09-0 CAPLUS

CN 5-Quinoxalinecarboxamide, 3-[6-[4-[[4-[(1R,2R)-2-hydroxycyclohexyl]-1-piperazinyl]carbonyl]-1-piperidinyl]-3-pyridinyl]-, hydrochloride (1:2), rel- (CA INDEX NAME)

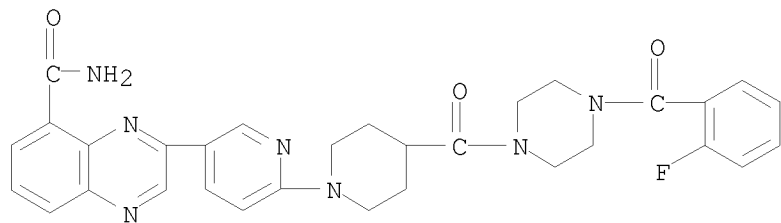
Relative stereochemistry.



● 2 HCl

RN 569667-21-6 CAPLUS

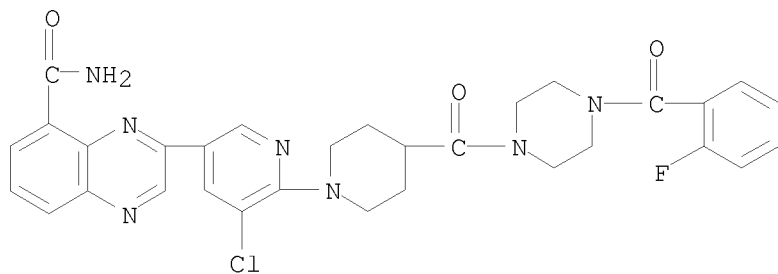
CN 5-Quinoxalinecarboxamide, 3-[6-[4-[[4-(2-fluorobenzoyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-3-pyridinyl]- (CA INDEX NAME)



10/553,803

RN 569667-71-6 CAPLUS

CN 5-Quinoxalinecarboxamide, 3-[5-chloro-6-[4-[[4-(2-fluorobenzoyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-3-pyridinyl]-, hydrochloride (1:1)
(CA INDEX NAME)



● HCl

REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 20 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:591177 CAPLUS

DOCUMENT NUMBER: 139:149652

TITLE: Preparation of 2-acylaminothiazole derivatives or salts thereof as c-Mpl receptor ligands

INVENTOR(S): Sugasawa, Keizo; Watanuki, Susumu; Koga, Yuji; Nagata, Hiroshi; Obitsu, Kazuyoshi; Wakayama, Ryutaro; Hirayama, Fukushi; Suzuki, Ken-ichi

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 110 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

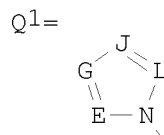
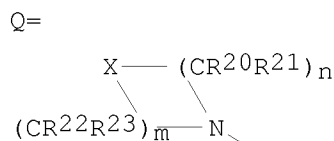
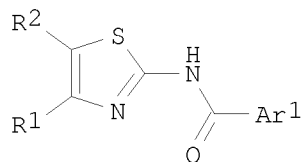
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003062233	A1	20030731	WO 2003-JP270	20030115
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2472711	A1	20030731	CA 2003-2472711	20030115
EP 1466912	A1	20041013	EP 2003-700571	20030115
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 4120586	B2	20080716	JP 2003-562111	20030115
IN 2004KN00942	A	20060217	IN 2004-KN942	20040705
US 20050153977	A1	20050714	US 2004-500964	20040708
JP 2008111001	A	20080515	JP 2008-23950	20080204
PRIORITY APPLN. INFO.:			JP 2002-10413	A 20020118
			JP 2002-10447	A 20020118
			JP 2003-562111	A3 20030115
			WO 2003-JP270	W 20030115

OTHER SOURCE(S): MARPAT 139:149652

GI



AB 2-Acylaminothiazole derivs. or pharmaceutically acceptable salts thereof
 [I; Ar1 = each (un)substituted aryl, monocyclic aromatic heterocyclyl, or bicyclic condensed heterocyclyl; R1 = each (un)substituted aryl or

monocyclic aromatic heterocyclyl; R2 = Q, Q1, R24R25N; wherein n, m = an integer of 1-3; when n or m is an integer of ≥ 2 , CR20R21 and CR22R23 may represent a different group; X = O, S, NR26, C(R27)R28; E, G, J, L = N, CR29; R20-R23, R26-R29 = H, OH, lower alkoxy, each (un)substituted lower alkyl, cycloalkyl, aryl, arylalkyl, aromatic heterocyclyl, aromatic heterocyclylalkyl, nonarom. heterocyclyl, lower alkenyl, lower alkylidene, NH2, or CONH2, CO2H, lower alkoxycarbonyl, lower alkenyloxycarbonyl, aryl-lower alkoxycarbonyl, aromatic heterocyclyl-lower alkoxycarbonyl, lower alkylcarbonylamino, oxo; R24, R25 = H, each (un)substituted lower alkyl, cycloalkyl, or nonarom. heterocyclyl] are prepared These compds. have an excellent effect of proliferating human c-Mpl-Ba/F3 cells and an activity of increasing platelets (thrombocytosis) based on the effect of promoting the formation of megakaryocytic colonies and are useful in treating thrombopenia. Thus, 2.1 mL Et isonipecotinate was added to a solution of 750 mg 5,6-dichloro-N-[4-(4-chlorothiophen-2-yl)-5-(4-cyclohexylpiperazin-1-yl)thiazol-2-yl]nicotinamide in 10 mL THF, heated to 50°, and stirred for 5 h to give, after workup and silica gel chromatog., 881 mg 1-[3-chloro-5-[[4-(4-chlorothiophen-2-yl)-5-(4-cyclohexylpiperazin-1-yl)thiazol-2-yl]carbamoyl]-2-pyridyl]piperidine-4-carboxylic acid Et ester which (30 mg) was dissolved in 1 mL MeOH, treated with 0.12 mL 1 M aqueous NaOH solution at room temperature, stirred for 24 h, distilled under reduced

pressure,

dissolved in EtOAc, treated with 0.2 mL 1 M aqueous HCl solution, stirred, and distilled under reduced pressure, followed by washing the residue with Et2O to give 20 mg 1-[3-chloro-5-[[4-(4-chlorothiophen-2-yl)-5-(4-cyclohexylpiperazin-1-yl)thiazol-2-yl]carbamoyl]-2-pyridyl]piperidine-4-carboxylic acid hydrochloride (II). II and recombinant human thrombopoietin (rhTPO) at 2.4 ad 0.012 nM, resp., showed 30% of the maximum cell proliferating effect of each compound tested on human c-Mpl-Ba/F3 cell.

IT 570406-82-5P

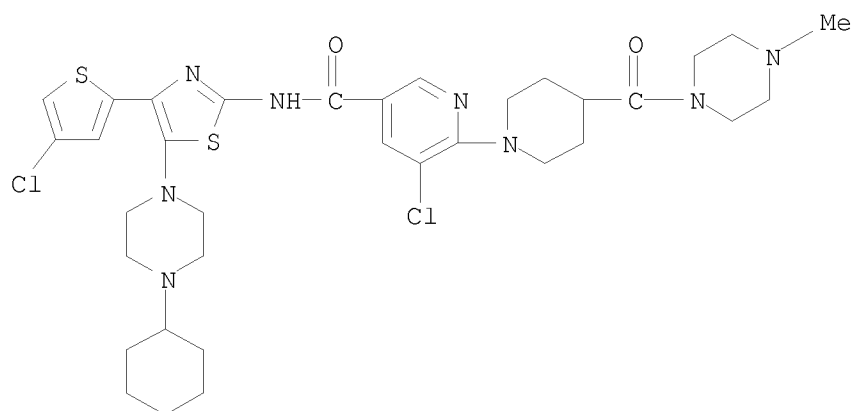
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-acylaminothiazole derivs. or salts thereof as c-Mpl receptor ligands for proliferating human c-Mpl-Ba/F3 cells and increasing platelets via promoting the formation of megakaryocytic colony)

RN 570406-82-5 CAPLUS

CN 3-Pyridinecarboxamide, 5-chloro-N-[4-(4-chloro-2-thienyl)-5-(4-cyclohexyl-1-piperazinyl)-2-thiazolyl]-6-[4-[(4-methyl-1-piperazinyl)carbonyl]-1-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

10/553,803



●x HCl

REFERENCE COUNT:

18

THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 21 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:522965 CAPLUS

DOCUMENT NUMBER: 139:257123

TITLE: ZZ made EZ: influence of inhibitor configuration on enzyme selectivity

AUTHOR(S): Rauh, Daniel; Klebe, Gerhard; Sturzebecher, Jorg; Stubbs, Milton T.

CORPORATE SOURCE: Institut fur Pharmazeutische Chemie, Philipps-Universitat Marburg, Marburg, D-35032, Germany

SOURCE: Journal of Molecular Biology (2003), 330(4), 761-770
CODEN: JMOBAK; ISSN: 0022-2836

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Selectivity of drug targeting is necessary to forestall undesired side-effects. Here, the authors examine the structural grounds for the configuration-dependent selectivity of 2,7-bis(4-amidinobenzylidene)-cycloheptan-1-one (1) for factor Xa and trypsin: Previous studies showed that factor Xa is preferentially inhibited by the (Z,Z) configuration isomer of (1), while trypsin binds equally well to both (E,Z) and (Z,Z) forms. Using engineered trypsin variants, the authors find similar overall binding modes for the (E,Z) and (Z,Z) isomers. Minor changes in van der Waals' contacts to Tyr-99 (Leu in trypsin) explain the differential inhibition of factor Xa. The authors note differences in the exptl. electron densities observed from

co-crystallization

and soaking expts.: while the co-crystallization of (1) with variants containing

Tyr-99 (Leu-99) reveal the exclusive presence of the (Z,Z) ((E,Z)) configurations resp., soaking expts. with either variant result in mixts. of (E,Z), (Z,Z) and (E,E). This discrepancy arises presumably from differences in the spatial (packing considerations) or chemical

(crystallization

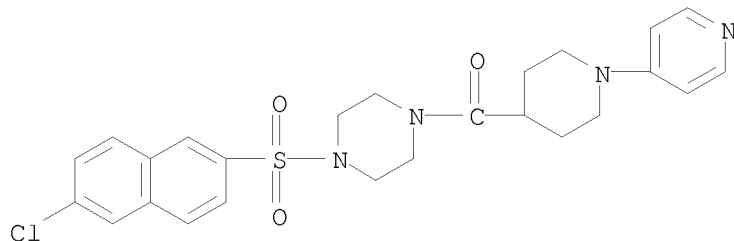
conditions) microenvironments. The results presented here represent an extreme example of the problems that face structure-based drug design, in particular the dangers inherent in relying on a single crystal structure for interpreting protein-ligand interactions.

IT 179050-05-6

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(bisamidinobenzylidene cycloheptanone and other compds. inhibition kinetics with trypsin and blood-coagulation factor Xa)

RN 179050-05-6 CAPLUS

CN Methanone, [4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



10/553,803

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 22 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:300620 CAPLUS

DOCUMENT NUMBER: 138:321016

TITLE: Preparation of aromatic sulfone hydroxamic acids and their use as protease inhibitors

INVENTOR(S): Barta, Thomas E.; Becker, Daniel P.; Bedell, Louis J.; Boehm, Terri L.; Carroll, Jeffery N.; Decrescenzo, Gary A.; Fobian, Yvette M.; Freskos, John N.; Getman, Daniel P.; McDonald, Joseph J.; Li, Madeleine H.; Hockerman, Susan L.; Howard, Carol Pearcy; Kolodziej, Steve A.; Mischke, Deborah A.; Rico, Joseph G.; Stehle, Nathan W.; Tollefson, Michael B.; Vernier, William F.; Villamil, Clara I.; Kassab, Darren J.

PATENT ASSIGNEE(S): Pharmacia Corp., USA

SOURCE: U.S. Pat. Appl. Publ., 99 pp., Cont. of U.S. Ser. No. 570,731.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20030073718	A1	20030417	US 2001-989943	20011121
US 6683093	B2	20040127		
US 6750228	B1	20040615	US 2000-570731	20000512
CA 2467565	A1	20030605	CA 2002-2467565	20021119
WO 2003045944	A1	20030605	WO 2002-US37093	20021119
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002352795	A1	20030610	AU 2002-352795	20021119
BR 2002014450	A	20040914	BR 2002-14450	20021119
EP 1472244	A1	20041103	EP 2002-789749	20021119
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005514375	T	20050519	JP 2003-547394	20021119
US 20040209914	A1	20041021	US 2003-730403	20031208
MX 2004PA04803	A	20040811	MX 2004-PA4803	20040520
PRIORITY APPLN. INFO.:			US 2000-570731	A2 20000512
			US 1997-66007P	P 19971114
			US 1998-95347P	P 19980804
			US 1998-101080P	P 19980918
			US 1999-256948	B2 19990224
			US 1999-311837	A2 19990514
			US 2001-989943	A 20011121
			WO 2002-US37093	W 20021119

OTHER SOURCE(S): MARPAT 138:321016

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [Z = C(O), O, S, NR₆, etc.; R₆ = H, CHO, sulfonyl, etc.; E = bond, C(O), S; Y = H, alkyl, alkoxy, haloalkyl, aryl, etc.; R = H, CN, perfluoroalkyl, trifluoromethoxy, etc.] are prepared For instance, Me chloroacetate is reacted with p-fluorothiophenol and the resulting sulfide oxidized to the sulfone (MeOHaq, Oxone), reacted with bis(2-bromoethyl)ether (DMAC, K₂CO₃, DMAP, Bu₄NBr), saponified (THF, KOTMS) and coupled to a solid support to give II [P = polymer support]. II is reacted with Et isonipecotate (NMP, 80°, 65 h), the product saponified (dioxane, KOH), coupled with 3,5-dimethylpiperidine and released from the resin to give hydroxamic acid III. Example compds. are tested for inhibition of MMP-13, MMP-2 and MMP-1. I are useful for disorders associated with MMP and/or aggrecanase activity.

IT 308821-69-4P 308821-71-8P 308821-72-9P
308821-73-0P 308821-74-1P 308821-75-2P
308821-76-3P 308821-77-4P 308821-79-6P
308821-81-0P 308821-82-1P 308821-83-2P
308821-85-4P 308821-87-6P 308821-89-8P
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308822-13-1P 308822-14-2P 308822-16-4P
308822-17-5P 308822-23-3P 308822-24-4P
511536-31-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(aromatic sulfone hydroxamic acids and their use as protease inhibitors)

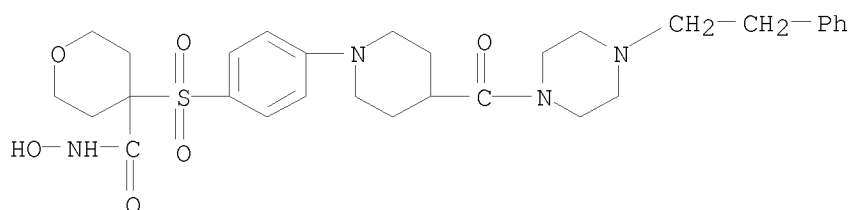
RN 308821-69-4 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(2-phenylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

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CRN 308821-68-3

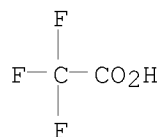
CMF C30 H40 N4 O6 S



CM 2

10/553,803

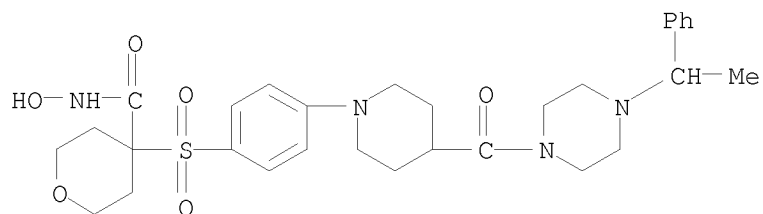
CRN 76-05-1
CMF C2 H F3 O2



RN 308821-71-8 CAPLUS
CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(1-phenylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

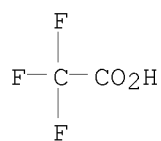
CM 1

CRN 308821-70-7
CMF C30 H40 N4 O6 S



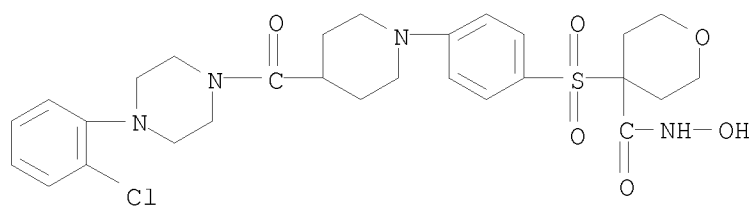
CM 2

CRN 76-05-1
CMF C2 H F3 O2



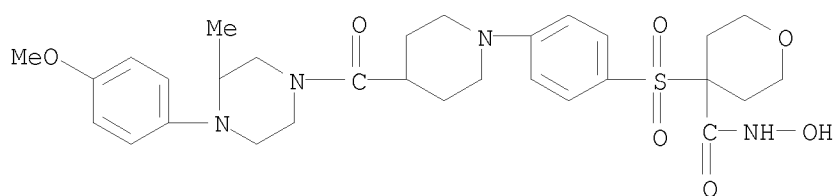
RN 308821-72-9 CAPLUS
CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-(2-chlorophenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy- (CA INDEX NAME)

10/553,803



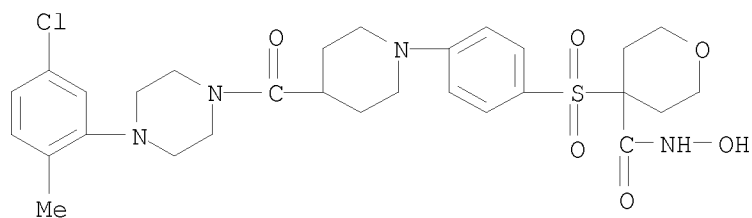
RN 308821-73-0 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(4-methoxyphenyl)-3-methyl-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)



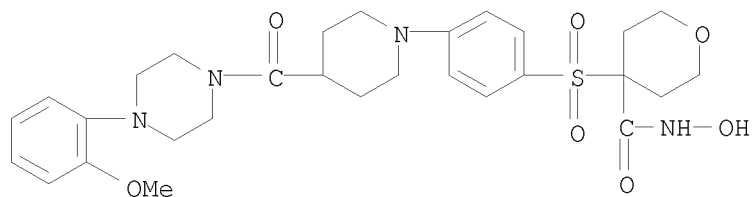
RN 308821-74-1 CAPLUS

CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-(5-chloro-2-methylphenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy- (CA INDEX NAME)



RN 308821-75-2 CAPLUS

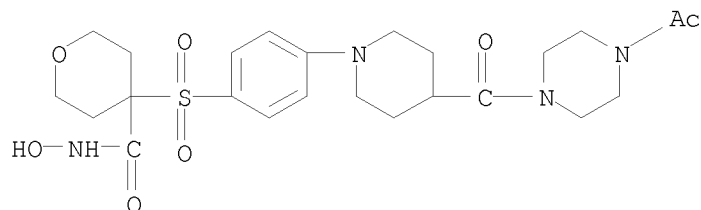
CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(2-methoxyphenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)



RN 308821-76-3 CAPLUS

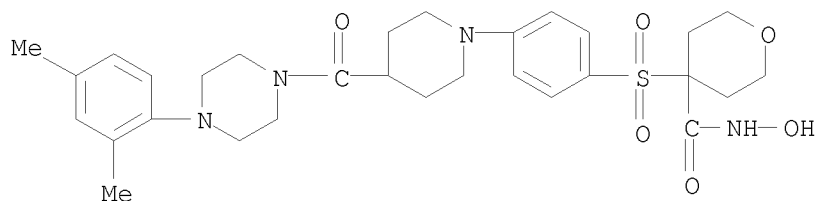
10/553,803

CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[(4-acetyl-1-piperazinyl)carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy- (CA INDEX NAME)



RN 308821-77-4 CAPLUS

CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-(2,4-dimethylphenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy- (CA INDEX NAME)



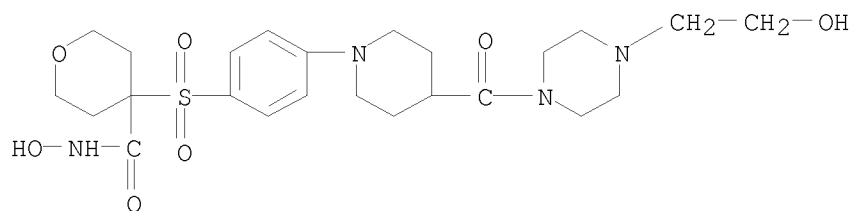
RN 308821-79-6 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(2-hydroxyethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 308821-78-5

CMF C24 H36 N4 O7 S

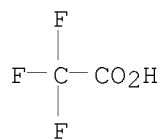


CM 2

CRN 76-05-1

CMF C2 H F3 O2

10/553,803



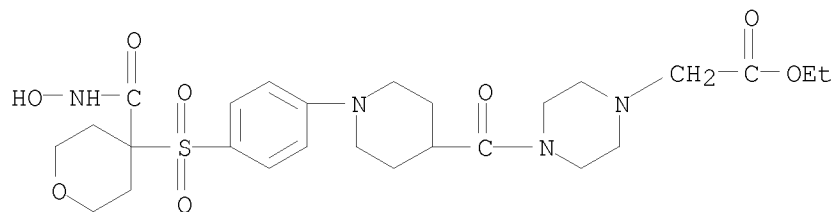
RN 308821-81-0 CAPLUS

CN 1-Piperazineacetic acid, 4-[[[1-[4-[[tetrahydro-4-[(hydroxyamino)carbonyl]-2H-pyran-4-yl]sulfonyl]phenyl]-4-piperidinyl]carbonyl]-, ethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 308821-80-9

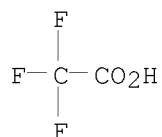
CMF C26 H38 N4 O8 S



CM 2

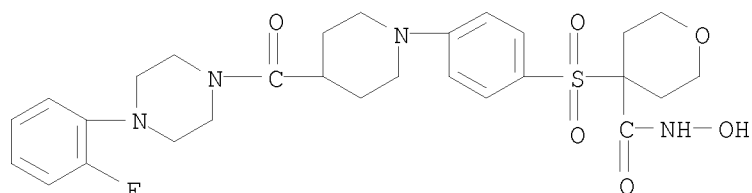
CRN 76-05-1

CMF C2 H F3 O2



RN 308821-82-1 CAPLUS

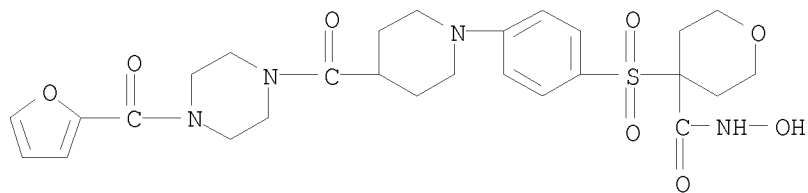
CN 2H-Pyran-4-carboxamide, 4-[[[4-[4-[[4-(2-fluorophenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy- (CA INDEX NAME)



10/553,803

RN 308821-83-2 CAPLUS

CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-(2-furanylcarbonyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy-
(CA INDEX NAME)



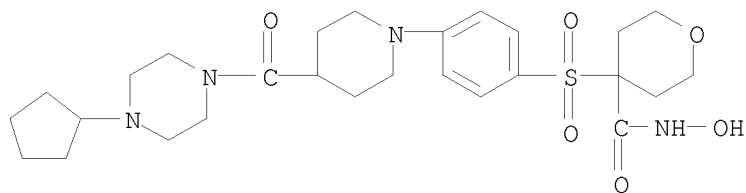
RN 308821-85-4 CAPLUS

CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[(4-cyclopentyl-1-piperazinyl)carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy-, 2,2,2-trifluoroacetate
(1:1) (CA INDEX NAME)

CM 1

CRN 308821-84-3

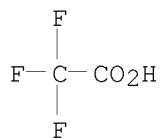
CMF C27 H40 N4 O6 S



CM 2

CRN 76-05-1

CMF C2 H F3 O2



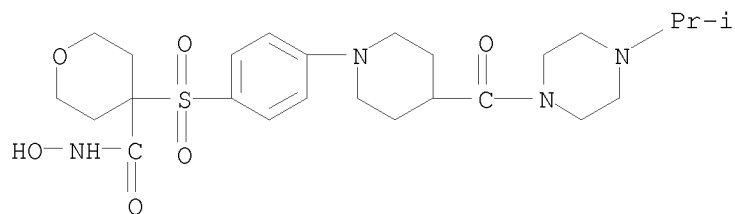
RN 308821-87-6 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

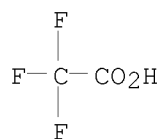
10/553,803

CRN 308821-86-5
CMF C25 H38 N4 O6 S



CM 2

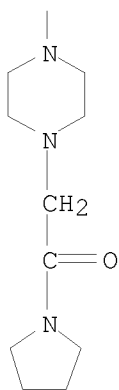
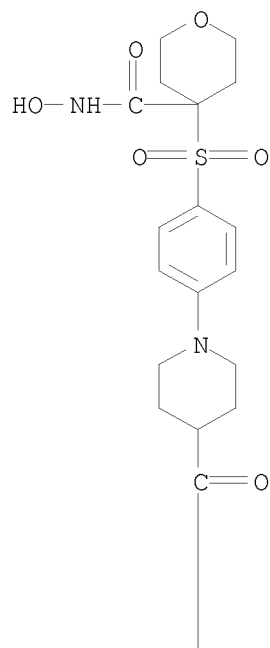
CRN 76-05-1
CMF C2 H F3 O2



RN 308821-89-8 CAPLUS
CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

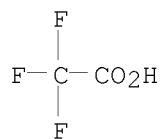
CM 1

CRN 308821-88-7
CMF C28 H41 N5 O7 S



CM 2
 CRN 76-05-1
 CMF C2 H F3 O2

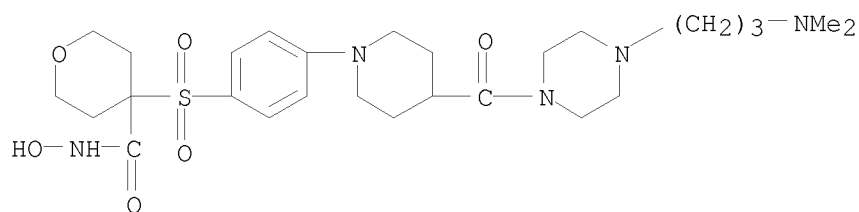
10/553,803



RN 308821-91-2 CAPLUS
CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-[3-(dimethylamino)propyl]-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

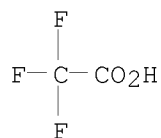
CM 1

CRN 308821-90-1
CMF C27 H43 N5 O6 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2

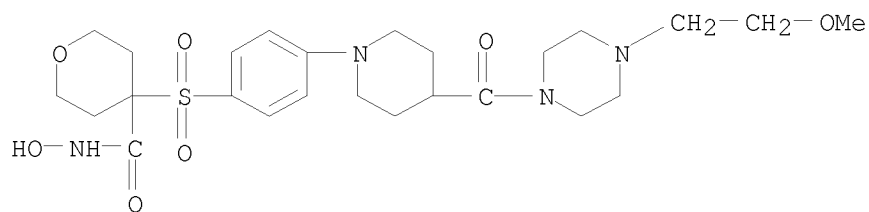


RN 308821-93-4 CAPLUS
CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(2-methoxyethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 308821-92-3
CMF C25 H38 N4 O7 S

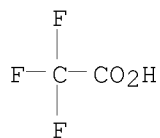
10/553,803



CM 2

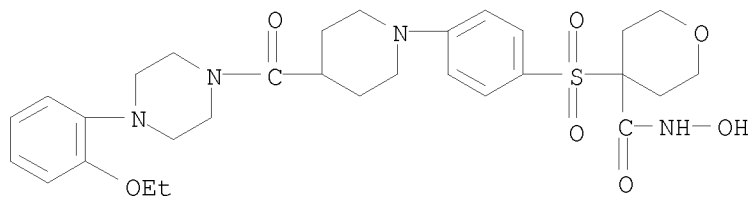
CRN 76-05-1

CMF C2 H F3 O2



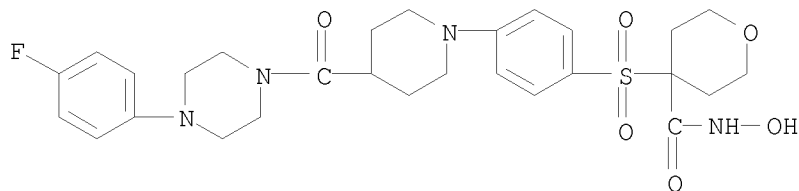
RN 308821-96-7 CAPLUS

CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-(2-ethoxyphenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy- (CA INDEX NAME)



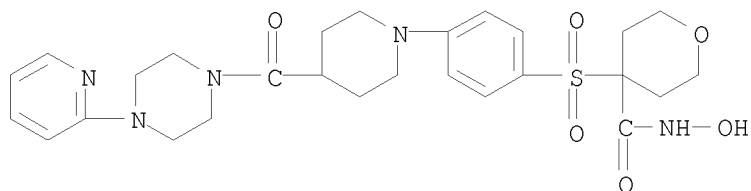
RN 308821-97-8 CAPLUS

CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-(4-fluorophenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy- (CA INDEX NAME)



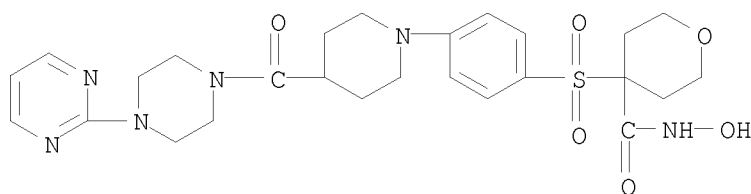
RN 308821-98-9 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(2-pyridinyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)



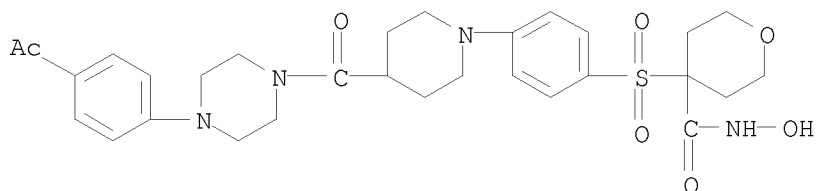
RN 308821-99-0 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(2-pyrimidinyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)



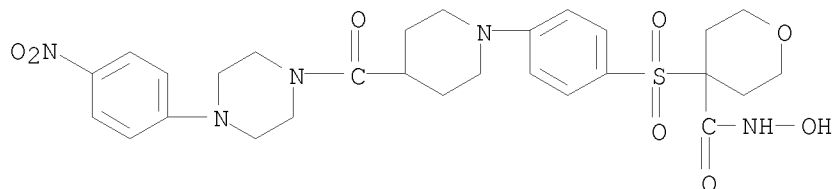
RN 308822-00-6 CAPLUS

CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-(4-acetylphenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy- (CA INDEX NAME)



RN 308822-01-7 CAPLUS

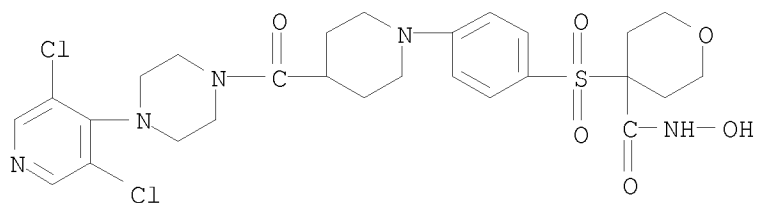
CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(4-nitrophenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)



RN 308822-02-8 CAPLUS

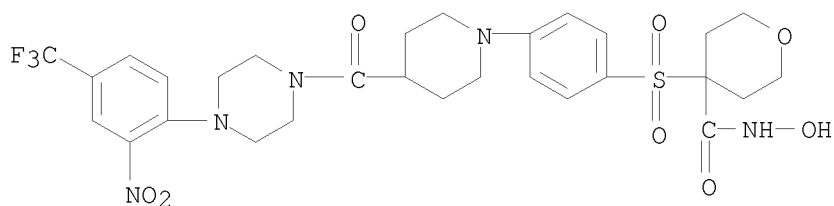
CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-(3,5-dichloro-4-pyridinyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy-

(CA INDEX NAME)



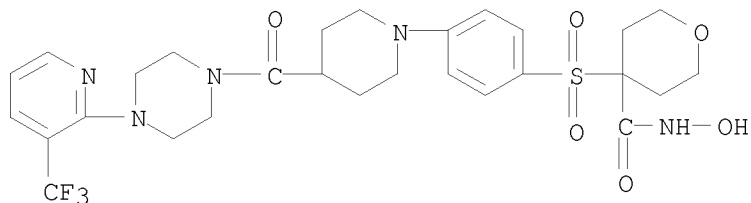
RN 308822-04-0 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-[2-nitro-4-(trifluoromethyl)phenyl]-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)



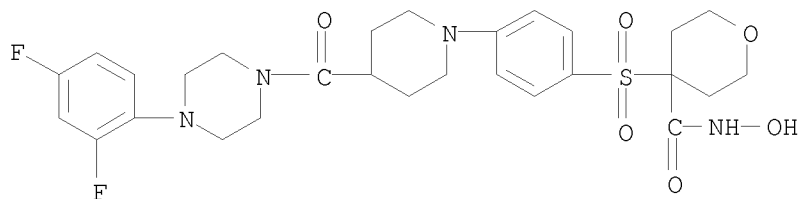
RN 308822-05-1 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[[4-[4-[[4-[3-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)



RN 308822-07-3 CAPLUS

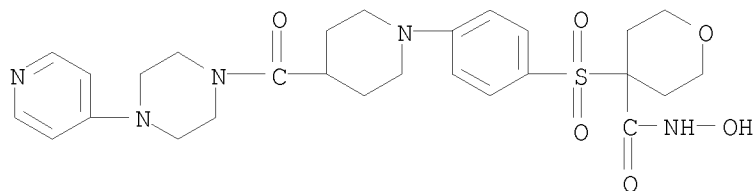
CN 2H-Pyran-4-carboxamide, 4-[[[4-[4-[(2,4-difluorophenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy-
(CA INDEX NAME)



10/553,803

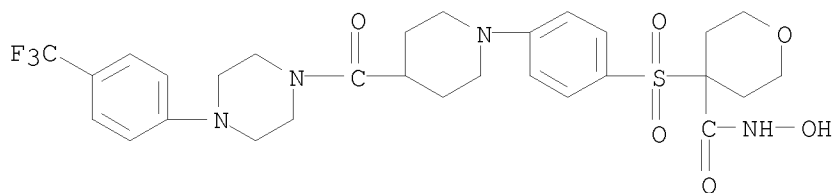
RN 308822-08-4 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(4-pyridinyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)



RN 308822-09-5 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(4-(trifluoromethyl)phenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)



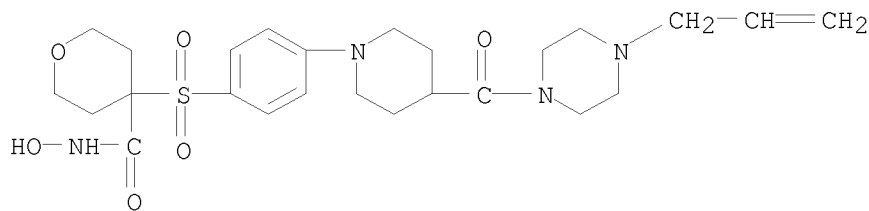
RN 308822-11-9 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(2-propen-1-yl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 308822-10-8

CMF C25 H36 N4 O6 S

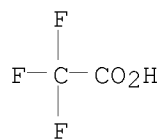


CM 2

CRN 76-05-1

CMF C2 H F3 O2

10/553,803



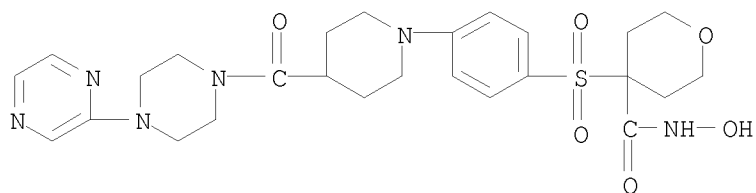
RN 308822-13-1 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(2-pyrazinyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 308822-12-0

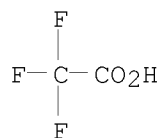
CMF C26 H34 N6 O6 S



CM 2

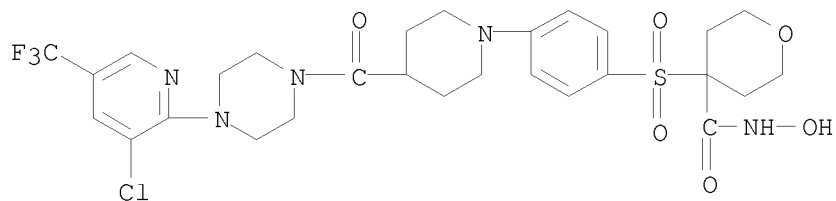
CRN 76-05-1

CMF C2 H F3 O2



RN 308822-14-2 CAPLUS

CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy- (CA INDEX NAME)



10/553,803

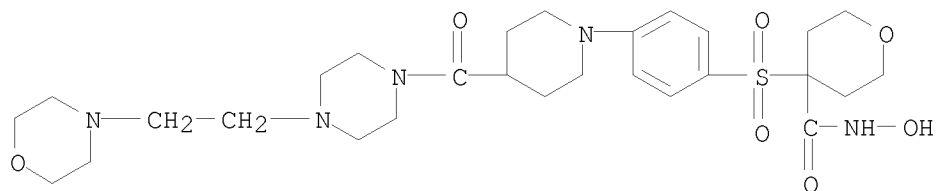
RN 308822-16-4 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-[2-(4-morpholinyl)ethyl]-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 308822-15-3

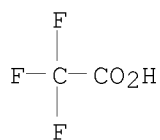
CMF C28 H43 N5 O7 S



CM 2

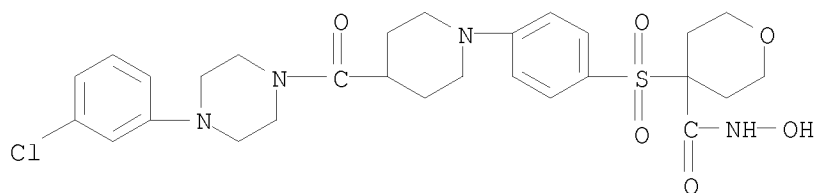
CRN 76-05-1

CMF C2 H F3 O2



RN 308822-17-5 CAPLUS

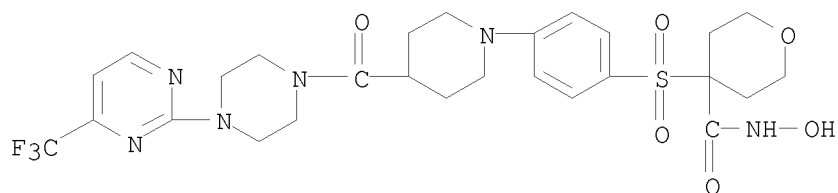
CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-(3-chlorophenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy- (CA INDEX NAME)



RN 308822-23-3 CAPLUS

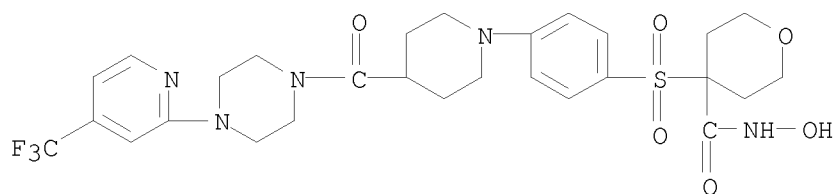
CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-[4-(trifluoromethyl)-2-pyrimidinyl]-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)

10/553,803



RN 308822-24-4 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-[4-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)



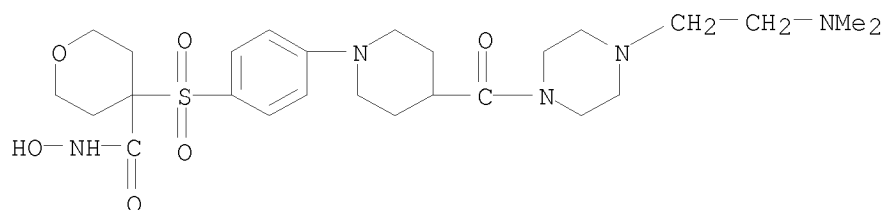
RN 511536-31-5 CAPLUS

CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-[2-(dimethylamino)ethyl]-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 308821-94-5

CMF C26 H41 N5 O6 S

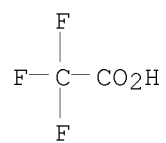


CM 2

CRN 76-05-1

CMF C2 H F3 O2

10/553,803



L16 ANSWER 23 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:31507 CAPLUS

DOCUMENT NUMBER: 139:2828

TITLE: Reconstructing the binding site of factor Xa in trypsin reveals ligand-induced structural plasticity

AUTHOR(S): Reyda, Sabine; Sohn, Christian; Klebe, Gerhard; Rall, Kathrin; Ullmann, Dirk; Jakubke, Hans-Dieter; Stubbs, Milton T.

CORPORATE SOURCE: Philipps-Universitat Marburg, Institut fur Pharmazeutische Chemie der, Marburg, D35032, Germany

SOURCE: Journal of Molecular Biology (2003), 325(5), 963-977
CODEN: JMOBAK; ISSN: 0022-2836

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB To investigate issues of selectivity and specificity in protein-ligand interactions, the authors have undertaken the reconstruction of the binding pocket of human factor Xa in the structurally related rat trypsin by site-directed mutagenesis. Three sequential regions (the "99"-, the "175"- and the "190"- loops) were selected as representing the major structural differences between the ligand binding sites of the two enzymes. Wild-type rat trypsin and variants X99rT and X(99/175/190)rT were expressed in yeast, and analyzed for their interaction with factor Xa and trypsin inhibitors. For most of the inhibitors studied, progressive loop replacement at the trypsin surface resulted in inhibitory profiles akin to factor Xa. Crystals of the variants were obtained in the presence of benzamidine (3), and could be soaked with the highly specific factor Xa inhibitor (1). Binding of the latter to X99rT results in a series of structural adaptations to the ligand, including the establishment of an "aromatic box" characteristic of factor Xa. In X(99/175/190)rT, introduction of the 175-loop results in a surprising re-orientation of the "intermediate helix", otherwise common to trypsin and factor Xa. The re-orientation is accompanied by an isomerization of the Cys 168-Cys 182 disulfide bond, and burial of the critical Phe 174 side-chain. In the presence of (1), a major re-organization of the binding site takes place to yield a geometry identical to that of factor Xa. In all, binding of (1) to trypsin and its variants results in significant structural rearrangements, inducing a binding surface strongly reminiscent of factor Xa, against which the inhibitor was optimized. The structural data reveal a plasticity of the intermediate helix, which has been implicated in the functional cofactor dependency of many trypsin-like serine proteinases. This approach of grafting loops onto scaffolds of known related structures may serve to bridge the gap between structural genomics and drug design.

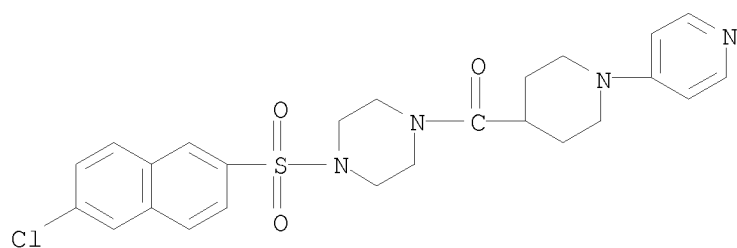
IT 179050-05-6

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(substrate/inhibitor; reconstructing binding pocket of human
blood-coagulation factor Xa in trypsin in relation to ligand-induced
structural plasticity)

RN 179050-05-6 CAPLUS

CN Methanone, [4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)

10/553,803



REFERENCE COUNT:

80

THERE ARE 80 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 24 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:939194 CAPLUS

DOCUMENT NUMBER: 139:127382

TITLE: Trypsin mutants for structure-based drug design: expression, refolding and crystallisation

AUTHOR(S): Rauh, Daniel; Reyda, Sabine; Klebe, Gerhard; Stubbs, Milton T.

CORPORATE SOURCE: Institut fur Pharmazeutische Chemie der Philipps-Universitat Marburg, Marburg, D-35032, Germany

SOURCE: Biological Chemistry (2002), 383(7/8), 1309-1314

CODEN: BICHF3; ISSN: 1431-6730

PUBLISHER: Walter de Gruyter GmbH & Co. KG

DOCUMENT TYPE: Journal

LANGUAGE: English

AB New techniques in drug discovery are essential for the fast and efficient development of novel innovative drugs to deal with the challenges of the future. Structure detns. of various members of serine proteinases have provided a basis for computer-based drug design within this class of enzymes. In many proteins of interest, however, this course is blocked through a lack of suitable crystals. As a strategy for circumventing such problems, we have investigated the use of surrogate proteins for studying protein-ligand interactions. To test the feasibility of this approach, we have chosen bovine trypsin as a scaffold to reconstruct the ligand binding site of factor Xa. The simple modular design of trypsin, its readiness to crystallize and straightforward handling lends itself to such drug design by proxy. The expression, folding, purification, crystallog. and kinetic characterization of bovine trypsin forms with factor Xa phenotype are presented.

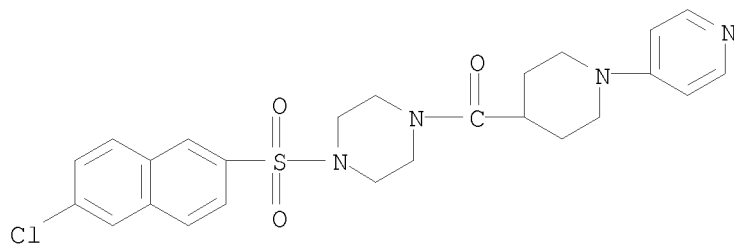
IT 179050-05-6

RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)

(surrogate proteins for structure-based drug design: expression, refolding and crystallization)

RN 179050-05-6 CAPLUS

CN Methanone, [4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 25 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:465810 CAPLUS

DOCUMENT NUMBER: 137:46797

TITLE: Diarylsulfonamides and N-arylbenzamides as nonpeptide agonists and antagonists of vasopressin receptors

INVENTOR(S): Snyder, James P.; Liotta, Dennis C.; Venkatesan, Hariharan; Wang, Minmin; Davis, Matthew C.

PATENT ASSIGNEE(S): Emory University, USA

SOURCE: PCT Int. Appl., 159 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

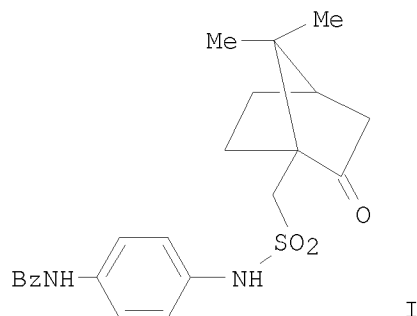
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002047679	A2	20020620	WO 2001-US49303	20011217
WO 2002047679	A3	20030612		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2432825	A1	20020620	CA 2001-2432825	20011217
AU 2002031098	A	20020624	AU 2002-31098	20011217
US 20020128208	A1	20020912	US 2001-23603	20011217
PRIORITY APPLN. INFO.:			US 2000-255946P	P 20001215
			WO 2001-US49303	W 20011217

OTHER SOURCE(S): MARPAT 137:46797

GI



AB The title compds. were prepared as agonists and/or antagonists of V2, V1a or both receptors, in humans, for use in treating renal dysfunction or hypertension (no data). Thus, the sulfonamide I was obtained by

benzoylating p-phenylenediamine and reaction with (-)-camphorsulfonyl chloride.

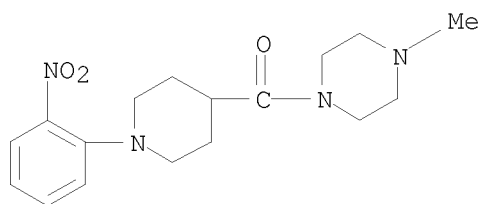
IT 438192-03-1P 438192-04-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(diarylsulfonamides and N-arylbenzamides as nonpeptide agonists and antagonists of vasopressin receptors)

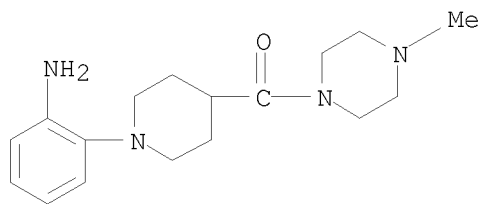
RN 438192-03-1 CAPLUS

CN Methanone, (4-methyl-1-piperazinyl)[1-(2-nitrophenyl)-4-piperidinyl]- (CA INDEX NAME)



RN 438192-04-2 CAPLUS

CN Methanone, [1-(2-aminophenyl)-4-piperidinyl](4-methyl-1-piperazinyl)- (CA INDEX NAME)



IT 438192-05-3P

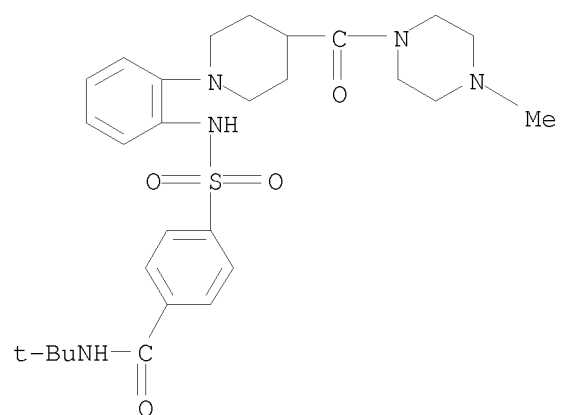
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(diarylsulfonamides and N-arylbenzamides as nonpeptide agonists and antagonists of vasopressin receptors)

RN 438192-05-3 CAPLUS

CN Benzamide, N-(1,1-dimethylethyl)-4-[[[2-[4-[(4-methyl-1-piperazinyl)carbonyl]-1-piperidinyl]phenyl]amino]sulfonyl]- (CA INDEX NAME)

10/553,803



L16 ANSWER 26 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:256243 CAPLUS

DOCUMENT NUMBER: 136:294851

TITLE: Preparation of piperazine (hetero)aryl ketones and sulfones as factor Xa inhibitors for treatment of thrombosis or coagulation disorders

INVENTOR(S): Zhu, Bing-Yan; Jia, Zhaozhong Jon; Zhang, Penglie; Huang, Wenrong; Wu, Yanhong; Zuckett, Jingmei Fan; Goldman, Erik A.; Wang, Lingyan; Song, Yonghong; Scarborough, Robert M.

PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA

SOURCE: PCT Int. Appl., 128 pp.

CODEN: PIXXD2

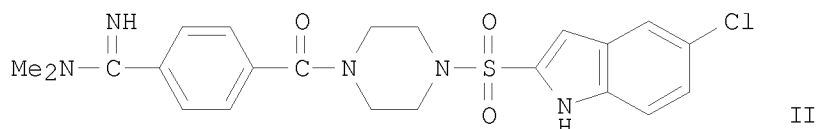
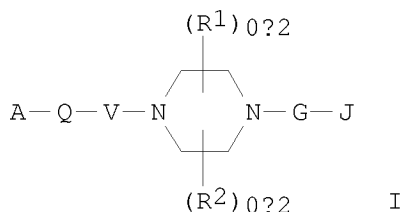
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002026720	A2	20020404	WO 2001-US30315	20011001
WO 2002026720	A3	20021031		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2001094824	A	20020408	AU 2001-94824	20011001
EP 1322610	A2	20030702	EP 2001-975505	20011001
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
US 20040082786	A1	20040429	US 2003-381928	20031016
PRIORITY APPLN. INFO.:			US 2000-236161P	P 20000929
			WO 2001-US30315	W 20011001
OTHER SOURCE(S):	MARPAT 136:294851			
GI				



AB Title compds. I [wherein A = (un)substituted imidazoliny, tetrahydropyrimidinyl, tetrahydro-1H-1,3-diazepinyl, imidamido(alkyl), guanidinyl, amino(alkyl), ammoniomethyl, Ph, pyridinyl, etc.; Q = (un)substituted phenylene, pyrimidinediyl, pyridinediyl, pyrazinediyl, pyrrolediyl, furandiyl, thiophenediyl, piperidinediyl, or pyrrolidinediyl; V = CH₂ or CO; G = CO or SO₂; J = (un)substituted naphthyl, (iso)quinolinyl, quinazolinyl, indolyl, benzothiophenyl, benzofuranyl, benzimidazolyl, benzothiazolyl, benzoxazolyl, etc.; R₁ and R₂ = independently H, alkyl, hydroxyalkyl, aminoalkyl, cyanoalkyl, carboxyalkyl, alkoxyalkyl, or carbamoylalkyl; and pharmaceutically acceptable isomers, salts, hydrates, solvates, and prodrugs thereof] were prepared For example, 1-Boc-5-chloro-2-indolylsulfonyl chloride was coupled with 1-Boc-piperazine in DCM in the presence of pyridine to give the sulfonamide (95%). Deprotection using HCl gas (99%), followed by acylation with 4-cyanobenzoyl chloride in pyridine in the presence of DMAP (73%) and treatment with HCl and dimethylamine, afforded II. I are highly selective inhibitors of factor Xa and are useful for the treatment of diseases characterized by undesired thrombosis or coagulation disorders (no data).

IT 406719-60-6P 406719-61-7P 406719-62-8P
406719-63-9P

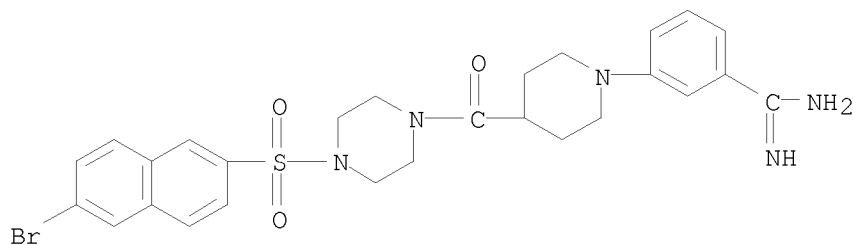
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(factor Xa inhibitor; preparation of piperazine (hetero)aryl ketones and sulfones as factor Xa inhibitors for treatment of thrombosis or coagulation disorders)

RN 406719-60-6 CAPLUS

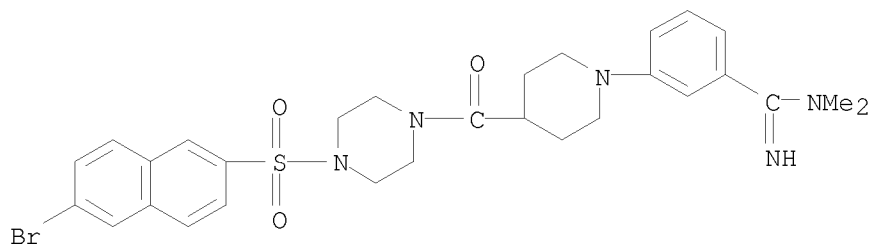
CN Benzenecarboximidamide, 3-[4-[[4-[(6-bromo-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)

10/553,803



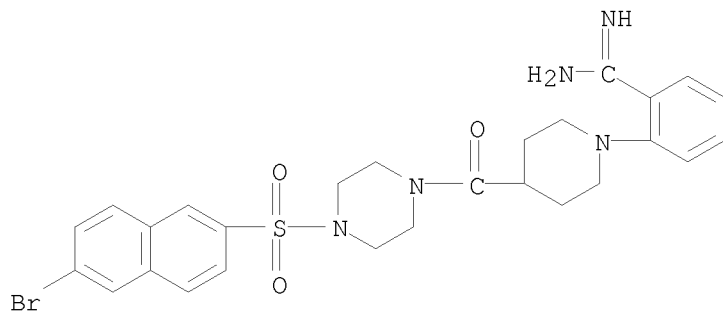
RN 406719-61-7 CAPLUS

CN Benzenecarboximidamide, 3-[4-[[4-[(6-bromo-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-1-piperidinyl]-N,N-dimethyl- (CA INDEX NAME)



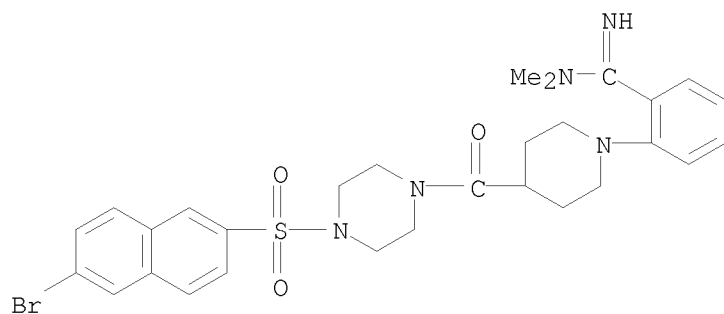
RN 406719-62-8 CAPLUS

CN Benzenecarboximidamide, 2-[4-[[4-[(6-bromo-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-1-piperidinyl]-N,N-dimethyl- (CA INDEX NAME)



RN 406719-63-9 CAPLUS

CN Benzenecarboximidamide, 2-[4-[[4-[(6-bromo-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-1-piperidinyl]-N,N-dimethyl- (CA INDEX NAME)



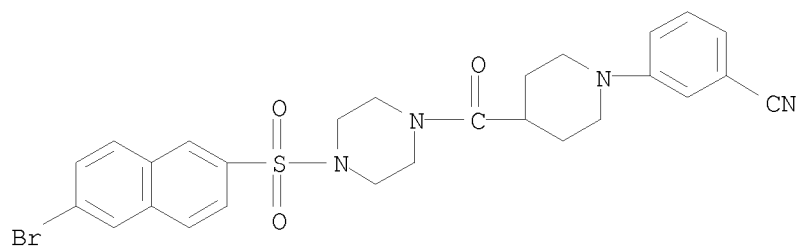
IT 406719-90-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of piperazine (hetero)aryl ketones and sulfones as factor Xa inhibitors for treatment of thrombosis or coagulation disorders)

RN 406719-90-2 CAPLUS

CN Benzonitrile, 3-[4-[[4-[(6-bromo-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)



L16 ANSWER 27 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:724733 CAPLUS

DOCUMENT NUMBER: 136:102355

TITLE: Synthesis and evaluation of
1-arylsulfonyl-3-piperazinone derivatives as factor Xa
inhibitorAUTHOR(S): Nishida, Hidemitsu; Miyazaki, Yutaka; Kitamura,
Yoshihiro; Ohashi, Masayuki; Matsusue, Tomokazu;
Okamoto, Atsushi; Hosaka, Yoshitaka; Ohnishi, Shuhei;
Mochizuki, HidenoriCORPORATE SOURCE: Chemistry Laboratory, Research Center, Mochida
Pharmaceutical Co., Ltd., Shizuoka, 412-8524, JapanSOURCE: Chemical & Pharmaceutical Bulletin (2001), 49(10),
1237-1244

CODEN: CPBTAL; ISSN: 0009-2363

PUBLISHER: Pharmaceutical Society of Japan

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:102355

AB Intravascular clot formation is an important factor in a number of cardiovascular diseases. Therefore, the prevention of blood coagulation has become a major target for new therapeutic agents. One attractive approach is the inhibition of factor Xa (FXa), which is a key enzyme in coagulation cascade responsible for the generation of thrombin by limited proteolysis of its zymogen, prothrombin. We have investigated 1-arylsulfonyl-3-piperazinone derivs. containing a 4-(piperidino)pyridine group in place of guanidino and/or amidino groups, and discovered compound M55113, (4-[(6-Chloro-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]-methyl]piperazinone), as a potent inhibitor of FXa (IC₅₀=0.06 μ M) with high selectivity for FXa over trypsin and thrombin.

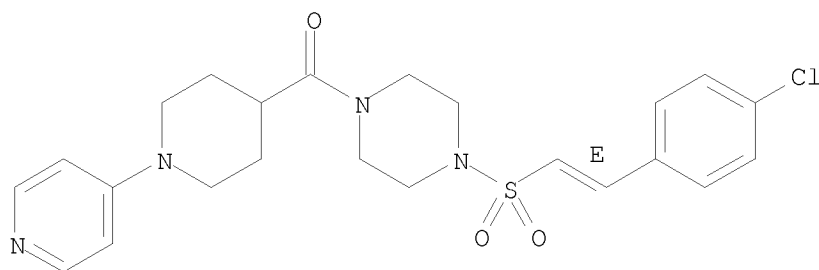
IT 179049-92-4

RL: PAC (Pharmacological activity); BIOL (Biological study)
(synthesis and evaluation of 1-arylsulfonyl-3-piperazinone derivs. as
factor Xa inhibitor)

RN 179049-92-4 CAPLUS

CN Piperazine, 1-[[[(1E)-2-(4-chlorophenyl)ethenyl]sulfonyl]-4-[[1-(4-
pyridinyl)-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 28 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:612045 CAPLUS

DOCUMENT NUMBER: 136:165

TITLE: Novel 4-piperidinopyridine inhibitors of oxidosqualene cyclase-lanosterol synthase derived by consideration of inhibitor pKa

AUTHOR(S): Brown, G. R.; Foubister, A. J.; Johnson, M. C.; Newcombe, N. J.; Waterson, D.; Wells, S. L.

CORPORATE SOURCE: AstraZeneca, Macclesfield, Cheshire, SK10 4TG, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(16), 2213-2216

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:165

AB Potent inhibition of rat microsomal oxidosqualene cyclase-lanosterol synthase (OSC) was maintained after structural modification of the 4-piperidinopyridine OSC inhibitor series. These novel analogs with a much lower pKa range (5.8-6.7) gave potent oral inhibition of rat cholesterol biosynthesis, and diminished effects on rat feeding.

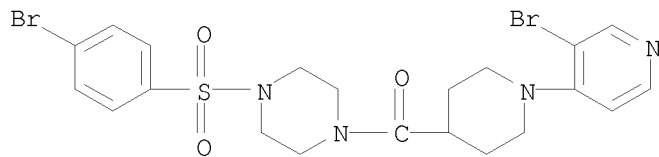
IT 211819-83-9P 211819-96-4P 376361-39-6P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(piperidinopyridine inhibitors of oxidosqualene cyclase-lanosterol synthase)

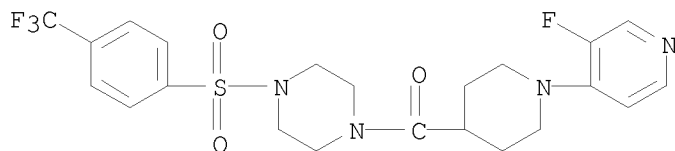
RN 211819-83-9 CAPLUS

CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl][1-(3-bromo-4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 211819-96-4 CAPLUS

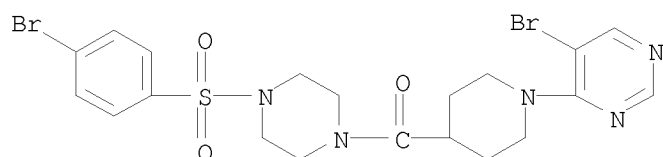
CN Methanone, [1-(3-fluoro-4-pyridinyl)-4-piperidinyl][4-[[4-(trifluoromethyl)phenyl)sulfonyl]-1-piperazinyl]- (CA INDEX NAME)



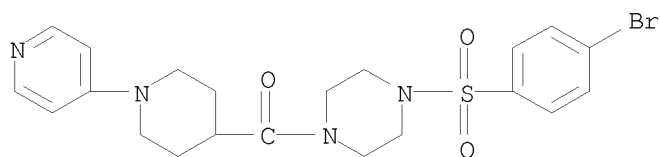
RN 376361-39-6 CAPLUS

CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl][1-(5-bromo-4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)

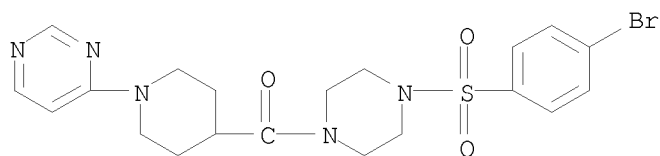
10/553,803



IT 179050-10-3 188526-95-6
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(piperidinopyridine inhibitors of oxidosqualene cyclase-lanosterol synthase)
RN 179050-10-3 CAPLUS
CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-95-6 CAPLUS
CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 29 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:824220 CAPLUS

DOCUMENT NUMBER: 134:17399

TITLE: Aromatic sulfone hydroxamic acid metalloprotease inhibitors

INVENTOR(S): Barta, Thomas E.; Becker, Daniel P.; Bedell, Louis J.; Boehm, Terri L.; Carroll, Jeffrey N.; Decrescenzo, Gary A.; Fobian, Yvette M.; Freskos, John N.; Getman, Daniel P.; McDonald, Joseph J.; Hockerman, Susan L.; Howard, Susan C.; Kolodziej, Stephen A.; Li, Madeleine Hui; Mischke, Deborah A.; Rico, Joseph G.; Stehle, Nathan W.; Tollefson, Michael B.; Vernier, William F.; Villamil, Clara I.

PATENT ASSIGNEE(S): G.D. Searle and Co., USA

SOURCE: PCT Int. Appl., 616 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

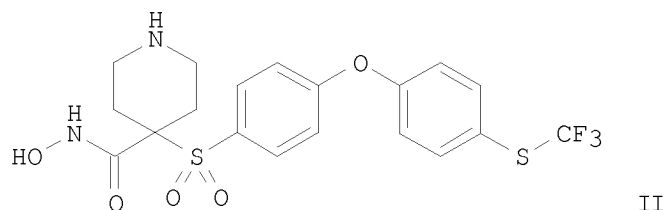
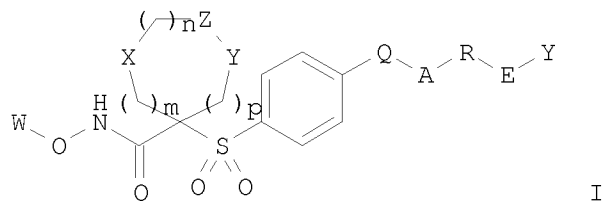
FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000069821	A1	20001123	WO 2000-US6719	20000515
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6750228	B1	20040615	US 2000-570731	20000512
CA 2372934	A1	20001123	CA 2000-2372934	20000515
EP 1183239	A1	20020306	EP 2000-930088	20000515
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000010562	A	20030610	BR 2000-10562	20000515
JP 2003520196	T	20030702	JP 2000-618238	20000515
AU 766792	B2	20031023	AU 2000-47970	20000515
NZ 515217	A	20040430	NZ 2000-515217	20000515
ZA 2001009006	A	20021202	ZA 2001-9006	20011031
NO 2001005543	A	20020110	NO 2001-5543	20011113
MX 2001PA11569	A	20050620	MX 2001-PA11569	20011113
PRIORITY APPLN. INFO.:			US 1999-311837	A 19990514
			US 2000-570731	A 20000512
			US 1997-66007P	P 19971114
			US 1998-95347P	P 19980804
			US 1998-101080P	P 19980918
			US 1999-256948	B2 19990224
			WO 2000-US6719	W 20000515

OTHER SOURCE(S): MARPAT 134:17399

GI



AB A treatment process is disclosed that comprises administering an effective amount of an aromatic sulfone hydroxamic acid I [W = H, cation, certain acyl or thioacyl groups; m, n, p = 0-2; (m+n+p) = 1 to 4; one of X, Y, and Z = CO, NH or derivs., O, S, SO, SO₂, etc., and the other two = (un)substituted CH₂; or XZ or ZY = (un)substituted NHCO, NHSO, NHSO₂, SS, OCO, etc., and the other one = (un)substituted CH₂; or n = 0 and XZY = atoms to complete various N/O/S heterocycles; Q = 5- to 7-membered heterocycle with 1-2 N atoms, one bound to Ph, and with -AREY bound in para-type positions; A = bond, O, S, (un)substituted NH, COO, OCO, CH:CH, C.tplbond.C, N:N, NHH, NHCOO, (un)substituted CONH, NHCO, etc.; R = alkylene, arylene, heteroarylene, etc., with provisos; E = bond, CONH, NHCO, CO, SO₂, NHSO₂, SO₂NH, S, etc.; Y = absent, H, alkyl, alkoxy, aryl, aryloxy, heteroaryl, etc.] to a host having a condition associated with pathol. matrix metalloprotease (MMP) activity. I exhibit excellent inhibitory activity of one or more MMP enzymes, such as MMP-2, MMP-9 and MMP-13, while exhibiting substantially less inhibition of (at least) MMP-1. Also disclosed are metalloprotease inhibitor compds. having such selective activities, processes for manufacture of such compds., and pharmaceutical compns. using such inhibitors. The compds. are potentially useful against a wide variety of conditions, notably as antiinflammatory, antiangiogenesis, and antitumor agents. Over 900 example compds. are listed, most with supporting phys. data, and many with synthetic details. For instance, Et N-(tert-butoxycarbonyl)-4-(4-fluorophenylsulfonyl)-4-piperidinecarboxylate (preparation given) was subjected to a sequence of: (1) etherification with 4-(CF₃S)C₆H₄OH (100%); (2) alkaline hydrolysis of the ester (100%); (3) amidation with THP-ONH₂ (45%); and (4) acid deprotection of the THP ether (40%), to give title compound II.HCl. The latter salt selectively inhibited MMP-13 with IC₅₀ 0.2 nM, and MMP-2 with IC₅₀ 0.1 nM, but with IC₅₀ >10,000 nM against MMP-1.

IT 308821-69-4P 308821-71-8P 308821-72-9P
 308821-73-0P 308821-74-1P 308821-75-2P
 308821-76-3P 308821-77-4P 308821-79-6P
 308821-81-0P 308821-82-1P 308821-83-2P
 308821-85-4P 308821-87-6P 308821-89-8P
 308821-91-2P 308821-93-4P 308821-95-6P
 308821-96-7P 308821-97-8P 308821-98-9P

308821-99-0P 308822-00-6P 308822-01-7P
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 308822-07-3P 308822-08-4P 308822-09-5P
 308822-11-9P 308822-13-1P 308822-14-2P
 308822-16-4P 308822-17-5P 308822-23-3P
 308822-24-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of aromatic sulfone hydroxamic acids as metalloprotease inhibitors)

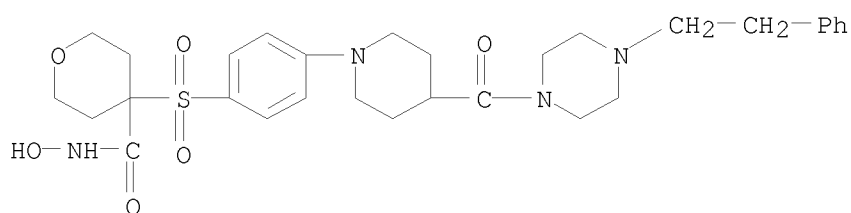
RN 308821-69-4 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(2-phenylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 308821-68-3

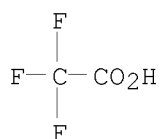
CMF C30 H40 N4 O6 S



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 308821-71-8 CAPLUS

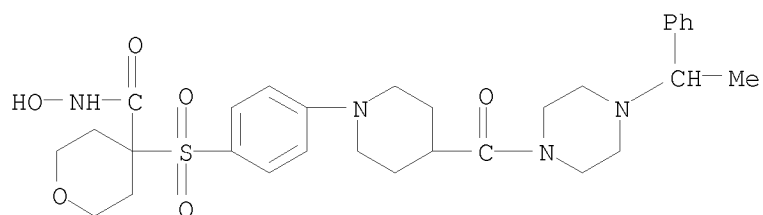
CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(1-phenylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 308821-70-7

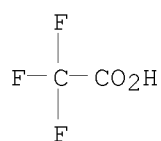
CMF C30 H40 N4 O6 S

10/553,803

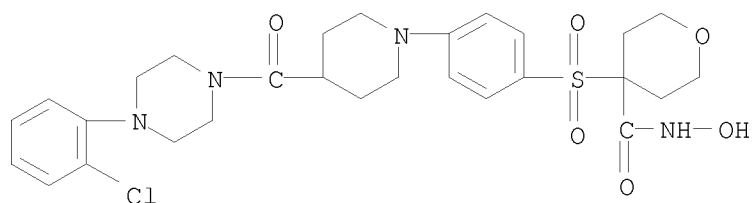


CM 2

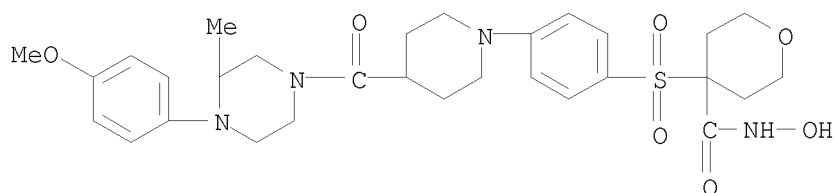
CRN 76-05-1
CMF C2 H F3 O2



RN 308821-72-9 CAPLUS
CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-(2-chlorophenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy- (CA INDEX NAME)

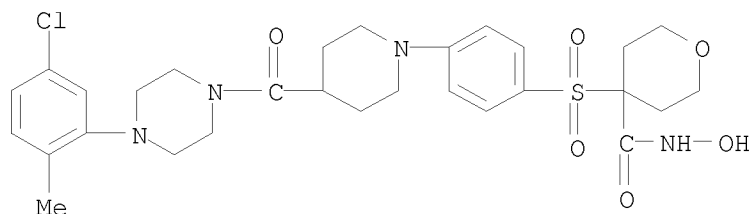


RN 308821-73-0 CAPLUS
CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(4-methoxyphenyl)-3-methyl-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)



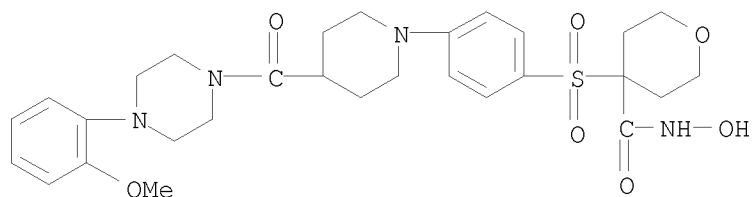
RN 308821-74-1 CAPLUS
CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-(5-chloro-2-methylphenyl)-1-

piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy-
(CA INDEX NAME)



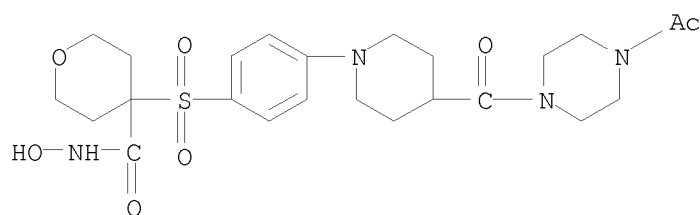
RN 308821-75-2 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(2-methoxyphenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-
(CA INDEX NAME)



RN 308821-76-3 CAPLUS

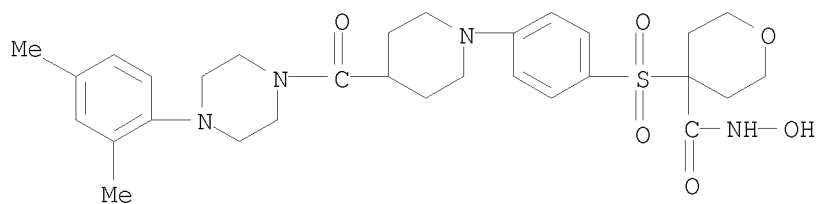
CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[(4-acetyl-1-piperazinyl)carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy- (CA INDEX NAME)



RN 308821-77-4 CAPLUS

CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-(2,4-dimethylphenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy-
(CA INDEX NAME)

10/553,803



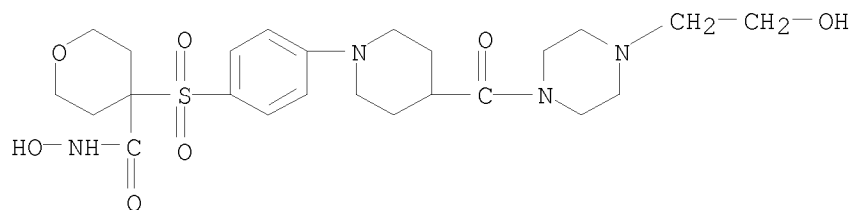
RN 308821-79-6 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(2-hydroxyethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 308821-78-5

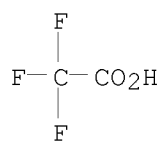
CMF C24 H36 N4 O7 S



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 308821-81-0 CAPLUS

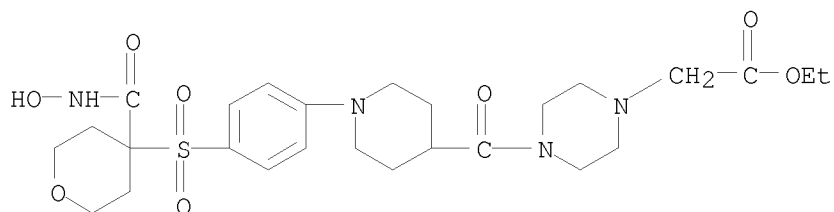
CN 1-Piperazineacetic acid, 4-[[[1-[4-[[tetrahydro-4-[(hydroxyamino)carbonyl]-2H-pyran-4-yl]sulfonyl]phenyl]-4-piperidinyl]carbonyl]-, ethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 308821-80-9

CMF C26 H38 N4 O8 S

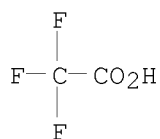
10/553,803



CM 2

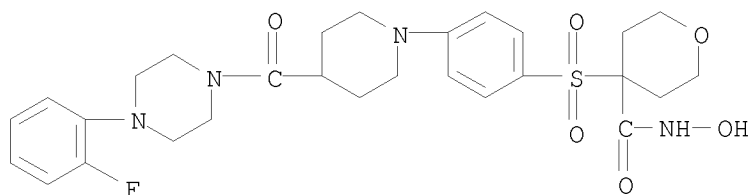
CRN 76-05-1

CMF C2 H F3 O2



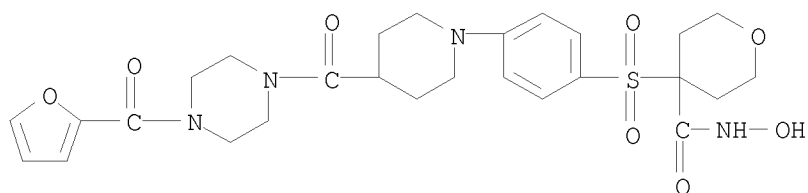
RN 308821-82-1 CAPLUS

CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-(2-fluorophenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy-
(CA INDEX NAME)



RN 308821-83-2 CAPLUS

CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-(2-furanylcarbonyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy-
(CA INDEX NAME)



RN 308821-85-4 CAPLUS

CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[(4-cyclopentyl-1-piperazinyl)carbonyl]-1-

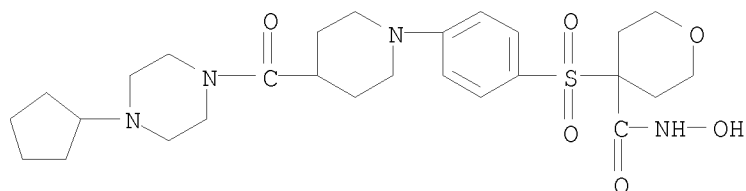
10/553,803

piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy-, 2,2,2-trifluoroacetate
(1:1) (CA INDEX NAME)

CM 1

CRN 308821-84-3

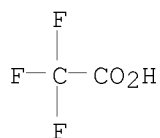
CMF C27 H40 N4 O6 S



CM 2

CRN 76-05-1

CMF C2 H F3 O2



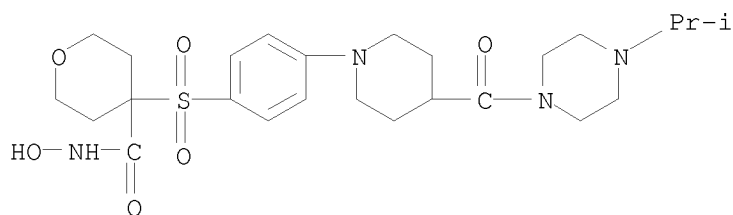
RN 308821-87-6 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 308821-86-5

CMF C25 H38 N4 O6 S

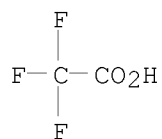


CM 2

CRN 76-05-1

10/553,803

CMF C2 H F3 O2



RN 308821-89-8 CAPLUS

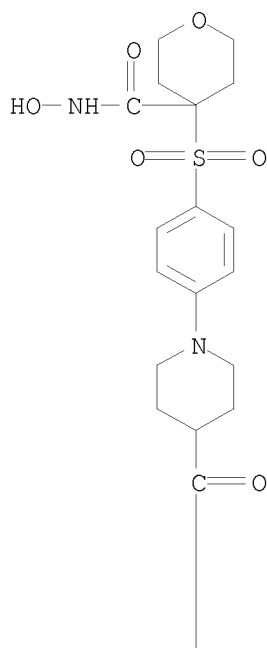
CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

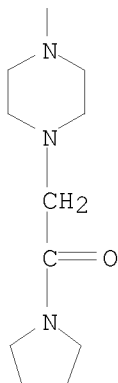
CM 1

CRN 308821-88-7

CMF C28 H41 N5 O7 S

PAGE 1-A

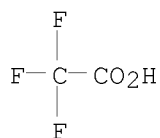




CM 2

CRN 76-05-1

CMF C2 H F3 O2



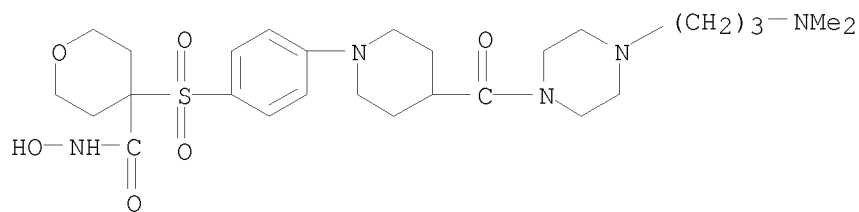
RN 308821-91-2 CAPLUS

CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-[3-(dimethylamino)propyl]-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy-,
2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 308821-90-1

CMF C27 H43 N5 O6 S

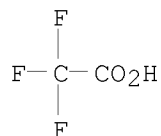


CM 2

CRN 76-05-1

CMF C2 H F3 O2

10/553,803



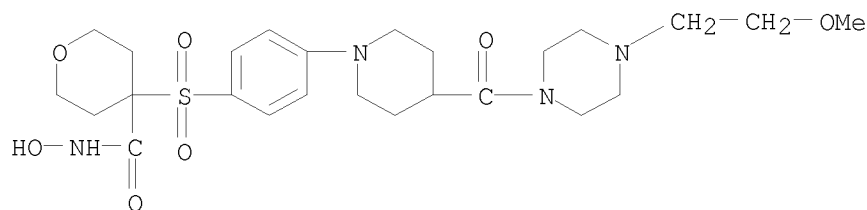
RN 308821-93-4 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(2-methoxyethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 308821-92-3

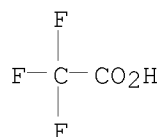
CMF C25 H38 N4 O7 S



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 308821-95-6 CAPLUS

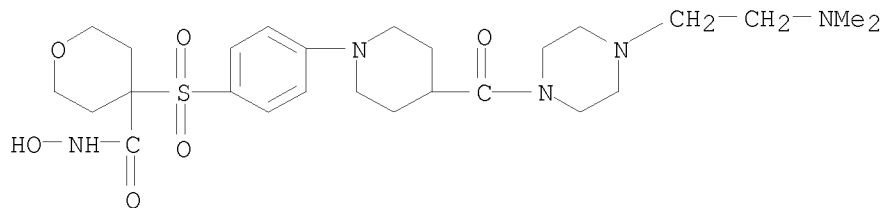
CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-[2-(dimethylamino)ethyl]-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 308821-94-5

CMF C26 H41 N5 O6 S

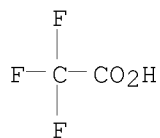
10/553,803



CM 2

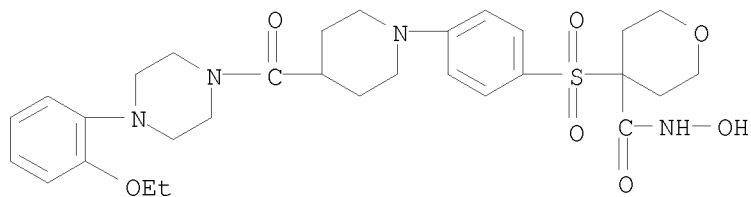
CRN 76-05-1

CMF C2 H F3 O2



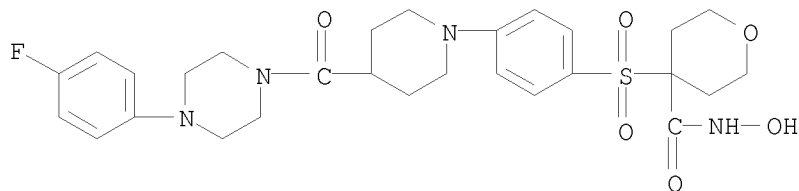
RN 308821-96-7 CAPLUS

CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[4-(2-ethoxyphenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy-
(CA INDEX NAME)



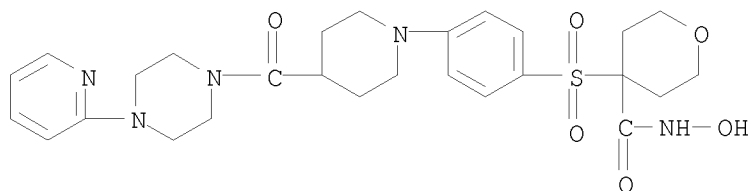
RN 308821-97-8 CAPLUS

CN 2H-Pyran-4-carboxamide, 4-[[[4-[4-[4-(4-fluorophenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy-
(CA INDEX NAME)



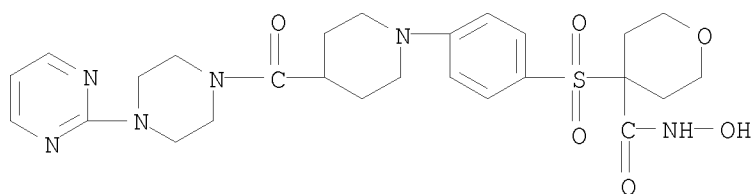
RN 308821-98-9 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(2-pyridinyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)



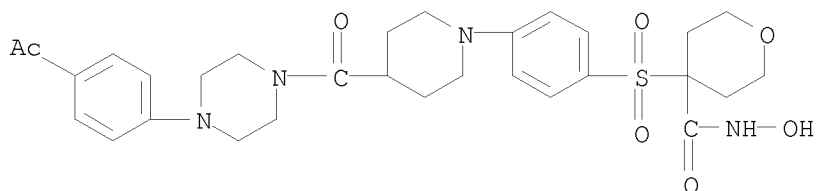
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CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(2-pyrimidinyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)



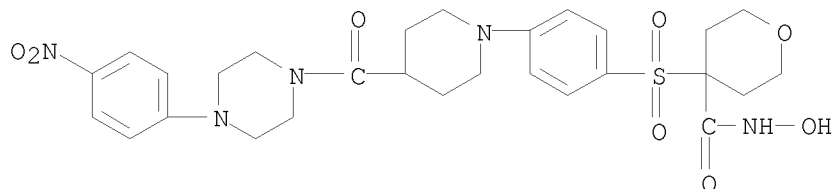
RN 308822-00-6 CAPLUS

CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-(4-acetylphenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy- (CA INDEX NAME)



RN 308822-01-7 CAPLUS

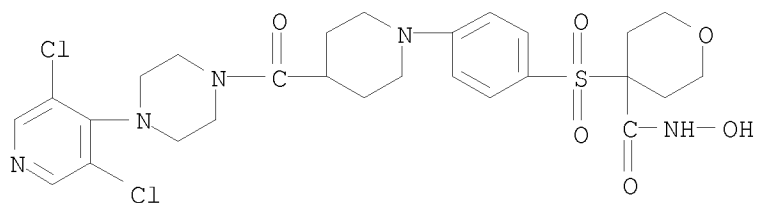
CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(4-nitrophenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)



RN 308822-02-8 CAPLUS

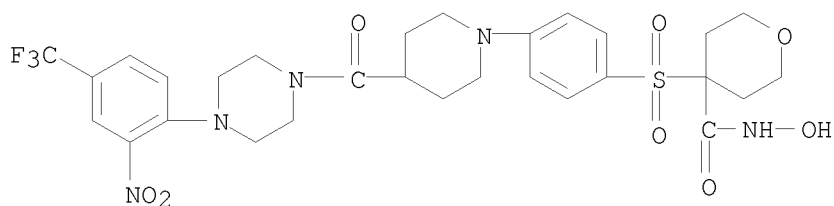
CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-(3,5-dichloro-4-pyridinyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy-

(CA INDEX NAME)



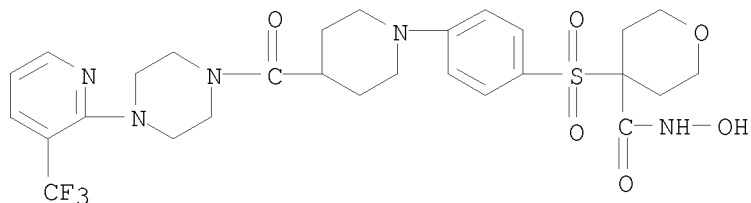
RN 308822-04-0 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-[2-nitro-4-(trifluoromethyl)phenyl]-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)



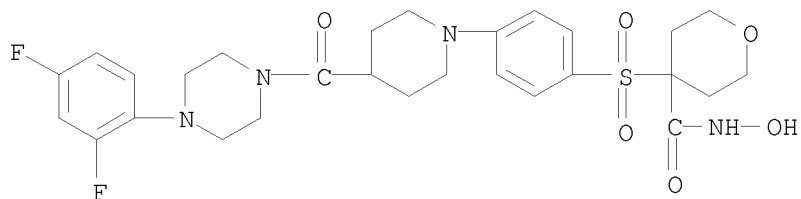
RN 308822-05-1 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[[4-[4-[4-[3-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)



RN 308822-07-3 CAPLUS

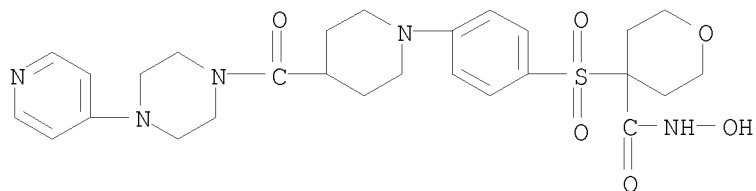
CN 2H-Pyran-4-carboxamide, 4-[[[4-[4-[[4-(2,4-difluorophenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy-
(CA INDEX NAME)



10/553,803

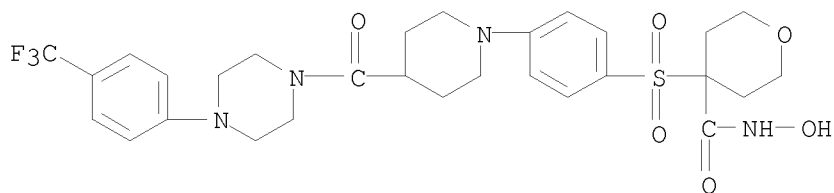
RN 308822-08-4 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(4-pyridinyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)



RN 308822-09-5 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(4-(trifluoromethyl)phenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)



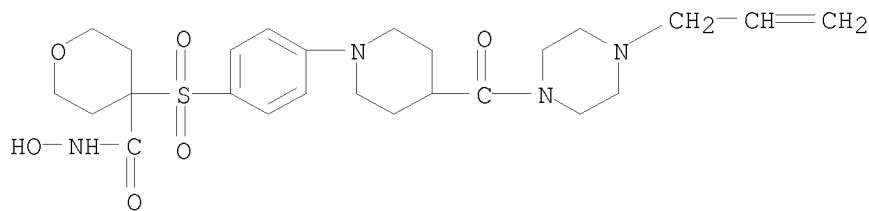
RN 308822-11-9 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(2-propen-1-yl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

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CRN 308822-10-8

CMF C25 H36 N4 O6 S

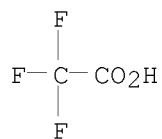


CM 2

CRN 76-05-1

CMF C2 H F3 O2

10/553,803



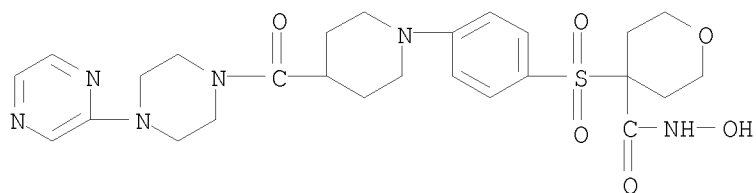
RN 308822-13-1 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(2-pyrazinyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 308822-12-0

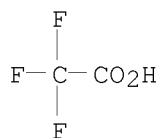
CMF C26 H34 N6 O6 S



CM 2

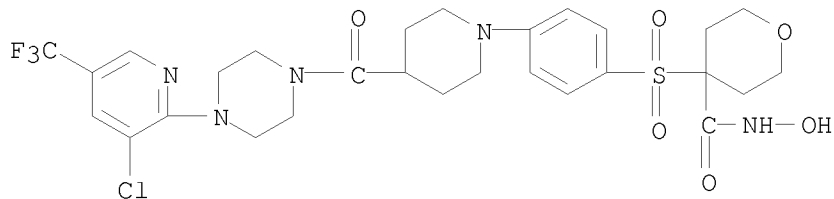
CRN 76-05-1

CMF C2 H F3 O2



RN 308822-14-2 CAPLUS

CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy- (CA INDEX NAME)



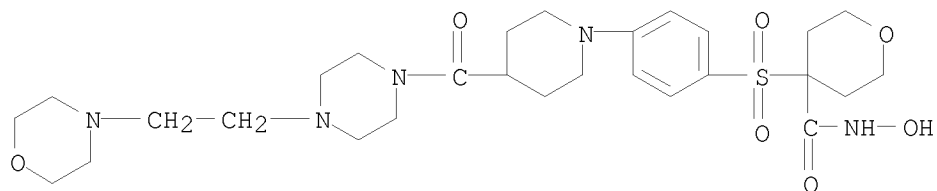
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RN      308822-16-4  CAPLUS
CN      2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[[4-[[4-[2-(4-
morpholinyl)ethyl]-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-
, 2,2,2-trifluoroacetate (1:1)  (CA INDEX NAME)

CM      1

CRN     308822-15-3
CMF     C28 H43 N5 O7 S

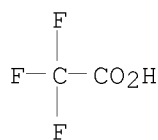
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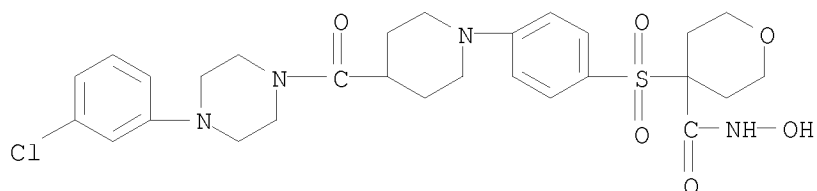
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CRN 76-05-1

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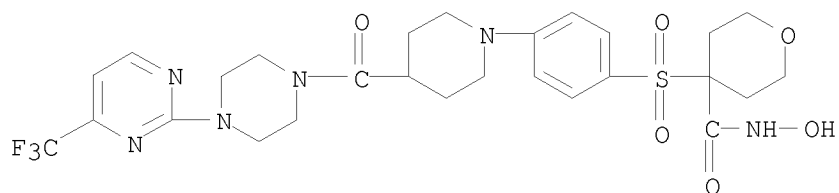


RN	308822-17-5	CAPLUS
CN	2H-Pyran-4-carboxamide, 4-[[4-[4-[4-(3-chlorophenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy-(CA INDEX NAME)	



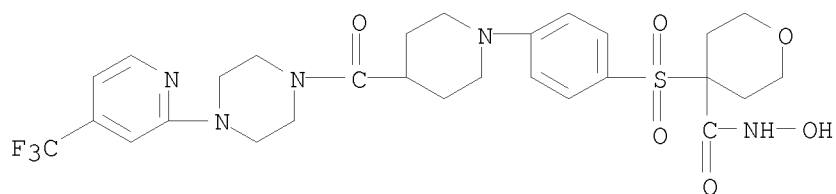
RN	308822-23-3	CAPLUS
CN	2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-[4-(trifluoromethyl)-2-pyrimidinyl]-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)	

10/553,803



RN 308822-24-4 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-[4-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)



REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 30 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:811119 CAPLUS

DOCUMENT NUMBER: 134:125540

TITLE: A Novel Series of 4-Piperidinopyridine and
4-Piperidinopyrimidine Inhibitors of 2,3-Oxidosqualene
Cyclase-Lanosterol SynthaseAUTHOR(S): Brown, George R.; Hollinshead, David M.; Stokes,
Elaine S. E.; Waterson, David; Clarke, David S.;
Foubister, Alan J.; Glossop, Steven C.; McTaggart,
Fergus; Mirrlees, Donald J.; Smith, Graham J.; Wood,
Robin

CORPORATE SOURCE: AstraZeneca, Macclesfield Cheshire, SK10 4TG, UK

SOURCE: Journal of Medicinal Chemistry (2000), 43(26),
4964-4972

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A novel series of 4-piperidinopyridines and 4-piperidinopyrimidines showed potent and selective inhibition of rat 2,3-oxidosqualene cyclase-lanosterol synthase (OSC) (e.g. 26 IC₅₀ rat = 398±25 nM, human = 112±25 nM) and gave selective oral inhibition of rat cholesterol biosynthesis (26 ED₈₀ = 1.2±0.3 mg/kg, n = 5; HMG-CoA reductase inhibitor simvastatin ED₈₀ = 1.2±0.3 mg/kg, n = 5). The piperidinopyrimidine OSC inhibitors have a significantly lower pK_a than the corresponding pyridine or the previously reported quinuclidine OSC inhibitor series. This indicates that other novel OSC inhibitors may be found in analogs of this series across a broader pK_a range (6.0-9.0). These series may yield novel hypocholesterolemic agents for the treatment of cardiovascular disease.

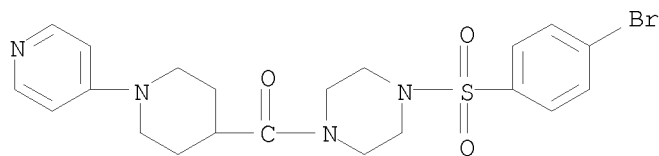
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188526-34-3P 188526-36-5P 188526-41-2P
188526-42-3P 188526-43-4P 188526-95-6P
321857-45-8P 321857-46-9P 321857-47-0P
321857-48-1P 321857-49-2P 321857-50-5P
321857-51-6P 321857-52-7P 321857-53-8P
321857-54-9P 321857-55-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and structure-activity relations of piperidinopyridines and piperidinopyrimidines as inhibitors of oxidosqualene cyclase-lanosterol synthase)

RN 179050-10-3 CAPLUS

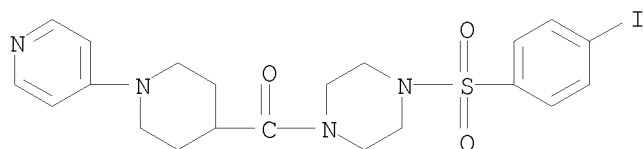
CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 179050-34-1 CAPLUS

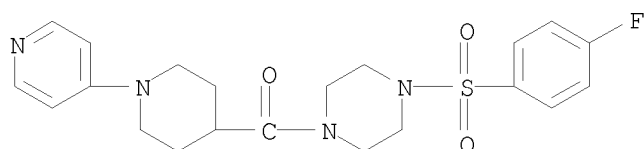
10/553,803

CN Methanone, [4-[(4-iodophenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



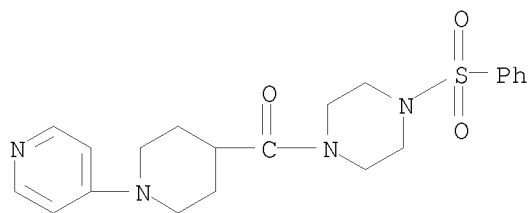
RN 188526-30-9 CAPLUS

CN Methanone, [4-[(4-fluorophenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



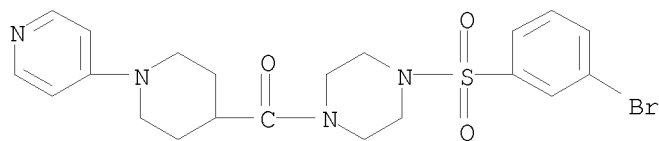
RN 188526-34-3 CAPLUS

CN Methanone, [4-(phenylsulfonyl)-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-36-5 CAPLUS

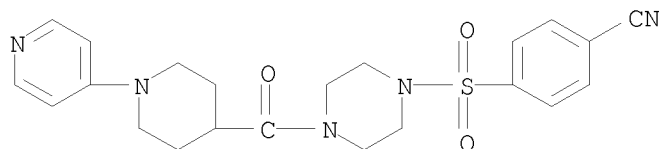
CN Methanone, [4-[(3-bromophenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-41-2 CAPLUS

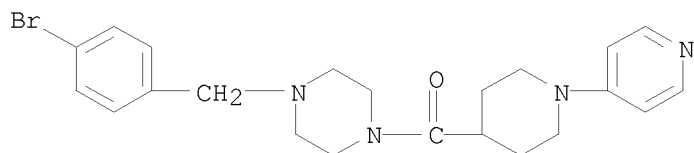
CN Benzonitrile, 4-[[4-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-1-piperazinyl]sulfonyl]- (CA INDEX NAME)

10/553,803



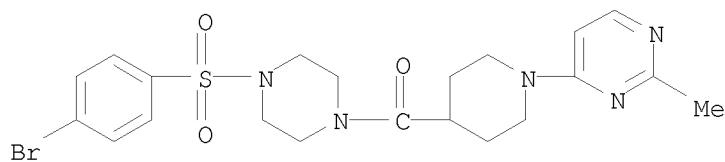
RN 188526-42-3 CAPLUS

CN Methanone, [4-[(4-bromophenyl)methyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



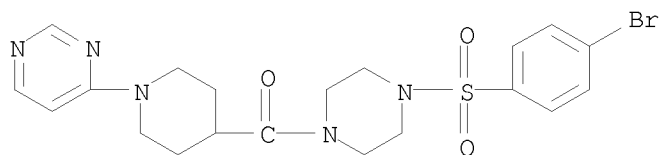
RN 188526-43-4 CAPLUS

CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl][1-(2-methyl-4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-95-6 CAPLUS

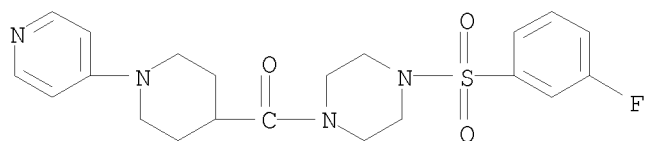
CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 321857-45-8 CAPLUS

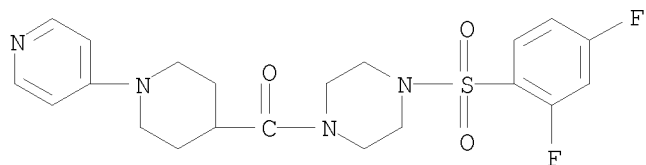
CN Methanone, [4-[(3-fluorophenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)

10/553,803



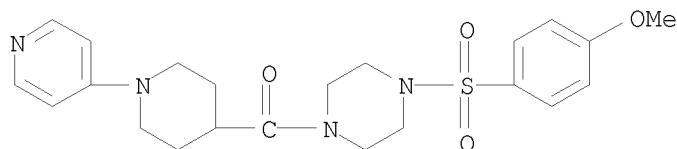
RN 321857-46-9 CAPLUS

CN Methanone, [4-[(2,4-difluorophenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



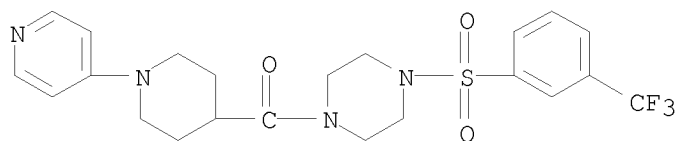
RN 321857-47-0 CAPLUS

CN Methanone, [4-[(4-methoxyphenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



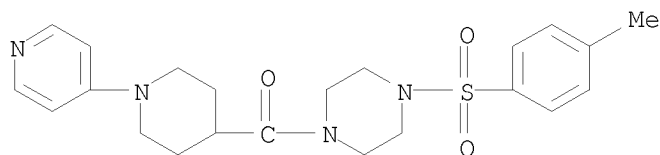
RN 321857-48-1 CAPLUS

CN Methanone, [1-(4-pyridinyl)-4-piperidinyl][4-[[3-(trifluoromethyl)phenyl]sulfonyl]-1-piperazinyl]- (CA INDEX NAME)



RN 321857-49-2 CAPLUS

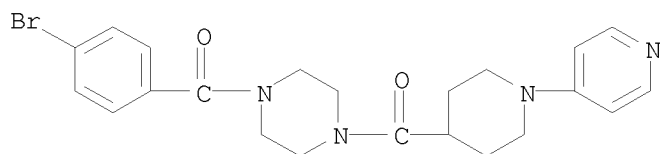
CN Methanone, [4-[(4-methylphenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



10/553,803

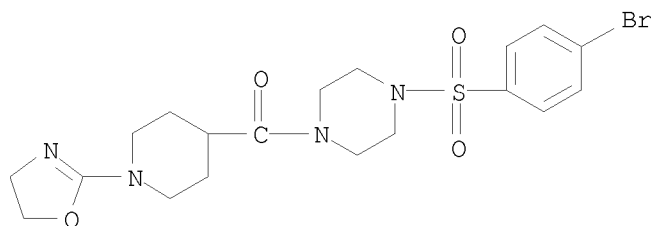
RN 321857-50-5 CAPLUS

CN Piperazine, 1-(4-bromobenzoyl)-4-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-
(9CI) (CA INDEX NAME)



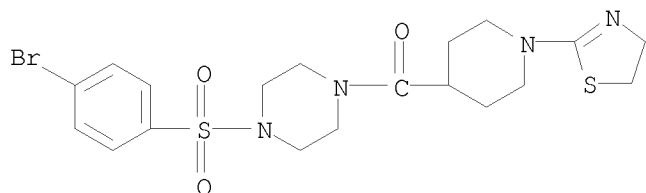
RN 321857-51-6 CAPLUS

CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl][1-(4,5-dihydro-2-oxazolyl)-4-piperidinyl]- (CA INDEX NAME)



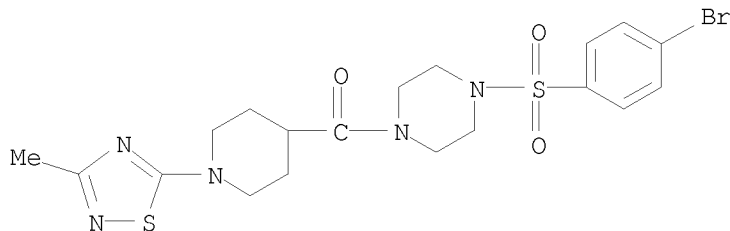
RN 321857-52-7 CAPLUS

CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl][1-(4,5-dihydro-2-thiazolyl)-4-piperidinyl]- (CA INDEX NAME)



RN 321857-53-8 CAPLUS

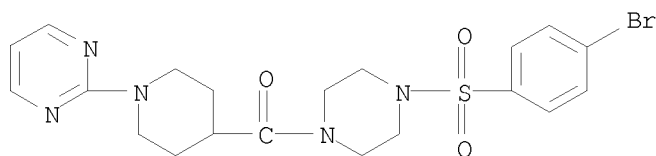
CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl][1-(3-methyl-1,2,4-thiadiazol-5-yl)-4-piperidinyl]- (CA INDEX NAME)



10/553,803

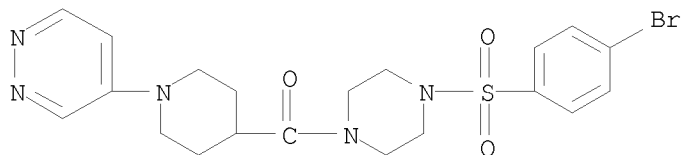
RN 321857-54-9 CAPLUS

CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl][1-(2-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 321857-55-0 CAPLUS

CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl][1-(4-pyridazinyl)-4-piperidinyl]- (CA INDEX NAME)



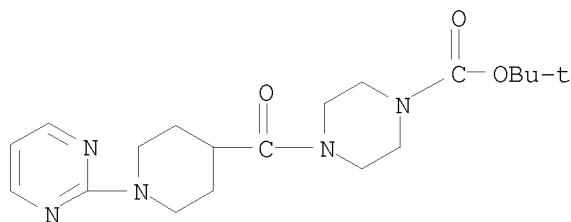
IT 321857-56-1P 321857-58-3P 321857-59-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and structure-activity relations of piperidinopyridines and piperidinopyrimidines as inhibitors of oxidosqualene cyclase-lanosterol synthase)

RN 321857-56-1 CAPLUS

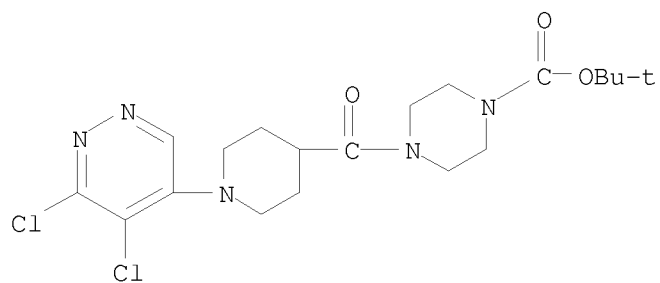
CN 1-Piperazinecarboxylic acid, 4-[[1-(2-pyrimidinyl)-4-piperidinyl]carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 321857-58-3 CAPLUS

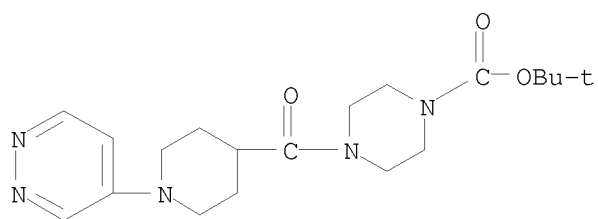
CN 1-Piperazinecarboxylic acid, 4-[[1-(5,6-dichloro-4-pyridazinyl)-4-piperidinyl]carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

10/553,803



RN 321857-59-4 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[[1-(4-pyridazinyl)-4-piperidinyl]carbonyl]-
, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT:

23

THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 31 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:608722 CAPLUS

DOCUMENT NUMBER: 133:193079

TITLE: Preparation of arylsulfonylheterocyclylhydroxamic acids and related compounds as matrix metalloprotease inhibitors

INVENTOR(S): Barta, Thomas E.; Becker, Daniel P.; Bedell, Louis J.; Boehm, Terri L.; Carroll, Jeffery N.; De Crescenzo, Gary A.; Fobian, Yvette M.; Freskos, John N.; Getman, Daniel P.; McDonald, Joseph J.; Hanson, Gunnar J.; Hockerman, Susan L.; Howard, Susan C.; Kolodziej, Steve A.; Li, Hui; Mischke, Deborah A.; Rico, Joseph G.; Stehle, Nathan W.; Tollefson, Michael B.; Vernier, William F.; Villamil, Clara I.; Rao, Shashidhar N.

PATENT ASSIGNEE(S): G.D. Searle and Co., USA

SOURCE: PCT Int. Appl., 851 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000050396	A1	20000831	WO 2000-US2518	20000222
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 20010039287	A1	20011108	US 1999-256948	19990224
CA 2371876	A1	20000831	CA 2000-2371876	20000222
AU 2000034785	A	20000914	AU 2000-34785	20000222
HU 2002000239	A2	20020629	HU 2002-239	20000222
HU 2002000239	A3	20030428		
EP 1230219	A1	20020814	EP 2000-913317	20000222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
BR 2000008491	A	20020917	BR 2000-8491	20000222
JP 2002537378	T	20021105	JP 2000-600979	20000222
NZ 513648	A	20040227	NZ 2000-513648	20000222
NO 2001003963	A	20011023	NO 2001-3963	20010815
ZA 2001006780	A	20020816	ZA 2001-6780	20010816
IN 2001CN01174	A	20050304	IN 2001-CN1174	20010821
MX 2001PA08568	A	20020408	MX 2001-PA8568	20010823
US 20020177588	A1	20021128	US 2001-954451	20010917
US 6750233	B2	20040615		

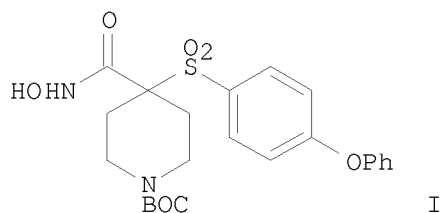
PRIORITY APPLN. INFO.:

US 1999-256948	A	19990224
US 1997-66007P	P	19971114
US 1998-95347P	P	19980804
US 1998-95501P	P	19980806
US 1998-101080P	P	19980918
WO 2000-US2518	W	20000222

OTHER SOURCE(S): MARPAT 133:193079

10/553,803

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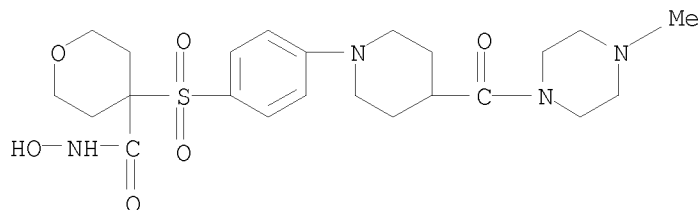


- AB A process for treating conditions associated with pathol. matrix metalloproteinase (MMP) activity comprises administration of compds. having inhibitory activity against >1 of MMP-2, MMP-9, and MMP-13, while exhibiting substantially less inhibition of MMP-1. The compds. are of the form $\text{HONHCOCR}_1\text{R}_2\text{SO}_2\text{R}_3$ [$\text{R}_1, \text{R}_2 = \text{H}$; $\text{R}_1\text{R}_2 =$ atoms to form a 5-8 membered ring containing 1-3 heteroatoms; $\text{R}_3 =$ (substituted) aryl, heteroaryl]. Thus, 4- $\text{PhOC}_6\text{H}_4\text{SH}$ was heated in Me_2SO to give the disulfide dimer, which in THF was added to a mixture of Et N-tert-butoxycarbonylisonipeotate (preparation given) and LDA in THF at -60° to room temperature to give 40% sulfide, which was oxidized with m- $\text{ClC}_6\text{H}_4\text{CO}(\text{OOH})$ to give 59% sulfone. The Et ester was saponified with NaOH in EtOH/ H_2O to give 100% acid, which in DMF was treated with hydroxybenzotriazole, EDC, 4-methylmorpholine, and aqueous NH_2OH to give title compound I. I inhibited MMP-2 with $\text{IC}_{50} = 0.2 \text{ nM}$. Pharmacol., pharmacokinetic, and toxicol. data are given for selected compds.
- IT 226392-08-1P 226395-89-7P 226395-90-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylsulfonylheterocyclylhydroxamic acids and related compds. as matrix metalloprotease inhibitors)
- RN 226392-08-1 CAPLUS
- CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[(4-methyl-1-piperazinyl)carbonyl]-1-piperidinyl]phenyl]sulfonyl]-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 226392-07-0

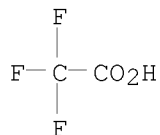
CMF C23 H34 N4 O6 S



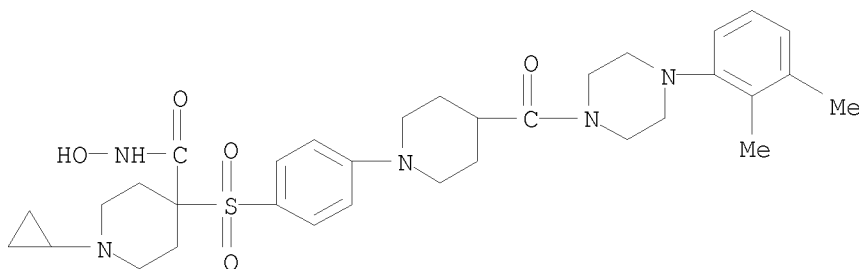
CM 2

10/553,803

CRN 76-05-1
CMF C2 H F3 O2

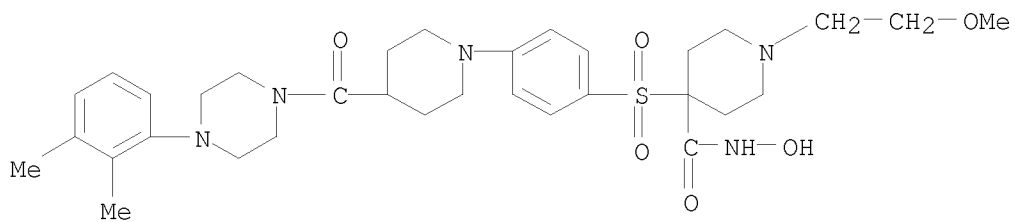


RN 226395-89-7 CAPLUS
CN 4-Piperidinecarboxamide, 1-cyclopropyl-4-[[4-[4-[[4-(2,3-dimethylphenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-N-hydroxy-, hydrochloride (1:3) (CA INDEX NAME)



● 3 HCl

RN 226395-90-0 CAPLUS
CN 4-Piperidinecarboxamide, 4-[[4-[4-[[4-(2,3-dimethylphenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-N-hydroxy-1-(2-methoxyethyl)-, hydrochloride (1:3) (CA INDEX NAME)



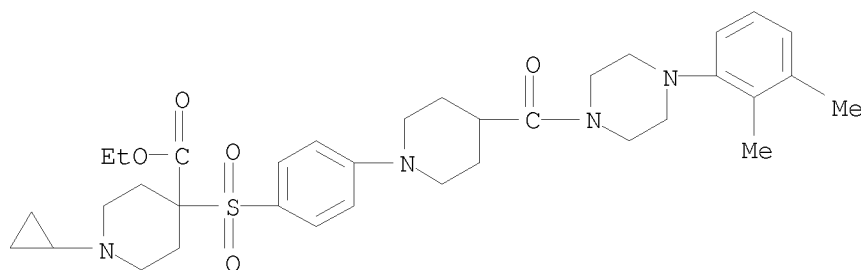
● 3 HCl

IT 226400-39-1P 226400-41-5P 226400-42-6P
226400-45-9P 226400-48-2P 226400-51-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

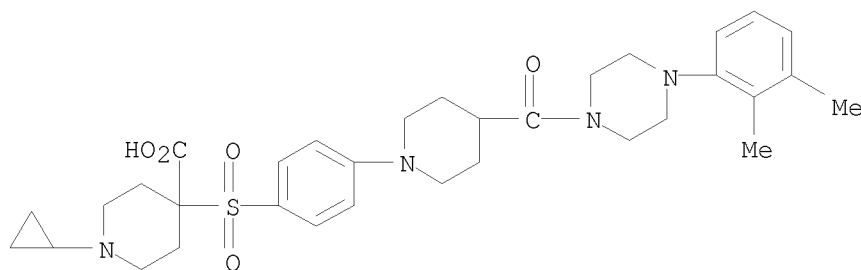
(Reactant or reagent)

(preparation of arylsulfonylheterocyclylhydroxamic acids and related compds.
as matrix metalloprotease inhibitors)

RN 226400-39-1 CAPLUS

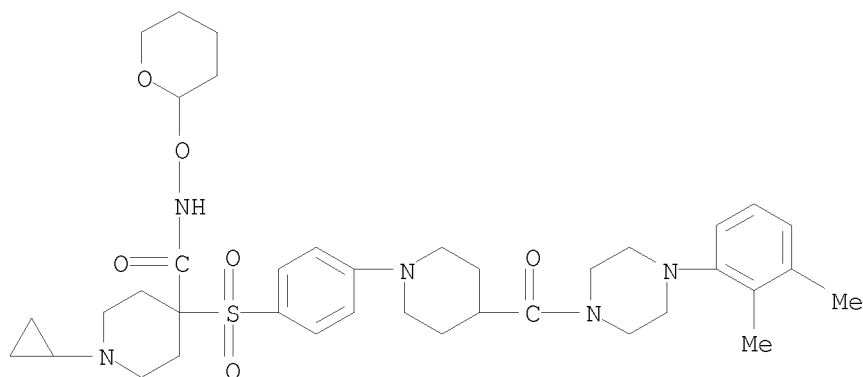
CN 4-Piperidinecarboxylic acid, 1-cyclopropyl-4-[[4-[4-[[4-(2,3-
dimethylphenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-,
ethyl ester (CA INDEX NAME)

RN 226400-41-5 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-cyclopropyl-4-[[4-[4-[[4-(2,3-
dimethylphenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-
(CA INDEX NAME)

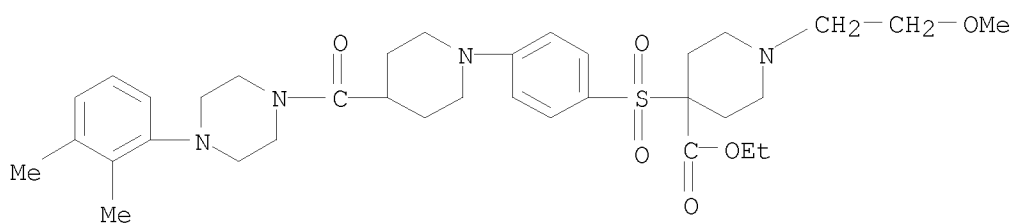
RN 226400-42-6 CAPLUS

CN 4-Piperidinecarboxamide, 1-cyclopropyl-4-[[4-[4-[[4-(2,3-dimethylphenyl)-1-
piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-N-[(tetrahydro-2H-
pyran-2-yl)oxy]- (CA INDEX NAME)



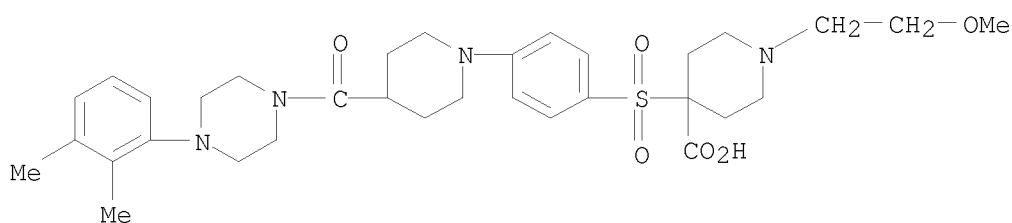
RN 226400-45-9 CAPLUS

CN 4-Piperidinecarboxylic acid, 4-[[[4-[4-[[4-(2,3-dimethylphenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-1-(2-methoxyethyl)-, ethyl ester (CA INDEX NAME)



RN 226400-48-2 CAPLUS

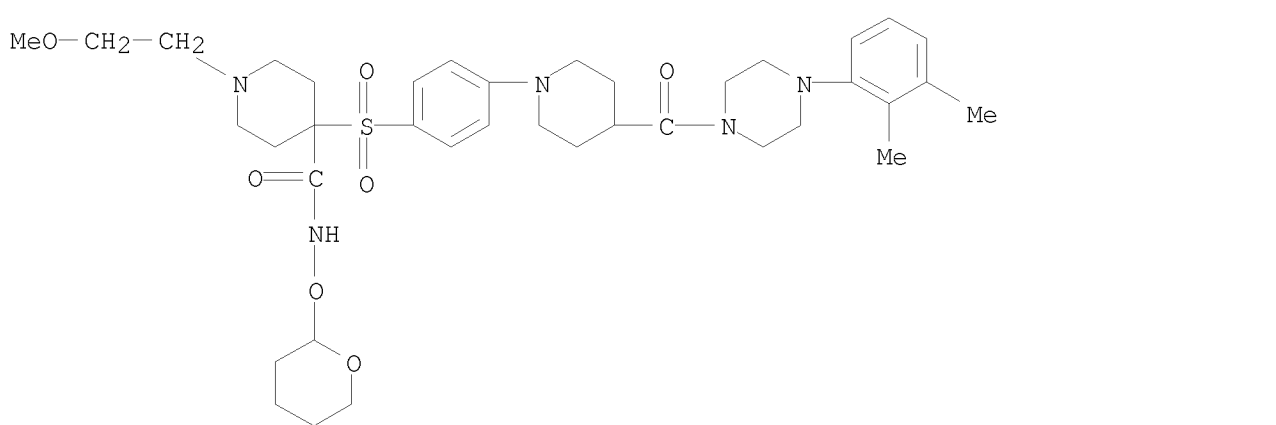
CN 4-Piperidinecarboxylic acid, 4-[[[4-[4-[[4-(2,3-dimethylphenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-1-(2-methoxyethyl)- (CA INDEX NAME)



RN 226400-51-7 CAPLUS

CN 4-Piperidinecarboxamide, 4-[[[4-[4-[[4-(2,3-dimethylphenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-1-(2-methoxyethyl)-N-[(tetrahydro-2H-pyran-2-yl)oxy]- (CA INDEX NAME)

10/553,803



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS

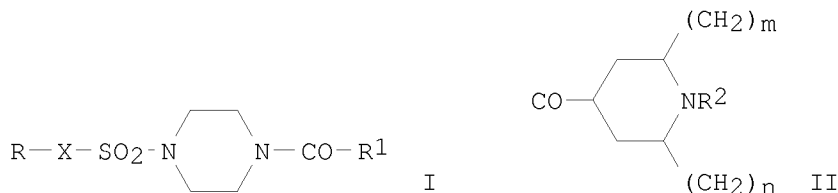
7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 32 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:457033 CAPLUS
 DOCUMENT NUMBER: 133:74029
 TITLE: Heterocyclic amides as inhibitors of factor Xa
 INVENTOR(S): Klimkowski, Valentine Joseph; Kyle, Jeffrey Alan;
 Masters, John Joseph; Wiley, Michael Robert
 PATENT ASSIGNEE(S): Eli Lilly and Co., USA
 SOURCE: PCT Int. Appl., 50 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000039092	A1	20000706	WO 1999-US29834	19991215
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2356214	A1	20000706	CA 1999-2356214	19991215
EP 1140839	A1	20011010	EP 1999-967344	19991215
EP 1140839	B1	20040317		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002533442	T	20021008	JP 2000-591004	19991215
AT 261941	T	20040415	AT 1999-967344	19991215
ES 2217879	T3	20041101	ES 1999-967344	19991215
US 6660739	B1	20031209	US 2001-857748	20010608
PRIORITY APPLN. INFO.:			US 1998-113595P	P 19981224
			WO 1999-US29834	W 19991215
OTHER SOURCE(S):	MARPAT 133:74029			
GI				



AB The compds. I (or a pharmaceutically acceptable salt thereof) (R = Ph or 2-naphthalenyl either of which may bear one or more halo, trifluoromethyl, methoxy or Me substituents; X = a direct bond, methylene, ethylene or ethen-1, 2-diyl; and R¹ = is Q2A, Q2B, or Q2C in which Q2A (showing the CO to which it is attached) is of formula (II) in which each of m and n

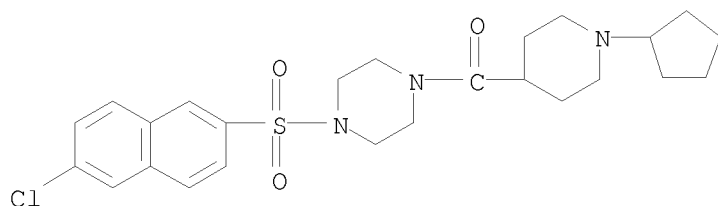
independently is 0 or 1; Q2B is 1-piperazinyl which bears at the 4-position the group R; Q2C is 3,4-didehydropiperidin-4-yl which bears at the 1-position the group R) pharmaceutical compns. thereof and its use as an inhibitor of factor Xa, as well as a process for its preparation and intermediates therefor are claimed. For example, 1-(piperidin-4-ylcarbonyl)-4-(6-chloronaphthalen-2-ylsulfonyl)piperazine trifluoroacetate (III) was prepared in a multistep process from 6-amino-2-naphthalenesulfonic acid, N-BOC-piperazine and N-BOC-isonipecotic acid and subsequently the piperidine N was alkylated to form the alkylated derivs. of III.

IT 280107-61-1P 280107-62-2P 280107-64-4P
280107-68-8P 280107-69-9P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as anticoagulants)

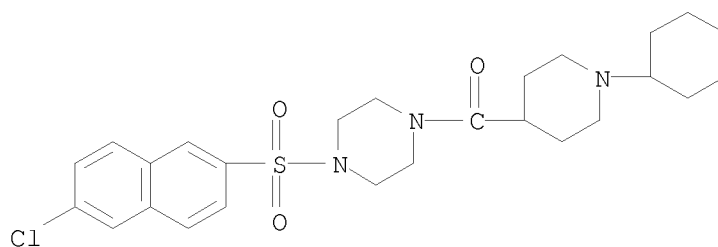
RN 280107-61-1 CAPLUS

CN Methanone, [4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl](1-cyclopentyl-4-piperidinyl)- (CA INDEX NAME)



RN 280107-62-2 CAPLUS

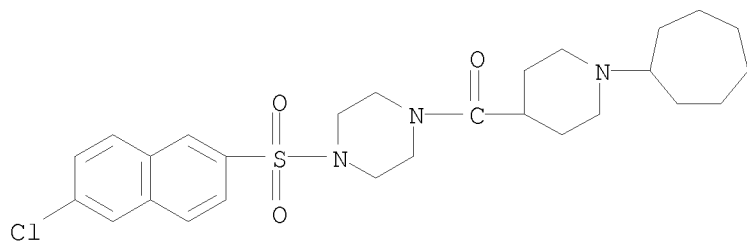
CN Methanone, [4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl](1-cyclohexyl-4-piperidinyl)- (CA INDEX NAME)



RN 280107-64-4 CAPLUS

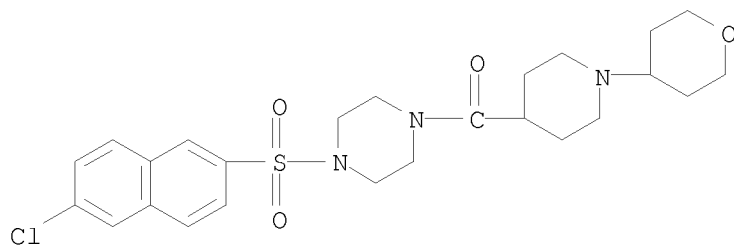
CN Methanone, [4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl](1-cycloheptyl-4-piperidinyl)- (CA INDEX NAME)

10/553,803



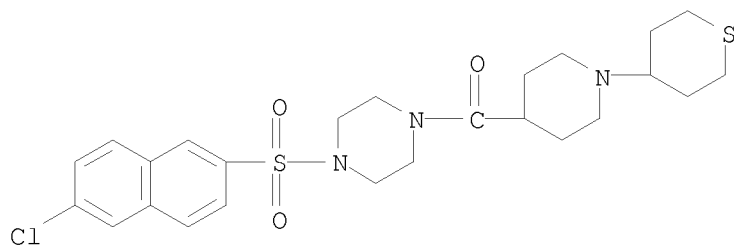
RN 280107-68-8 CAPLUS

CN Methanone, [4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl][1-(tetrahydro-2H-pyran-4-yl)-4-piperidinyl]- (CA INDEX NAME)



RN 280107-69-9 CAPLUS

CN Methanone, [4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl][1-(tetrahydro-2H-thiopyran-4-yl)-4-piperidinyl]- (CA INDEX NAME)



REFERENCE COUNT:

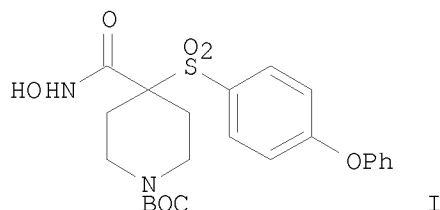
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THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 33 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:350651 CAPLUS
 DOCUMENT NUMBER: 131:18929
 TITLE: Preparation of arylsulfonylheterocyclylhydroxamic acids and related compounds as matrix metalloprotease inhibitors
 INVENTOR(S): Barta, Thomas E.; Becker, Daniel P.; Boehm, Terri L.; De Crescenzo, Gary A.; Villamil, Clara I.; McDonald, Joseph J.; Freskos, John N.; Getman, Daniel P.
 PATENT ASSIGNEE(S): G.D. Searle and Co., USA
 SOURCE: PCT Int. Appl., 840 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9925687	A1	19990527	WO 1998-US23242	19981112
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2306460	A1	19990527	CA 1998-2306460	19981112
AU 9913732	A	19990607	AU 1999-13732	19981112
AU 756150	B2	20030102		
BR 9814643	A	20001003	BR 1998-14643	19981112
EP 1042290	A1	20001011	EP 1998-957485	19981112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2001523662	T	20011127	JP 2000-521071	19981112
NZ 503485	A	20021025	NZ 1998-503485	19981112
RU 2250105	C2	20050420	RU 2000-115948	19981112
ZA 9810412	A	19991209	ZA 1998-10412	19981113
US 20010014688	A1	20010816	US 1998-191129	19981113
NO 2000002469	A	20000712	NO 2000-2469	20000512
MX 2000PA04660	A	20010930	MX 2000-PA4660	20000512
US 6541489	B1	20030401	US 2000-554082	20000731
US 20020177588	A1	20021128	US 2001-954451	20010917
US 6750233	B2	20040615		
US 20040048852	A1	20040311	US 2003-337942	20030107
US 6890937	B2	20050510		
US 20060084688	A1	20060420	US 2005-46645	20050128
PRIORITY APPLN. INFO.:			US 1997-66007P	P 19971114
			US 1998-95347P	P 19980804
			US 1998-95501P	P 19980806
			US 1998-101080P	P 19980918
			WO 1998-US23242	W 19981112
			US 1999-256948	B3 19990224
			US 2000-554082	A3 20000731
			US 2003-337942	A3 20030107
OTHER SOURCE(S):	MARPAT 131:18929			
GI				



AB A process for treating conditions associated with pathol. matrix metalloproteinase (MMP) activity comprises administration of compds. having inhibitory activity against >1 of MMP-2, MMP-9, and MMP-13, while exhibiting substantially less inhibition of MMP-1. The compds. are of the form HONHCOCR1R2SO2R3 [R1, R2 = H; R1R2 = atoms to form a 5-8 membered ring containing 1-3 heteroatoms; R3 = (substituted) aryl, heteroaryl]. Thus, 4-PhOC6H4SH was heated in Me2SO to give the disulfide dimer, which in THF was added to a mixture of Et N-tert-butoxycarbonylisonipecotate (preparation given) and LDA in THF at -60° to room temperature to give 405 sulfide, which was oxidized with m-ClC6H4CO(OOH) to give 59% sulfone. The Et ester was saponified with NaOH in EtOH/H2O to give 100% acid, which in DMF was treated with hydroxybenzotriazole, EDC, 4-methylmorpholine, and aqueous NH2OH to give title compound (I). I inhibited MMP-2 with IC50 = 0.2 nM.

IT 226392-08-1P 226395-89-7P 226395-90-0P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of arylsulfonylheterocyclylhydroxamic acids and related compds. as matrix metalloprotease inhibitors)

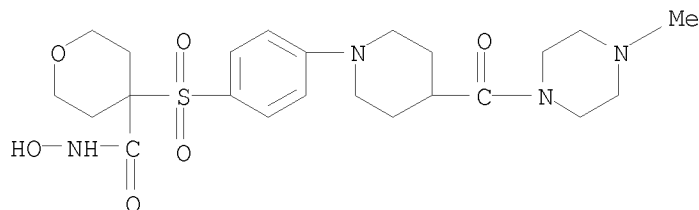
RN 226392-08-1 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[(4-methyl-1-piperazinyl)carbonyl]-1-piperidinyl]phenyl]sulfonyl]-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 226392-07-0

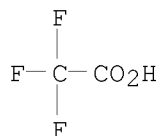
CMF C23 H34 N4 O6 S



CM 2

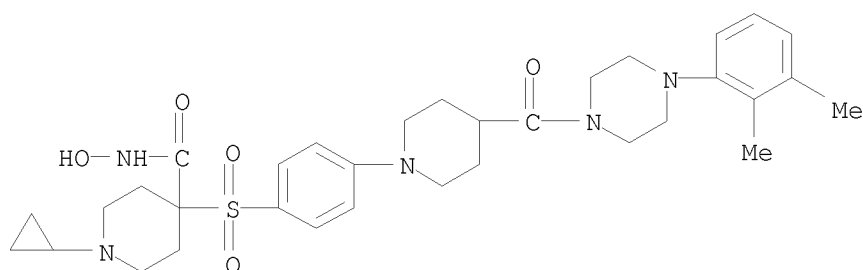
CRN 76-05-1

CMF C2 H F3 O2



RN 226395-89-7 CAPLUS

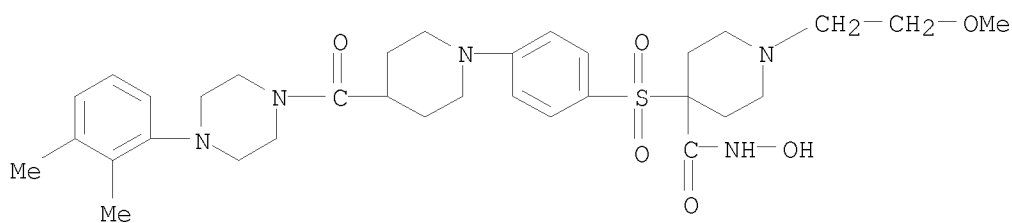
CN 4-Piperidinecarboxamide, 1-cyclopropyl-4-[[4-[4-[[4-(2,3-dimethylphenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-N-hydroxy-, hydrochloride (1:3) (CA INDEX NAME)



● 3 HCl

RN 226395-90-0 CAPLUS

CN 4-Piperidinecarboxamide, 4-[[4-[4-[[4-(2,3-dimethylphenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-N-hydroxy-1-(2-methoxyethyl)-, hydrochloride (1:3) (CA INDEX NAME)



● 3 HCl

IT 226400-39-1P 226400-41-5P 226400-42-6P

226400-45-9P 226400-48-2P 226400-51-7P

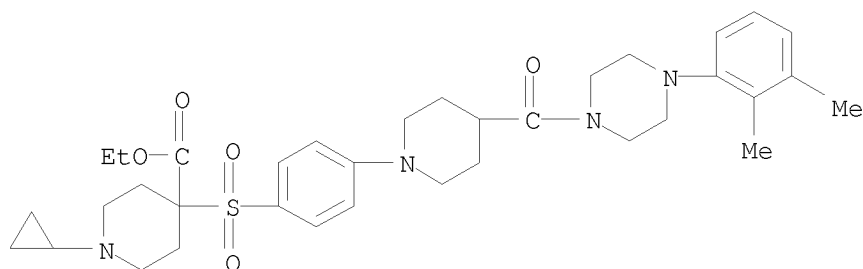
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of arylsulfonylheterocyclylhydroxamic acids and related compds. as matrix metalloprotease inhibitors)

10/553,803

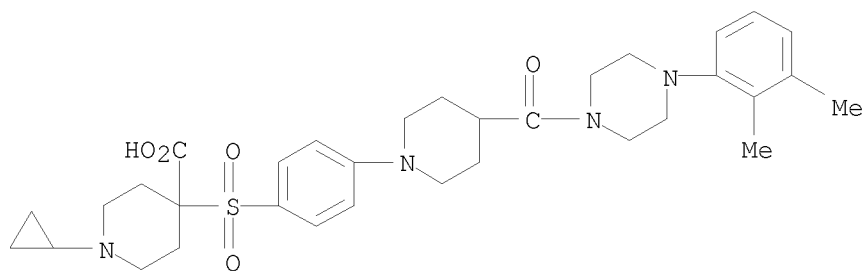
RN 226400-39-1 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-cyclopropyl-4-[[4-[4-[[4-(2,3-dimethylphenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-, ethyl ester (CA INDEX NAME)



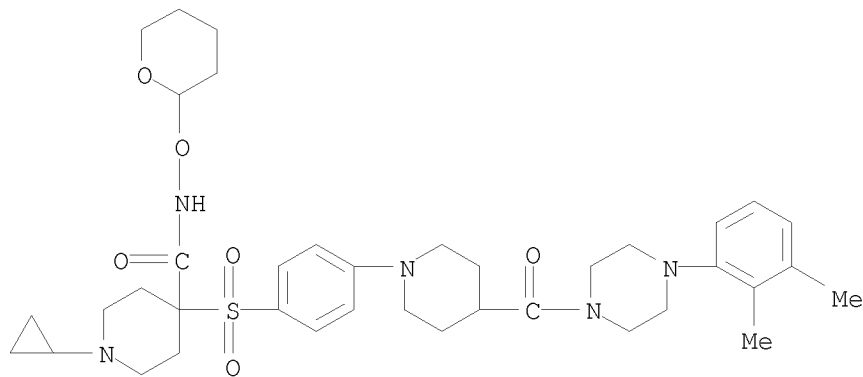
RN 226400-41-5 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-cyclopropyl-4-[[4-[4-[[4-(2,3-dimethylphenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)



RN 226400-42-6 CAPLUS

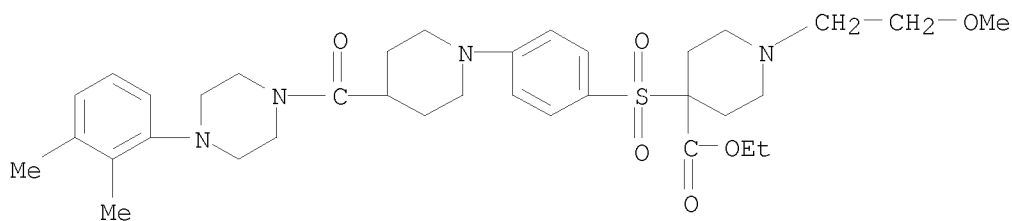
CN 4-Piperidinecarboxamide, 1-cyclopropyl-4-[[4-[4-[[4-(2,3-dimethylphenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-N-[(tetrahydro-2H-pyran-2-yl)oxy]- (CA INDEX NAME)



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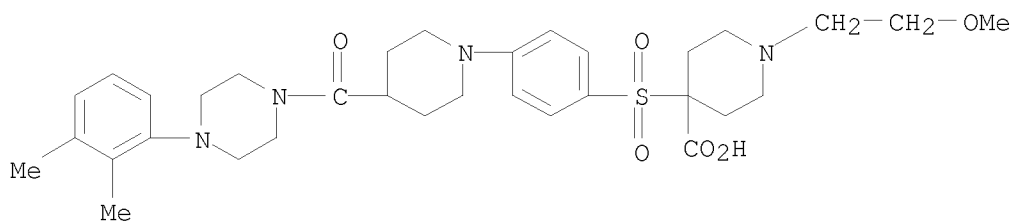
RN 226400-45-9 CAPLUS

CN 4-Piperidinecarboxylic acid, 4-[[4-[4-[[4-(2,3-dimethylphenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-1-(2-methoxyethyl)-, ethyl ester (CA INDEX NAME)



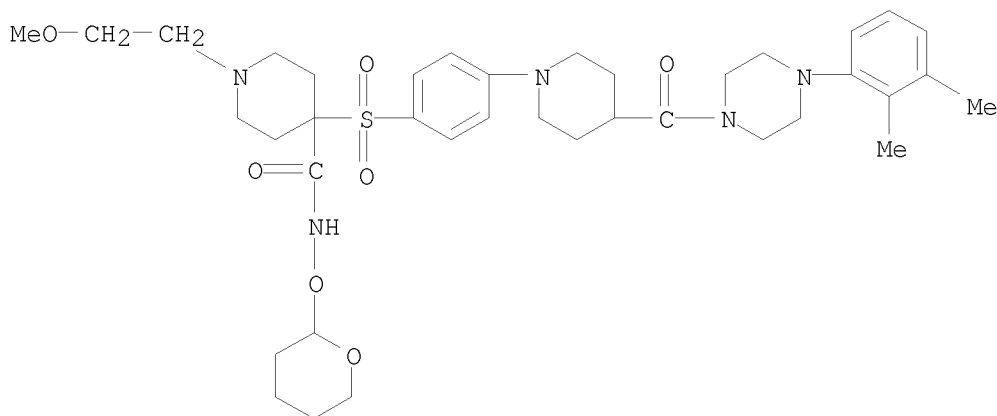
RN 226400-48-2 CAPLUS

CN 4-Piperidinecarboxylic acid, 4-[[4-[4-[[4-(2,3-dimethylphenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-1-(2-methoxyethyl)- (CA INDEX NAME)



RN 226400-51-7 CAPLUS

CN 4-Piperidinecarboxamide, 4-[[4-[4-[[4-(2,3-dimethylphenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-1-(2-methoxyethyl)-N-[(tetrahydro-2H-pyran-2-yl)oxy]- (CA INDEX NAME)



REFERENCE COUNT:

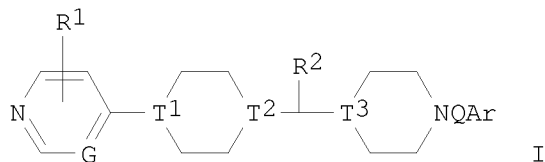
6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 34 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:113671 CAPLUS
 DOCUMENT NUMBER: 130:168388
 TITLE: Pyridyl- and pyrimidyl-heterocyclic compounds
 inhibiting oxidosqualene cyclase
 INVENTOR(S): Newcombe, Nicholas John; Johnson, Michael Clyde
 PATENT ASSIGNEE(S): Zeneca Limited, UK
 SOURCE: PCT Int. Appl., 43 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9906395	A1	19990211	WO 1998-GB2196	19980723
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9885471	A	19990222	AU 1998-85471	19980723
EP 1000057	A1	20000517	EP 1998-936494	19980723
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001512118	T	20010821	JP 2000-505153	19980723
US 6335341	B1	20020101	US 2000-463326	20000124
PRIORITY APPLN. INFO.:			GB 1997-15892	A 19970729
			WO 1998-GB2196	W 19980723
OTHER SOURCE(S):		MARPAT 130:168388		
GI				



AB The title compds. I [G = CH, N; R1 = H, halo, (1-6C)alkyl, halo(1-6C)alkyl, cyano, nitro, (1-6C)alkoxycarbonyl, NR3R4 (R3, R4 = H, (1-6C)alkyl), up to 3 R1 groups may be present; T1 = CH, N; T2, T3 = N, CR (R = H, hydroxyl, (C1-4)alkyl); either ring containing T2 or T3 is optionally substituted with an oxo group; R2 = H, (1-4C)alkyl; Q = SO2, CO, CH2; Ar = five or six-membered heterocycle containing up to 3 heteroatoms selected from nitrogen, oxygen and sulfur, Ph, phenyl(2-6C)alkenyl, naphthyl in which any Ar group is optionally substituted by one or more substituents selected from (1-6C)alkyl, halo, halo(1-6C)alkyl, (1-6C)alkoxy,

(1-6C)alkoxycarbonyl, cyano, (1-6C)alkylamido, nitro, NR3R4 (R3, R4 = H, (1-4C)alkyl) both T2 and T3 are not N and when T2 is CR then T1 is not CH], useful in inhibiting oxidosqualene cyclase (no data), were prepared E.g., 1-(4-chlorophenylsulfonyl)-4-[1-(2-methylpyrimidin-4-yl)piperazin-4-ylmethyl]piperidine was prepared

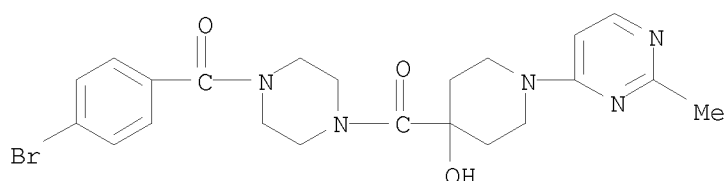
IT 220358-97-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridyl- and pyrimidyl-heterocyclic compds. as inhibitors of oxidosqualene cyclase)

RN 220358-97-4 CAPLUS

CN Piperazine, 1-(4-bromobenzoyl)-4-[[4-hydroxy-1-(2-methyl-4-pyrimidinyl)-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)



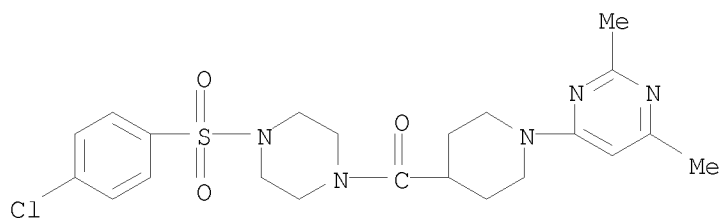
IT 203522-53-6 220359-08-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of pyridyl- and pyrimidyl-heterocyclic compds. as inhibitors of oxidosqualene cyclase)

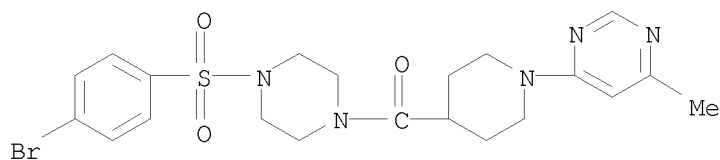
RN 203522-53-6 CAPLUS

CN Methanone, [4-[(4-chlorophenyl)sulfonyl]-1-piperazinyl][1-(2,6-dimethyl-4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 220359-08-0 CAPLUS

CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl][1-(6-methyl-4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS

10/553,803

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 35 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:568819 CAPLUS

DOCUMENT NUMBER: 129:189344

ORIGINAL REFERENCE NO.: 129:38465a,38468a

TITLE: 1-(Arylsulfonyl)-4-[[1-(4-pyridyl)piperazin-4-yl]carbonyl]piperazines and analogs useful as oxido-squalene cyclase inhibitors

INVENTOR(S): Brown, George Robert

PATENT ASSIGNEE(S): Zeneca Limited, UK

SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

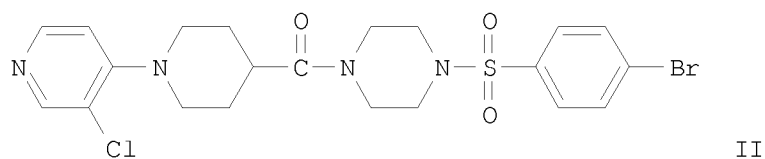
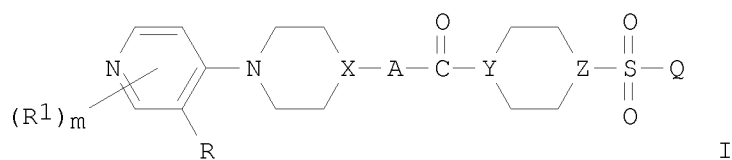
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9835956	A1	19980820	WO 1998-GB405	19980209
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9859996	A	19980908	AU 1998-59996	19980209
EP 966460	A1	19991229	EP 1998-903174	19980209
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001511798	T	20010814	JP 1998-535456	19980209
ZA 9801177	A	19980813	ZA 1998-1177	19980212
US 6440972	B1	20020827	US 1999-367400	19990813
PRIORITY APPLN. INFO.:			GB 1997-2946	A 19970213
			GB 1997-15734	A 19970726
			GB 1997-19237	A 19970911
			WO 1998-GB405	W 19980209

OTHER SOURCE(S): MARPAT 129:189344

GI



AB This invention concerns heterocyclic compds. I [R = halo, cyano, NO₂, CO₂H, alkyl, alkoxy, alkanoyl, alkoxycarbonyl, haloalkyl; R₁ = H, (di)(alkyl)amino, halo, cyano, NO₂, CO₂H, alkyl, alkoxy, alkanoyl, alkylthio, etc.; m = 1 or 2; A = bond or C1-4 alkylene; X, Y = N, CR₂; R₂ = H, alk(en/yn)yl; Z = N, CH; Q = (un)substituted heteroaryl, Ph, naphthyl, etc.; rings containing X, Y, and Z may be substituted; with several provisos], which are useful for inhibiting oxido-squalene cyclase, processes for their preparation, and pharmaceutical compns. containing them.

The

invention is also concerned with such heterocyclic compds. capable of inhibiting cholesterol biosynthesis, and hence lowering cholesterol levels in blood plasma. The invention also relates to methods of using such compds. in diseases and medical conditions such as hypercholesterolemia and atherosclerosis. For instance, chlorination of 1-[(4-bromophenyl)sulfonyl]-4-[[1-(4-pyridyl)piperidin-4-yl]carbonyl]piperazine with N-chlorosuccinimide in MeCN gave title compound II. The latter gave about 79% inhibition of rat microsomal oxido-squalene cyclase in vitro at 0.01 μ M, and likewise gave about 79% inhibition of rat cholesterol biosynthesis in vivo at 2 mg/kg orally. The compds. showed no overt toxicity at several multiples of the min. ID. Several standard pharmaceutical formulations are described.

IT 211820-04-1P

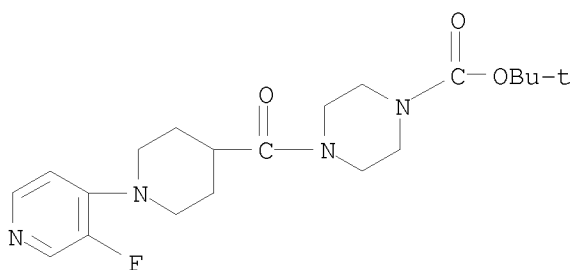
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of

(arylsulfonyl)[(pyridylpiperazinyl)carbonyl]piperazines and analogs as oxido-squalene cyclase inhibitors)

RN 211820-04-1 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[[1-(3-fluoro-4-pyridinyl)-4-piperidinyl]carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



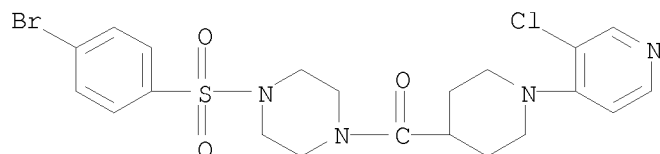
IT 211819-82-8P 211819-83-9P 211819-84-0P
211819-86-2P 211819-87-3P 211819-88-4P
211819-89-5P 211819-90-8P 211819-95-3P
211819-96-4P

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (arylsulfonyl)[(pyridylpiperazinyl)carbonyl]piperazines and analogs as oxido-squalene cyclase inhibitors)

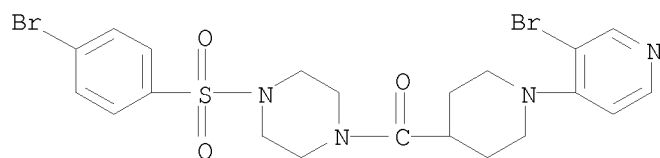
RN 211819-82-8 CAPLUS

CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl][1-(3-chloro-4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



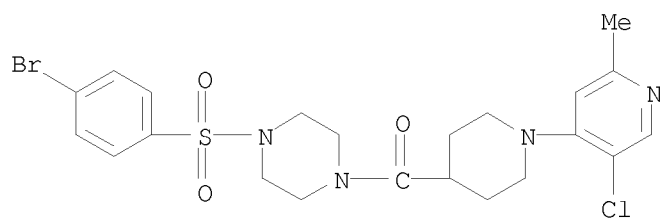
RN 211819-83-9 CAPLUS

CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl][1-(3-bromo-4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



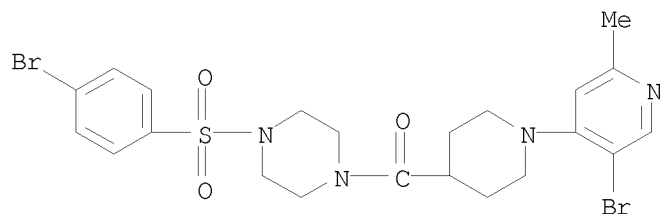
RN 211819-84-0 CAPLUS

CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl][1-(5-chloro-2-methyl-4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 211819-86-2 CAPLUS

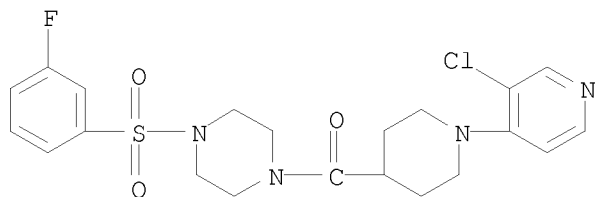
CN Methanone, [1-(5-bromo-2-methyl-4-pyridinyl)-4-piperidinyl][4-[(4-bromophenyl)sulfonyl]-1-piperazinyl]- (CA INDEX NAME)



RN 211819-87-3 CAPLUS

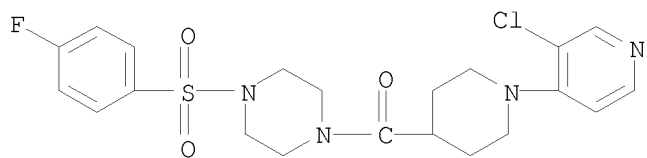
CN Methanone, [1-(3-chloro-4-pyridinyl)-4-piperidinyl][4-[(3-

fluorophenyl)sulfonyl]-1-piperazinyl]- (CA INDEX NAME)



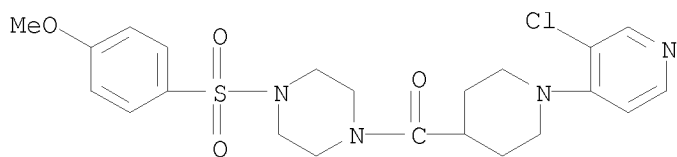
RN 211819-88-4 CAPLUS

CN Methanone, [1-(3-chloro-4-pyridinyl)-4-piperidinyl][4-[(4-fluorophenyl)sulfonyl]-1-piperazinyl]- (CA INDEX NAME)



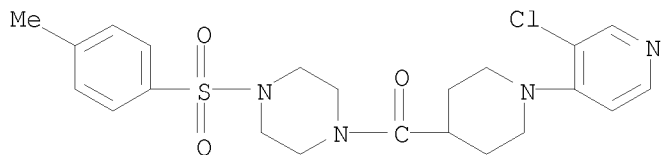
RN 211819-89-5 CAPLUS

CN Methanone, [1-(3-chloro-4-pyridinyl)-4-piperidinyl][4-[(4-methoxyphenyl)sulfonyl]-1-piperazinyl]- (CA INDEX NAME)



RN 211819-90-8 CAPLUS

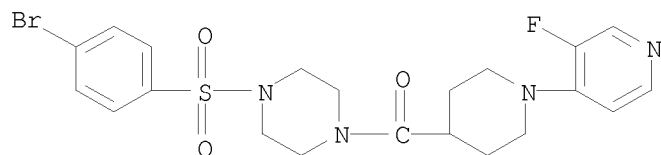
CN Methanone, [1-(3-chloro-4-pyridinyl)-4-piperidinyl][4-[(4-methylphenyl)sulfonyl]-1-piperazinyl]- (CA INDEX NAME)



RN 211819-95-3 CAPLUS

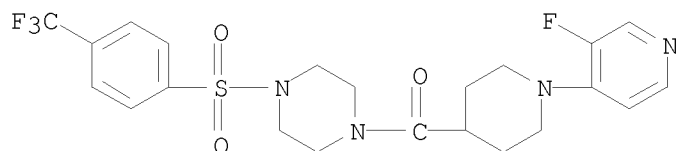
CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl][1-(3-fluoro-4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)

10/553,803



RN 211819-96-4 CAPLUS

CN Methanone, [1-(3-fluoro-4-pyridinyl)-4-piperidinyl][4-[[4-(trifluoromethyl)phenyl]sulfonyl]-1-piperazinyl]- (CA INDEX NAME)

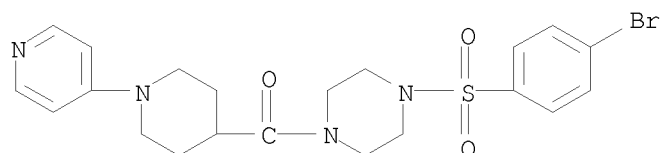


IT 179050-10-3

RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of
(arylsulfonyl)[(pyridylpiperazinyl)carbonyl]piperazines and analogs as
oxido-squalene cyclase inhibitors)

RN 179050-10-3 CAPLUS

CN Methanone, [4-[[4-(4-bromophenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 36 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:126242 CAPLUS

DOCUMENT NUMBER: 128:192661

ORIGINAL REFERENCE NO.: 128:38066h,38067a

TITLE: Preparation of
pyrimidinylpiperidinylcarbonylpiperazines and related
compounds as inhibitors of oxidosqualene cyclase.

INVENTOR(S): Brown, George Robert; Newcombe, Nicholas John; Stokes,
Elaine Sophie Elizabeth; Waterson, David

PATENT ASSIGNEE(S): Zeneca Limited, UK; Brown, George Robert; Newcombe,
Nicholas John; Stokes, Elaine Sophie Elizabeth;
Waterson, David

SOURCE: PCT Int. Appl., 91 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

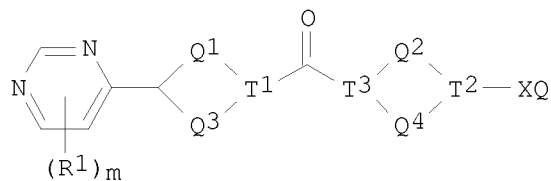
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2260872	A1	19980219	CA 1997-2260872	19970725
AU 9737017	A	19980306	AU 1997-37017	19970725
EP 925286	A1	19990630	EP 1997-933774	19970725
EP 925286	B1	20030102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
BR 9711135	A	19990817	BR 1997-11135	19970725
CN 1228087	A	19990908	CN 1997-197282	19970725
HU 9902890	A2	19991228	HU 1999-2890	19970725
JP 2000516602	T	20001212	JP 1998-509469	19970725
AT 230399	T	20030115	AT 1997-933774	19970725
NO 9900663	A	19990412	NO 1999-663	19990212
US 6093718	A	20000725	US 1999-242309	19990212
KR 2000029984	A	20000525	KR 1999-701247	19990213
PRIORITY APPLN. INFO.:			GB 1996-17060	A 19960814
			GB 1997-3027	A 19970214
			WO 1997-GB2029	W 19970725

OTHER SOURCE(S): MARPAT 128:192661

GI



AB Title compds. [I; T1, T3 = N, CR; R = H, alkyl, alkenyl, alkynyl; R1 = H, amino, halo, cyano, alkyl, alkylamino, dialkylamino, alkoxy; m = 1, 2; T2 = CH, N; Q = (substituted) Ph, naphthyl, phenylalkenyl, heteroaryl; Q1 = (CH2)a; Q2 = (CH2)b; Q3 = (CH2)c; Q4 = (CH2)d; X = O, CO, S, SO, SO2, CH2; a, b = 2, 3; c, d = 1, 2], were prepared as antihypercholesteremics. Thus, 4-[1-(4-pyrimidinyl)piperazin-4-ylcarbonyl]piperidine in CH2Cl2 was treated with a mixture of 4-chlorophenylsulfonyl chloride and Et3N in CH2Cl2 under ice cooling followed by stirring for 18 h at room temperature to give 26% 1-(4-chlorophenylsulfonyl)-4-[1-(4-pyrimidinyl)piperazin-4-ylcarbonyl]piperidine. The latter at 5 mg/kg orally in rats gave 72% inhibition of cholesterol biosynthesis.

IT 179050-27-2P 179050-28-3P 179050-48-7P
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 188526-45-6P 188526-46-7P 188526-47-8P
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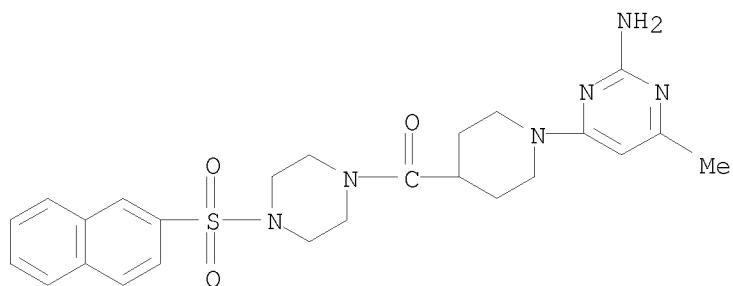
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidinylpiperidinylcarbonylpiperazines and related compds. as inhibitors of oxidosqualene cyclase)

RN 179050-27-2 CAPLUS

10/553,803

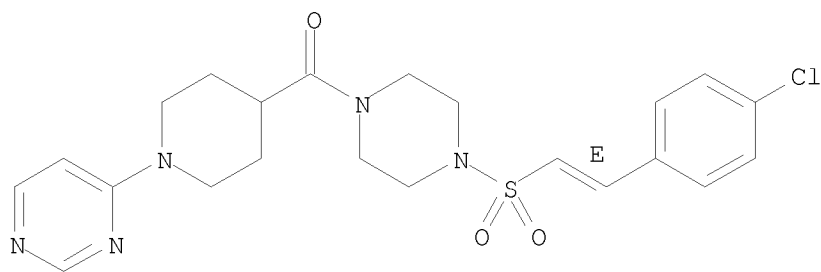
CN Methanone, [1-(2-amino-6-methyl-4-pyrimidinyl)-4-piperidinyl][4-(2-naphthalenylsulfonyl)-1-piperazinyl]- (CA INDEX NAME)



RN 179050-28-3 CAPLUS

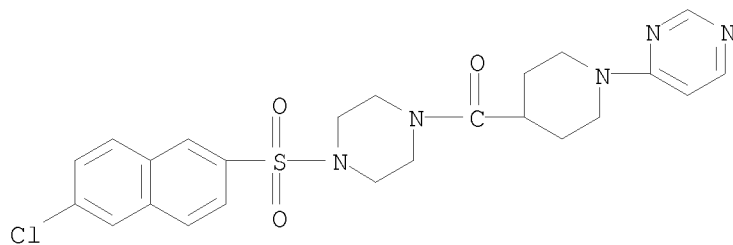
CN Piperazine, 1-[[2-(4-chlorophenyl)ethenyl]sulfonyl]-4-[[1-(4-pyrimidinyl)-4-piperidinyl]carbonyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



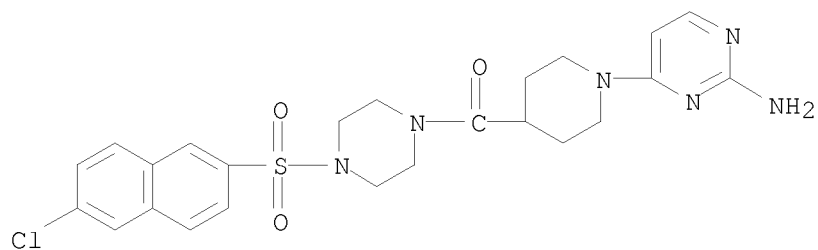
RN 179050-48-7 CAPLUS

CN Methanone, [4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



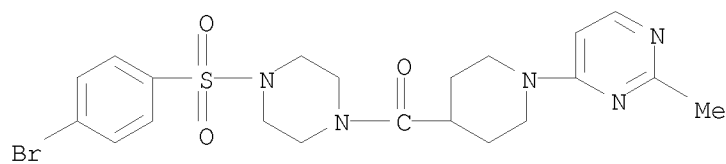
RN 179050-49-8 CAPLUS

CN Methanone, [1-(2-amino-4-pyrimidinyl)-4-piperidinyl][4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]- (CA INDEX NAME)



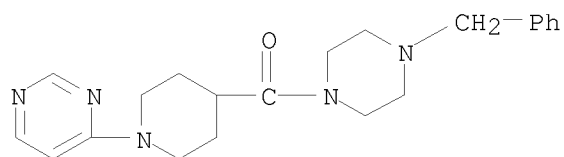
RN 188526-43-4 CAPLUS

CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl][1-(2-methyl-4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



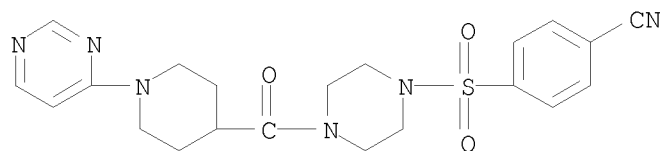
RN 188526-44-5 CAPLUS

CN Methanone, [4-(phenylmethyl)-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-45-6 CAPLUS

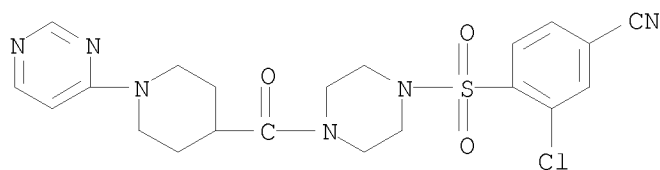
CN Benzonitrile, 4-[[4-[[1-(4-pyrimidinyl)-4-piperidinyl]carbonyl]-1-piperazinyl]sulfonyl]- (CA INDEX NAME)



RN 188526-46-7 CAPLUS

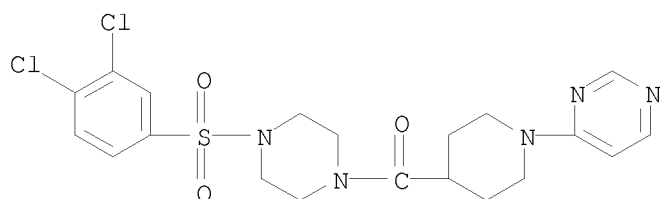
CN Benzonitrile, 3-chloro-4-[[4-[[1-(4-pyrimidinyl)-4-piperidinyl]carbonyl]-1-piperazinyl]sulfonyl]- (CA INDEX NAME)

10/553,803



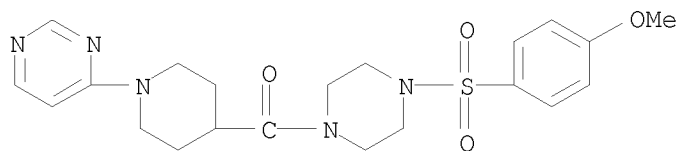
RN 188526-47-8 CAPLUS

CN Methanone, [4-[(3,4-dichlorophenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyll]- (CA INDEX NAME)



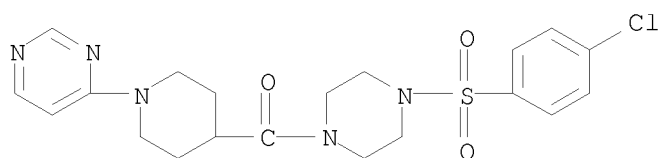
RN 188526-48-9 CAPLUS

CN Methanone, [4-[(4-methoxyphenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyll]- (CA INDEX NAME)



RN 188526-49-0 CAPLUS

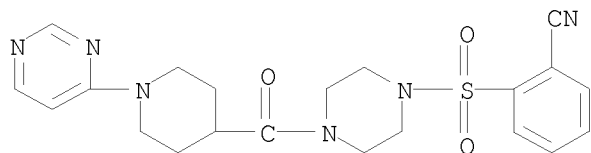
CN Methanone, [4-[(4-chlorophenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyll]- (CA INDEX NAME)



RN 188526-50-3 CAPLUS

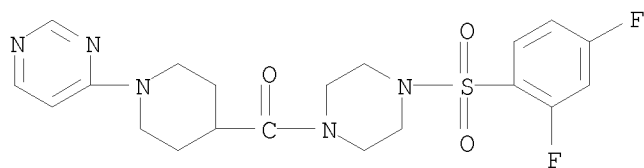
CN Benzonitrile, 2-[[4-[[1-(4-pyrimidinyl)-4-piperidinyllcarbonyl]-1-piperazinyl]sulfonyl]- (CA INDEX NAME)

10/553,803



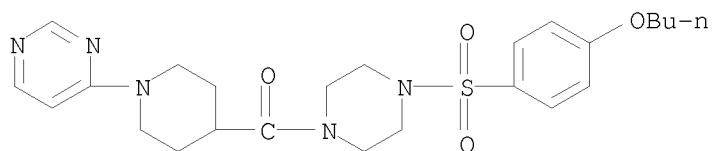
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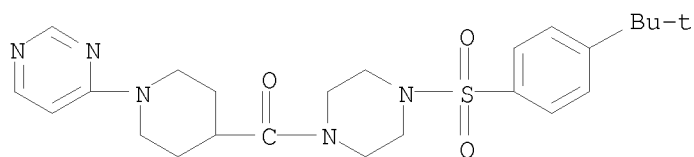
RN 188526-52-5 CAPLUS

CN Methanone, [4-[(4-butoxyphenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-53-6 CAPLUS

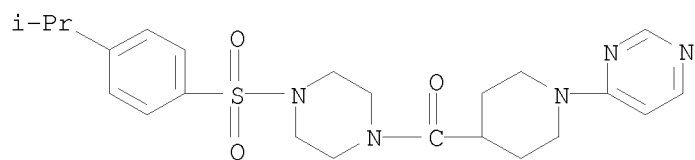
CN Methanone, [4-[[4-(1,1-dimethylethyl)phenyl]sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-54-7 CAPLUS

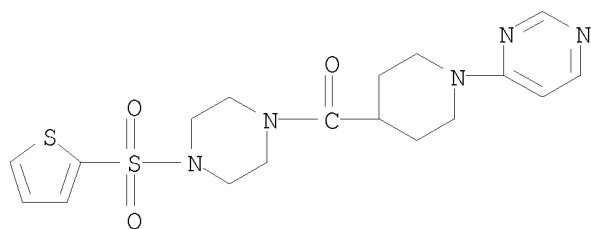
CN Methanone, [4-[[4-(1-methylethyl)phenyl]sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)

10/553,803



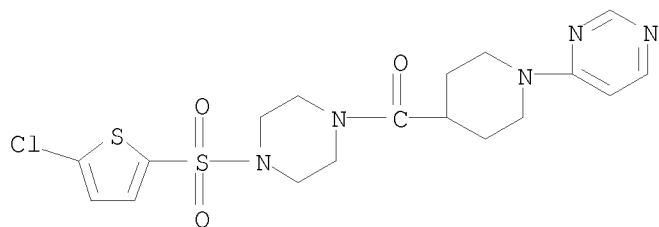
RN 188526-55-8 CAPLUS

CN Methanone, [1-(4-pyrimidinyl)-4-piperidinyl][4-(2-thienylsulfonyl)-1-piperazinyl]- (CA INDEX NAME)



RN 188526-56-9 CAPLUS

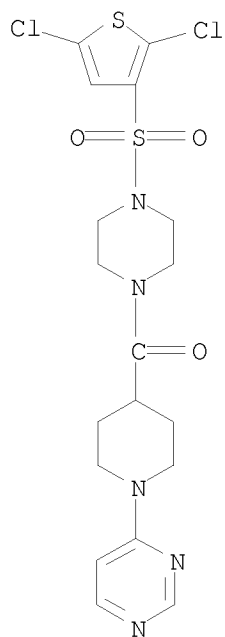
CN Methanone, [4-[(5-chloro-2-thienyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-57-0 CAPLUS

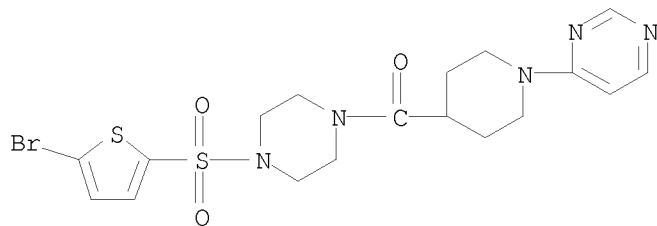
CN Methanone, [4-[(2,5-dichloro-3-thienyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)

10/553,803



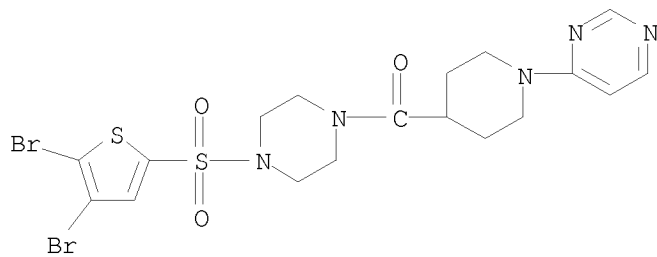
RN 188526-58-1 CAPLUS

CN Methanone, [4-[(5-bromo-2-thienyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-59-2 CAPLUS

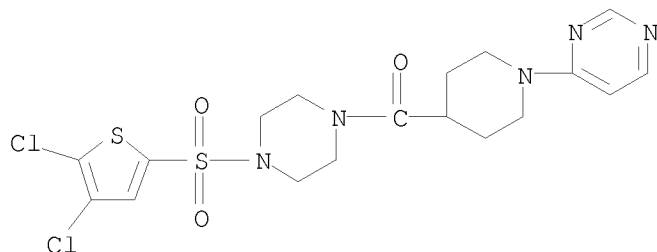
CN Methanone, [4-[(4,5-dibromo-2-thienyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-60-5 CAPLUS

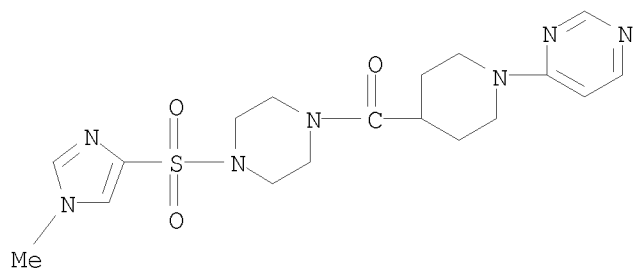
10/553,803

CN Methanone, [4-[(4,5-dichloro-2-thienyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



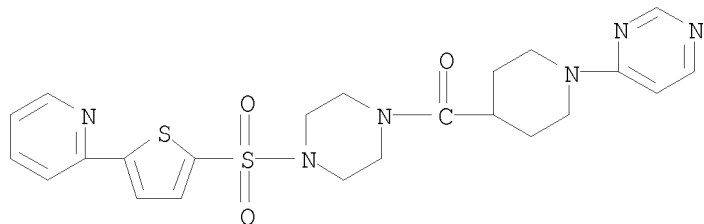
RN 188526-61-6 CAPLUS

CN Methanone, [4-[(1-methyl-1H-imidazol-4-yl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-62-7 CAPLUS

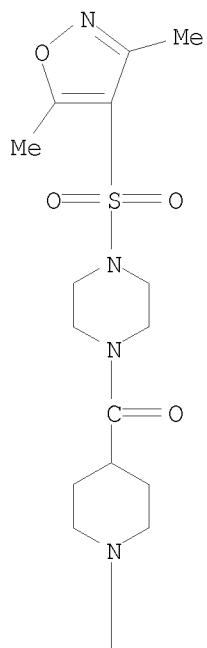
CN Methanone, [4-[[5-(2-pyridinyl)-2-thienyl]sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



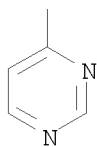
RN 188526-63-8 CAPLUS

CN Methanone, [4-[(3,5-dimethyl-4-isoxazolyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)

PAGE 1-A

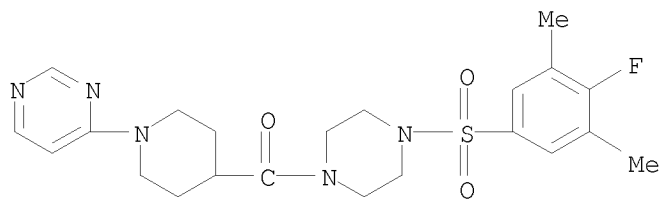


PAGE 2-A



RN 188526-65-0 CAPLUS

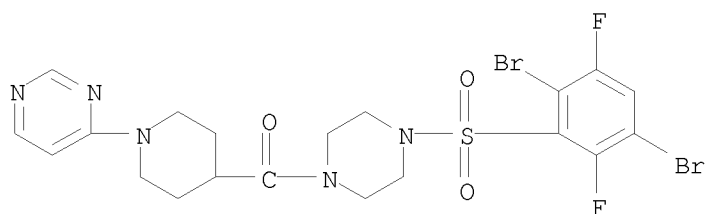
CN Methanone, [4-[(4-fluoro-3,5-dimethylphenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-66-1 CAPLUS

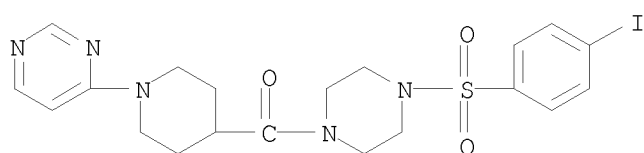
CN Methanone, [4-[(2,5-dibromo-3,6-difluorophenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)

10/553,803



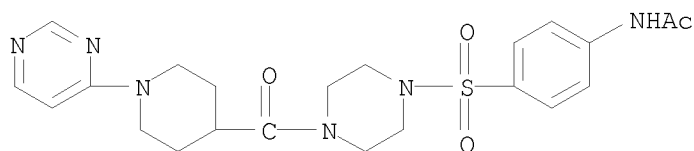
RN 188526-67-2 CAPLUS

CN Methanone, [4-[(4-iodophenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



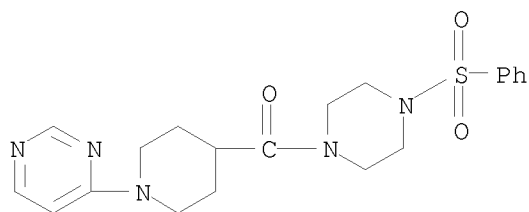
RN 188526-68-3 CAPLUS

CN Acetamide, N-[4-[[4-[[1-(4-pyrimidinyl)-4-piperidinyl]carbonyl]-1-piperazinyl]sulfonyl]phenyl]- (CA INDEX NAME)



RN 188526-69-4 CAPLUS

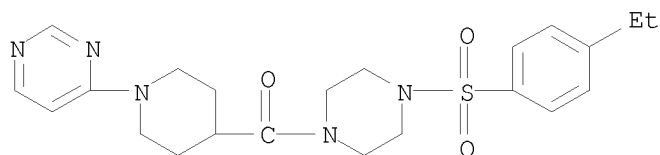
CN Methanone, [4-(phenylsulfonyl)-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-70-7 CAPLUS

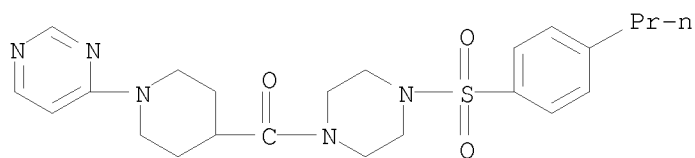
CN Methanone, [4-[(4-ethylphenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)

10/553,803



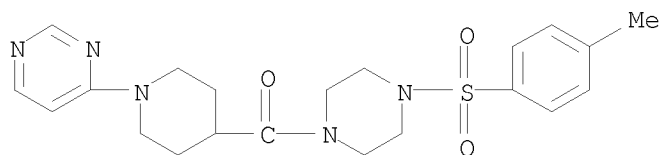
RN 188526-71-8 CAPLUS

CN Methanone, [4-[(4-propylphenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



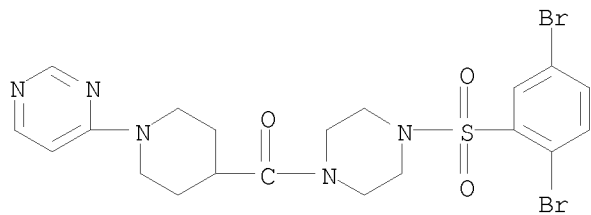
RN 188526-76-3 CAPLUS

CN Methanone, [4-[(4-methylphenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-77-4 CAPLUS

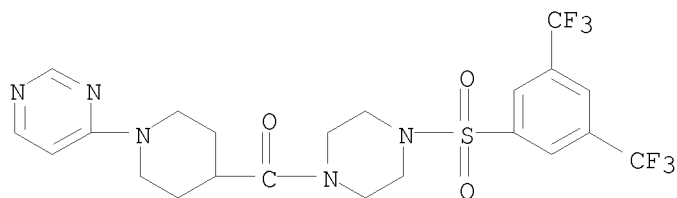
CN Methanone, [4-[(2,5-dibromophenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-78-5 CAPLUS

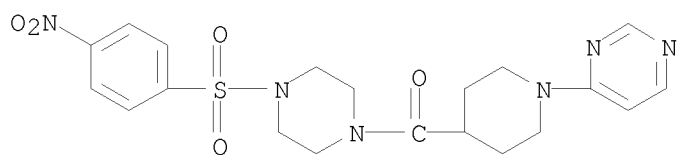
CN Methanone, [4-[[3,5-bis(trifluoromethyl)phenyl]sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)

10/553,803



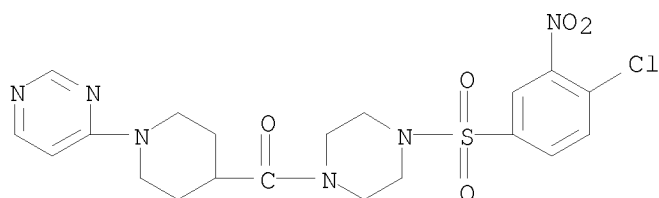
RN 188526-79-6 CAPLUS

CN Methanone, [4-[(4-nitrophenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



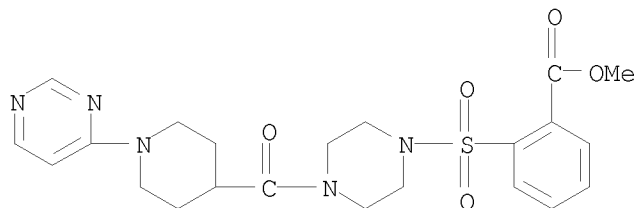
RN 188526-80-9 CAPLUS

CN Methanone, [4-[(4-chloro-3-nitrophenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-81-0 CAPLUS

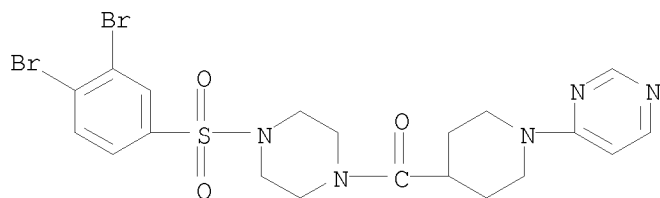
CN Benzoic acid, 2-[[4-[[1-(4-pyrimidinyl)-4-piperidinyl]carbonyl]-1-piperazinyl]sulfonyl]-, methyl ester (CA INDEX NAME)



RN 188526-82-1 CAPLUS

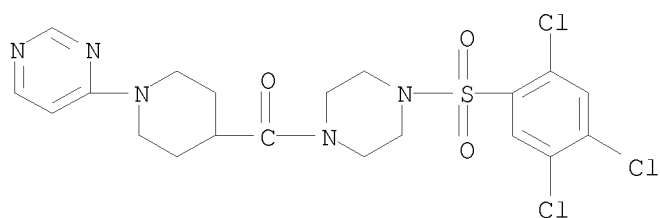
CN Methanone, [4-[(3,4-dibromophenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)

10/553,803



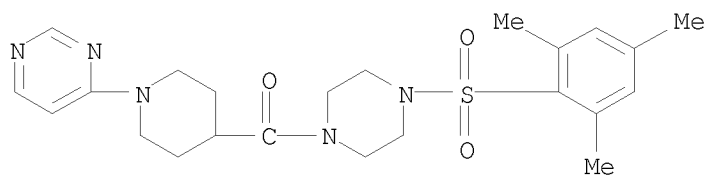
RN 188526-83-2 CAPLUS

CN Methanone, [1-(4-pyrimidinyl)-4-piperidinyll][4-[(2,4,5-trichlorophenyl)sulfonyl]-1-piperazinyl]- (CA INDEX NAME)



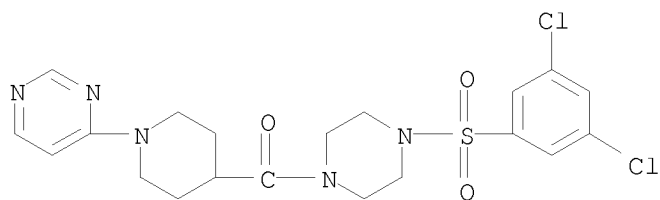
RN 188526-84-3 CAPLUS

CN Methanone, [1-(4-pyrimidinyl)-4-piperidinyll][4-[(2,4,6-trimethylphenyl)sulfonyl]-1-piperazinyl]- (CA INDEX NAME)



RN 188526-85-4 CAPLUS

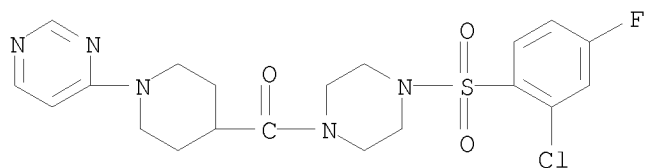
CN Methanone, [4-[(3,5-dichlorophenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyll]- (CA INDEX NAME)



RN 188526-86-5 CAPLUS

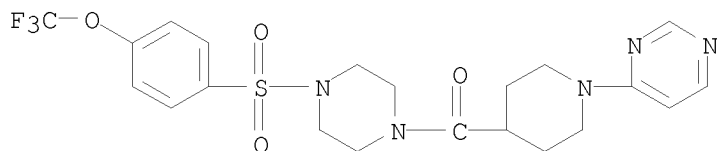
CN Methanone, [4-[(2-chloro-4-fluorophenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyll]- (CA INDEX NAME)

10/553,803



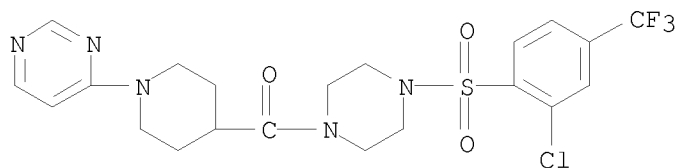
RN 188526-87-6 CAPLUS

CN Methanone, [1-(4-pyrimidinyl)-4-piperidinyl][4-[[4-(trifluoromethoxy)phenyl)sulfonyl]-1-piperazinyl]- (CA INDEX NAME)



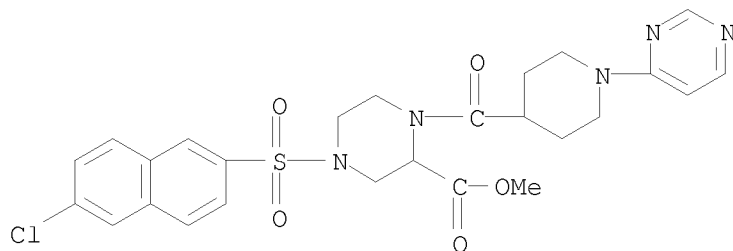
RN 188526-88-7 CAPLUS

CN Methanone, [4-[[2-chloro-4-(trifluoromethyl)phenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-89-8 CAPLUS

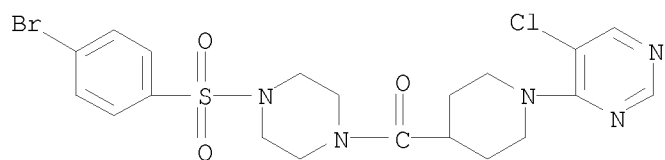
CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyrimidinyl)-4-piperidinyl]carbonyl]-, methyl ester (CA INDEX NAME)



RN 188526-93-4 CAPLUS

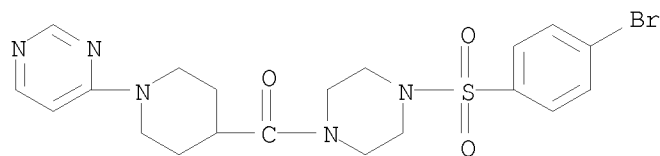
CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl][1-(5-chloro-4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)

10/553,803



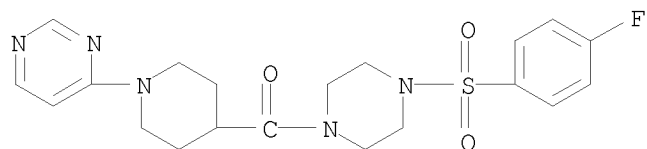
RN 188526-95-6 CAPLUS

CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



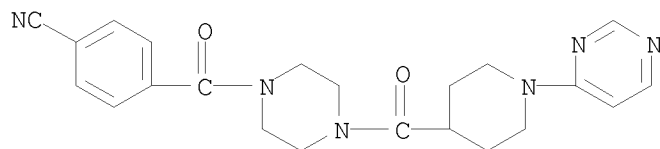
RN 188526-96-7 CAPLUS

CN Methanone, [4-[(4-fluorophenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-97-8 CAPLUS

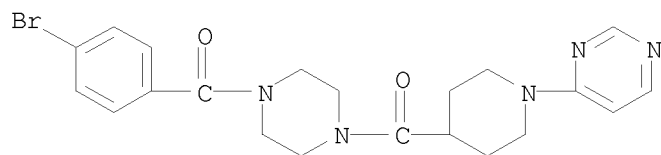
CN Benzonitrile, 4-[[4-[[1-(4-pyrimidinyl)-4-piperidinyl]carbonyl]-1-piperazinyl]carbonyl]- (CA INDEX NAME)



RN 188526-98-9 CAPLUS

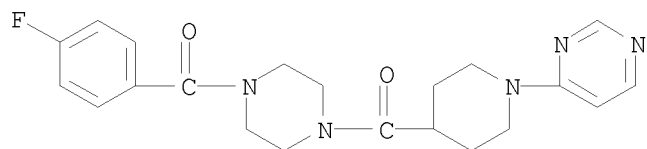
CN Piperazine, 1-(4-bromobenzoyl)-4-[[1-(4-pyrimidinyl)-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

10/553,803



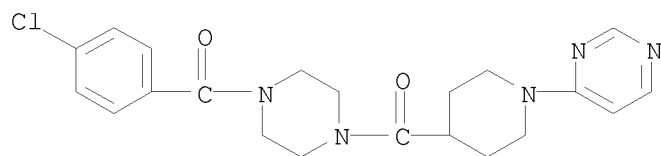
RN 188526-99-0 CAPLUS

CN Piperazine, 1-(4-fluorobenzoyl)-4-[[1-(4-pyrimidinyl)-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)



RN 188527-00-6 CAPLUS

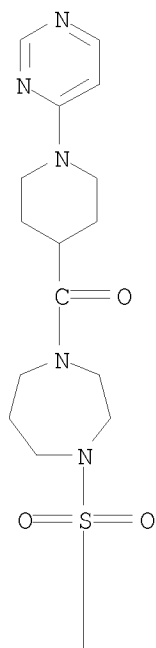
CN Piperazine, 1-(4-chlorobenzoyl)-4-[[1-(4-pyrimidinyl)-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)



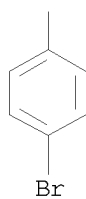
RN 203522-33-2 CAPLUS

CN Methanone, [4-[(4-bromophenyl)sulfonyl]hexahydro-1H-1,4-diazepin-1-yl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)

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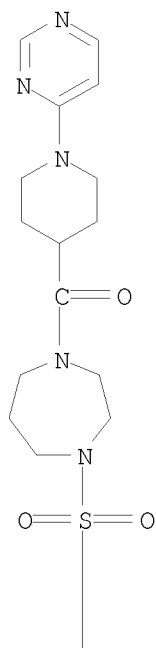


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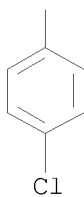


RN 203522-38-7 CAPLUS
 CN Methanone, [4-[(4-chlorophenyl)sulfonyl]hexahydro-1H-1,4-diazepin-1-yl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)

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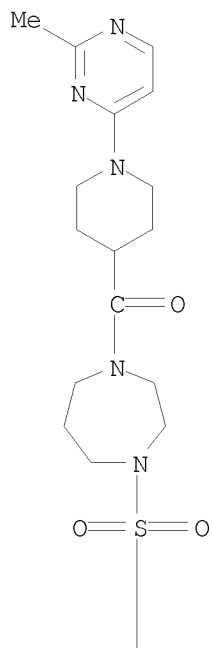


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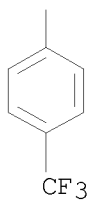


RN 203522-40-1 CAPLUS
 CN Methanone, [hexahydro-4-[[4-(trifluoromethyl)phenyl]sulfonyl]-1H-1,4-diazepin-1-yl][1-(2-methyl-4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)

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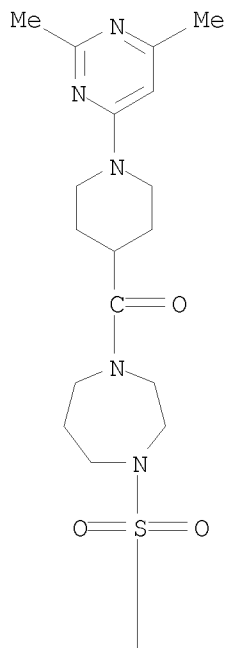


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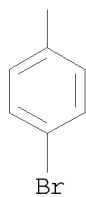


RN 203522-42-3 CAPLUS
 CN Methanone, [4-[(4-bromophenyl)sulfonyl]hexahydro-1H-1,4-diazepin-1-yl][1-(2,6-dimethyl-4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)

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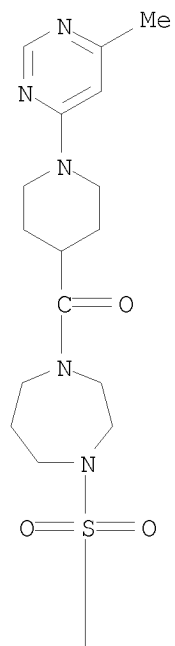


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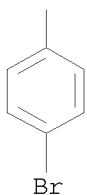


RN 203522-44-5 CAPLUS
 CN Methanone, [4-[(4-bromophenyl)sulfonyl]hexahydro-1H-1,4-diazepin-1-yl][1-(6-methyl-4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)

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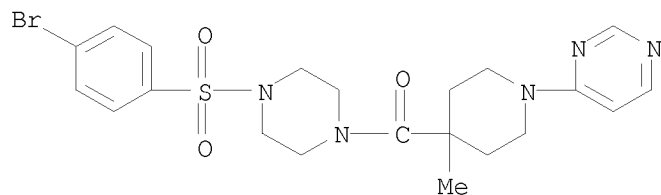


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RN 203522-46-7 CAPLUS

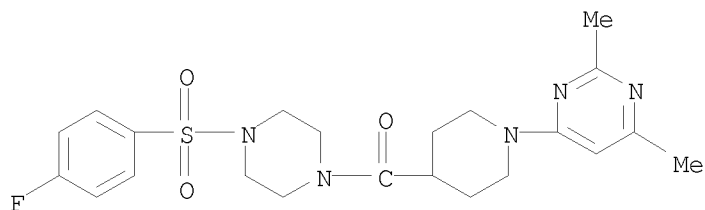
CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl][4-methyl-1-(4-pyrimidinyl)-4-piperidinyloxy]- (CA INDEX NAME)



RN 203522-48-9 CAPLUS

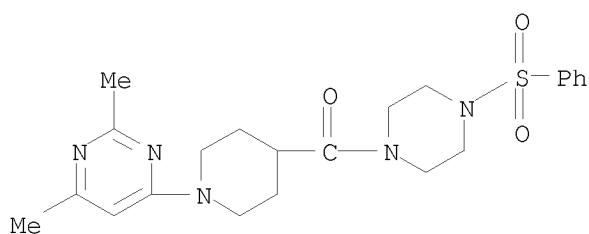
CN Methanone, [1-(2,6-dimethyl-4-pyrimidinyl)-4-piperidinyloxy][4-[(4-fluorophenyl)sulfonyl]-1-piperazinyl]- (CA INDEX NAME)

10/553,803



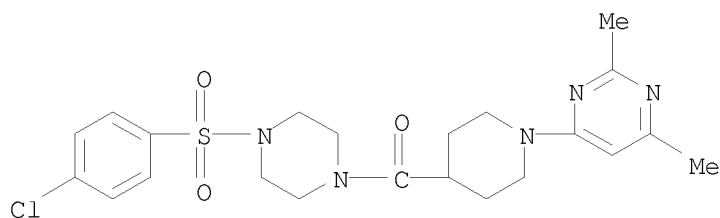
RN 203522-51-4 CAPLUS

CN Methanone, [1-(2,6-dimethyl-4-pyrimidinyl)-4-piperidinyl][4-(phenylsulfonyl)-1-piperazinyl]- (CA INDEX NAME)



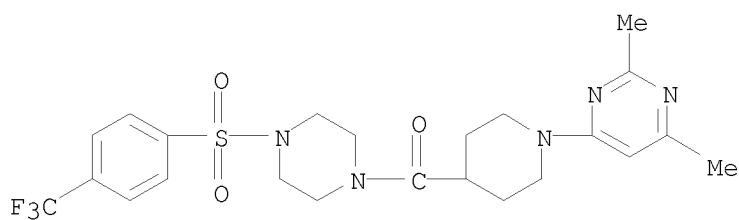
RN 203522-53-6 CAPLUS

CN Methanone, [4-[(4-chlorophenyl)sulfonyl]-1-piperazinyl][1-(2,6-dimethyl-4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 203522-54-7 CAPLUS

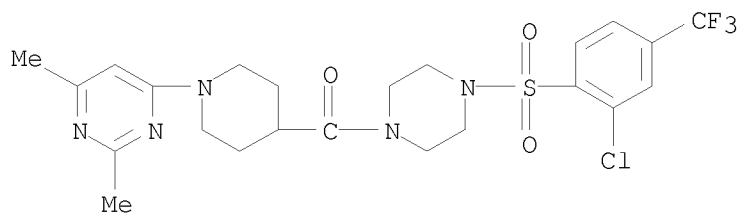
CN Methanone, [1-(2,6-dimethyl-4-pyrimidinyl)-4-piperidinyl][4-[(4-(trifluoromethyl)phenyl)sulfonyl]-1-piperazinyl]- (CA INDEX NAME)



RN 203522-55-8 CAPLUS

10/553,803

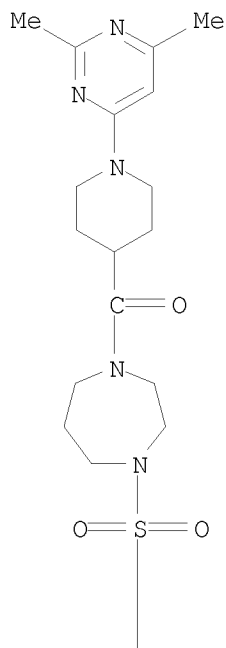
CN Methanone, [4-[[2-chloro-4-(trifluoromethyl)phenyl]sulfonyl]-1-piperazinyl][1-(2,6-dimethyl-4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



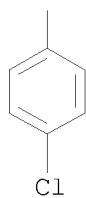
RN 203522-57-0 CAPLUS

CN Methanone, [4-[(4-chlorophenyl)sulfonyl]hexahydro-1H-1,4-diazepin-1-yl][1-(2,6-dimethyl-4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)

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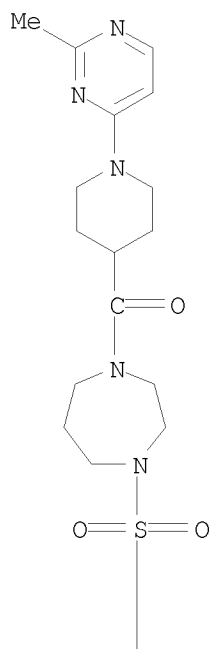


10/553,803

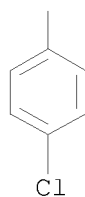
RN 203522-59-2 CAPLUS

CN Methanone, [4-[(4-chlorophenyl)sulfonyl]hexahydro-1H-1,4-diazepin-1-yl][1-(2-methyl-4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)

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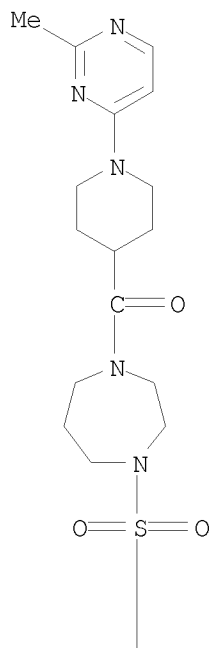
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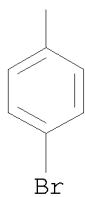
RN 203522-60-5 CAPLUS

CN Methanone, [4-[(4-bromophenyl)sulfonyl]hexahydro-1H-1,4-diazepin-1-yl][1-(2-methyl-4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)

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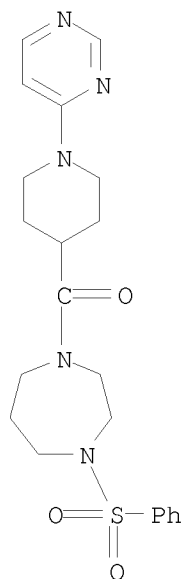


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RN 203522-61-6 CAPLUS
 CN Methanone, [hexahydro-4-(phenylsulfonyl)-1H-1,4-diazepin-1-yl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)

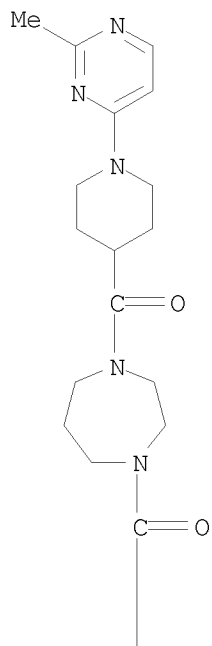
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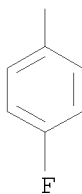
RN 203522-73-0 CAPLUS

CN 1H-1,4-Diazepine, 1-(4-fluorobenzoyl)hexahydro-4-[[1-(2-methyl-4-pyrimidinyl)-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

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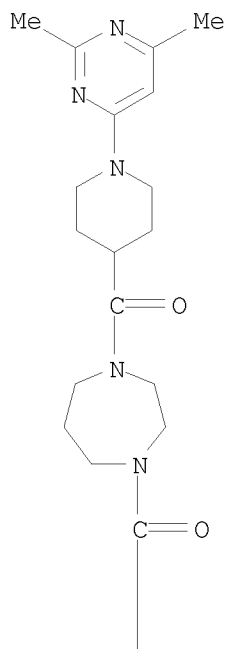


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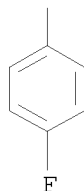


RN 203522-74-1 CAPLUS
 CN 1H-1,4-Diazepine, 1-[[1-(2,6-dimethyl-4-pyrimidinyl)-4-piperidinyl]carbonyl]-4-(4-fluorobenzoyl)hexahydro- (9CI) (CA INDEX NAME)

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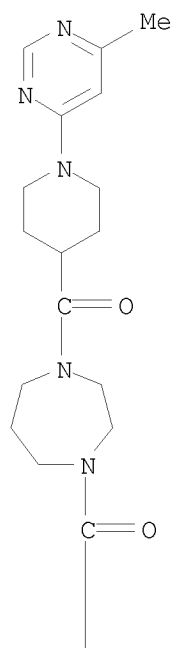


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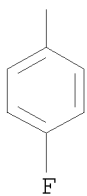


RN 203522-75-2 CAPLUS
 CN 1H-1,4-Diazepine, 1-(4-fluorobenzoyl)hexahydro-4-[[1-(6-methyl-4-pyrimidinyl)-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

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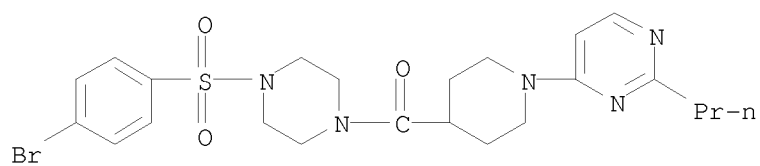


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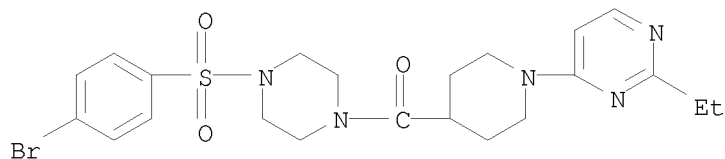
RN 203522-78-5 CAPLUS

CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl][1-(2-propyl-4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



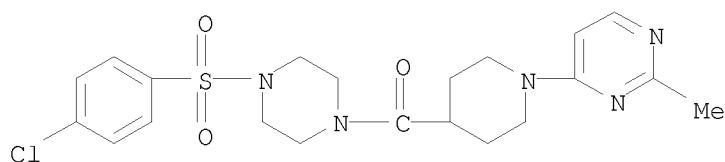
RN 203522-79-6 CAPLUS

CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl][1-(2-ethyl-4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



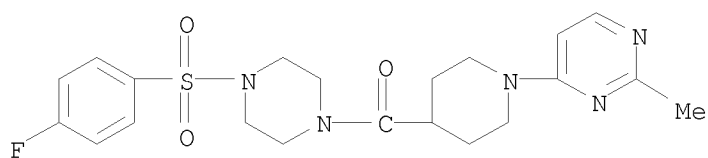
RN 203522-80-9 CAPLUS

CN Methanone, [4-[(4-chlorophenyl)sulfonyl]-1-piperazinyl][1-(2-methyl-4-pyrimidinyl)-4-piperidinyloxy]- (CA INDEX NAME)



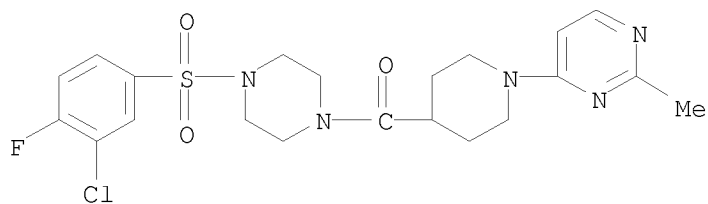
RN 203522-81-0 CAPLUS

CN Methanone, [4-[(4-fluorophenyl)sulfonyl]-1-piperazinyl][1-(2-methyl-4-pyrimidinyl)-4-piperidinyloxy]- (CA INDEX NAME)



RN 203522-83-2 CAPLUS

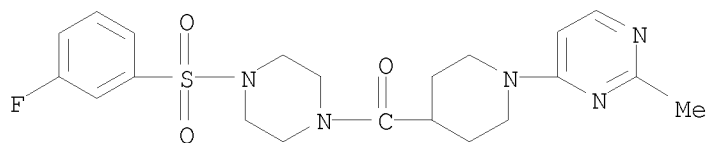
CN Methanone, [4-[(3-chloro-4-fluorophenyl)sulfonyl]-1-piperazinyl][1-(2-methyl-4-pyrimidinyl)-4-piperidinyloxy]- (CA INDEX NAME)



RN 203522-85-4 CAPLUS

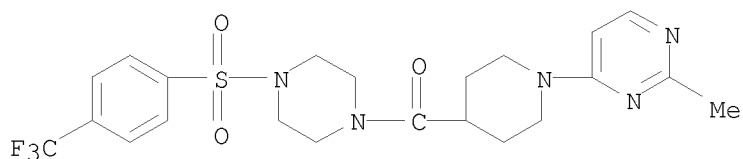
CN Methanone, [4-[(3-fluorophenyl)sulfonyl]-1-piperazinyl][1-(2-methyl-4-pyrimidinyl)-4-piperidinyloxy]- (CA INDEX NAME)

10/553,803



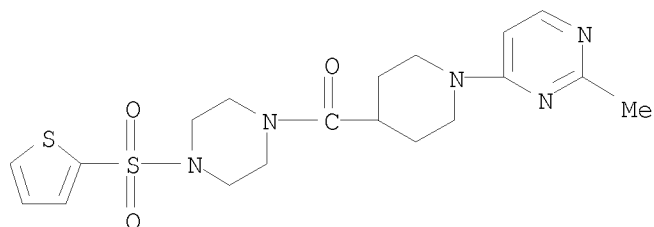
RN 203522-87-6 CAPLUS

CN Methanone, [1-(2-methyl-4-pyrimidinyl)-4-piperidinyl][4-[[4-(trifluoromethyl)phenyl]sulfonyl]-1-piperazinyl]- (CA INDEX NAME)



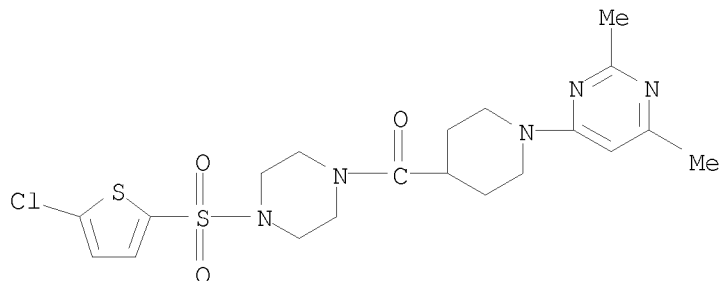
RN 203522-89-8 CAPLUS

CN Methanone, [1-(2-methyl-4-pyrimidinyl)-4-piperidinyl][4-(2-thienylsulfonyl)-1-piperazinyl]- (CA INDEX NAME)



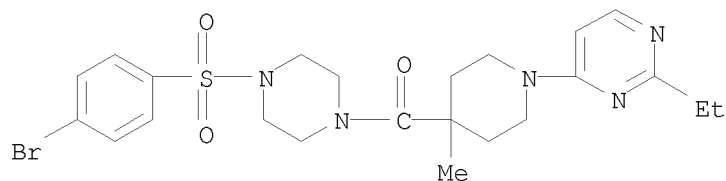
RN 203522-93-4 CAPLUS

CN Methanone, [4-[(5-chloro-2-thienyl)sulfonyl]-1-piperazinyl][1-(2,6-dimethyl-4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



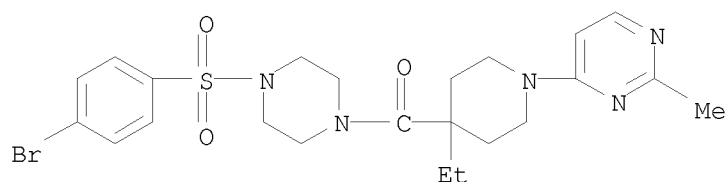
RN 203522-96-7 CAPLUS

CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl][1-(2-ethyl-4-pyrimidinyl)-4-methyl-4-piperidinyl]- (CA INDEX NAME)



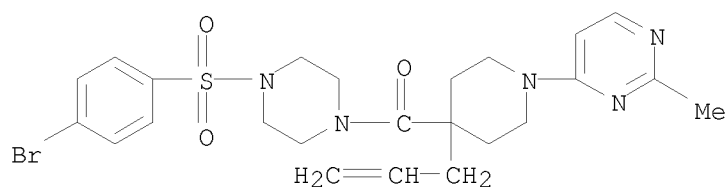
RN 203522-97-8 CAPLUS

CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl][4-ethyl-1-(2-methyl-4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



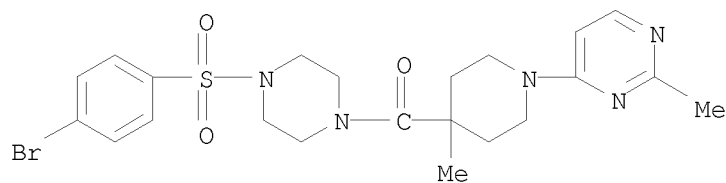
RN 203522-98-9 CAPLUS

CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl][1-(2-methyl-4-pyrimidinyl)-4-(2-propen-1-yl)-4-piperidinyl]- (CA INDEX NAME)



RN 203523-00-6 CAPLUS

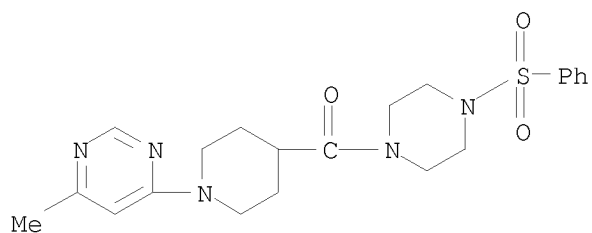
CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl][4-methyl-1-(2-methyl-4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 203523-02-8 CAPLUS

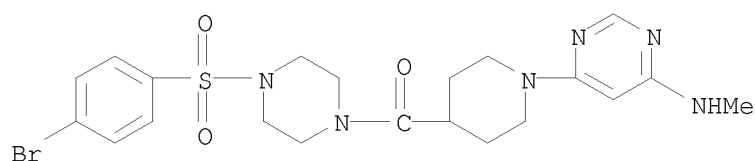
CN Methanone, [1-(6-methyl-4-pyrimidinyl)-4-piperidinyl][4-(phenylsulfonyl)-1-piperazinyl]- (CA INDEX NAME)

10/553,803



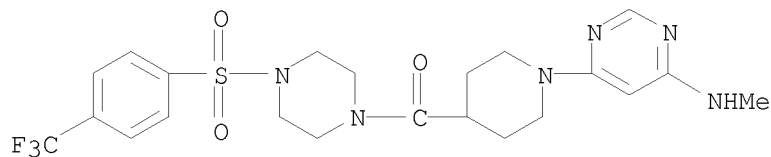
RN 203523-05-1 CAPLUS

CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl][1-[6-(methylamino)-4-pyrimidinyl]-4-piperidinyl]- (CA INDEX NAME)



RN 203523-06-2 CAPLUS

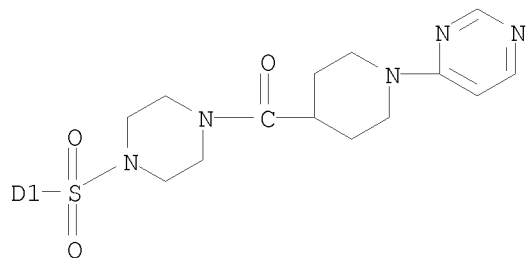
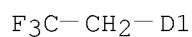
CN Methanone, [1-[6-(methylamino)-4-pyrimidinyl]-4-piperidinyl][4-[[4-(trifluoromethyl)phenyl]sulfonyl]-1-piperazinyl]- (CA INDEX NAME)



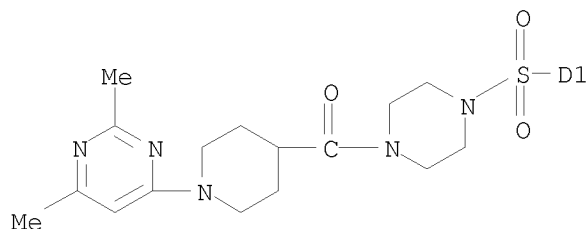
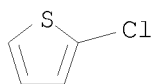
RN 203574-71-4 CAPLUS

CN Piperazine, 1-[[1-(4-pyrimidinyl)-4-piperidinyl]carbonyl]-4-[[2,2,2-trifluoroethyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

10/553,803

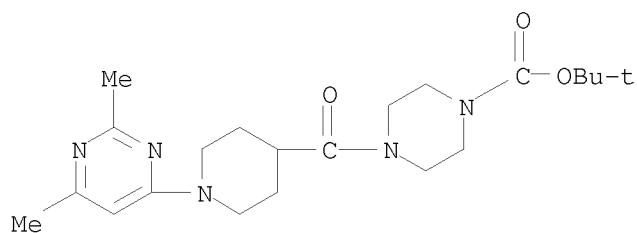


RN 203574-72-5 CAPLUS
CN Piperazine, 1-[(2-chlorothieryl)sulfonyl]-4-[[1-(2,6-dimethyl-4-pyrimidinyl)-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)



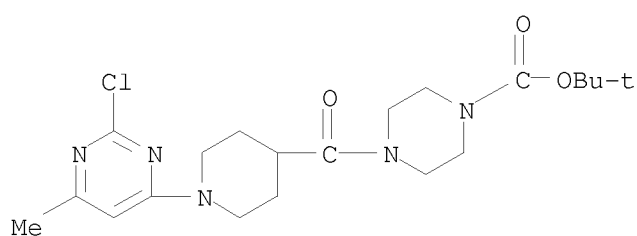
IT 203519-19-1P 203520-06-3P 203520-07-4P
203520-66-5P 203520-91-6P 203520-93-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of pyrimidinylpiperidinylcarbonylpiperazines and related
comps. as inhibitors of oxidosqualene cyclase)
RN 203519-19-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[1-(2,6-dimethyl-4-pyrimidinyl)-4-
piperidinyl]carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

10/553,803



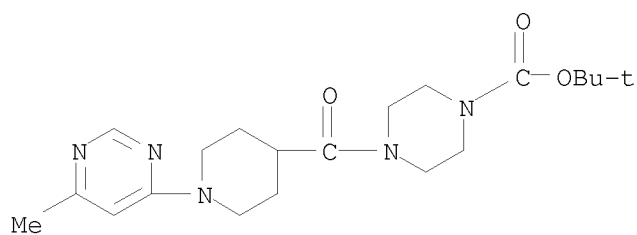
RN 203520-06-3 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[[1-(2-chloro-6-methyl-4-pyrimidinyl)-4-piperidinyl]carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



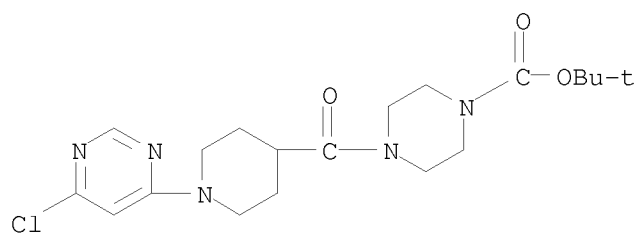
RN 203520-07-4 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[[1-(6-methyl-4-pyrimidinyl)-4-piperidinyl]carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 203520-66-5 CAPLUS

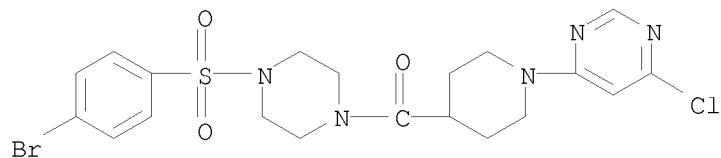
CN 1-Piperazinecarboxylic acid, 4-[[1-(6-chloro-4-pyrimidinyl)-4-piperidinyl]carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 203520-91-6 CAPLUS

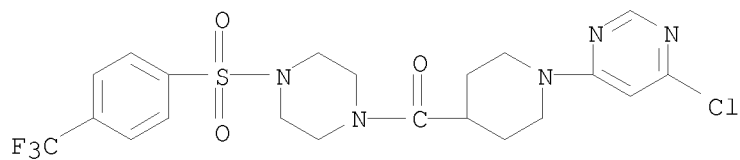
10/553,803

CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl][1-(6-chloro-4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 203520-93-8 CAPLUS

CN Methanone, [1-(6-chloro-4-pyrimidinyl)-4-piperidinyl][4-[[4-(trifluoromethyl)phenyl]sulfonyl]-1-piperazinyl]- (CA INDEX NAME)



REFERENCE COUNT:

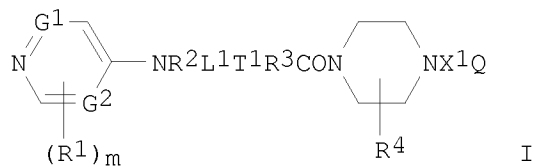
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THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 37 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:542445 CAPLUS
 DOCUMENT NUMBER: 127:234328
 ORIGINAL REFERENCE NO.: 127:45732h,45733a
 TITLE: Preparation of pyridylpiperidinylcarbonylpiperazines
 and related compounds as
 antithrombotics/anticoagulants.
 INVENTOR(S): Faull, Alan Wellington
 PATENT ASSIGNEE(S): Zeneca Ltd., UK; Faull, Alan Wellington
 SOURCE: PCT Int. Appl., 46 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9729104	A1	19970814	WO 1997-GB270	19970131
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9715534	A	19970828	AU 1997-15534	19970131
EP 880516	A1	19981202	EP 1997-901728	19970131
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2000504682	T	20000418	JP 1997-528261	19970131
ZA 9700912	A	19970805	ZA 1997-912	19970204
US 6022869	A	20000208	US 1998-117673	19980804
PRIORITY APPLN. INFO.:			GB 1996-2294	A 19960205
			WO 1997-GB270	W 19970131
OTHER SOURCE(S):		MARPAT 127:234328		
GI				



AB Title compds. [I; T1, G1, G2 = CH, N; R1 = halo, CF3, OCF3, cyano, amino, OH, NO2, alkyl, alkoxy; L1 = (substituted) alkylene, 1,2-cycloalkylene, alkylencarbonyl; R2, R3 = H, alkyl; R2R3 = (substituted) alkylene, methylenecarbonyl; R4 = CONR7(CH2)nSOpR8, CONH(CH2)qNR9R10, AY1; R7 = H; R8 = alkyl, Ph, phenylalkyl; R7R8 = alkylene; R9, R10 = H, alkyl, Ph, alkylphenyl, SOpR8, heteroaryl, COR11; R11 = H, alkyl, Ph, alkylphenyl; R14-R16 = H, alkyl; A = alkylene; Y1 = SOpR8, NHSO2R8, pyrrolidin-1-yl, piperidino, morpholino, piperazin-1-yl, etc.; m, p = 0-2; q = 2-4; X1 = O, S, SO, SO2, CO, CO2, CONR14, CR15R16; Q = (substituted) Ph, naphthyl,

phenylalkyl, heterocyclyl], were prepared Thus,
 4-(6-bromonaphth-2-ylsulfonyl)-2-carboxy-1-[1-(4-pyridyl)piperidin-4-ylcarbonyl]piperazine (preparation given) in DMF was treated with
 N-3-dimethylaminopropyl-N-ethylcarbodiimide, 1-hydroxybenzotriazole, and
 2-(ethylthio)amine in DMF to give 44%
 4-(6-bromonaphth-2-ylsulfonyl)-2-[N-2-(ethylthioethyl) carbamoyl]-1-[1-(4-pyridyl)piperidin-4-ylcarbonyl]piperazine. The latter inhibited Factor Xa
 with IC50 = 0.004 μ M.

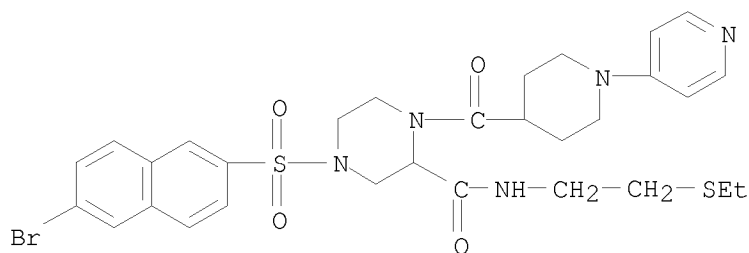
IT 195153-83-4P 195153-84-5P 195153-85-6P
 195153-86-7P 195153-87-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridylpiperidinylcarbonylpiperazines and related compds. as antithrombotics/anticoagulants)

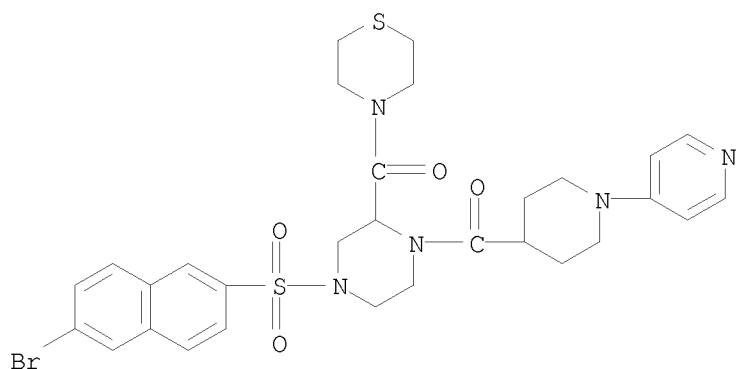
RN 195153-83-4 CAPLUS

CN 2-Piperazinecarboxamide, 4-[(6-bromo-2-naphthalenyl)sulfonyl]-N-[2-(ethylthio)ethyl]-1-[1-(4-pyridinyl)-4-piperidinyl]carbonyl]- (CA INDEX NAME)



RN 195153-84-5 CAPLUS

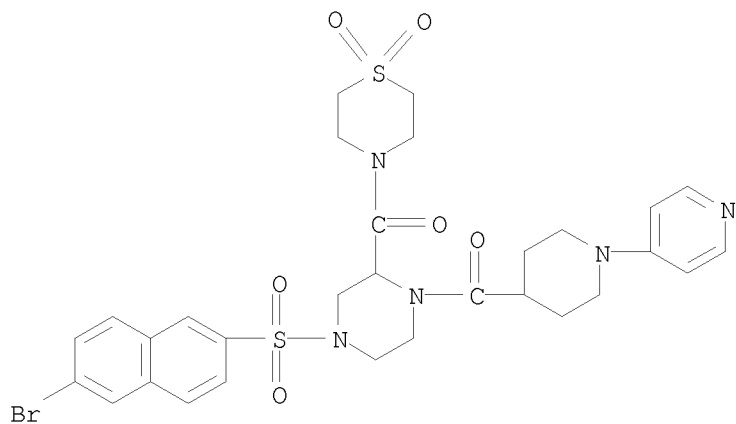
CN Thiomorpholine, 4-[[4-[(6-bromo-2-naphthalenyl)sulfonyl]-1-[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-2-piperazinyl]carbonyl]- (9CI) (CA INDEX NAME)



RN 195153-85-6 CAPLUS

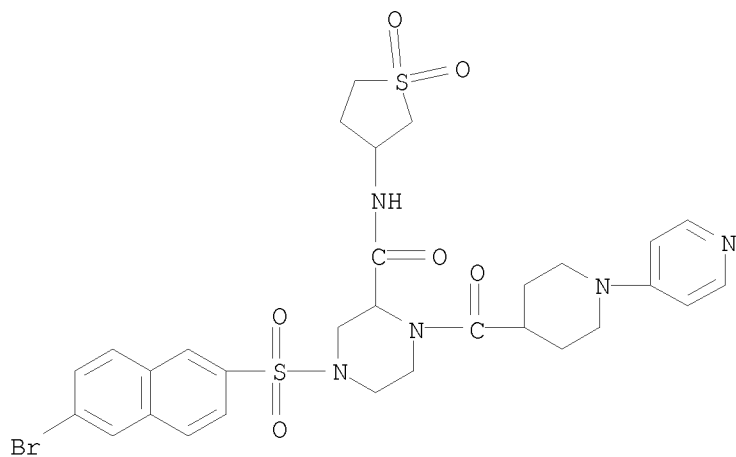
CN Thiomorpholine, 4-[[4-[(6-bromo-2-naphthalenyl)sulfonyl]-1-[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-2-piperazinyl]carbonyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)

10/553,803



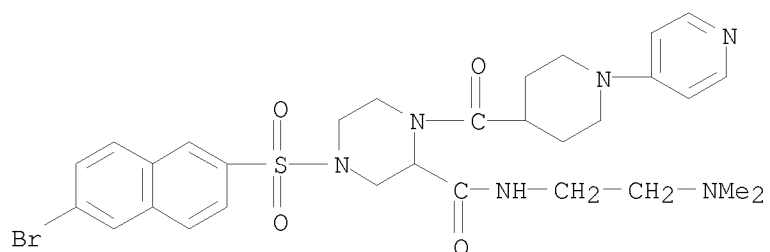
RN 195153-86-7 CAPLUS

CN 2-Piperazinecarboxamide, 4-[(6-bromo-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-N-(tetrahydro-1,1-dioxido-3-thienyl)-
(CA INDEX NAME)



RN 195153-87-8 CAPLUS

CN 2-Piperazinecarboxamide, 4-[(6-bromo-2-naphthalenyl)sulfonyl]-N-[2-(dimethylamino)ethyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-
(CA INDEX NAME)



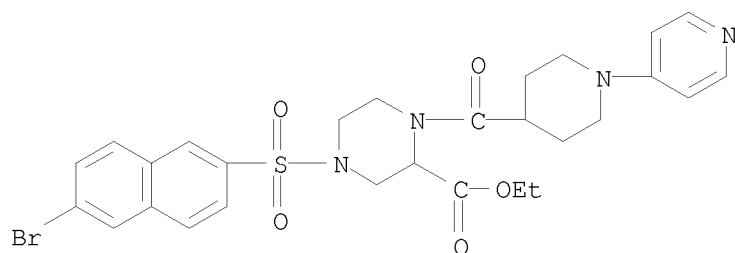
IT 179050-61-4P 179050-62-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyridylpiperidinylcarbonylpiperazines and related compds. as antithrombotics/anticoagulants)

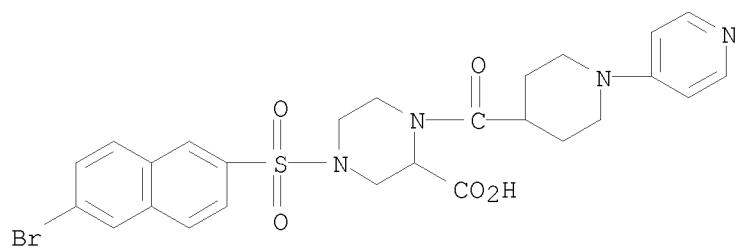
RN 179050-61-4 CAPLUS

CN 2-Piperazinecarboxylic acid, 4-[(6-bromo-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-, ethyl ester (CA INDEX NAME)



RN 179050-62-5 CAPLUS

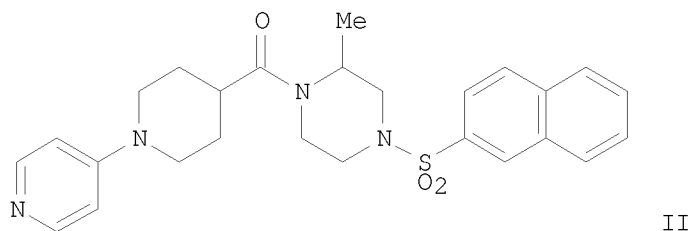
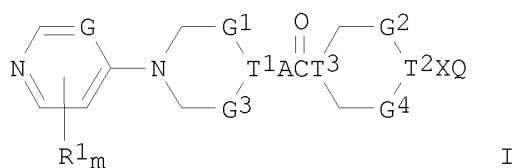
CN 2-Piperazinecarboxylic acid, 4-[(6-bromo-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]- (CA INDEX NAME)



L16 ANSWER 38 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:259776 CAPLUS
 DOCUMENT NUMBER: 126:238391
 ORIGINAL REFERENCE NO.: 126:46129a,46132a
 TITLE: Preparation of (di)azinyldicarbonyl(di)azines as
 oxidosqualene cyclase inhibitors
 INVENTOR(S): Brown, George Robert; Stokes, Elaine Sophie Elisabeth;
 Waterson, David; Wood, Robin
 PATENT ASSIGNEE(S): Zeneca Limited, UK; Brown, George Robert; Stokes,
 Elaine Sophie Elisabeth; Waterson, David; Wood, Robin
 SOURCE: PCT Int. Appl., 88 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9706802	A1	19970227	WO 1996-GB1985	19960814
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA				
CA 2226735	A1	19970227	CA 1996-2226735	19960814
AU 9667485	A	19970312	AU 1996-67485	19960814
EP 844877	A1	19980603	EP 1996-927782	19960814
EP 844877	B1	20050126		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
CN 1193276	A	19980916	CN 1996-196278	19960814
JP 11511161	T	19990928	JP 1996-509050	19960814
AT 287715	T	20050215	AT 1996-927782	19960814
US 6090813	A	20000718	US 1998-11718	19980213
PRIORITY APPLN. INFO.:			GB 1995-16709	A 19950815
			WO 1996-GB1985	W 19960814
OTHER SOURCE(S):	MARPAT	126:238391		
GI				



AB Title compds. [I; A = bond or alkylene; G, T1-T3 = CH or N (T2 ≠ T3 = CH); Q = cycloalkyl, heterocycllyl, phenyl(alkyl), etc.; R1 = H, halo, NH2, cyano, alkyl, alkoxy; X = O, SO0-2, CO, CONH, etc.; G1, G2 = 1 or 2 CH2; G3, G4 = 0 or 1 CH2; m = 1 or 2] were prepared Thus, 1-(4-pyridyl)piperidine-4-carbonyl chloride (preparation given) was amidated by 3-methyl-1-(2-naphthylsulfonyl)piperazine to give title compound II. Data for biol. activity of 1 prepared I were given.

IT 179049-56-0P 179049-81-1P 179049-82-2P
 179049-93-5P 179049-94-6P 179049-95-7P
 179049-96-8P 179049-98-0P 179049-99-1P
 179050-00-1P 179050-03-4P 179050-05-6P
 179050-06-7P 179050-07-8P 179050-09-0P
 179050-10-3P 179050-11-4P 179050-12-5P
 179050-23-8P 179050-27-2P 179050-28-3P
 179050-30-7P 179050-31-8P 179050-34-1P
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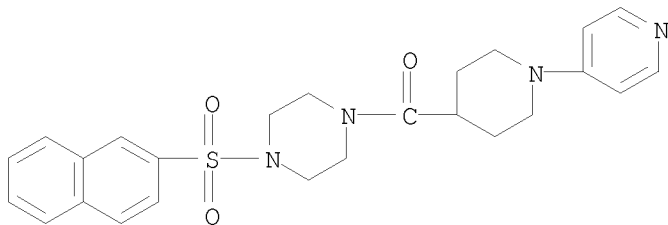
188526-99-0P 188527-00-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (di)azinylcarbonyl(di)azines as oxidosqualene cyclase inhibitors)

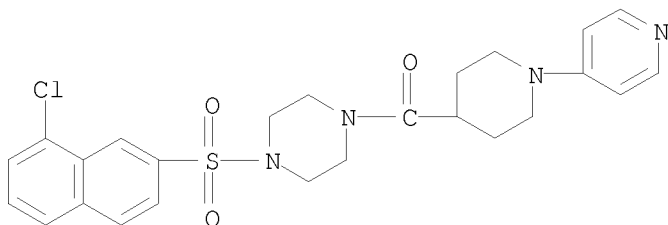
RN 179049-56-0 CAPLUS

CN Methanone, [4-(2-naphthalenylsulfonyl)-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



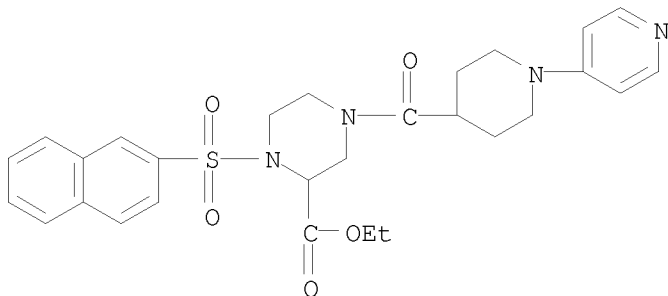
RN 179049-81-1 CAPLUS

CN Methanone, [4-[(8-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 179049-82-2 CAPLUS

CN 2-Piperazinecarboxylic acid, 1-(2-naphthalenylsulfonyl)-4-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-, ethyl ester (CA INDEX NAME)

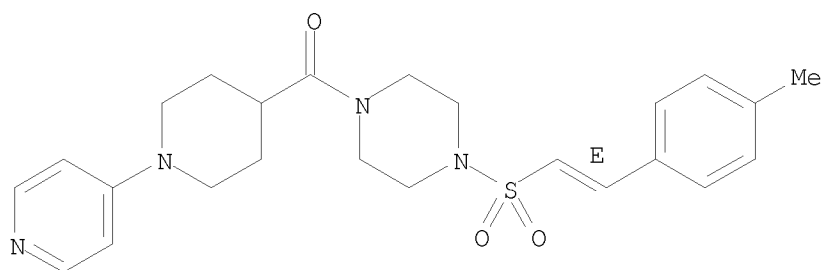


RN 179049-93-5 CAPLUS

CN Piperazine, 1-[[2-(4-methylphenyl)ethenyl]sulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-, (E)- (9CI) (CA INDEX NAME)

10/553,803

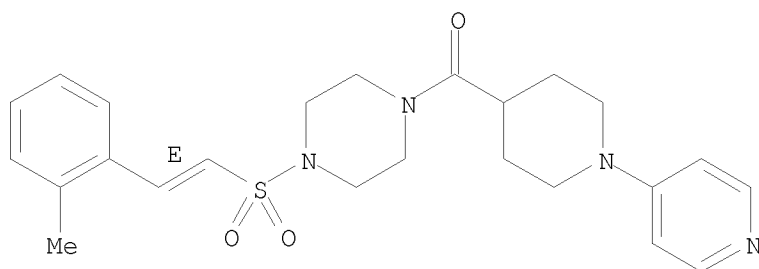
Double bond geometry as shown.



RN 179049-94-6 CAPLUS

CN Piperazine, 1-[[2-(2-methylphenyl)ethenyl]sulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-, (E)- (9CI) (CA INDEX NAME)

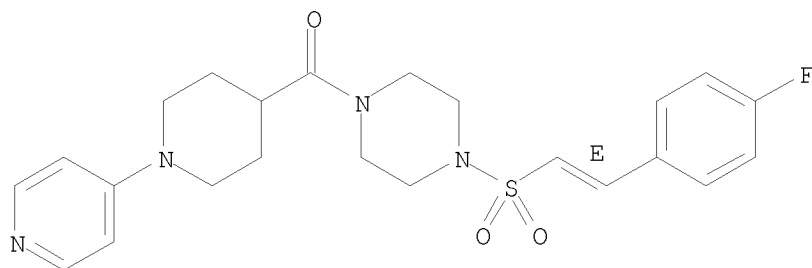
Double bond geometry as shown.



RN 179049-95-7 CAPLUS

CN Piperazine, 1-[[2-(4-fluorophenyl)ethenyl]sulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

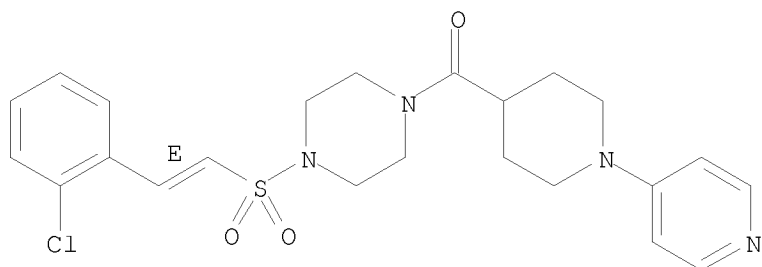


RN 179049-96-8 CAPLUS

CN Piperazine, 1-[[2-(2-chlorophenyl)ethenyl]sulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

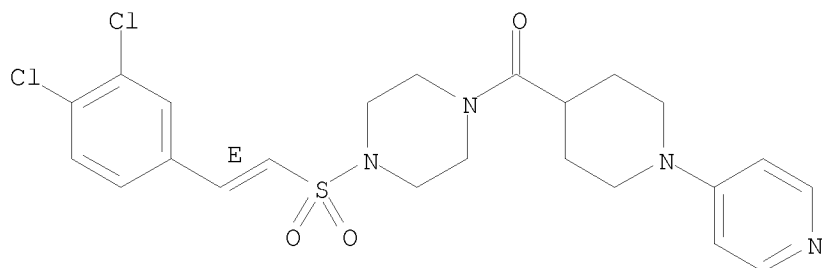
10/553,803



RN 179049-98-0 CAPLUS

CN Piperazine, 1-[[2-(3,4-dichlorophenyl)ethenyl]sulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-, (E)- (9CI) (CA INDEX NAME)

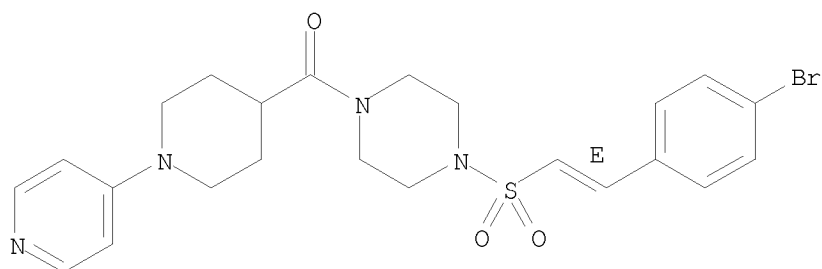
Double bond geometry as shown.



RN 179049-99-1 CAPLUS

CN Piperazine, 1-[[2-(4-bromophenyl)ethenyl]sulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

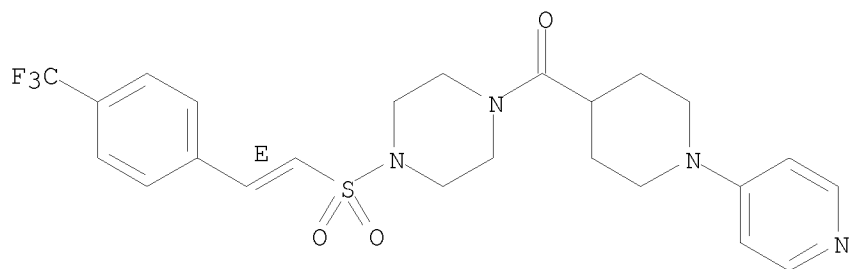


RN 179050-00-1 CAPLUS

CN Piperazine, 1-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-4-[[2-(4-(trifluoromethyl)phenyl)ethenyl]sulfonyl]-, (E)- (9CI) (CA INDEX NAME)

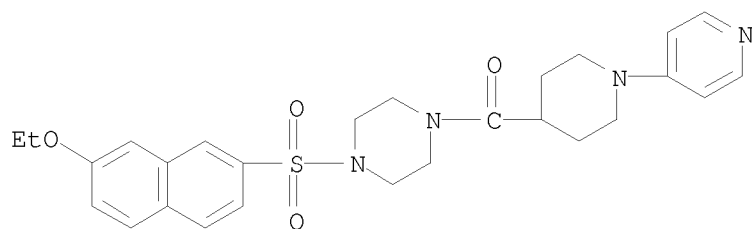
Double bond geometry as shown.

10/553,803



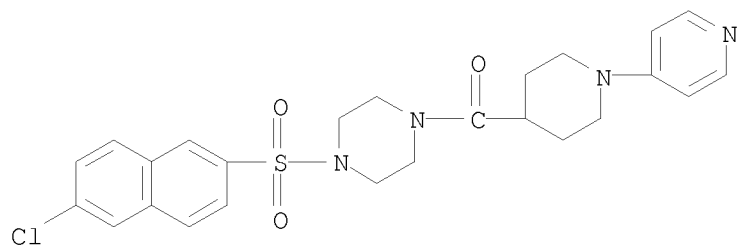
RN 179050-03-4 CAPLUS

CN Methanone, [4-[(7-ethoxy-2-naphthalenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 179050-05-6 CAPLUS

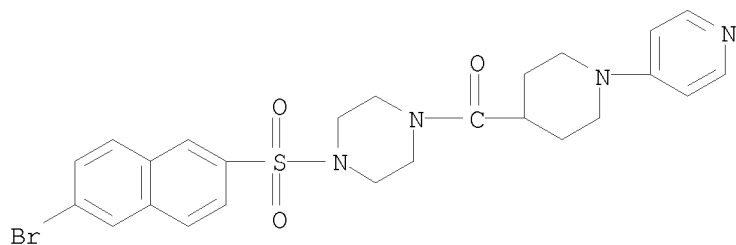
CN Methanone, [4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 179050-06-7 CAPLUS

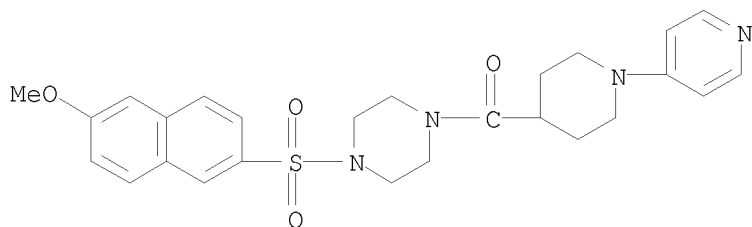
CN Methanone, [4-[(6-bromo-2-naphthalenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)

10/553,803



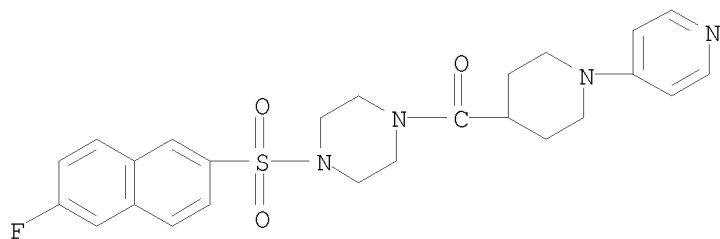
RN 179050-07-8 CAPLUS

CN Methanone, [4-[(6-methoxy-2-naphthalenyl)sulfonyl]-1-piperazinyl] [1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



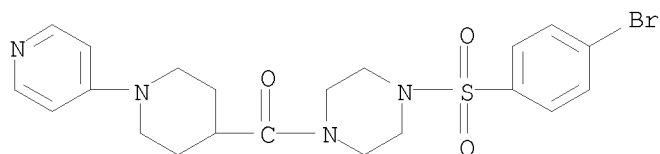
RN 179050-09-0 CAPLUS

CN Methanone, [4-[(6-fluoro-2-naphthalenyl)sulfonyl]-1-piperazinyl] [1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 179050-10-3 CAPLUS

CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl] [1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)

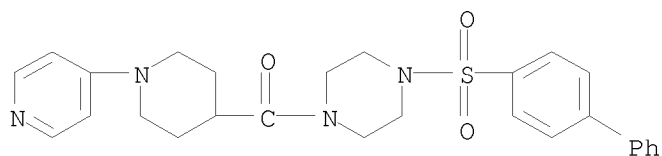


RN 179050-11-4 CAPLUS

CN Methanone, [4-([1,1'-biphenyl]-4-ylsulfonyl)-1-piperazinyl] [1-(4-

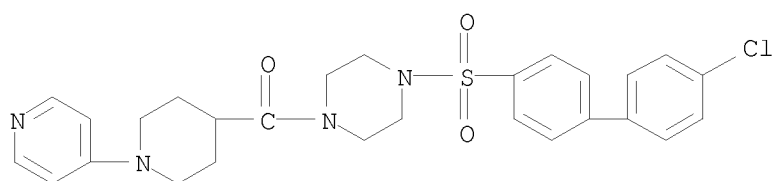
10/553,803

pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



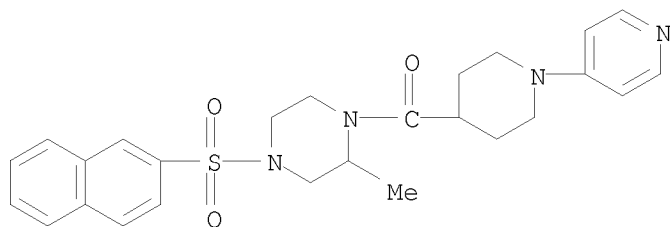
RN 179050-12-5 CAPLUS

CN Methanone, [4-[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



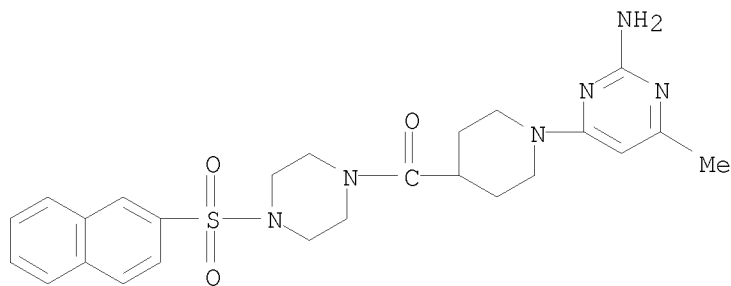
RN 179050-23-8 CAPLUS

CN Methanone, [2-methyl-4-(2-naphthalenylsulfonyl)-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 179050-27-2 CAPLUS

CN Methanone, [1-(2-amino-6-methyl-4-pyrimidinyl)-4-piperidinyl][4-(2-naphthalenylsulfonyl)-1-piperazinyl]- (CA INDEX NAME)

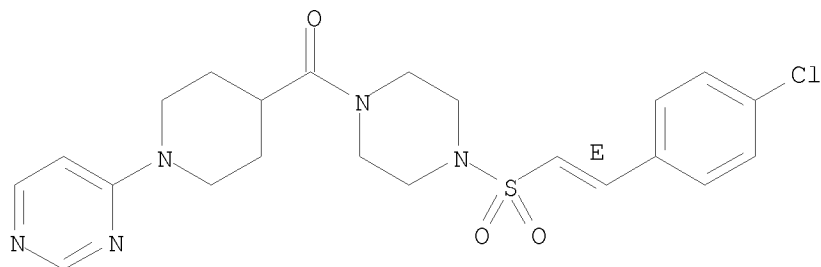


RN 179050-28-3 CAPLUS

10/553,803

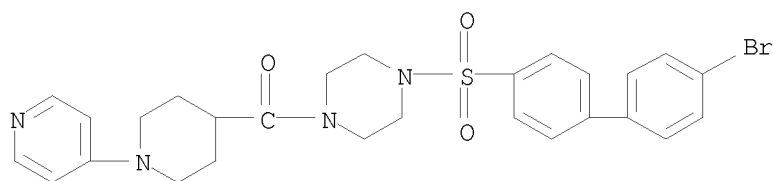
CN Piperazine, 1-[[2-(4-chlorophenyl)ethenyl]sulfonyl]-4-[[1-(4-pyrimidinyl)-4-piperidinyl]carbonyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



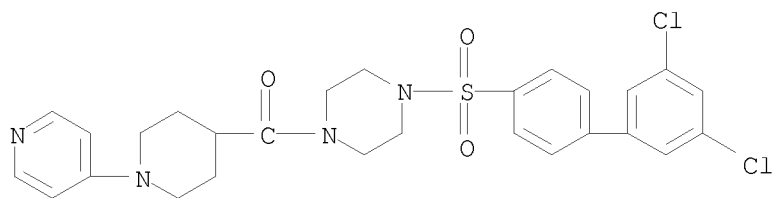
RN 179050-30-7 CAPLUS

CN Methanone, [4-[(4'-bromo[1,1'-biphenyl]-4-yl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



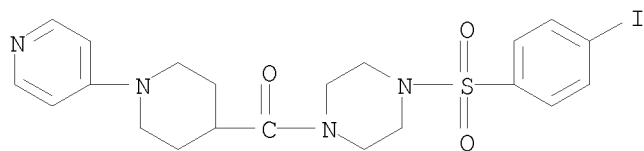
RN 179050-31-8 CAPLUS

CN Methanone, [4-[(3',5'-dichloro[1,1'-biphenyl]-4-yl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 179050-34-1 CAPLUS

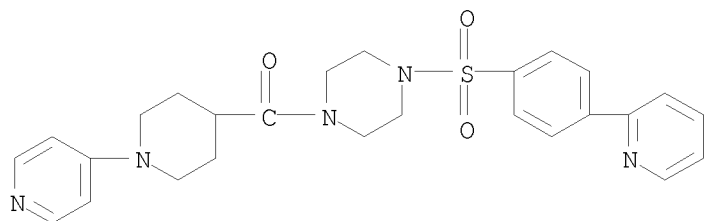
CN Methanone, [4-[(4-iodophenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 179050-40-9 CAPLUS

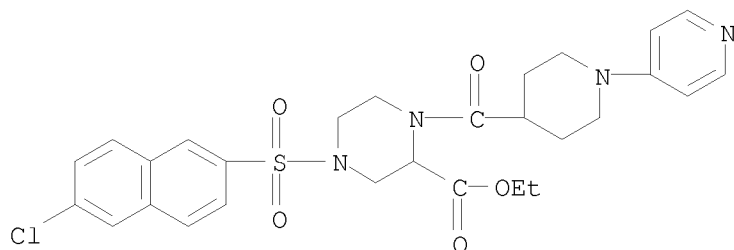
10/553,803

CN Methanone, [4-[[4-(2-pyridinyl)phenyl]sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



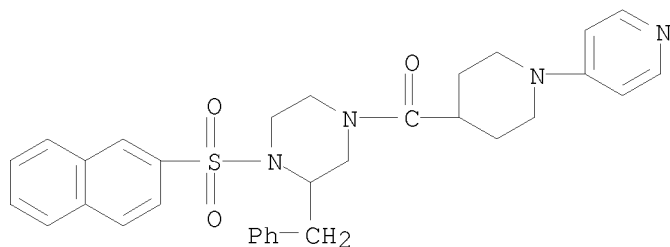
RN 179050-42-1 CAPLUS

CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-, ethyl ester (CA INDEX NAME)



RN 179050-46-5 CAPLUS

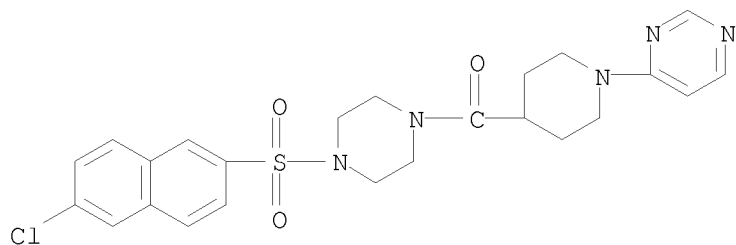
CN Methanone, [4-(2-naphthalenylsulfonyl)-3-(phenylmethyl)-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 179050-48-7 CAPLUS

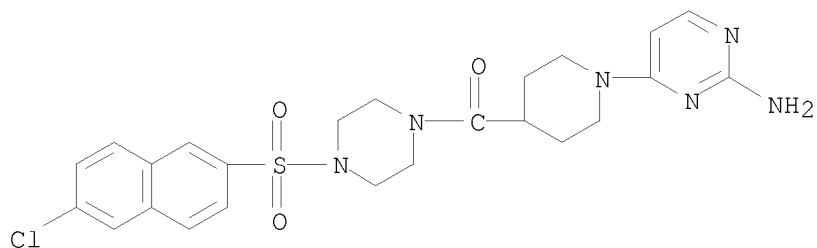
CN Methanone, [4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)

10/553,803



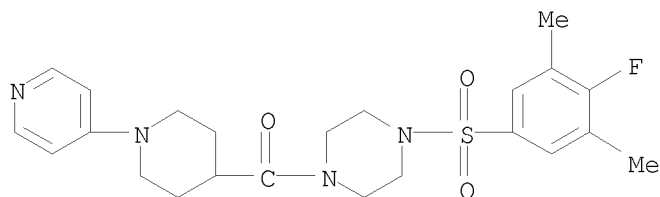
RN 179050-49-8 CAPLUS

CN Methanone, [1-(2-amino-4-pyrimidinyl)-4-piperidinyl][4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]- (CA INDEX NAME)



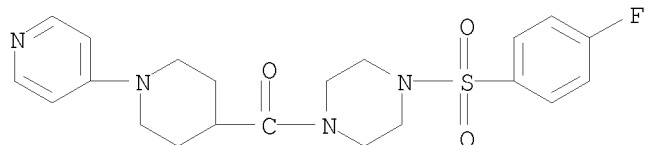
RN 188526-29-6 CAPLUS

CN Methanone, [4-[(4-fluoro-3,5-dimethylphenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-30-9 CAPLUS

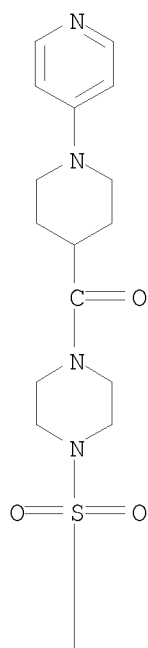
CN Methanone, [4-[(4-fluorophenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



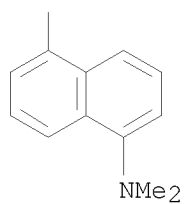
RN 188526-31-0 CAPLUS

CN Methanone, [4-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)

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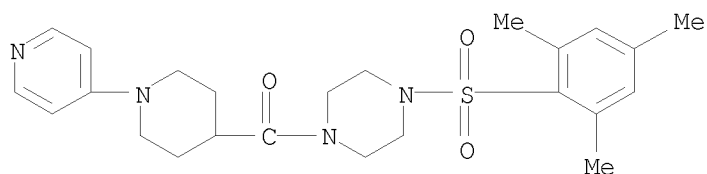


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RN 188526-32-1 CAPLUS

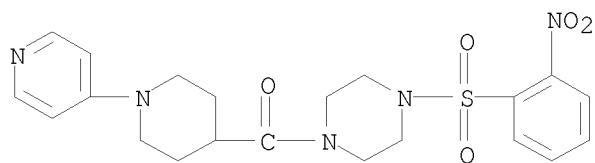
CN	Methanone, [1-(4-pyridinyl)-4-piperidinyl][4-[(2,4,6-trimethylphenyl)sulfonyl]-1-piperazinyl]- (CA INDEX NAME)
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RN 188526-33-2 CAPLUS

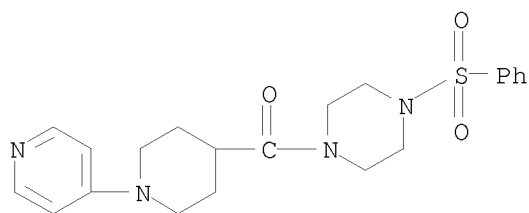
CN Methanone, [4-[(2-nitrophenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)

10/553,803



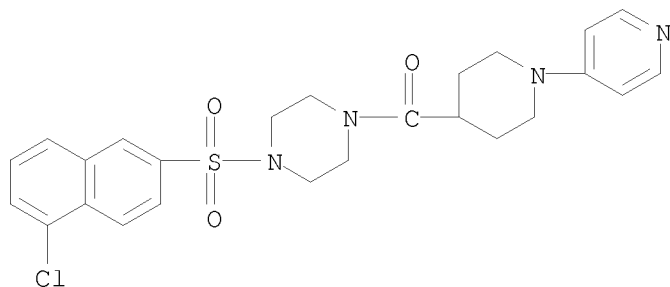
RN 188526-34-3 CAPLUS

CN Methanone, [4-(phenylsulfonyl)-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



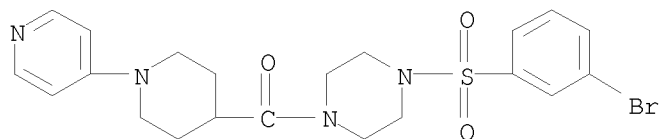
RN 188526-35-4 CAPLUS

CN Methanone, [4-[(5-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



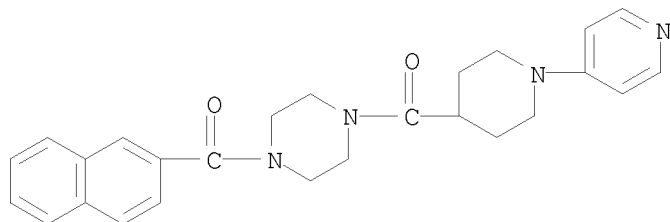
RN 188526-36-5 CAPLUS

CN Methanone, [4-[(3-bromophenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



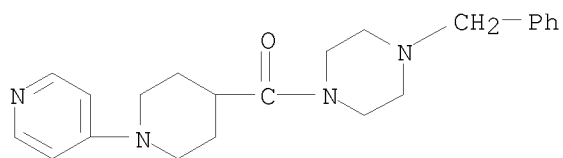
RN 188526-37-6 CAPLUS

CN Piperazine, 1-(2-naphthalenylcarbonyl)-4-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)



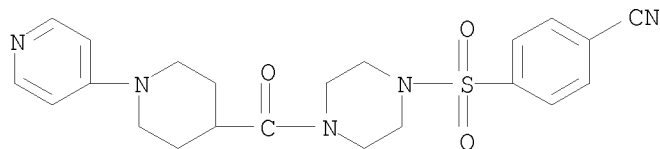
RN 188526-38-7 CAPLUS

CN Methanone, [4-(phenylmethyl)-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]-
(CA INDEX NAME)



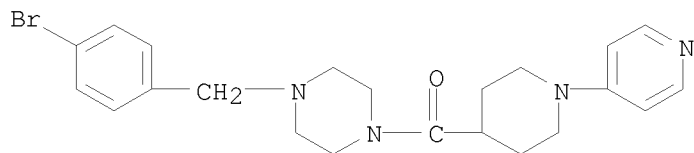
RN 188526-41-2 CAPLUS

CN Benzonzonitrile, 4-[[4-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-1-piperazinyl]sulfonyl]- (CA INDEX NAME)



RN 188526-42-3 CAPLUS

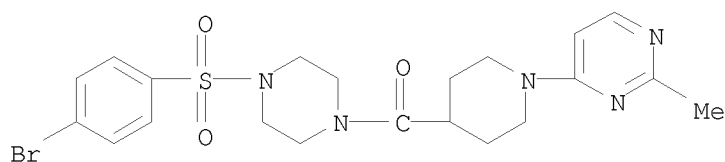
CN Methanone, [4-[(4-bromophenyl)methyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-43-4 CAPLUS

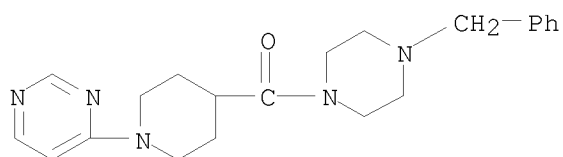
CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl][1-(2-methyl-4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)

10/553,803



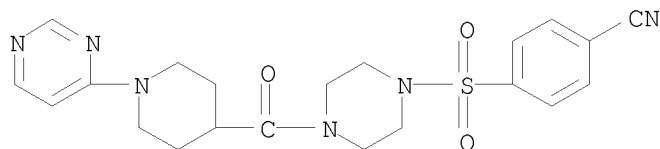
RN 188526-44-5 CAPLUS

CN Methanone, [4-(phenylmethyl)-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



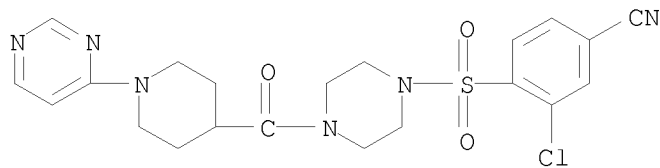
RN 188526-45-6 CAPLUS

CN Benzonitrile, 4-[[4-[[1-(4-pyrimidinyl)-4-piperidinyl]carbonyl]-1-piperazinyl]sulfonyl]- (CA INDEX NAME)



RN 188526-46-7 CAPLUS

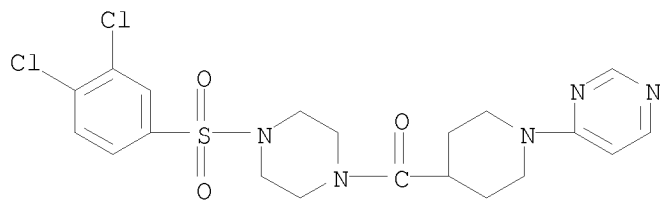
CN Benzonitrile, 3-chloro-4-[[4-[[1-(4-pyrimidinyl)-4-piperidinyl]carbonyl]-1-piperazinyl]sulfonyl]- (CA INDEX NAME)



RN 188526-47-8 CAPLUS

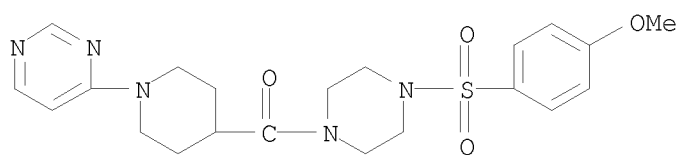
CN Methanone, [4-[(3,4-dichlorophenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)

10/553,803



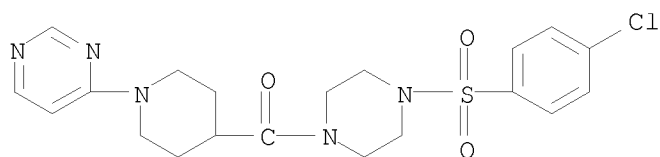
RN 188526-48-9 CAPLUS

CN Methanone, [4-[(4-methoxyphenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyloxycarbonyl]- (CA INDEX NAME)



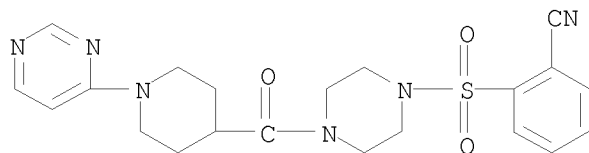
RN 188526-49-0 CAPLUS

CN Methanone, [4-[(4-chlorophenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyloxycarbonyl]- (CA INDEX NAME)



RN 188526-50-3 CAPLUS

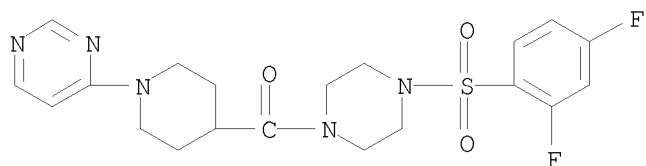
CN Benzonitrile, 2-[[4-[[1-(4-pyrimidinyl)-4-piperidinyloxycarbonyl]-1-piperazinyl]sulfonyl]- (CA INDEX NAME)



RN 188526-51-4 CAPLUS

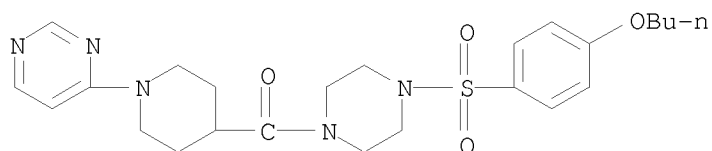
CN Methanone, [4-[(2,4-difluorophenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyloxycarbonyl]- (CA INDEX NAME)

10/553,803



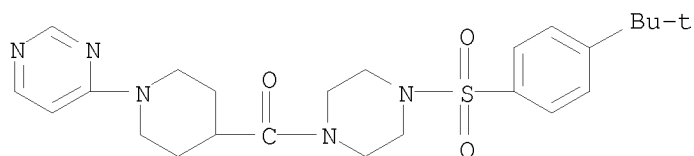
RN 188526-52-5 CAPLUS

CN Methanone, [4-[(4-butoxyphenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



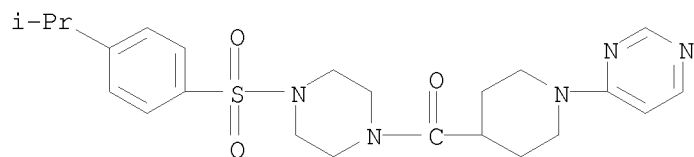
RN 188526-53-6 CAPLUS

CN Methanone, [4-[[4-(1,1-dimethylethyl)phenyl]sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-54-7 CAPLUS

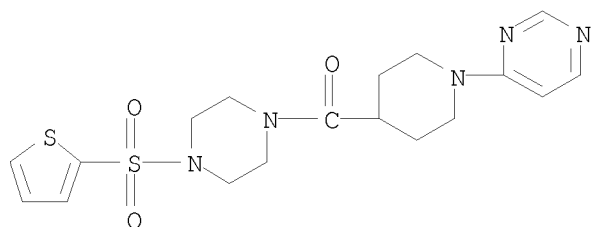
CN Methanone, [4-[[4-(1-methylethyl)phenyl]sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-55-8 CAPLUS

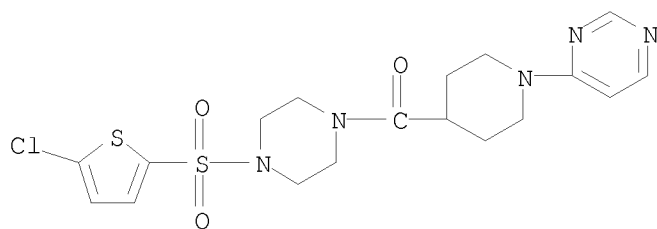
CN Methanone, [1-(4-pyrimidinyl)-4-piperidinyl][4-(2-thienylsulfonyl)-1-piperazinyl]- (CA INDEX NAME)

10/553,803



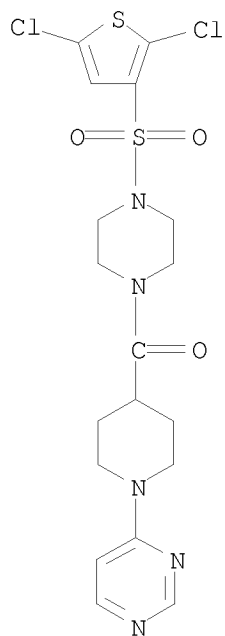
RN 188526-56-9 CAPLUS

CN Methanone, [4-[(5-chloro-2-thienyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-57-0 CAPLUS

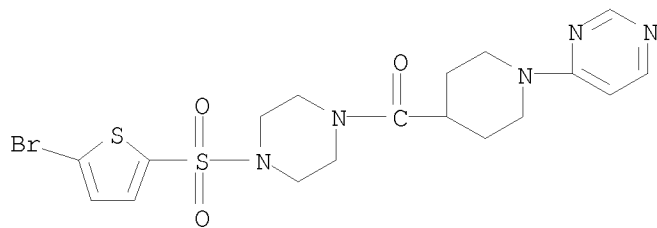
CN Methanone, [4-[(2,5-dichloro-3-thienyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-58-1 CAPLUS

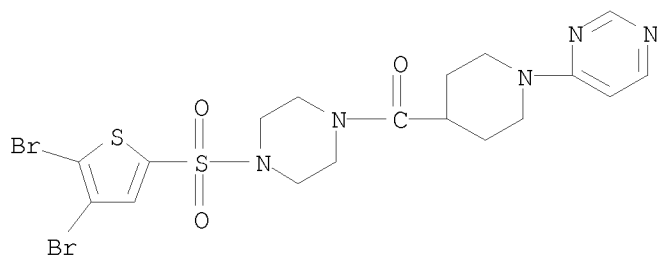
CN Methanone, [4-[(5-bromo-2-thienyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]-

pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



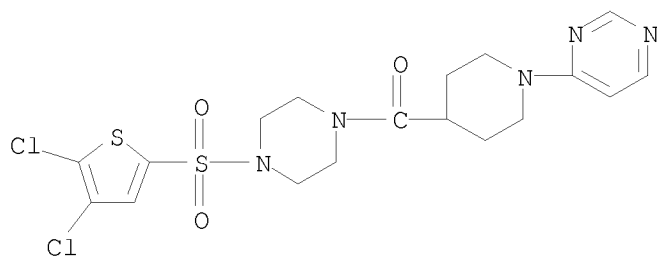
RN 188526-59-2 CAPLUS

CN Methanone, [4-[(4,5-dibromo-2-thienyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-60-5 CAPLUS

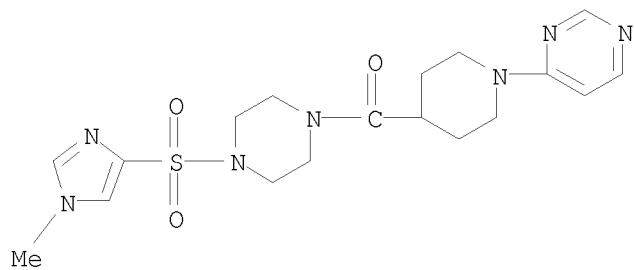
CN Methanone, [4-[(4,5-dichloro-2-thienyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-61-6 CAPLUS

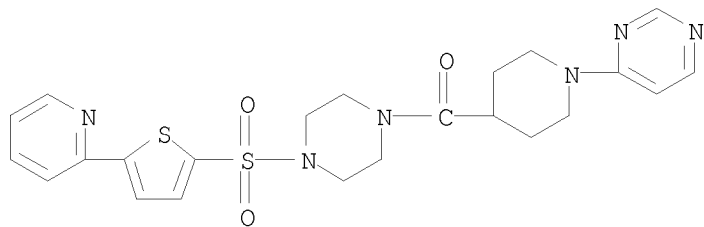
CN Methanone, [4-[(1-methyl-1H-imidazol-4-yl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)

10/553,803



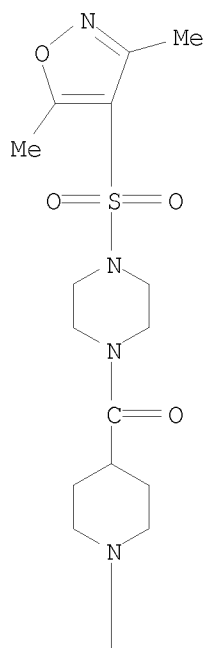
RN 188526-62-7 CAPLUS

CN Methanone, [4-[[5-(2-pyridinyl)-2-thienyl]sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)

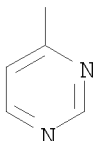


RN 188526-63-8 CAPLUS

CN Methanone, [4-[(3,5-dimethyl-4-isoxazolyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)

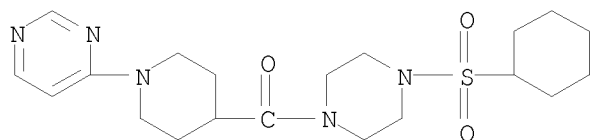


PAGE 1-A



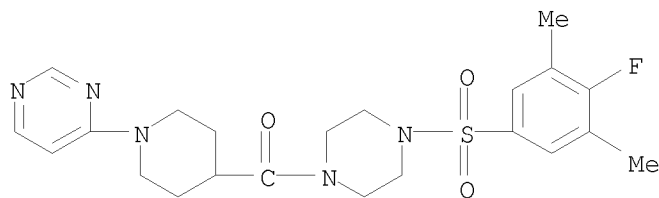
RN 188526-64-9 CAPLUS

CN Methanone, [4-(cyclohexylsulfonyl)-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



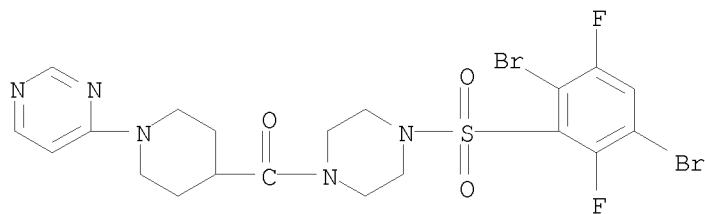
RN 188526-65-0 CAPLUS

CN Methanone, [4-[(4-fluoro-3,5-dimethylphenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-66-1 CAPLUS

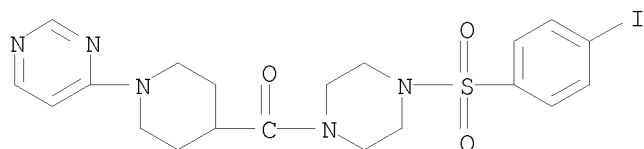
CN Methanone, [4-[(2,5-dibromo-3,6-difluorophenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-67-2 CAPLUS

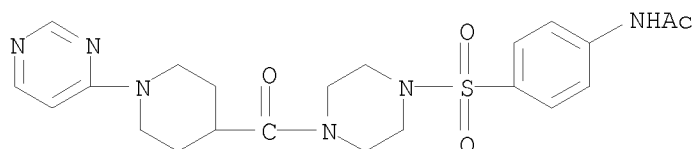
CN Methanone, [4-[(4-iodophenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)

10/553,803



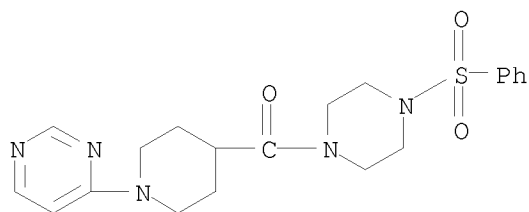
RN 188526-68-3 CAPLUS

CN Acetamide, N-[4-[[4-[[1-(4-pyrimidinyl)-4-piperidinyl]carbonyl]-1-piperazinyl]sulfonyl]phenyl]- (CA INDEX NAME)



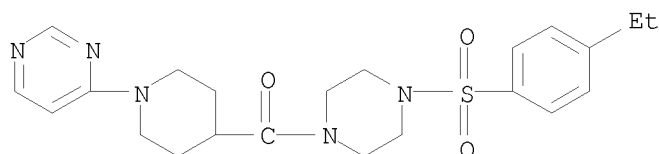
RN 188526-69-4 CAPLUS

CN Methanone, [4-(phenylsulfonyl)-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-70-7 CAPLUS

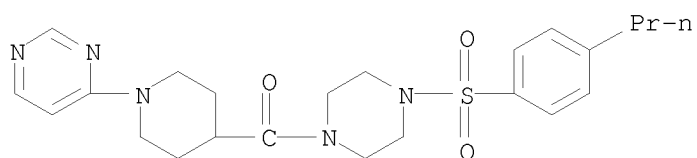
CN Methanone, [4-[(4-ethylphenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-71-8 CAPLUS

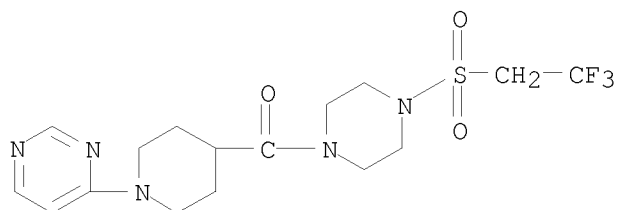
CN Methanone, [4-[(4-propylphenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)

10/553,803



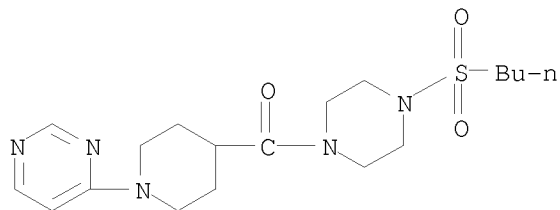
RN 188526-72-9 CAPLUS

CN Methanone, [1-(4-pyrimidinyl)-4-piperidinyl][4-[(2,2,2-trifluoroethyl)sulfonyl]-1-piperazinyl]- (CA INDEX NAME)



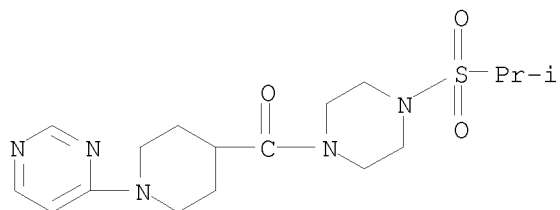
RN 188526-73-0 CAPLUS

CN Methanone, [4-(butylsulfonyl)-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



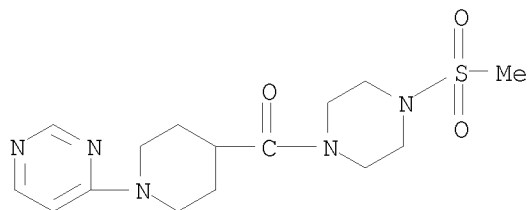
RN 188526-74-1 CAPLUS

CN Methanone, [4-[(1-methylethyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



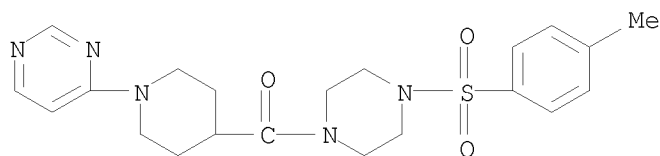
RN 188526-75-2 CAPLUS

CN Methanone, [4-(methylsulfonyl)-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



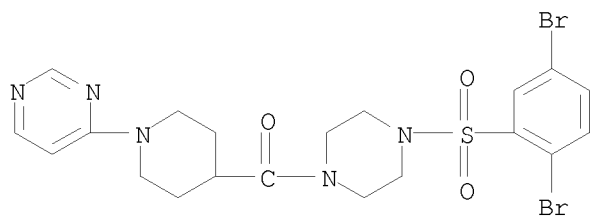
RN 188526-76-3 CAPLUS

CN Methanone, [4-[(4-methylphenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



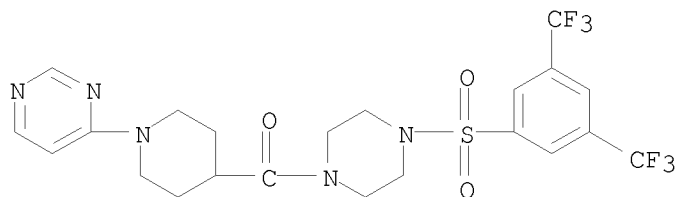
RN 188526-77-4 CAPLUS

CN Methanone, [4-[(2,5-dibromophenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-78-5 CAPLUS

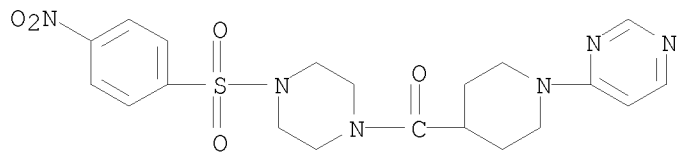
CN Methanone, [4-[(3,5-bis(trifluoromethyl)phenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-79-6 CAPLUS

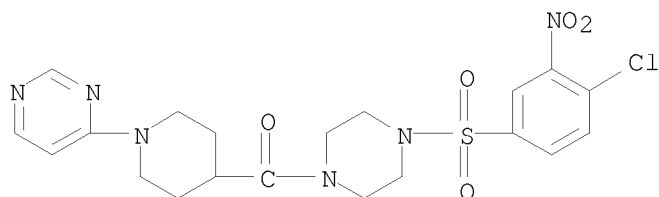
CN Methanone, [4-[(4-nitrophenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)

10/553,803



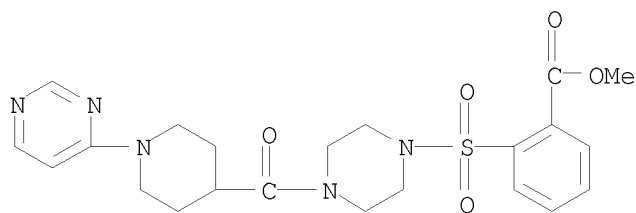
RN 188526-80-9 CAPLUS

CN Methanone, [4-[(4-chloro-3-nitrophenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



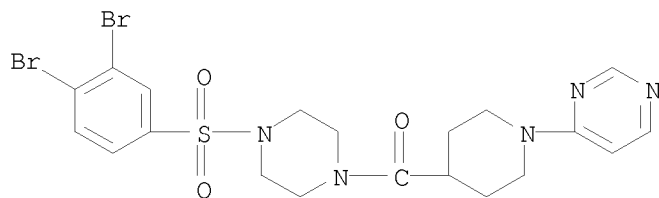
RN 188526-81-0 CAPLUS

CN Benzoic acid, 2-[[4-[[1-(4-pyrimidinyl)-4-piperidinyl]carbonyl]-1-piperazinyl]sulfonyl]-, methyl ester (CA INDEX NAME)



RN 188526-82-1 CAPLUS

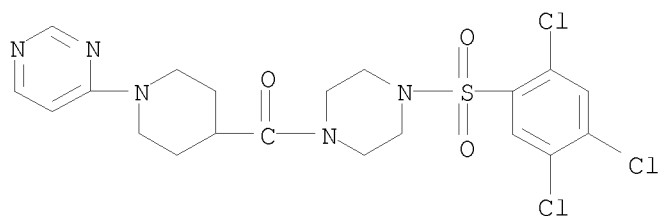
CN Methanone, [4-[(3,4-dibromophenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-83-2 CAPLUS

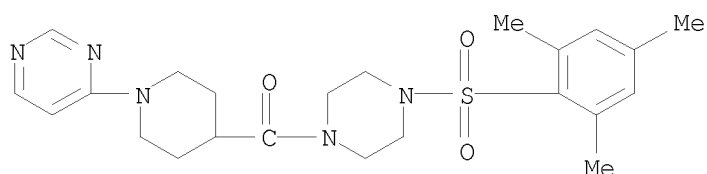
CN Methanone, [1-(4-pyrimidinyl)-4-piperidinyl][4-[(2,4,5-trichlorophenyl)sulfonyl]-1-piperazinyl]- (CA INDEX NAME)

10/553,803



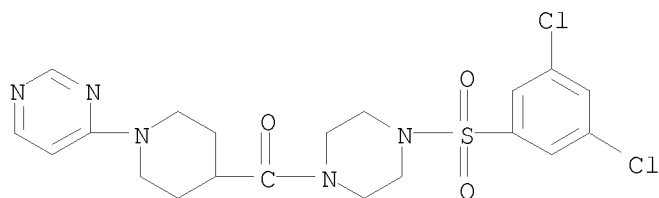
RN 188526-84-3 CAPLUS

CN Methanone, [1-(4-pyrimidinyl)-4-piperidinyl][4-[(2,4,6-trimethylphenyl)sulfonyl]-1-piperazinyl]- (CA INDEX NAME)



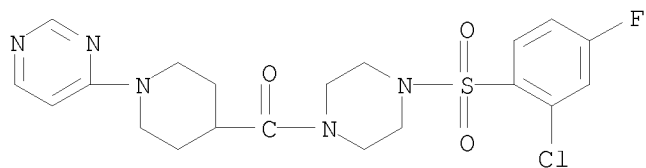
RN 188526-85-4 CAPLUS

CN Methanone, [4-[(3,5-dichlorophenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-86-5 CAPLUS

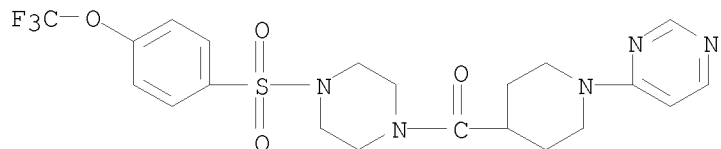
CN Methanone, [4-[(2-chloro-4-fluorophenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-87-6 CAPLUS

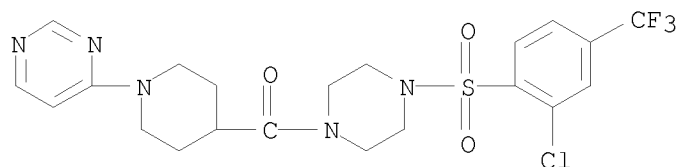
CN Methanone, [1-(4-pyrimidinyl)-4-piperidinyl][4-[[4-(trifluoromethoxy)phenyl]sulfonyl]-1-piperazinyl]- (CA INDEX NAME)

10/553,803



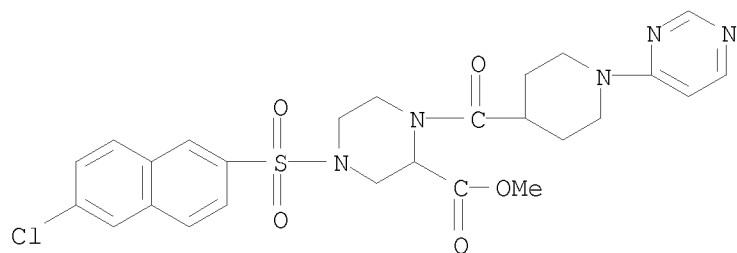
RN 188526-88-7 CAPLUS

CN Methanone, [4-[[2-chloro-4-(trifluoromethyl)phenyl]sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



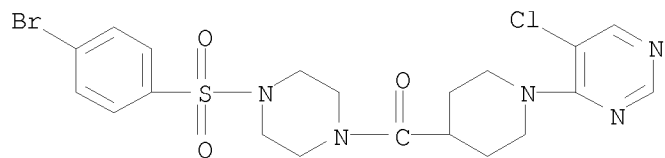
RN 188526-89-8 CAPLUS

CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyrimidinyl)-4-piperidinyl]carbonyl]-, methyl ester (CA INDEX NAME)



RN 188526-93-4 CAPLUS

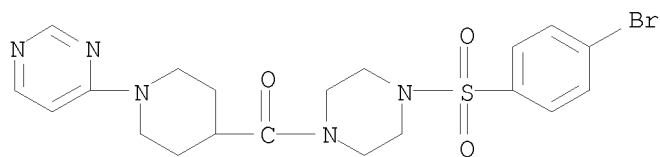
CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl][1-(5-chloro-4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 188526-95-6 CAPLUS

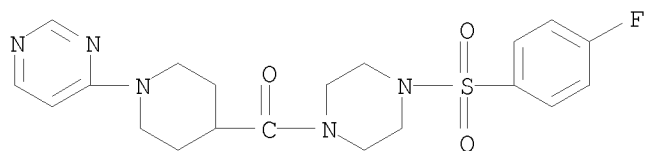
CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)

10/553,803



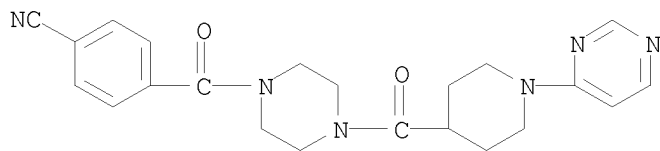
RN 188526-96-7 CAPLUS

CN Methanone, [4-[(4-fluorophenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



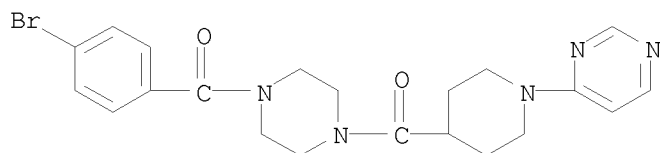
RN 188526-97-8 CAPLUS

CN Benzonitrile, 4-[[4-[[1-(4-pyrimidinyl)-4-piperidinyl]carbonyl]-1-piperazinyl]carbonyl]- (CA INDEX NAME)



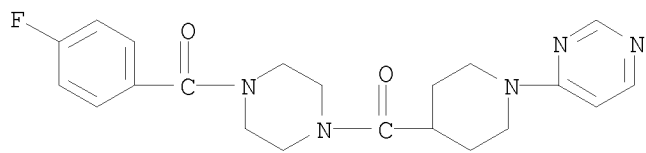
RN 188526-98-9 CAPLUS

CN Piperazine, 1-(4-bromobenzoyl)-4-[[1-(4-pyrimidinyl)-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)



RN 188526-99-0 CAPLUS

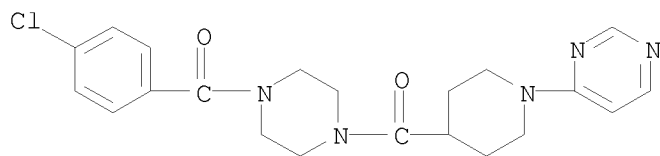
CN Piperazine, 1-(4-fluorobenzoyl)-4-[[1-(4-pyrimidinyl)-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)



10/553,803

RN 188527-00-6 CAPLUS

CN Piperazine, 1-(4-chlorobenzoyl)-4-[[1-(4-pyrimidinyl)-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

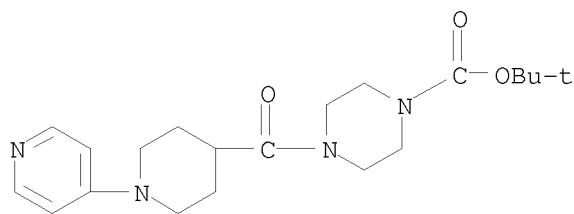


IT 179050-76-1P 179051-10-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (di)azinylcarbonyl(di)azines as oxidosqualene cyclase inhibitors)

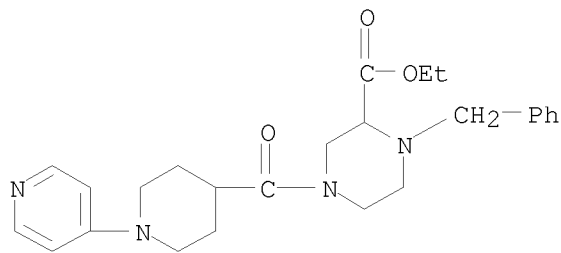
RN 179050-76-1 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 179051-10-6 CAPLUS

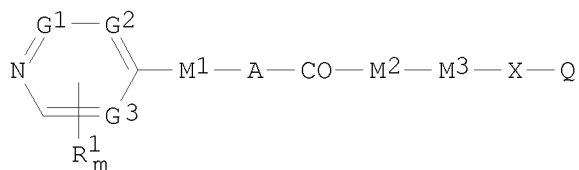
CN 2-Piperazinecarboxylic acid, 1-(phenylmethyl)-4-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-, ethyl ester (CA INDEX NAME)



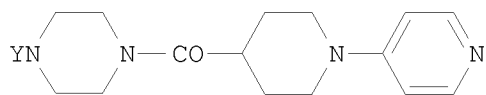
L16 ANSWER 39 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:455760 CAPLUS
 DOCUMENT NUMBER: 125:114690
 ORIGINAL REFERENCE NO.: 125:21531a,21534a
 TITLE: Preparation of aminoheterocyclic derivatives as
 antithrombotic or anticoagulant agents
 INVENTOR(S): Faull, Alan Wellington; Mayo, Colette Marie; Preston,
 John; Stocker, Andrew
 PATENT ASSIGNEE(S): Zeneca Limited, UK
 SOURCE: PCT Int. Appl., 161 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9610022	A1	19960404	WO 1995-GB2285	19950925
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2197471	A1	19960404	CA 1995-2197471	19950925
AU 9535307	A	19960419	AU 1995-35307	19950925
AU 696491	B2	19980910		
EP 783500	A1	19970716	EP 1995-932128	19950925
EP 783500	B1	19980722		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
BR 9509045	A	19970930	BR 1995-9045	19950925
CN 1164232	A	19971105	CN 1995-196337	19950925
JP 10506122	T	19980616	JP 1995-511499	19950925
AT 168685	T	19980815	AT 1995-932128	19950925
HU 77769	A2	19980828	HU 1997-2052	19950925
ES 2119472	T3	19981001	ES 1995-932128	19950925
CZ 285370	B6	19990714	CZ 1997-893	19950925
ZA 9508085	A	19960424	ZA 1995-8085	19950926
NO 9701415	A	19970522	NO 1997-1415	19970325
US 5965559	A	19991012	US 1997-817031	19970326
US 6225309	B1	20010501	US 1999-369857	19990809
US 20020119968	A1	20020829	US 2001-800745	20010308
US 6730672	B2	20040504		
PRIORITY APPLN. INFO.:			GB 1994-19341	A 19940926
			GB 1994-25789	A 19941221
			GB 1995-11051	A 19950601
			WO 1995-GB2285	W 19950925
			US 1997-817031	A3 19970326
			US 1999-369857	A3 19990809
OTHER SOURCE(S):	MARPAT 125:114690			
GI				



I



II

AB The title compds. [I; G1, G2, G3 = CH, N; m = 1, 2; R1 = H, halo, C1-4 alkyl; M1 = (substituted) piperidino, piperazino, etc.; A = bond, C1-4 alkylene; M2 = piperazino, etc.; M3 = bond, etc.; X = SO2; Q = naphthyl, heterocyclyl] were prepared and formulated. Treatment of 1-(4-pyridyl)piperidine-4-carboxylic acid with SOCl2 followed by addition of 1-tert-butoxycarbonylpiperazine, deprotection of the intermediate II (Y = Boc) with HCl/Et2O and reaction of piperazine II.3HCl (Y = H) with 2-naphthylsulfonyl chloride afforded I [G1, G2, G3 = CH; R1 = H; M1 = piperidino; A, M3 = bond; M2 = piperazino; X = SO2; Q = 2-naphthyl]. In general, compds. I showed IC50 of 0.001-25 μ M against Factor Xa and of > 50 μ M against thrombin.

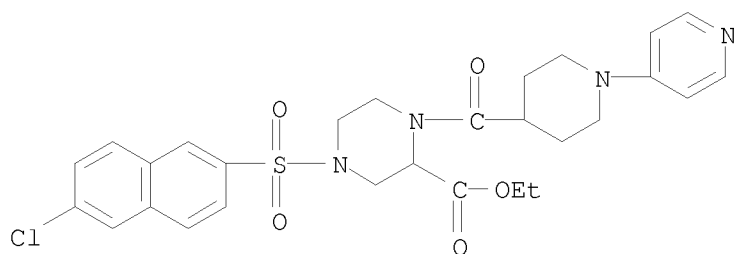
IT 179050-42-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of aminoheterocyclic derivs. as antithrombotic or anticoagulant agents)

RN 179050-42-1 CAPLUS

CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-, ethyl ester (CA INDEX NAME)



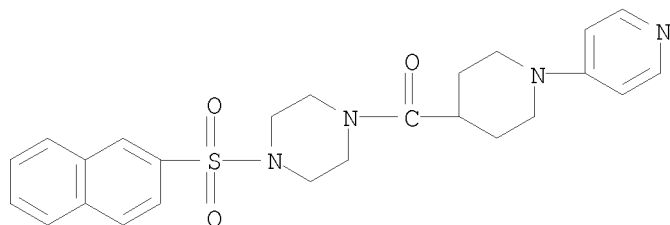
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 179049-99-1P 179050-00-1P 179050-01-2P
 179050-02-3P 179050-03-4P 179050-04-5P
 179050-05-6P 179050-06-7P 179050-07-8P
 179050-08-9P 179050-09-0P 179050-10-3P

179050-11-4P 179050-12-5P 179050-13-6P
 179050-14-7P 179050-15-8P 179050-17-0P
 179050-20-5P 179050-22-7P 179050-23-8P
 179050-24-9P 179050-25-0P 179050-26-1P
 179050-27-2P 179050-28-3P 179050-29-4P
 179050-30-7P 179050-31-8P 179050-32-9P
 179050-33-0P 179050-34-1P 179050-35-2P
 179050-36-3P 179050-37-4P 179050-38-5P
 179050-39-6P 179050-40-9P 179050-41-0P
 179050-43-2P 179050-44-3P 179050-45-4P
 179050-46-5P 179050-48-7P 179050-49-8P
 179050-50-1P 179050-51-2P 179050-52-3P
 179050-56-7P 179050-58-9P 179050-59-0P
 179050-60-3P 179050-61-4P 179050-62-5P
 179050-63-6P 179050-64-7P 179050-65-8P
 179050-66-9P 179050-69-2P 179051-81-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of aminoheterocyclic derivs. as antithrombotic or anticoagulant agents)

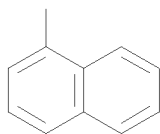
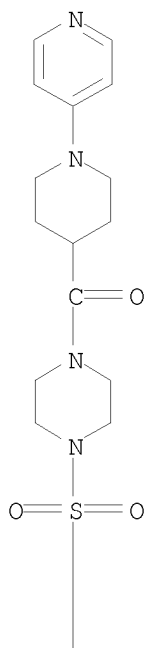
RN 179049-56-0 CAPLUS

CN Methanone, [4-(2-naphthalenylsulfonyl)-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



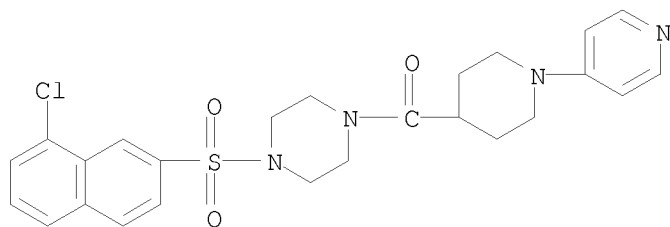
RN 179049-65-1 CAPLUS

CN Methanone, [4-(1-naphthalenylsulfonyl)-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 179049-81-1 CAPLUS

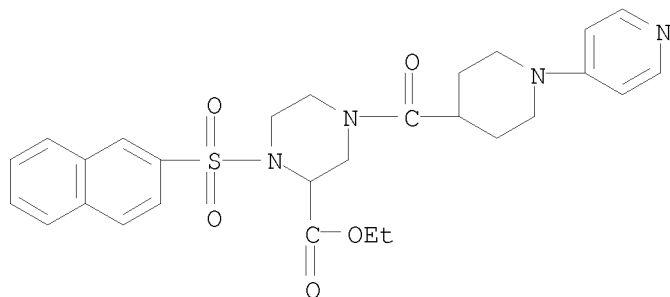
CN Methanone, [4-[(8-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 179049-82-2 CAPLUS

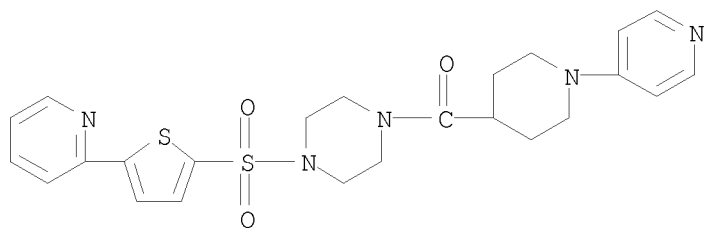
CN 2-Piperazinecarboxylic acid, 1-(2-naphthalenylsulfonyl)-4-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-, ethyl ester (CA INDEX NAME)

10/553,803



RN 179049-91-3 CAPLUS

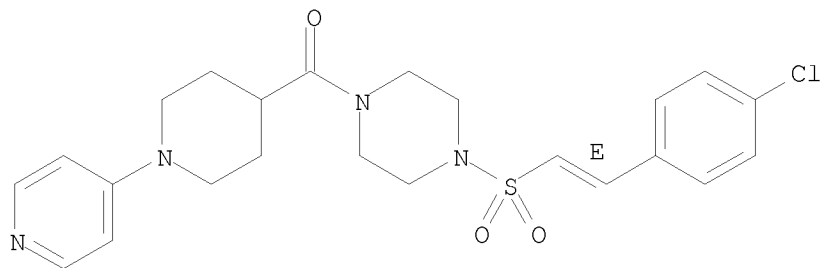
CN Methanone, [1-(4-pyridinyl)-4-piperidinyl][4-[[5-(2-pyridinyl)-2-thienyl]sulfonyl]-1-piperazinyl]- (CA INDEX NAME)



RN 179049-92-4 CAPLUS

CN Piperazine, 1-[[[(1E)-2-(4-chlorophenyl)ethenyl]sulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

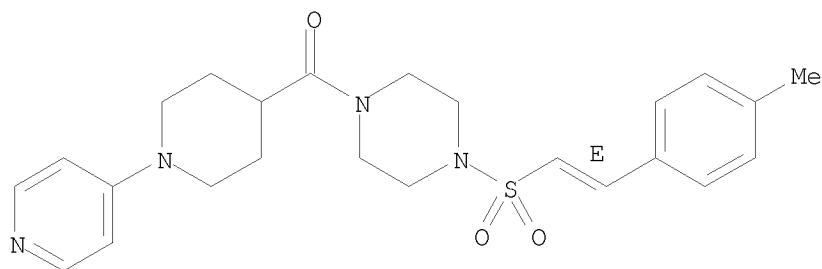


RN 179049-93-5 CAPLUS

CN Piperazine, 1-[[[2-(4-methylphenyl)ethenyl]sulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

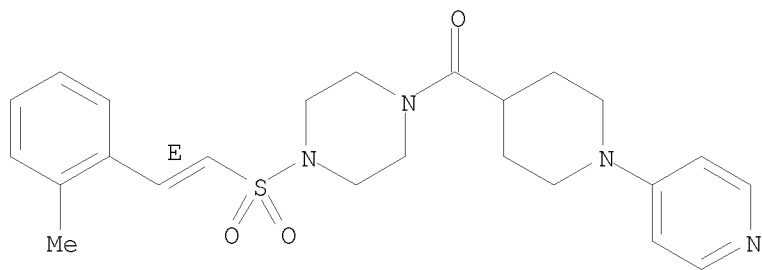
10/553,803



RN 179049-94-6 CAPLUS

CN Piperazine, 1-[[2-(2-methylphenyl)ethenyl]sulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-, (E)- (9CI) (CA INDEX NAME)

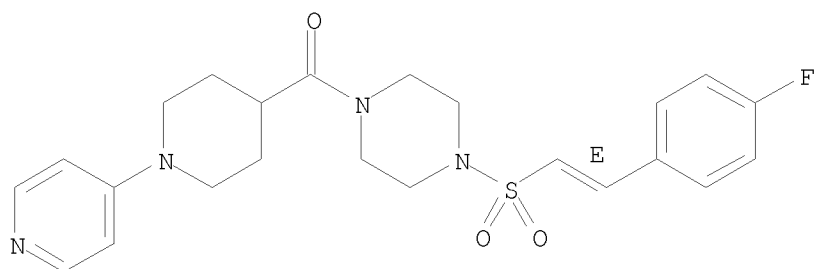
Double bond geometry as shown.



RN 179049-95-7 CAPLUS

CN Piperazine, 1-[[2-(4-fluorophenyl)ethenyl]sulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

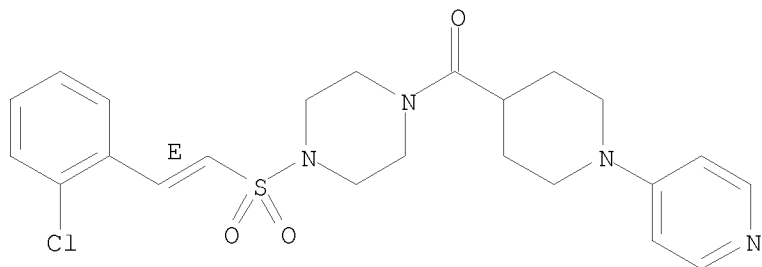


RN 179049-96-8 CAPLUS

CN Piperazine, 1-[[2-(2-chlorophenyl)ethenyl]sulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

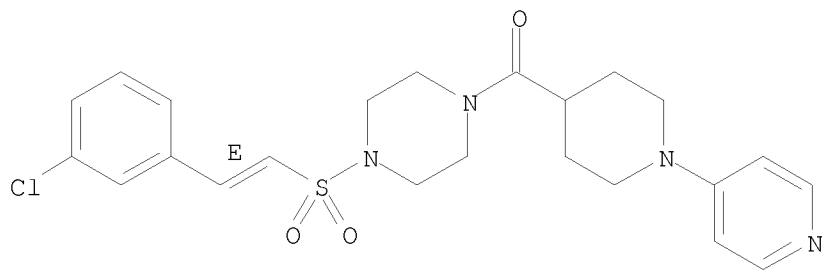
10/553,803



RN 179049-97-9 CAPLUS

CN Piperazine, 1-[[2-(3-chlorophenyl)ethenyl]sulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-, (E)- (9CI) (CA INDEX NAME)

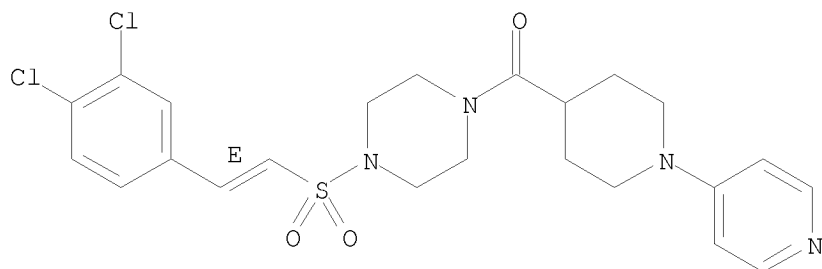
Double bond geometry as shown.



RN 179049-98-0 CAPLUS

CN Piperazine, 1-[[2-(3,4-dichlorophenyl)ethenyl]sulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

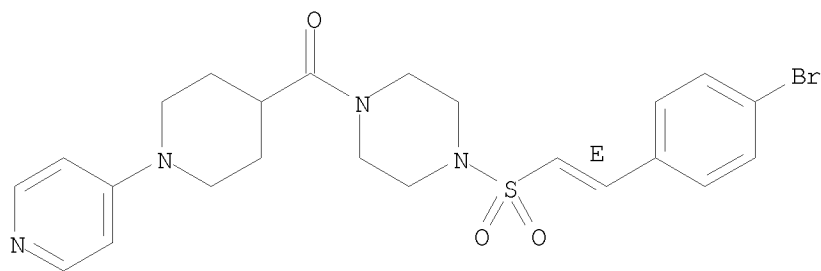


RN 179049-99-1 CAPLUS

CN Piperazine, 1-[[2-(4-bromophenyl)ethenyl]sulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

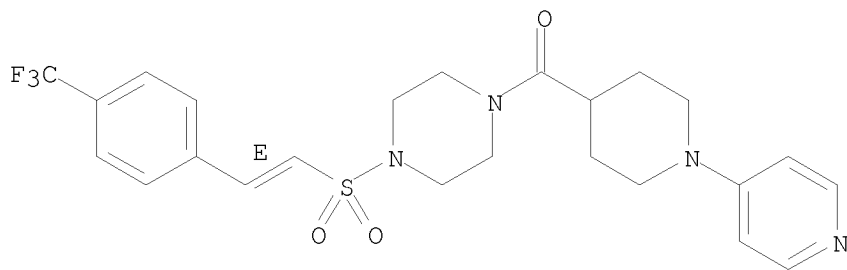
10/553,803



RN 179050-00-1 CAPLUS

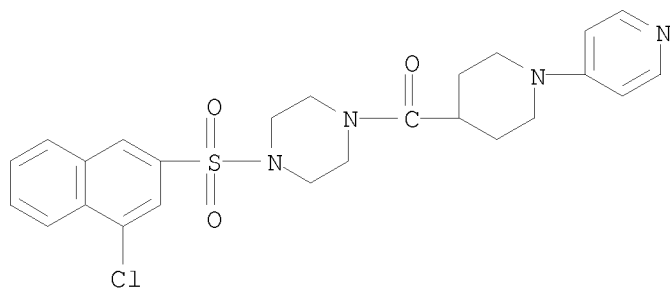
CN Piperazine, 1-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-4-[[2-[4-(trifluoromethyl)phenyl]ethenyl]sulfonyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 179050-01-2 CAPLUS

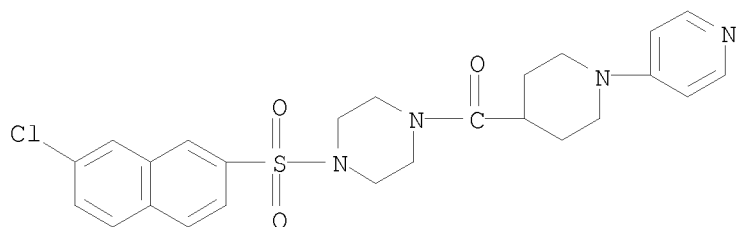
CN Methanone, [4-[(4-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 179050-02-3 CAPLUS

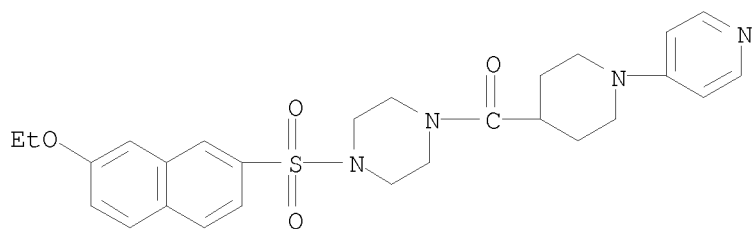
CN Methanone, [4-[(7-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)

10/553,803



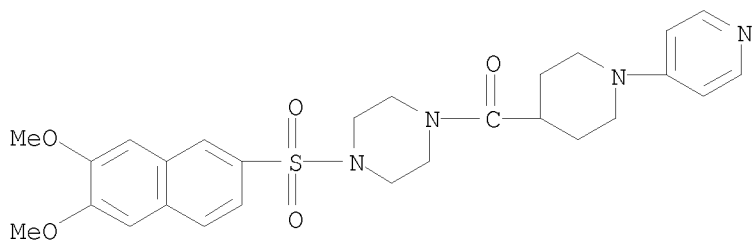
RN 179050-03-4 CAPLUS

CN Methanone, [4-[(7-ethoxy-2-naphthalenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



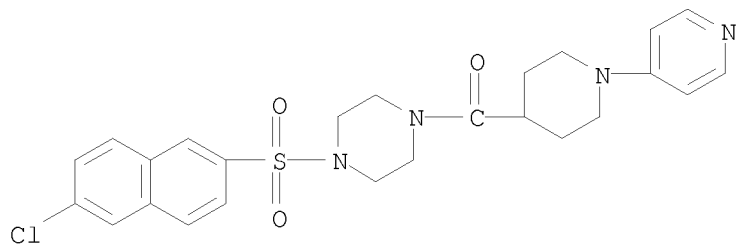
RN 179050-04-5 CAPLUS

CN Methanone, [4-[(6,7-dimethoxy-2-naphthalenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 179050-05-6 CAPLUS

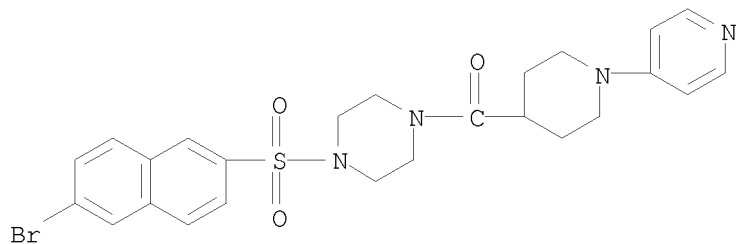
CN Methanone, [4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



10/553,803

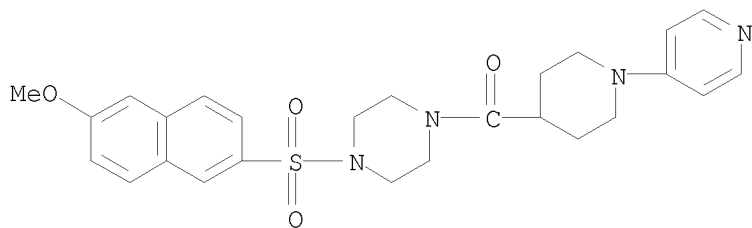
RN 179050-06-7 CAPLUS

CN Methanone, [4-[(6-bromo-2-naphthalenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



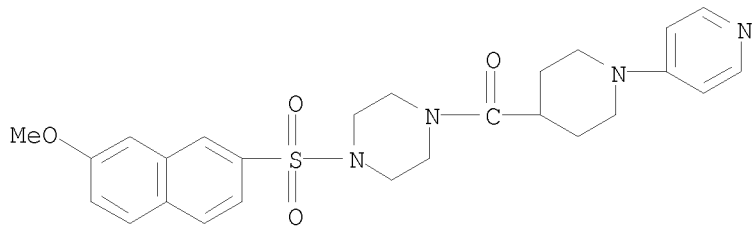
RN 179050-07-8 CAPLUS

CN Methanone, [4-[(6-methoxy-2-naphthalenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 179050-08-9 CAPLUS

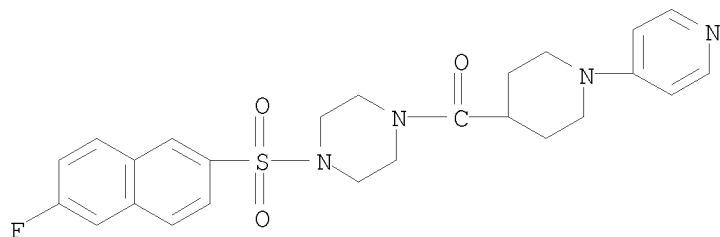
CN Methanone, [4-[(7-methoxy-2-naphthalenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 179050-09-0 CAPLUS

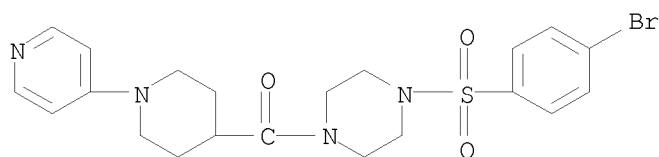
CN Methanone, [4-[(6-fluoro-2-naphthalenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)

10/553,803



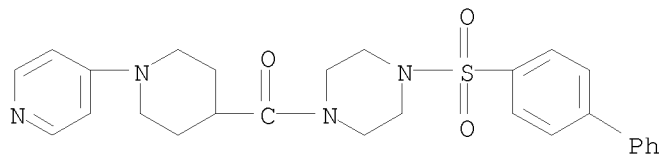
RN 179050-10-3 CAPLUS

CN Methanone, [4-[(4-bromophenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



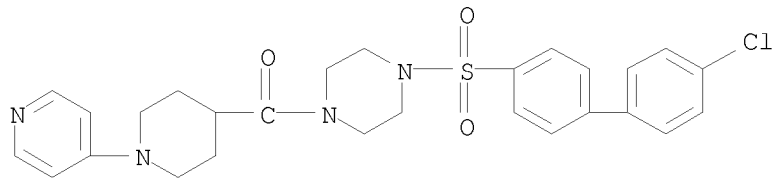
RN 179050-11-4 CAPLUS

CN Methanone, [4-([1,1'-biphenyl]-4-ylsulfonyl)-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



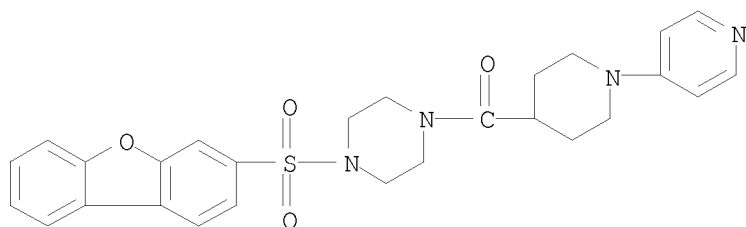
RN 179050-12-5 CAPLUS

CN Methanone, [4-[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



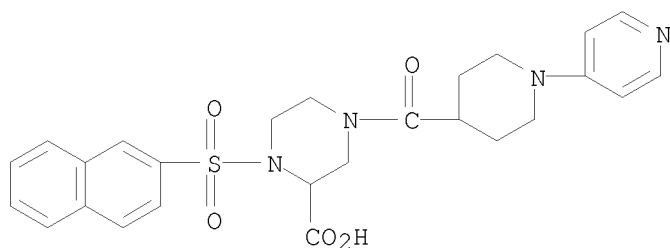
RN 179050-13-6 CAPLUS

CN Methanone, [4-(3-dibenzofuranylsulfonyl)-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



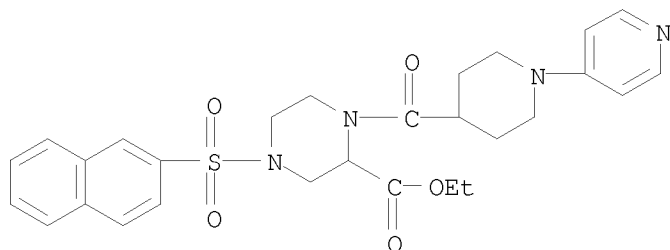
RN 179050-14-7 CAPLUS

CN 2-Piperazinecarboxylic acid, 1-(2-naphthalenylsulfonyl)-4-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]- (CA INDEX NAME)



RN 179050-15-8 CAPLUS

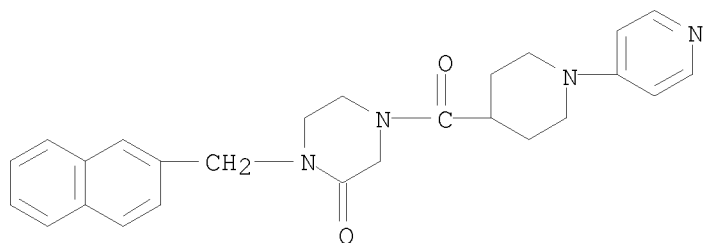
CN 2-Piperazinecarboxylic acid, 4-(2-naphthalenylsulfonyl)-1-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-, ethyl ester (CA INDEX NAME)



RN 179050-17-0 CAPLUS

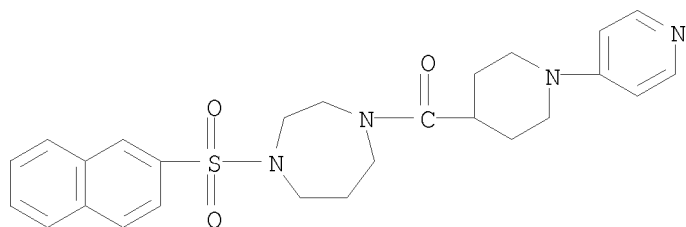
CN 2-Piperazinone, 1-(2-naphthalenylmethyl)-4-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]- (CA INDEX NAME)

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RN 179050-20-5 CAPLUS

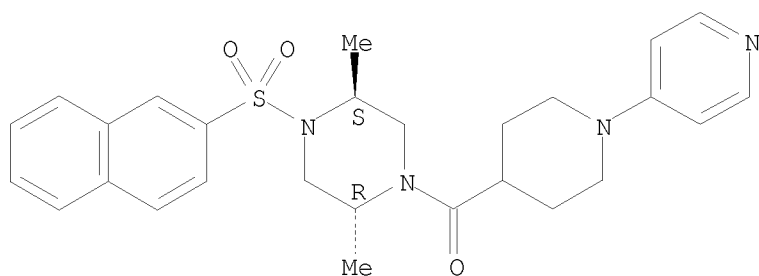
CN Methanone, [hexahydro-4-(2-naphthalenylsulfonyl)-1H-1,4-diazepin-1-yl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 179050-22-7 CAPLUS

CN Piperazine, 2,5-dimethyl-1-(2-naphthalenylsulfonyl)-4-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-, trans- (9CI) (CA INDEX NAME)

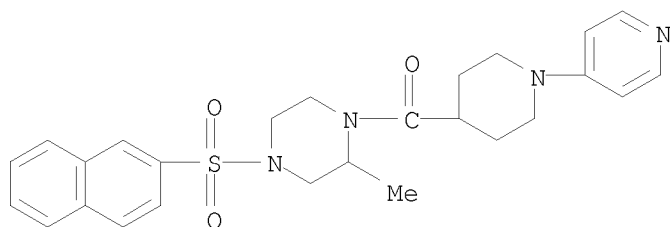
Relative stereochemistry.



RN 179050-23-8 CAPLUS

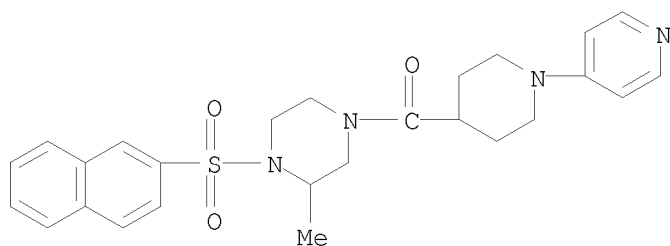
CN Methanone, [2-methyl-4-(2-naphthalenylsulfonyl)-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)

10/553,803



RN 179050-24-9 CAPLUS

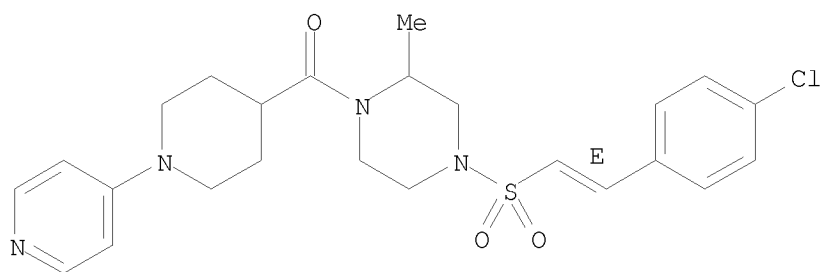
CN Methanone, [3-methyl-4-(2-naphthalenylsulfonyl)-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 179050-25-0 CAPLUS

CN Piperazine, 4-[[2-(4-chlorophenyl)ethenyl]sulfonyl]-2-methyl-1-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-, (E)- (9CI) (CA INDEX NAME)

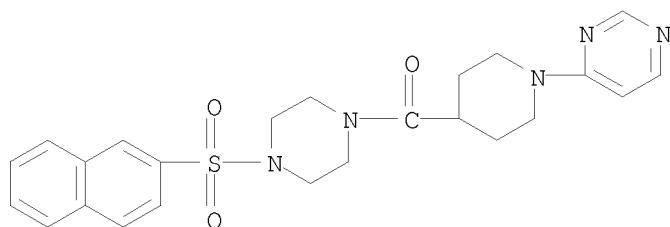
Double bond geometry as shown.



RN 179050-26-1 CAPLUS

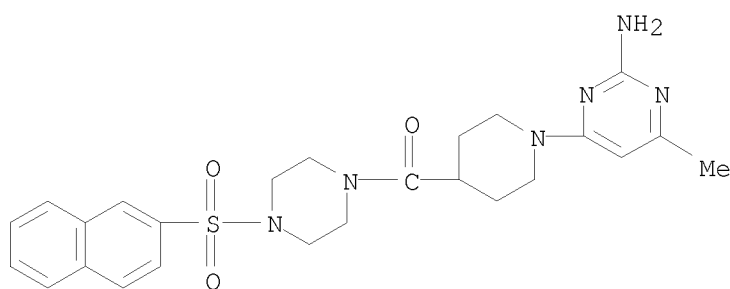
CN Methanone, [4-(2-naphthalenylsulfonyl)-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)

10/553,803



RN 179050-27-2 CAPLUS

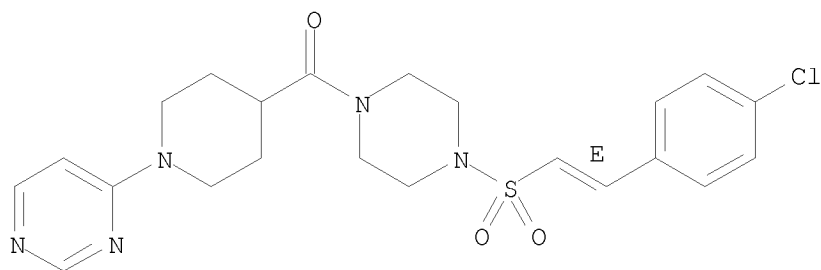
CN Methanone, [1-(2-amino-6-methyl-4-pyrimidinyl)-4-piperidinyl][4-(2-naphthalenylsulfonyl)-1-piperazinyl]- (CA INDEX NAME)



RN 179050-28-3 CAPLUS

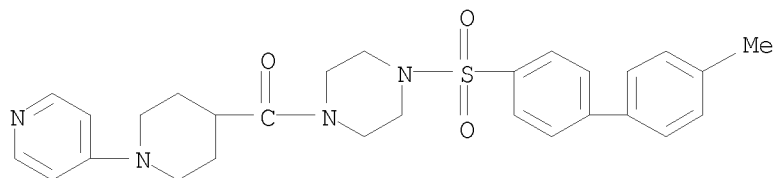
CN Piperazine, 1-[[2-(4-chlorophenyl)ethenyl]sulfonyl]-4-[[1-(4-pyrimidinyl)-4-piperidinyl]carbonyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



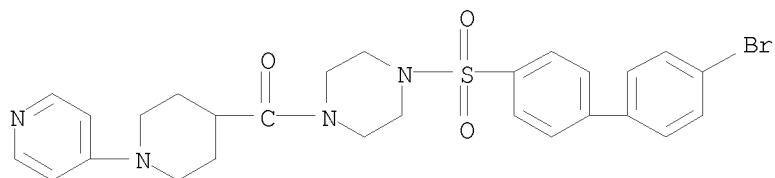
RN 179050-29-4 CAPLUS

CN Methanone, [4-[(4'-methyl[1,1'-biphenyl]-4-yl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



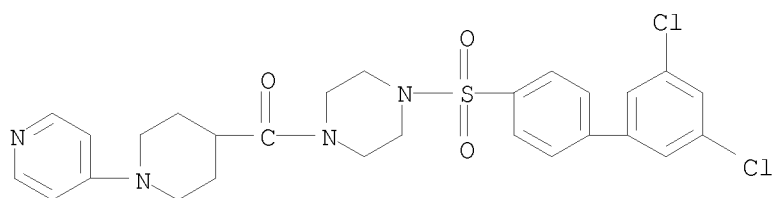
RN 179050-30-7 CAPLUS

CN Methanone, [4-[(4'-bromo[1,1'-biphenyl]-4-yl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



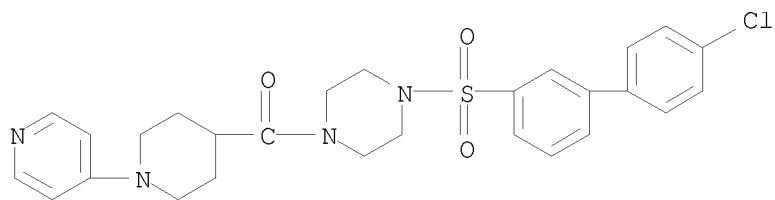
RN 179050-31-8 CAPLUS

CN Methanone, [4-[(3',5'-dichloro[1,1'-biphenyl]-4-yl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 179050-32-9 CAPLUS

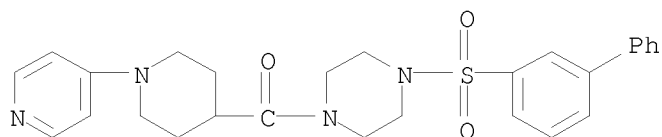
Methanone, [4-[(4'-chloro[1,1'-biphenyl]-3-yl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 179050-33-0 CAPLUS

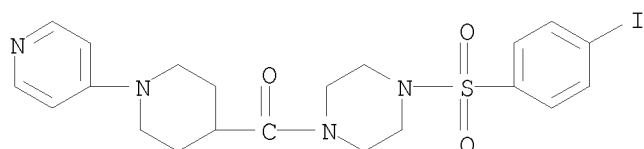
CN Methanone, [4-([1,1'-biphenyl]-3-ylsulfonyl)-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)

10/553,803



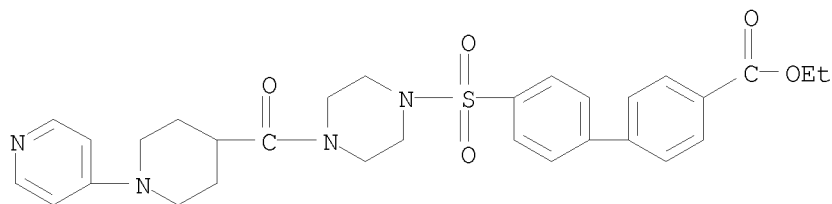
RN 179050-34-1 CAPLUS

CN Methanone, [4-[(4-iodophenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyloxycarbonyl]- (CA INDEX NAME)



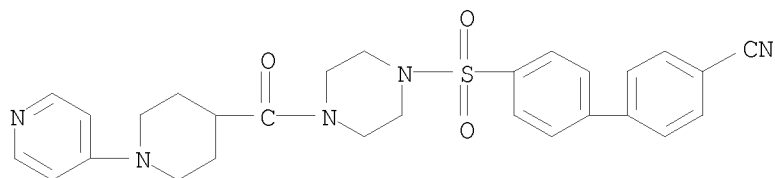
RN 179050-35-2 CAPLUS

CN [1,1'-Biphenyl]-4-carboxylic acid, 4'-[[4-[[1-(4-pyridinyl)-4-piperidinyloxycarbonyl]-1-piperazinyl]sulfonyl]-, ethyl ester (CA INDEX NAME)



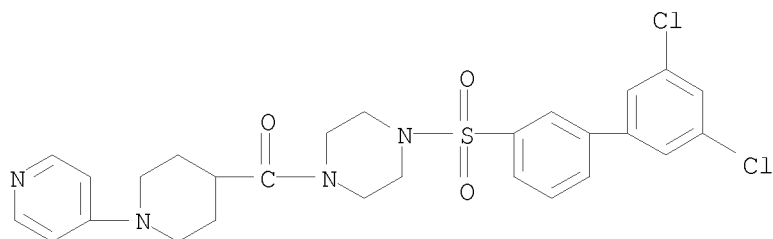
RN 179050-36-3 CAPLUS

CN [1,1'-Biphenyl]-4-carbonitrile, 4'-[[4-[[1-(4-pyridinyl)-4-piperidinyloxycarbonyl]-1-piperazinyl]sulfonyl]- (CA INDEX NAME)



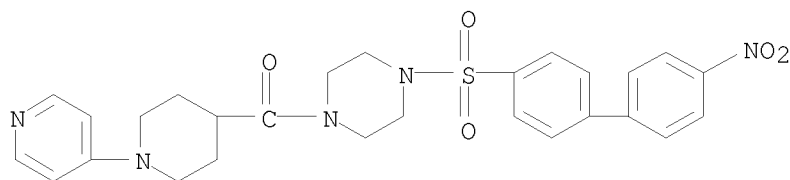
RN 179050-37-4 CAPLUS

CN Methanone, [4-[(3',5'-dichloro[1,1'-biphenyl]-3-yl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyloxycarbonyl]- (CA INDEX NAME)



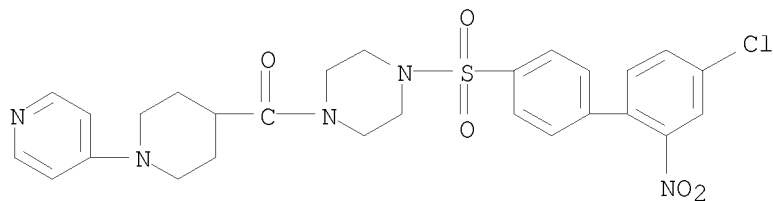
RN 179050-38-5 CAPLUS

CN Methanone, [4-[(4'-nitro[1,1'-biphenyl]-4-yl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



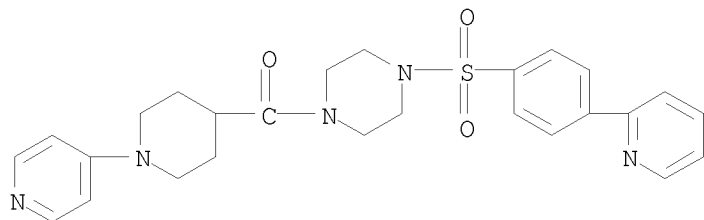
RN 179050-39-6 CAPLUS

CN Methanone, [4-[(4'-chloro-2'-nitro[1,1'-biphenyl]-4-yl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 179050-40-9 CAPLUS

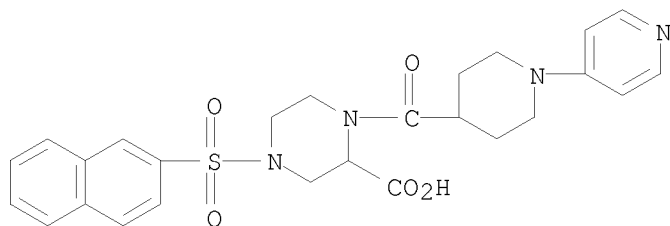
CN Methanone, [4-[[4-(2-pyridinyl)phenyl]sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 179050-41-0 CAPLUS

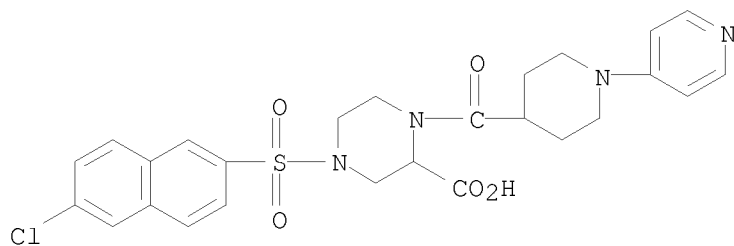
CN 2-Piperazinecarboxylic acid, 4-(2-naphthalenylsulfonyl)-1-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]- (CA INDEX NAME)

10/553,803



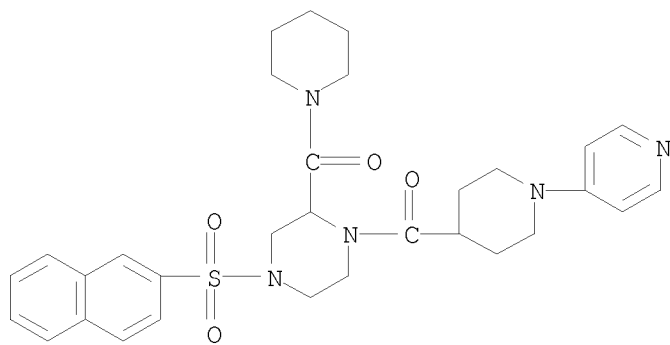
RN 179050-43-2 CAPLUS

CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]- (CA INDEX NAME)



RN 179050-44-3 CAPLUS

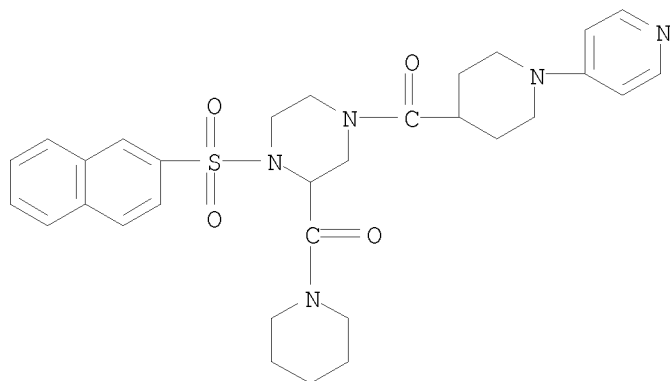
CN Piperazine, 4-(2-naphthalenylsulfonyl)-2-(1-piperidinylcarbonyl)-1-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)



RN 179050-45-4 CAPLUS

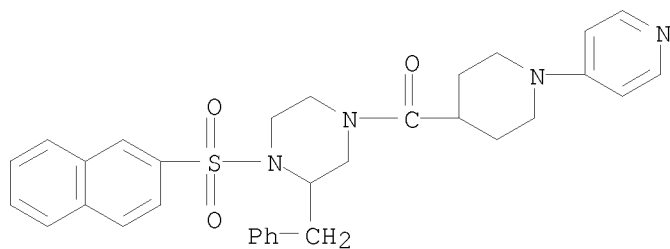
CN Piperazine, 1-(2-naphthalenylsulfonyl)-2-(1-piperidinylcarbonyl)-4-[[1-(4-pyridinyl)-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

10/553,803



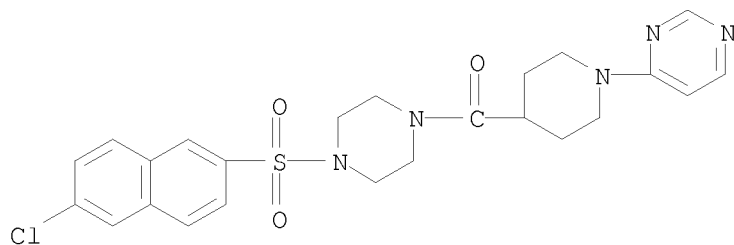
RN 179050-46-5 CAPLUS

CN Methanone, [4-(2-naphthalenylsulfonyl)-3-(phenylmethyl)-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 179050-48-7 CAPLUS

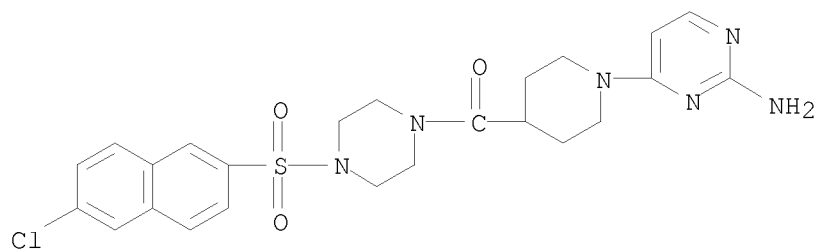
CN Methanone, [4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl][1-(4-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 179050-49-8 CAPLUS

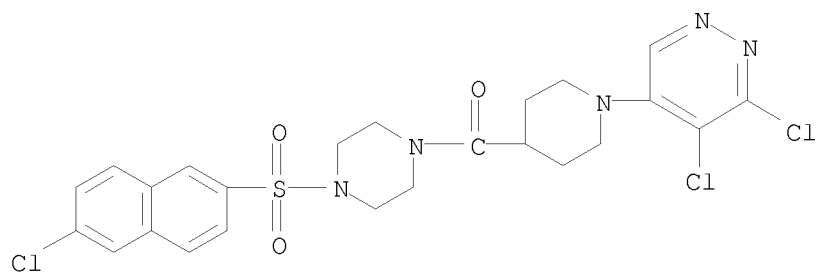
CN Methanone, [1-(2-amino-4-pyrimidinyl)-4-piperidinyl][4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]- (CA INDEX NAME)

10/553,803



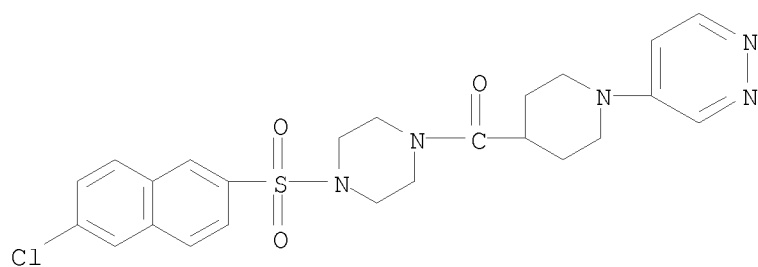
RN 179050-50-1 CAPLUS

CN Methanone, [4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl][1-(5,6-dichloro-4-pyridazinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 179050-51-2 CAPLUS

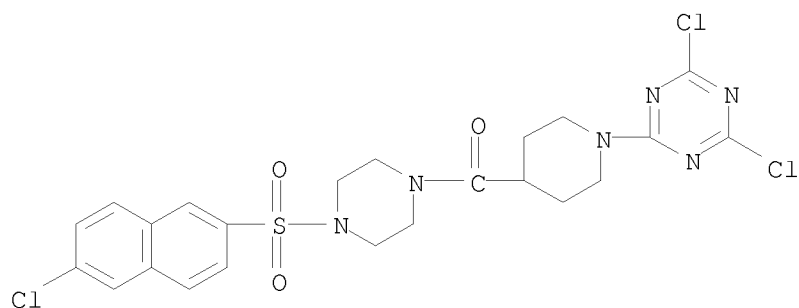
CN Methanone, [4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl][1-(4-pyridazinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 179050-52-3 CAPLUS

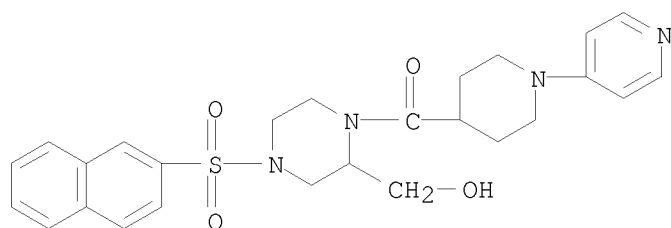
CN Methanone, [4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl][1-(4,6-dichloro-1,3,5-triazin-2-yl)-4-piperidinyl]- (CA INDEX NAME)

10/553,803



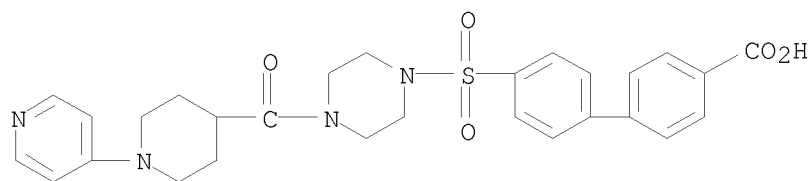
RN 179050-56-7 CAPLUS

CN Methanone, [2-(hydroxymethyl)-4-(2-naphthalenylsulfonyl)-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)



RN 179050-58-9 CAPLUS

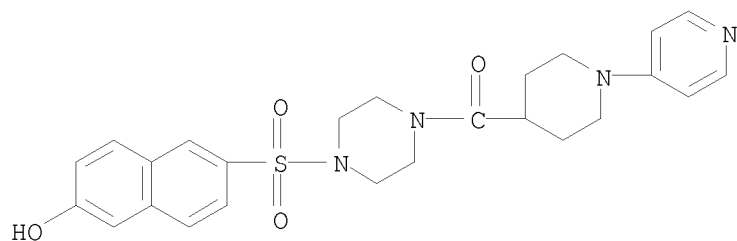
CN [1,1'-Biphenyl]-4-carboxylic acid, 4'-[[4-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-1-piperazinyl]sulfonyl]- (CA INDEX NAME)



RN 179050-59-0 CAPLUS

CN Methanone, [4-[(6-hydroxy-2-naphthalenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]-, sodium salt (1:1) (CA INDEX NAME)

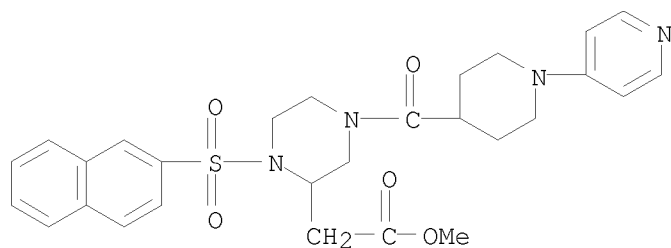
10/553,803



● Na

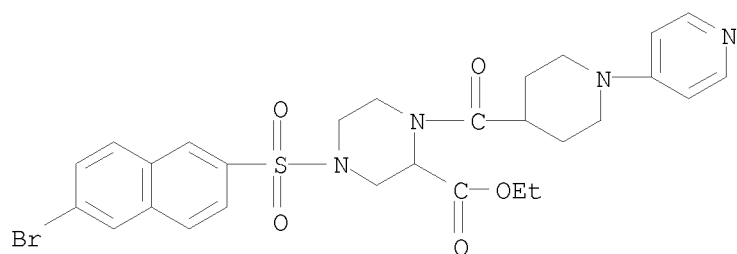
RN 179050-60-3 CAPLUS

CN 2-Piperazineacetic acid, 1-(2-naphthalenylsulfonyl)-4-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-, methyl ester (CA INDEX NAME)



RN 179050-61-4 CAPLUS

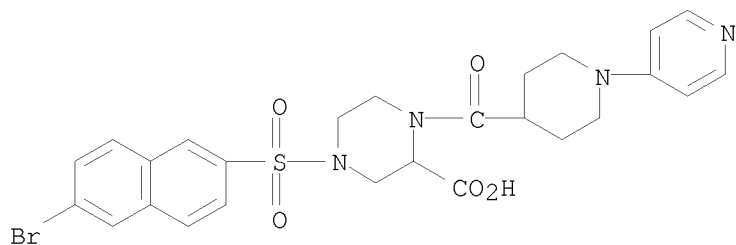
CN 2-Piperazinecarboxylic acid, 4-[(6-bromo-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-, ethyl ester (CA INDEX NAME)



RN 179050-62-5 CAPLUS

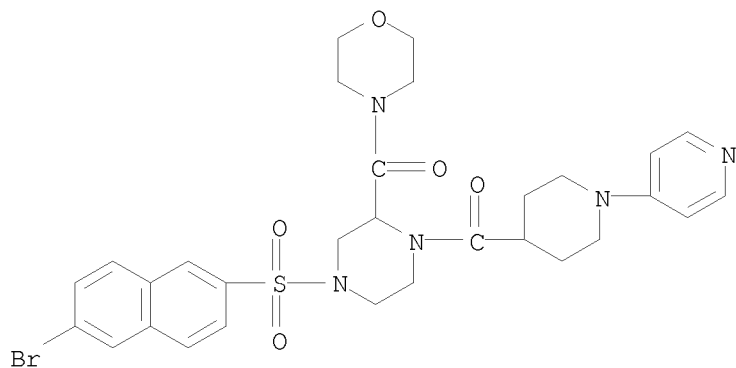
CN 2-Piperazinecarboxylic acid, 4-[(6-bromo-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]- (CA INDEX NAME)

10/553,803



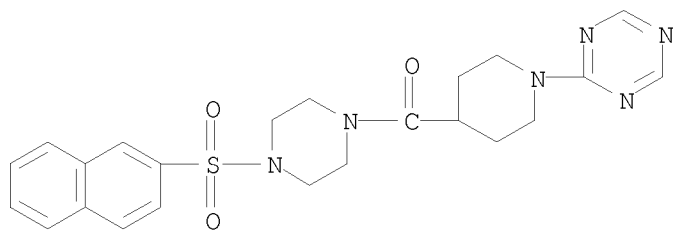
RN 179050-63-6 CAPLUS

CN Morpholine, 4-[[4-[(6-bromo-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-2-piperazinyl]carbonyl]- (9CI) (CA INDEX NAME)



RN 179050-64-7 CAPLUS

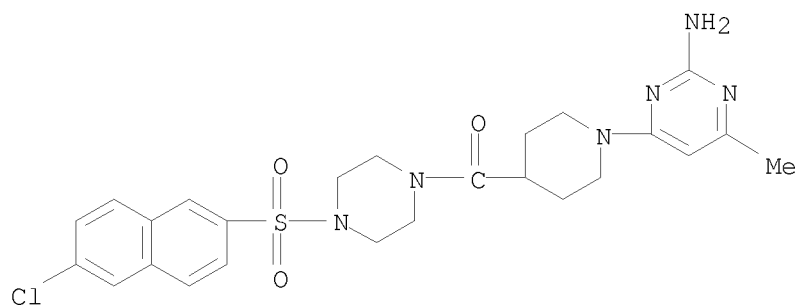
CN Methanone, [4-(2-naphthalenylsulfonyl)-1-piperazinyl][1-(1,3,5-triazin-2-yl)-4-piperidinyl]- (CA INDEX NAME)



RN 179050-65-8 CAPLUS

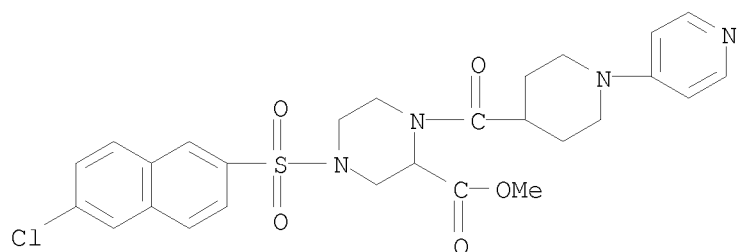
CN Methanone, [1-(2-amino-6-methyl-4-pyrimidinyl)-4-piperidinyl][4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]- (CA INDEX NAME)

10/553,803



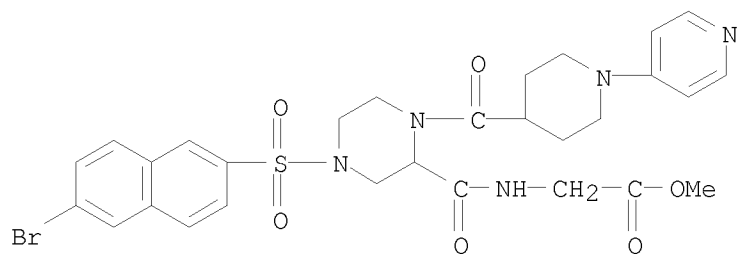
RN 179050-66-9 CAPLUS

CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-, methyl ester (CA INDEX NAME)



RN 179050-69-2 CAPLUS

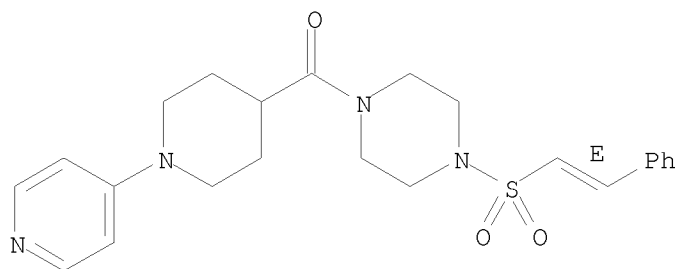
CN Glycine, N-[[4-[(6-bromo-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-2-piperazinyl]carbonyl]-, methyl ester (CA INDEX NAME)



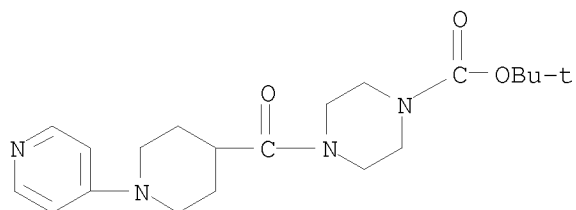
RN 179051-81-1 CAPLUS

CN Piperazine, 1-[(2-phenylethenyl)sulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-, (E)- (9CI) (CA INDEX NAME)

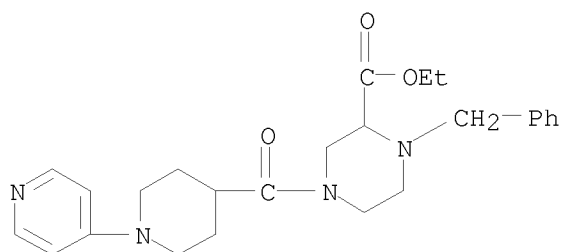
Double bond geometry as shown.



IT 179050-76-1P 179051-10-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of aminoheterocyclic derivs. as antithrombotic or anticoagulant
 agents)
 RN 179050-76-1 CAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]-,
 1,1-dimethylethyl ester (CA INDEX NAME)

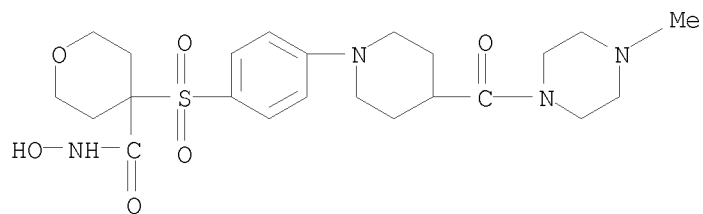


RN 179051-10-6 CAPLUS
 CN 2-Piperazinecarboxylic acid, 1-(phenylmethyl)-4-[[1-(4-pyridinyl)-4-
 piperidinyl]carbonyl]-, ethyl ester (CA INDEX NAME)



10/553,803

L14 ANSWER 874 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN
RN 226392-07-0 REGISTRY
ED Entered STN: 26 Jun 1999
CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[(4-methyl-1-piperazinyl)carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)
MF C23 H34 N4 O6 S
CI COM
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

L14 ANSWER 870 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN

RN 303998-78-9 REGISTRY

ED Entered STN: 22 Nov 2000

CN Methanone, [1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl][4-(phenylmethyl)-1-piperazinyl]- (CA INDEX NAME)

OTHER CA INDEX NAMES:

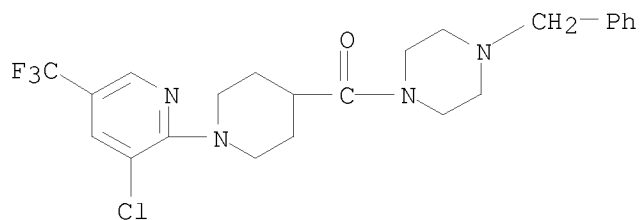
CN Piperazine, 1-[[1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]carbonyl]-4-(phenylmethyl)- (9CI)

MF C23 H26 Cl F3 N4 O

SR Chemical Library

Supplier: Bionet Research Ltd.

LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

L14 ANSWER 871 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN

RN 303998-74-5 REGISTRY

ED Entered STN: 22 Nov 2000

CN Methanone, [1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl][4-(diphenylmethyl)-1-piperazinyl]- (CA INDEX NAME)

OTHER CA INDEX NAMES:

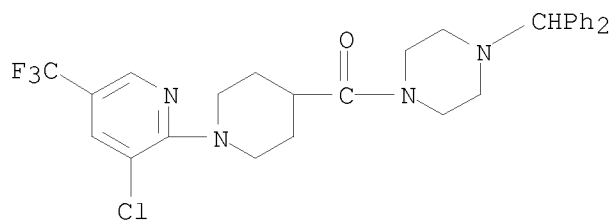
CN Piperazine, 1-[[1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]carbonyl]-4-(diphenylmethyl)- (9CI)

MF C29 H30 Cl F3 N4 O

SR Chemical Library

Supplier: Bionet Research Ltd.

LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

L14 ANSWER 872 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN

RN 303150-27-8 REGISTRY

ED Entered STN: 17 Nov 2000

CN Methanone, [1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl](4-methyl-1-piperazinyl)- (CA INDEX NAME)

OTHER CA INDEX NAMES:

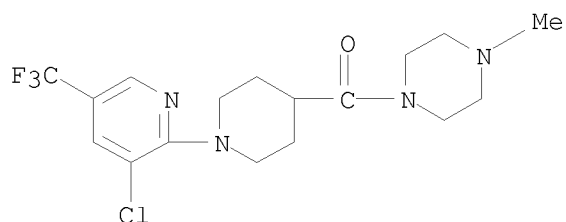
CN Piperazine, 1-[[1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]carbonyl]-4-methyl- (9CI)

MF C17 H22 Cl F3 N4 O

SR Chemical Library

Supplier: Bionet Research Ltd.

LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

L14 ANSWER 873 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN

RN 250713-86-1 REGISTRY

ED Entered STN: 14 Dec 1999

CN Ethanone, 1-[4-[4-[[4-(4-methoxyphenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]- (CA INDEX NAME)

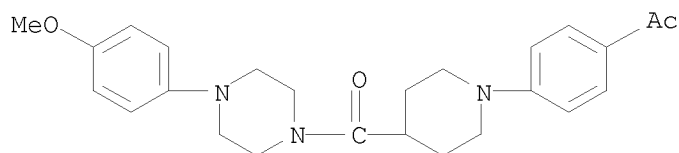
OTHER CA INDEX NAMES:

CN Piperazine, 1-[[1-(4-acetylphenyl)-4-piperidinyl]carbonyl]-4-(4-methoxyphenyl)- (9CI)

MF C25 H31 N3 O3

SR CAS Client Services

LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

L14 ANSWER 866 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN

RN 308821-80-9 REGISTRY

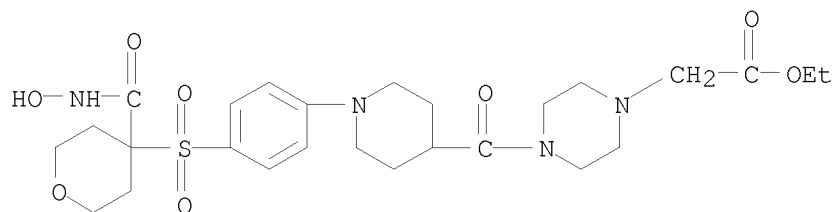
ED Entered STN: 15 Dec 2000

CN 1-Piperazineacetic acid, 4-[[1-[4-[[tetrahydro-4-[(hydroxyamino)carbonyl]-2H-pyran-4-yl]sulfonyl]phenyl]-4-piperidinyl]carbonyl]-, ethyl ester (CA INDEX NAME)

MF C26 H38 N4 O8 S

CI COM

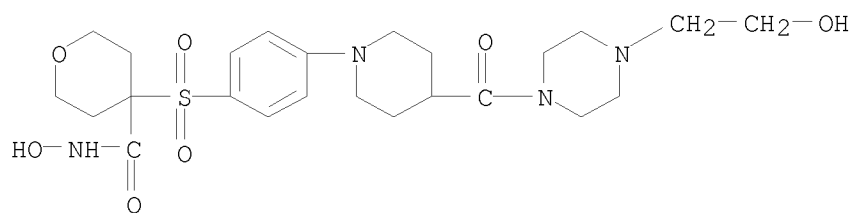
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

L14 ANSWER 867 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN
RN 308821-78-5 REGISTRY
ED Entered STN: 15 Dec 2000
CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(2-hydroxyethyl)-
1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)
MF C24 H36 N4 O7 S
CI COM
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

L14 ANSWER 868 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN

RN 308821-70-7 REGISTRY

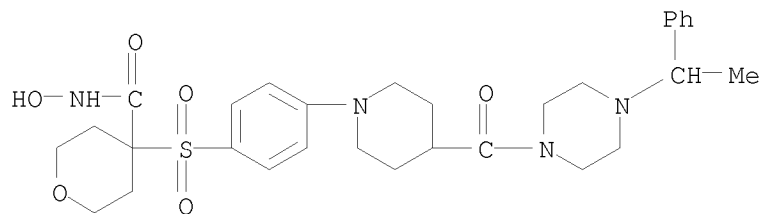
ED Entered STN: 15 Dec 2000

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(1-phenylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)

MF C30 H40 N4 O6 S

CI COM

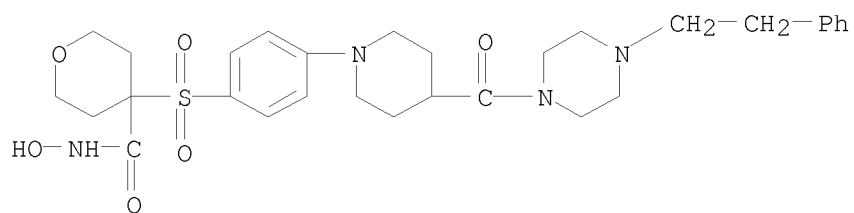
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

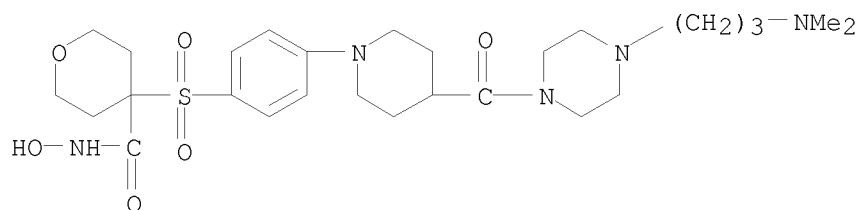
L14 ANSWER 869 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN
RN 308821-68-3 REGISTRY
ED Entered STN: 15 Dec 2000
CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(2-phenylethyl)-
1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)
MF C30 H40 N4 O6 S
CI COM
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

L14 ANSWER 862 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN
RN 308821-90-1 REGISTRY
ED Entered STN: 15 Dec 2000
CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-[3-(dimethylamino)propyl]-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy-
(CA INDEX NAME)
MF C27 H43 N5 O6 S
CI COM
SR CA

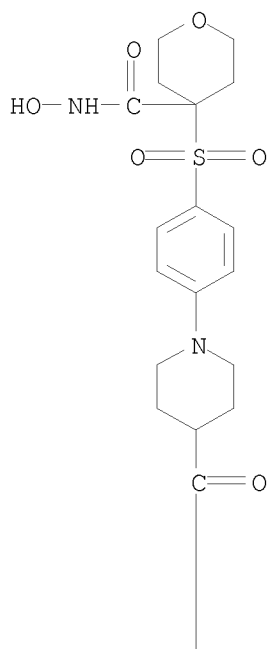


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

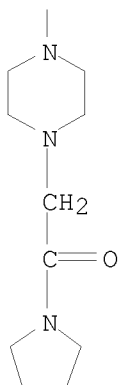
10/553,803

L14 ANSWER 863 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN
RN 308821-88-7 REGISTRY
ED Entered STN: 15 Dec 2000
CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-
(CA INDEX NAME)
MF C28 H41 N5 O7 S
CI COM
SR CA

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10/553,803

L14 ANSWER 864 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN

RN 308821-86-5 REGISTRY

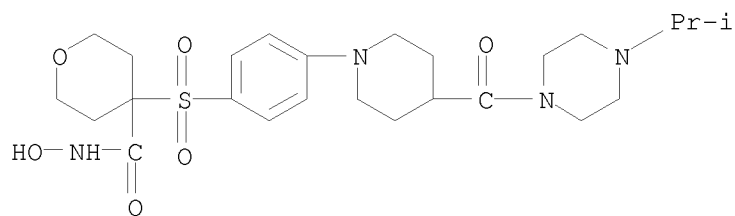
ED Entered STN: 15 Dec 2000

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)

MF C25 H38 N4 O6 S

CI COM

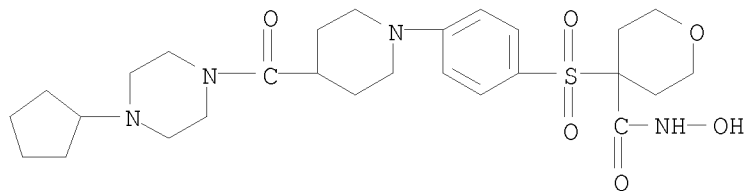
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

L14 ANSWER 865 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN
RN 308821-84-3 REGISTRY
ED Entered STN: 15 Dec 2000
CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[(4-cyclopentyl-1-piperazinyl)carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy- (CA INDEX NAME)
MF C27 H40 N4 O6 S
CI COM
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

L14 ANSWER 858 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN

RN 308822-12-0 REGISTRY

ED Entered STN: 15 Dec 2000

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(2-pyrazinyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)

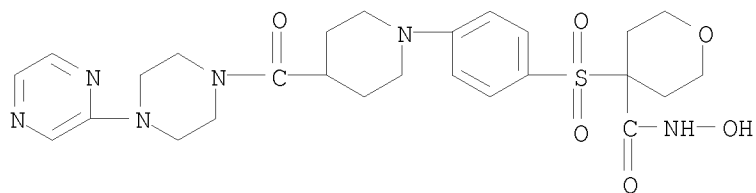
OTHER CA INDEX NAMES:

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[(4-pyrazinyl-1-piperazinyl)carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (9CI)

MF C26 H34 N6 O6 S

CI COM

SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

L14 ANSWER 859 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN

RN 308822-10-8 REGISTRY

ED Entered STN: 15 Dec 2000

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(2-propen-1-yl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)

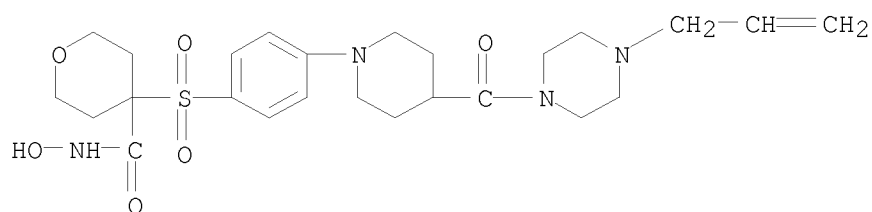
OTHER CA INDEX NAMES:

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(2-propenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (9CI)

MF C25 H36 N4 O6 S

CI COM

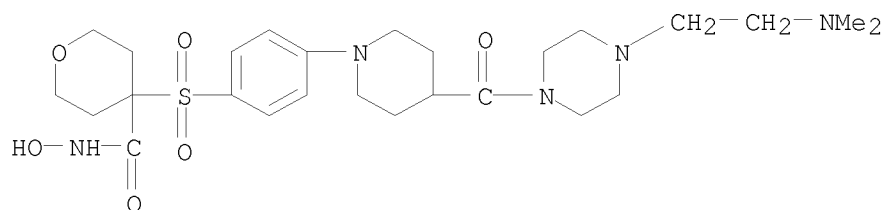
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

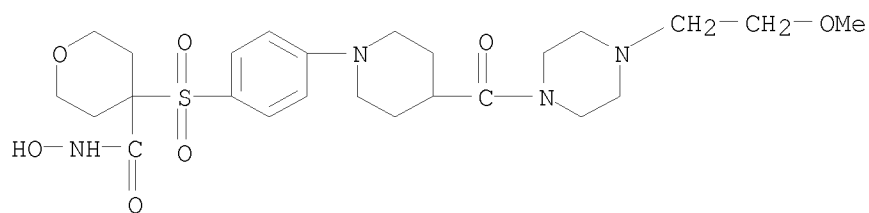
L14 ANSWER 860 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN
RN 308821-94-5 REGISTRY
ED Entered STN: 15 Dec 2000
CN 2H-Pyran-4-carboxamide, 4-[[4-[4-[[4-[2-(dimethylamino)ethyl]-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]tetrahydro-N-hydroxy-
(CA INDEX NAME)
MF C26 H41 N5 O6 S
CI COM
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

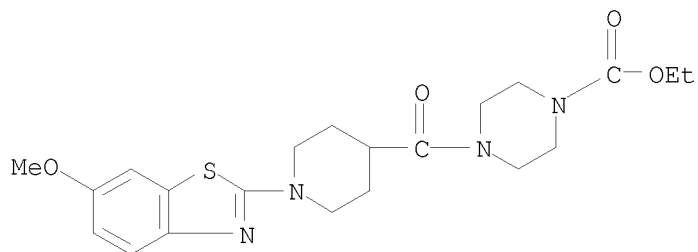
L14 ANSWER 861 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN
RN 308821-92-3 REGISTRY
ED Entered STN: 15 Dec 2000
CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-(2-methoxyethyl)-
1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]- (CA INDEX NAME)
MF C25 H38 N4 O7 S
CI COM
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

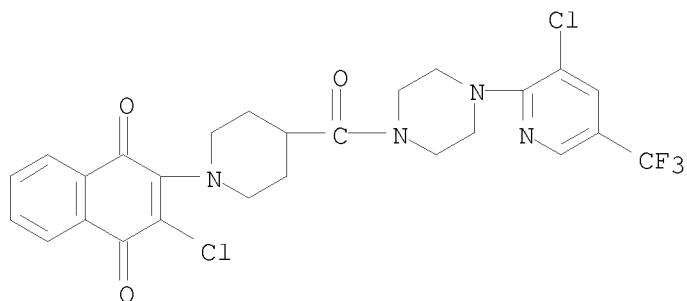
L14 ANSWER 854 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN
RN 443117-03-1 REGISTRY
ED Entered STN: 08 Aug 2002
CN 1-Piperazinecarboxylic acid, 4-[[1-(6-methoxy-2-benzothiazolyl)-4-piperidinyl]carbonyl]-, ethyl ester (CA INDEX NAME)
MF C21 H28 N4 O4 S
SR Chemical Library
Supplier: Interchim
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

L14 ANSWER 855 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN
RN 439108-72-2 REGISTRY
ED Entered STN: 17 Jul 2002
CN 1,4-Naphthalenedione, 2-chloro-3-[4-[[4-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Piperazine, 1-[[1-(3-chloro-1,4-dihydro-1,4-dioxo-2-naphthalenyl)-4-piperidinyl]carbonyl]-4-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]- (9CI)
MF C26 H23 Cl2 F3 N4 O3
SR Chemical Library
Supplier: Bionet Research Ltd.
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

L14 ANSWER 856 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN

RN 337919-71-8 REGISTRY

ED Entered STN: 24 May 2001

CN Methanone, [1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl][4-(4-methoxyphenyl)-1-piperazinyl]- (CA INDEX NAME)

OTHER CA INDEX NAMES:

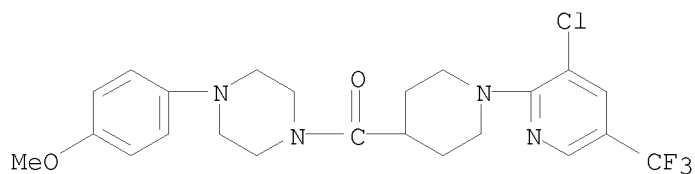
CN Piperazine, 1-[[1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]carbonyl]-4-(4-methoxyphenyl)- (9CI)

MF C23 H26 Cl F3 N4 O2

SR Chemical Library

Supplier: Bionet Research Ltd.

LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

L14 ANSWER 857 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN

RN 308822-15-3 REGISTRY

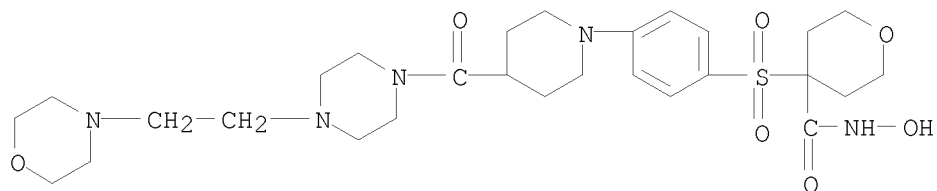
ED Entered STN: 15 Dec 2000

CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[4-[[4-[2-(4-morpholinyl)ethyl]-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-
(CA INDEX NAME)

MF C28 H43 N5 O7 S

CI COM

SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

L14 ANSWER 850 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN

RN 451500-95-1 REGISTRY

ED Entered STN: 16 Sep 2002

CN Methanone, [1-(6-ethyl-2-benzothiazolyl)-4-piperidinyl][4-(2-fluorophenyl)-1-piperazinyl]- (CA INDEX NAME)

OTHER CA INDEX NAMES:

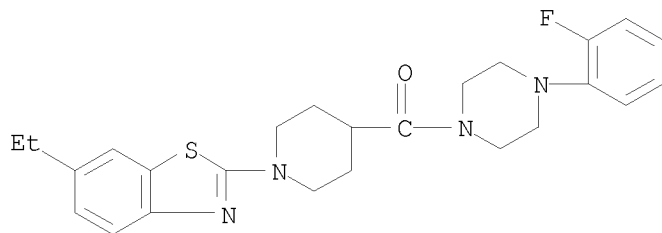
CN Piperazine, 1-[[1-(6-ethyl-2-benzothiazolyl)-4-piperidinyl]carbonyl]-4-(2-fluorophenyl)- (9CI)

MF C25 H29 F N4 O S

SR Chemical Library

Supplier: Ambinter

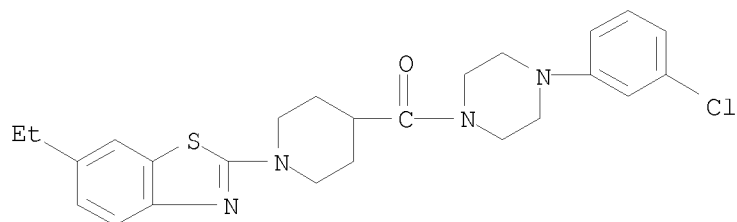
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

L14 ANSWER 851 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN
RN 451500-73-5 REGISTRY
ED Entered STN: 16 Sep 2002
CN Methanone, [4-(3-chlorophenyl)-1-piperazinyl][1-(6-ethyl-2-benzothiazolyl)-4-piperidinyl]- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Piperazine, 1-(3-chlorophenyl)-4-[[1-(6-ethyl-2-benzothiazolyl)-4-piperidinyl]carbonyl]- (9CI)
MF C25 H29 Cl N4 O S
SR Chemical Library
Supplier: Ambinter
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

L14 ANSWER 852 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN

RN 451500-71-3 REGISTRY

ED Entered STN: 16 Sep 2002

CN Methanone, [1-(6-ethyl-2-benzothiazolyl)-4-piperidinyl](4-phenyl-1-piperazinyl)- (CA INDEX NAME)

OTHER CA INDEX NAMES:

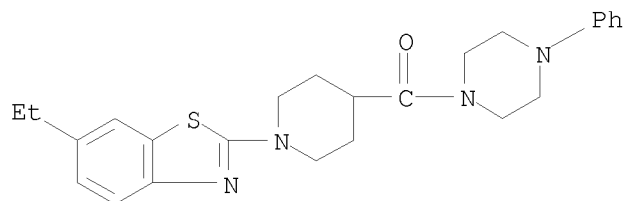
CN Piperazine, 1-[[1-(6-ethyl-2-benzothiazolyl)-4-piperidinyl]carbonyl]-4-phenyl- (9CI)

MF C25 H30 N4 O S

SR Chemical Library

Supplier: Ambinter

LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

L14 ANSWER 853 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN

RN 443117-15-5 REGISTRY

ED Entered STN: 08 Aug 2002

CN Methanone, [1-(6-methoxy-2-benzothiazolyl)-4-piperidinyl][4-(2-pyridinyl)-1-piperazinyl]- (CA INDEX NAME)

OTHER CA INDEX NAMES:

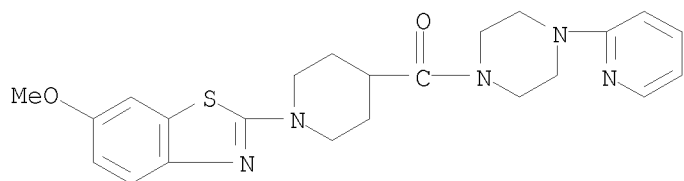
CN Piperazine, 1-[[1-(6-methoxy-2-benzothiazolyl)-4-piperidinyl]carbonyl]-4-(2-pyridinyl)- (9CI)

MF C23 H27 N5 O2 S

SR Chemical Library

Supplier: Interchim

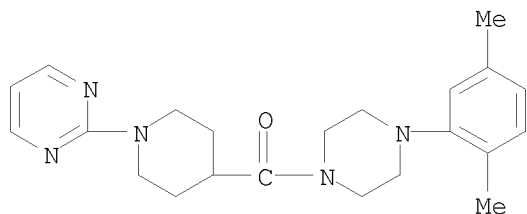
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

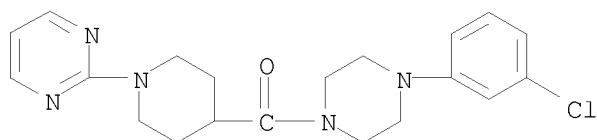
L14 ANSWER 846 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN
RN 605621-29-2 REGISTRY
ED Entered STN: 16 Oct 2003
CN Methanone, [4-(2,5-dimethylphenyl)-1-piperazinyl][1-(2-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Piperazine, 1-(2,5-dimethylphenyl)-4-[[1-(2-pyrimidinyl)-4-piperidinyl]carbonyl]- (9CI)
MF C22 H29 N5 O
SR Chemical Library
Supplier: AsInEx
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

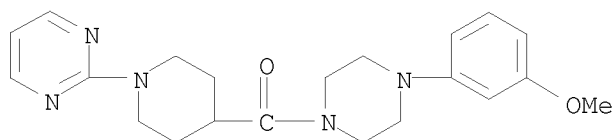
L14 ANSWER 847 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN
RN 605621-28-1 REGISTRY
ED Entered STN: 16 Oct 2003
CN Methanone, [4-(3-chlorophenyl)-1-piperazinyl][1-(2-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Piperazine, 1-(3-chlorophenyl)-4-[[1-(2-pyrimidinyl)-4-piperidinyl]carbonyl]- (9CI)
MF C20 H24 Cl N5 O
SR Chemical Library
Supplier: AsInEx
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

L14 ANSWER 848 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN
RN 605621-27-0 REGISTRY
ED Entered STN: 16 Oct 2003
CN Methanone, [4-(3-methoxyphenyl)-1-piperazinyl][1-(2-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Piperazine, 1-(3-methoxyphenyl)-4-[[1-(2-pyrimidinyl)-4-piperidinyl]carbonyl]- (9CI)
MF C21 H27 N5 O2
SR Chemical Library
Supplier: AsInEx
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

L14 ANSWER 849 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN

RN 605621-26-9 REGISTRY

ED Entered STN: 16 Oct 2003

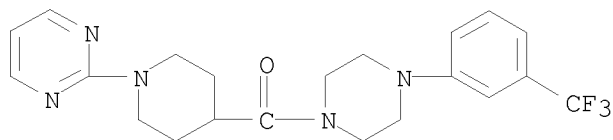
CN Methanone, [1-(2-pyrimidinyl)-4-piperidinyl][4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]- (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Piperazine, 1-[[1-(2-pyrimidinyl)-4-piperidinyl]carbonyl]-4-[3-(trifluoromethyl)phenyl]- (9CI)

MF C21 H24 F3 N5 O

SR Chemical Library
Supplier: AsInEx



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

L14 ANSWER 842 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN

RN 605623-23-2 REGISTRY

ED Entered STN: 16 Oct 2003

CN Methanone, [4-(5-chloro-2-methylphenyl)-1-piperazinyl][1-(4,6-dimethyl-2-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)

OTHER CA INDEX NAMES:

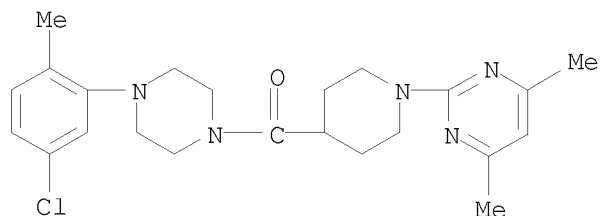
CN Piperazine, 1-(5-chloro-2-methylphenyl)-4-[[1-(4,6-dimethyl-2-pyrimidinyl)-4-piperidinyl]carbonyl]- (9CI)

MF C23 H30 Cl N5 O

SR Chemical Library

Supplier: AsInEx

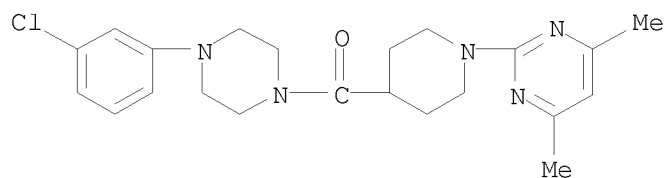
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

L14 ANSWER 843 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN
RN 605623-22-1 REGISTRY
ED Entered STN: 16 Oct 2003
CN Methanone, [4-(3-chlorophenyl)-1-piperazinyl][1-(4,6-dimethyl-2-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Piperazine, 1-(3-chlorophenyl)-4-[[1-(4,6-dimethyl-2-pyrimidinyl)-4-piperidinyl]carbonyl]- (9CI)
MF C22 H28 Cl N5 O
SR Chemical Library
Supplier: AsInEx
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

L14 ANSWER 844 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN

RN 605623-21-0 REGISTRY

ED Entered STN: 16 Oct 2003

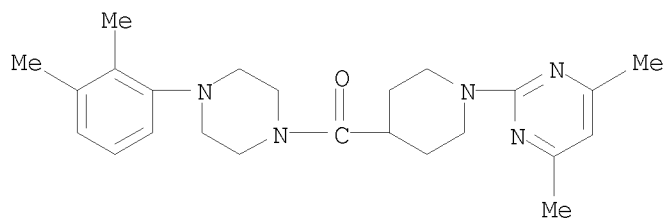
CN Methanone, [4-(2,3-dimethylphenyl)-1-piperazinyl][1-(4,6-dimethyl-2-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Piperazine, 1-(2,3-dimethylphenyl)-4-[[1-(4,6-dimethyl-2-pyrimidinyl)-4-piperidinyl]carbonyl]- (9CI)

MF C24 H33 N5 O

SR Chemical Library
Supplier: AsInEx



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

L14 ANSWER 845 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN

RN 605623-20-9 REGISTRY

ED Entered STN: 16 Oct 2003

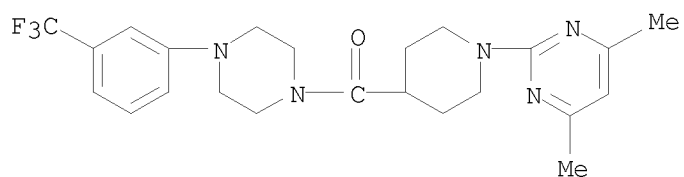
CN Methanone, [1-(4,6-dimethyl-2-pyrimidinyl)-4-piperidinyl][4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]- (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Piperazine, 1-[[1-(4,6-dimethyl-2-pyrimidinyl)-4-piperidinyl]carbonyl]-4-[3-(trifluoromethyl)phenyl]- (9CI)

MF C23 H28 F3 N5 O

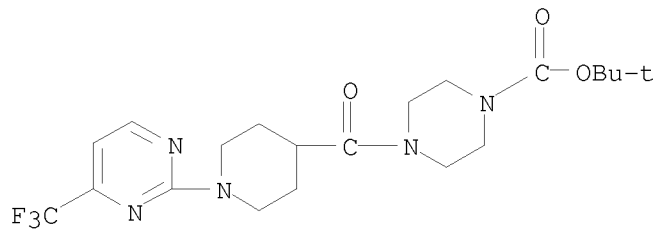
SR Chemical Library
Supplier: AsInEx



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

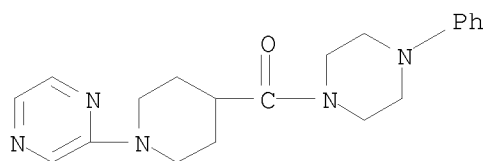
L14 ANSWER 838 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN
RN 651714-70-4 REGISTRY
ED Entered STN: 19 Feb 2004
CN 1-Piperazinecarboxylic acid, 4-[[1-[4-(trifluoromethyl)-2-pyrimidinyl]-4-piperidinyl]carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)
MF C20 H28 F3 N5 O3
SR Chemical Library
Supplier: Maybridge plc
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

L14 ANSWER 839 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN
RN 605639-59-6 REGISTRY
ED Entered STN: 16 Oct 2003
CN Methanone, (4-phenyl-1-piperazinyl)[1-(2-pyrazinyl)-4-piperidinyl]- (CA
INDEX NAME)
OTHER CA INDEX NAMES:
CN Piperazine, 1-phenyl-4-[(1-pyrazinyl-4-piperidinyl)carbonyl]- (9CI)
MF C20 H25 N5 O
SR Chemical Library
Supplier: AsInEx
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

L14 ANSWER 840 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN

RN 605623-25-4 REGISTRY

ED Entered STN: 16 Oct 2003

CN Methanone, [1-(4,6-dimethyl-2-pyrimidinyl)-4-piperidinyl](4-phenyl-1-piperazinyl)- (CA INDEX NAME)

OTHER CA INDEX NAMES:

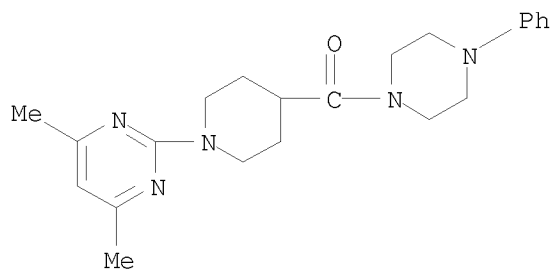
CN Piperazine, 1-[[1-(4,6-dimethyl-2-pyrimidinyl)-4-piperidinyl]carbonyl]-4-phenyl- (9CI)

MF C22 H29 N5 O

SR Chemical Library

Supplier: AsInEx

LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

L14 ANSWER 841 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN

RN 605623-24-3 REGISTRY

ED Entered STN: 16 Oct 2003

CN Methanone, [4-(2,5-dimethylphenyl)-1-piperazinyl][1-(4,6-dimethyl-2-pyrimidinyl)-4-piperidinyl]- (CA INDEX NAME)

OTHER CA INDEX NAMES:

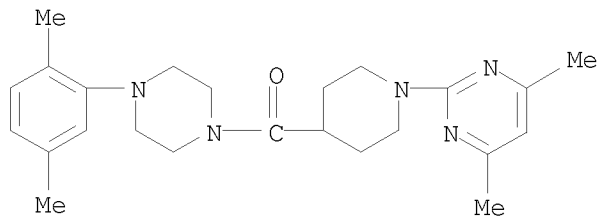
CN Piperazine, 1-(2,5-dimethylphenyl)-4-[[1-(4,6-dimethyl-2-pyrimidinyl)-4-piperidinyl]carbonyl]- (9CI)

MF C24 H33 N5 O

SR Chemical Library

Supplier: AsInEx

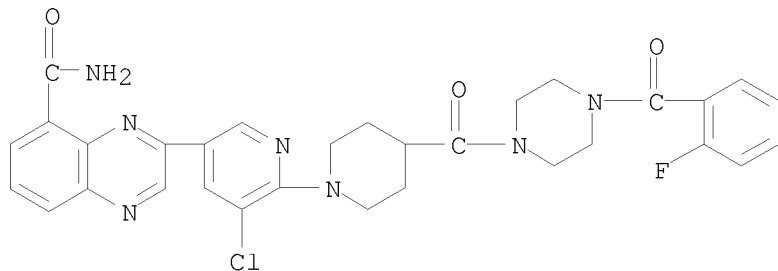
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

L14 ANSWER 834 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN
RN 752199-72-7 REGISTRY
ED Entered STN: 27 Sep 2004
CN 5-Quinoxalinecarboxamide, 3-[5-chloro-6-[4-[[4-(2-fluorobenzoyl)-1-piperazinyl]carbonyl]-1-piperidinyl]-3-pyridinyl]- (CA INDEX NAME)
MF C31 H29 Cl F N7 O3
CI COM
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

L14 ANSWER 835 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN

RN 721880-38-2 REGISTRY

ED Entered STN: 03 Aug 2004

CN Methanone, [4-[(6-hydroxy-2-naphthalenyl)sulfonyl]-1-piperazinyl][1-(4-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)

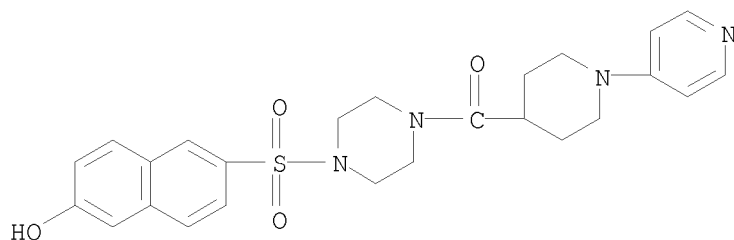
OTHER CA INDEX NAMES:

CN Piperazine, 1-[(6-hydroxy-2-naphthalenyl)sulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]- (9CI)

MF C25 H28 N4 O4 S

CI COM

SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

L14 ANSWER 836 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN

RN 692724-71-3 REGISTRY

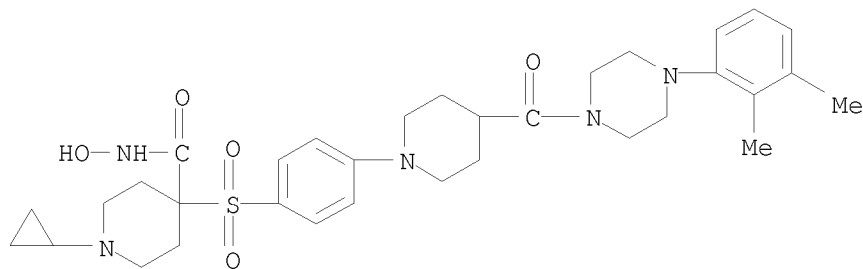
ED Entered STN: 13 Jun 2004

CN 4-Piperidinecarboxamide, 1-cyclopropyl-4-[[4-[4-[[4-(2,3-dimethylphenyl)-1-piperazinyl]carbonyl]-1-piperidinyl]phenyl]sulfonyl]-N-hydroxy- (CA INDEX NAME)

MF C33 H45 N5 O5 S

CI COM

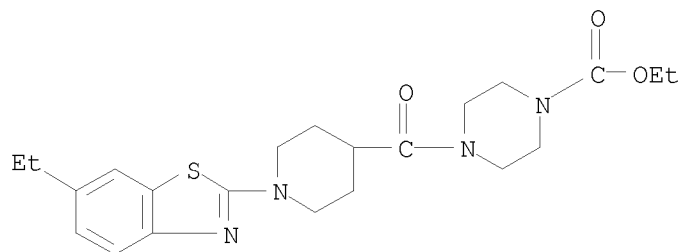
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/553,803

L14 ANSWER 837 OF 874 REGISTRY COPYRIGHT 2008 ACS on STN
RN 685884-41-7 REGISTRY
ED Entered STN: 26 May 2004
CN 1-Piperazinecarboxylic acid, 4-[[1-(6-ethyl-2-benzothiazolyl)-4-piperidinyl]carbonyl]-, ethyl ester (CA INDEX NAME)
MF C22 H30 N4 O3 S
SR Chemical Library
Supplier: ChemDiv, Inc.
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT